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- (54) QUINOLINE, TETRAHYDROQUINOLINE AND PYRIMIDINE DERIVATIVES AS MCH ANTAGONIST
- (57) The present invention relates to compounds of the Formula (I)



wherein Q is:

$$(II) \qquad (III) \qquad (IV)$$

which act as MCH receptor antagonists. These compositions are useful in pharmaceutical compositions whose use includes prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders and dyskinesias including Parkinson's disease, epilepsy, and addiction.

### Description

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#### Field of the Invention

[0001] The present invention relates to compounds which act as antagonists for MCH receptors and to the use of these compounds in pharmaceutical compositions.

## **Background of the Invention**

[0002] Melanin Concentrating Hormone (MCH), a cyclic peptide, has been identified as the endogenous ligand of the orphan G-protein coupled receptor SLC-1. See, for example, Shimomura et al., *Biochem. Biophys. Res. Commun.* 261, 622-26 (1999). Studies have indicated that MCH acts as a neurotransmitter/neuromodulator to alter a number of behavioral responses such as feeding habits. For example, injection of MCH into rats has been reported to increase their consumption of food. Reports indicate that genetically engineered mice which lack MCH show lower body weight and increased metabolism. See Saito et al., *TEM*, vol. 11,299 (2000). As such, the literature suggests that discovery of MCH antagonists that interact with SCL-1 expressing cells will be useful in developing obesity treatments. See Shimomura et al., *Biochem. Biophys. Res. Commun.* 261, 622-26 (1999).

[0003] G protein-coupled receptors (GPCRs) share a common structural motif. All these receptors have seven sequences of between 22 to 24 hydrophobic amino acids that form seven alpha helices, each of which spans the membrane. The fourth and fifth transmembrane helices are joined on the extracellular side of the membrane by a strand of amino acids that forms a relatively large loop. Another larger loop, composed primarily of hydrophilic amino acids, joins transmembrane helices five and six on the intracellular side of the membrane. The carboxy terminus of the receptor lies intracellularly, and the amino terminus lies in the extracellular space. It is thought that the loop joining helices five and six, as well as the carboxy terminus, interact with the G protein. Currently, Gq, Gs, Gi, and Go are G proteins that have been identified as possible proteins that interact with the receptor.

[0004] Under physiological conditions, GPCRs exist in the cell membrane in equilibrium between two different states or conformations: an "inactive" state and an "active" state. A receptor in an inactive state is unable to link to the intracellular transduction pathway to produce a biological response. Changing the receptor conformation to the active state allows linkage to the transduction pathway and produces a biological response.

[0005] A receptor may be stabilized in an active state by an endogenous ligand or an exogenous agonist ligand. Recent discoveries, including but not exclusively limited to, modifications to the amino acid sequence of the receptor, provide alternative mechanisms other than ligands to stabilize the active state conformation. These approaches effectively stabilize the receptor in an active state by simulating the effect of a ligand binding to the receptor. Stabilization by such ligand-independent approaches is termed "constitutive receptor activation." In contrast, antagonists can competitively bind to the receptor at the same site as agonists, but do not activate the intracellular response initiated by the active form of the receptor, and therefore inhibit the intracellular responses by agonists.

[0006] Certain 2-aminoquinazoline derivatives have been reported to be NPY antagonists which are said to be effective in the treatment of disorders and diseases associated with the NPY receptor subtype Y5. See PCT Patent Application 97/20823. Quinazoline derivatives have also been found to be useful by enhancing antitumor activity. See PCT Patent Application 92/07844. And also the quinoline derivatives which have an antagonist activity for MCH receptor are known in these patents, WO03/070244, WO03/105850, WO03/45313, WO03/045920, and WO04/04726.

[0007] Recently, our current knowledge of human obesity has advanced dramatically. Previously, obesity was viewed as an oppugnant behavior of inappropriate eating in the setting of appealing foods. Studies of animal models of obesity, biochemical alterations in both humans and animals, and the complex interactions of psychosocial and cultural factors that create receptiveness to human obesity indicate that this disease in humans is multifaceted and deeply entrenched in biologic systems. Thus, it is almost certain that obesity has multiple causes and that there are different types of obesity. Not only does MCHR1 antagonist have potent and durable anti-obesity effects in rodents, it has surprising antidepressant and anxiolytic properties as well (Borowsky et al., *Nature Medicine*, 8, 825-830, 2002). MCHR1 antagonists have been reported to show antidepressant and anxiolytic activities in rodent models such as social interaction, forced swimming test and ultrasonic vocalization. These findings indicate that MCHR1 antagonists could be useful for treatment of obesity patients with multiple causes. Moreover, MCHR1 antagonists could be used to treat subjects not only with obesity, but also those with depression and anxiety. These advantages make it different from NPY receptor antagonists, with which anxiogenic-like activity can be expected, as NPY itself has anxiolytic-like effect.

[0008] Obesity is also regarded as a chronic disease and the possibly of long-term treatment is a concept that is receiving more attention. In this context, it is noteworthy that the depletion of MCH leads to hypophagia as well as leanness (Shimada et al., *Nature*, 396, 670-674, 1998). By contrast, NPY (Erickson et al., *Nature*, 381, 415-418, 1996), as well as the Y1 (Pedrazzini et al., *Nature Medicine*, 4, 722-726, 1998) and Y5 receptors (Marsh et al., *Nature Medicine*, 4, 718-721, 1998), disrupted mice maintained a stable body weight or rather became obese. Considering the above

reports, MCHR1 antagonists can be more attractive than Y1 or Y5 receptor antagonists in terms of long-term treatment of obese patients.

[0009] Obesity, which is the result of an imbalance between caloric intake and energy expenditure, is highly correlated with insulin resistance and diabetes in experimental animals and human. However, the molecular mechanisms that are involved in obesity-diabetes syndromes are not clear. During early development of obesity, increase insulin secretion balances insulin resistance and protects patients from hyperglycemia (Le Stunff, et al. *Diabetes* 43, 696-702 (1989)). However, after several decades,  $\beta$  cell function deteriorates and non-insulin-dependent diabetes develops in about 20% of the obese population (Pederson, P. *Diab. Metab. Rev.* 5, 505-509 (1989)) and (Brancati, F. L., et al., *Arch. Intern. Med.* 159, 957-963 (1999)). Given its high prevalence in modem societies, obesity has thus become the leading risk factor for NIDDM (Hill, J. O., et al., *Science* 280, 1371-1374 (1998)). However, the factors which predispose a fraction of patients to alteration of insulin secretion in response to fat accumulation remain unknown.

[0010] Whether someone is classified as overweight or obese is generally determined on the basis of their body mass index (BMI) which is calculated by dividing body weight (kg) by height squared (m²). Thus, the units of BMI are kg/m² and it is possible to calculate the BMI range associated with minimum mortality in each decade of life. Overweight is defined as a BMI in the range 25-30 kg/m², and obesity as a BMI greater than 30 kg/m² (see TABLE below). There are problems with this definition in that it does not take into account the proportion of body mass that is muscle in relation to fat (adipose tissue). To account for this, obesity can also be defined on the basis of body fat content: greater than 25% and 30% in males and females, respectively.

CLASSIFICATION OF WEIGHT BY BODY MASS INDEX (BMI				
ВМІ	CLASSIFICATION			
< 18.5	Underweight			
18.5-24.9	Normal			
25.0-29.9	Overweight			
30.0-34.9	Obesity (Class I)			
35.0-39.9	Obesity (Class II)			
>40	Extreme Obesity (Class III)			

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[0011] As the BMI increases there is an increased risk of death from a variety of causes that is independent of other risk factors. The most common diseases with obesity are cardiovascular disease (particularly hypertension), diabetes (obesity aggravates the development of diabetes), gall bladder disease (particularly cancer) and diseases of reproduction. Research has shown that even a modest reduction in body weight can correspond to a significant reduction in the risk of developing coronary heart disease.

[0012] Compounds marketed as anti-obesity agents include Orlistat (XENICAL<sup>TM</sup>) and Sibutramine. Orlistat (a lipase inhibitor) inhibits fat absorption directly and tends to produce a high incidence of unpleasant (though relatively harmless) side-effects such as diarrhea. Sibutramine (a mixed 5-HT/noradrenaline reuptake inhibitor) can increase blood pressure and heart rate in some patients. The serotonin releaser/reuptake inhibitors fenfluramine (Pondimin<sup>TM</sup>) and dexfenfluramine (Redux<sup>TM</sup>) have been reported to decrease food intake and body weight over a prolonged period (greater than 6 months). However, both products were withdrawn after reports of preliminary evidence of heart valve abnormalities associated with their use. Accordingly, there is a need for the development of a safer anti-obesity agent.

[0013] Obesity considerably increases the risk of developing cardiovascular diseases as well. Coronary insufficiency, atheromatous disease, and cardiac insufficiency are at the forefront of the cardiovascular complication induced by obesity. It is estimated that if the entire population had an ideal weight, the risk of coronary insufficiency would decrease by 25 % and the risk of cardiac insufficiency and of cerebral vascular accidents by 35%. The incidence of coronary diseases is doubled in subjects less than 50 years of age who are 30% overweight. The diabetes patient faces a 30% reduced lifespan. After age 45, people with diabetes are about three times more likely than people without diabetes to have significant heart disease and up to five times more likely to have a stroke. These findings emphasize the interrelations between risks factors for NIDDM and coronary heart disease and the potential value of an integrated approach to the prevention of these conditions based on the prevention of sbesity (Perry, I. J., et al., BMJ 310,560-564 (1995)).

[0014] An increasing number of children and adolescents are overweight. Although not all overweight children will necessarily become overweight adults, the growing occurrence of obesity in childhood is likely to be reflected in increasing obesity in adult years. The high prevalence of obesity in our adult population and the likelihood that the nation of the future will be even more obese demands a re-examination of the health implications of this disease. See, Health

Implications of Obesity. NIH Consens. Statement Online 1985 Feb 11-13; 5(9):1-7.

[0015] "Clinical obesity" is a measurement of the excess body fat relative to lean body mass and is defined as a body weight more than 20% above the ideal body weight. Recent estimates suggest that 1 in 2 adults in the United States is clinically obese, an increase of more than 25% over the past decades. Flegal M.D. et al., 22 Int. *J. Obes. Relat. Metab. Disor.* 39 (1998). Both overweight conditions and clinical obesity are a major health concerns worldwide, in particular because clinical obesity is often accompanied by numerous complications, *i.e.*, hypertension and Type II diabetes, which in turn can cause coronary artery disease, stroke, late-stage complications of diabetes and premature death. (See, e.g., Nishina P.M. et al., 43 Metab. 554 (1994)).

[0016] Although the etiologic mechanisms underlying obesity require further clarification, the net effect of such mechanisms leads to an imbalance between energy intake and expenditure. Both genetic and environmental factors are likely to be involved in the pathogenesis of obesity. These include excess caloric intake, decreased physical activity, and metabolic and endocrine abnormalities.

[0017] Treatment of overweight conditions and clinical obesity via pharmaceutical agents are not only of importance with respect to the conditions themselves, but also with respect to the possibility of preventing other diseases that are associated with, e.g., clinical obesity, as well as enhancement of the positive feeling of "self" that often accompanies those who are overweight or clinically obese and who encounter a significant reduction in body weight. Given the foregoing discussion, it is apparent that compounds which help in the treatment of such disorders would be useful and would provide an advance in both research and clinical medicine. The present invention is directed to these, as well as other, important ends.

## SUMMARY OF THE INVENTION

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[0018] The present invention is drawn to compounds, which bind to and modulate the activity of a GPCR referred to herein as MCH, and uses thereof. The term MCH, as used herein, includes the human sequences found in GeneBank accession number NM\_005297, naturally-occurring allelic variants, mammalian orthologs, biologically active fragments and recombinant mutants thereof.

[0019] One aspect of the present invention relates to certain substituted heterocyclic compounds represented by Formula (I):

wherein Q is:

40 
$$(\Pi)_p$$
  $(\Pi)_p$   $($ 

R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-16</sub> alkyl, and

C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- hydroxy,
- oxo,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
  - carbocyclic aryl,

heterocyclyl, and heterocyclyl substituted by C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkylcarbonyloxy, carbocyclyloxy, 5 carbocyclic aryloxy, carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, 10 carboxy, carbamoyl, nitro, cyano, 15 amino, carbocyclic aryl, carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen, 20 C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: ••• halogen, hydroxy, 25 carboxy, oxo, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, mono-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl, ••• di-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl, 30 ••• mono-C<sub>1-5</sub> alkylamino substituted by halogenated carbocyclic aryl, ••• di-C<sub>1-5</sub> alkylamino substituted by halogenated carbocyclic aryl, ••• carbocyclic arylcarbonylamino, and ••• carbocyclic arylcarbonylamino substituted by halogen, 35 heterocyclyloxy, heterocyclyloxy substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, 40 carboxy, carbamoyl, nitro, cyano, 45 amino, carbocyclic aryl, carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy,  $C_{1-5}$  alkoxy substituted by substituent(s) independently selected from the group consisting of: 50 ••• halogen, ••• hydroxy, and ••• carboxy, 55 C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: ••• halogen,

••• hydroxy, and

		••• carboxy,
	•	substituted heterocyclyl-ethylideneaminooxy,
5	•	C <sub>1-5</sub> alkoxycarbonyl,
3	•	C <sub>1-5</sub> alkoxycarbonyl substituted by carbocyclic aryl,
	•	
		mono-C <sub>1-5</sub> alkylaminocarbonyl, di-C <sub>1-5</sub> alkylaminocarbonyl,
	•	
10	•	mono-C <sub>1-5</sub> alkylamino,
10	•	mono-C <sub>1-5</sub> alkylamino substituted by substituent(s) independently selected from the group consisting of:
		• cyano,
		• carbocyclic aryl, and
		• heterocyclyl,
15		
	•	di-C <sub>1-5</sub> alkylamino,
	•	di-C <sub>1-5</sub> alkylamino substituted by substituent(s) independently selected from the group consisting of:
		• cyano,
20		• carbocyclic aryl, and
20		• heterocyclyl,
	•	mono-carbocyclic arylamino,
	•	mono-carbocyclic arylamino substituted by substituent(s) independently selected from the group consist-
25		ing of:
		•• halogen,
		hydroxy,
		• carboxy,
30		• carbamoyl,
		• nitro,
		• cyano,
		• amino,
		• carbocyclic aryl,
35		• carbocyclic aryl substituted by C <sub>1-5</sub> alkoxy,
		•• C <sub>1-5</sub> alkoxy,
		• C <sub>1.5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
		••• halogen,
40		••• hydroxy, and
		••• carboxy,
		• C <sub>1-5</sub> alkyl, and
45		•• C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
,,,		••• halogen,
		••• hydroxy, and
		••• carboxy,
50	•	di-carbocyclic arylamino, di-carbocyclic arylamino substituted by substituent(s) independently selected from the group consisting of:
	•	ar carbody and arytamino substituted by substitutent(s) independently selected from the group consisting of
		•• hydroxy,
55		• carboxy,
		• carbamoyl,
		• nitro,
		• cyano,

		••	amino,
		••	carbocyclic aryl,
		••	carbocyclic aryl substituted by C <sub>1-5</sub> alkoxy,
		••	C <sub>1-5</sub> alkoxy,
5			C <sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
			••• halogen,
			••• hydroxy, and
			••• carboxy,
10			Calboxy,
10			O alled and
			C <sub>1-5</sub> alkyl, and
		••	C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
			••• halogen,
15			••• hydroxy, and
			••• carboxy,
	•	mo	no-heterocyclylamino,
	•		no-heterocyclylamino substituted by substituent(s) independently selected from the group consisting
20		of:	, , , , , , , , , , , , , , , , , , , ,
		••	halogen,
		••	hydroxy,
			· · · · ·
0-		••	carboxy,
25		••	carbamoyl,
		••	nitro,
		••	cyano,
		••	amino,
		••	carbocyclic aryl,
30		••	carbocyclic aryl substituted by C <sub>1-5</sub> alkoxy,
		••	C <sub>1-5</sub> alkoxy,
		••	C <sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
			••• halogen,
35			••• hydroxy, and
			••• carboxy,
		••	C <sub>1-5</sub> alkyl, and
			C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
40		**	C1-5 alkyr substituted by substitute fit(s) independently selected from the group consisting of
			••• halogen,
			••• hydroxy, and
			••• carboxy,
45	•	di-ł	neterocyclylamino,
	•		neterocyclylamino substituted by substituent(s) independently selected from the group consisting of:
		••	halogen
			halogen,
		••	hydroxy,
50		••	carboxy,
		••	carbamoyl,
		••	nitro,
		••	cyano,
		••	amino,
55		••	carbocyclic aryl,
		••	carbocyclic aryl substituted by C <sub>1-5</sub> alkoxy,
		••	C <sub>1-5</sub> alkoxy,
		••	C <sub>1.5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:

••• halogen,

halogen,

••• hydroxy, and carboxy, C<sub>1-5</sub> alkyl, and 5  $C_{1-5}$  alkyl substituted by substituent(s) independently selected from the group consisting of: ••• halogen, ••• hydroxy, and e carboxy, 10 C<sub>1-5</sub> alkylcarbonylamino,  $\textbf{C}_{\textbf{1-5}} \text{ alkylcarbonylamino substituted by substituent(s) independently selected from the group consisting of:} \\$ 15 carbocyclic arylcarbonylamino, and heterocyclyl, C<sub>1-5</sub> alkoxycarbonylamino, carbocyclic arylcarbonylamino, 20 heterocyclyl carbonylamino, carbocyclic aryisulfonylamino, carbocyclic arylsulfonylamino substituted by substituent(s) independently selected from the group consisting of: 25 nitro, C<sub>1-5</sub> alkyl, mono-C<sub>1-5</sub> alkylamino, and. di-C<sub>1-5</sub> alkylamino, 30 C<sub>1-5</sub> alkylthio,  $C_{1-5}$  alkylthio substituted by substituent(s) independently selected from the group consisting of: mono-carbocyclic arylaminocarbonyl, 35 mono-carbocyclic arylaminocarbonyl substituted by halogen, di-carbocyclic arylaminocarbonyl, di-carbocyclic arylaminocarbonyl substituted by halogen, mono-carbocyclic arylamino, mono-carbocyclic arylamino substituted by halogen, di-carbocyclic arylamino, 40 di-carbocyclic arylamino substituted by halogen, carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: ••• halogen, and 45 ••• C<sub>1-5</sub> alkoxy, carbocyclic arylthio, carbocyclic arylthio substituted by substituent(s) independently selected from the group consisting of: 50 halogen, C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by halogen, 55 carbocyclic arylsulfinyl, carbocyclic arylsulfinyl substituted by substituent(s) independently selected from the group consisting of:

 C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by halogen, carbocyclic arylsulfonyl, carbocyclic arylsulfonyl substituted by substituent(s) independently selected from the group consisting of: 5 halogen, ◆ C<sub>1-5</sub> alkyl, and ◆ C<sub>1-5</sub> alkyl substituted by halogen, 10 heterocyclylthio, heterocyclylthio substituted by substituent(s) independently selected from the group consisting of: nitro, and 15 • C<sub>1-5</sub> alkyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkyl substituted by C<sub>1-5</sub> alkyl, C<sub>3-6</sub> cycloalkyl substituted by carbocyclic aryl, C<sub>3-6</sub> cycloalkenyl, 20 carbocyclyl, carbocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, 25 C<sub>1-5</sub> alkyl, C<sub>1-5</sub>. alkoxy, C<sub>2-5</sub> alkenyl, and C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: e carbocyclic aryl, and 30 carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 35 halogen, hydroxy, carboxy, carbamoyl, 40 cyano, nitro, amino, C<sub>1-5</sub> alkylcarbonylamino, C<sub>3-6</sub> cycloalkylcarbonylamino, 45 C<sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: ••• halogen, ••• hydroxy, 50 carboxy, carbamoyl, carbocyclic aryl, ••• heterocyclyl, 55 ••• mono-carbocyclic arylamino, ••• di-carbocyclic arylamino, ••• mono-carbocyclic arylamino substituted by substituent(s) independently selected from the group

consisting of:

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••• halogen,
                                •••• nitro,
                                ••• C<sub>1-5</sub> alkyl,
                                ••• C<sub>1-5</sub> alkoxy, and
5
                                •••• C<sub>1-5</sub> alkoxy substituted by halogen,
                          ••• di-carbocyclic arylamino substituted by substituent(s) independently selected from the group con-
                                sisting of:
                                •••• halogen,
10
                                ••• nitro,
                                ••• C<sub>1-5</sub> alkyl,
                                ••• C<sub>1-5</sub> alkoxy, and
                                ••• C<sub>1-5</sub> alkoxy substituted by halogen,
15
                          C<sub>2-5</sub> alkenyl,
                          C<sub>1-5</sub> alkoxy,
                          C<sub>1.5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
                           ••• halogen, and
20
                           e carbocyclic aryl,
                          carbocyclic aryloxy,
                          C<sub>1-5</sub> alkoxycarbonyl,
                          C<sub>1-5</sub> alkylcarbonyloxy,
25
                          mono-C<sub>1-5</sub> alkylamino,
                          di-C<sub>1-5</sub> alkylamino,
                          mono-carbocyclic arylamino,
                          mono-carbocyclic arylamino substituted by halogen,
30
                           di-carbocyclic arylamino,
                           di-carbocyclic arylamino substituted by halogen,
                           mono-carbocyclic arylaminocarbonyl,
                           mono-carbocyclic arylaminocarbonyl substituted by substituent(s) selected from the group consisting
35
                           ••• halogen,
                           ••• nitro,
                           ••• C<sub>1-5</sub> alkyl,
                           ••• C<sub>1-5</sub> alkoxy, and
                           ••• C<sub>1-5</sub> alkoxy substituted by halogen,
40
                          di-carbocyclic arylaminocarbonyl,
                          di-carbocyclic arylaminocarbonyl substituted by substituent(s) selected from the group consisting of:
45
                           ••• halogen,
                           ••• nitro,
                           C<sub>1-5</sub> alkyl,
                           C1-5 alkoxy, and
                           ••• C<sub>1-5</sub> alkoxy substituted by halogen,
50
                           mercapto,
                           C<sub>1-5</sub> alkylthio,
                           C<sub>1-5</sub> alkylthio substituted by halogen,
                          C<sub>1-5</sub> alkylsulfonyl,
                           C<sub>3-6</sub> cycloalkyl,
55
                           carbocyclic aryl, and
                           heterocyclyl,
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	heterocyclyl, and	
	<ul> <li>heterocyclyl substituted by substituent(s) independently selected from the group consisting of:</li> </ul>	
	→ halogen,	
	→ hydroxy,	
5		
3	•• carboxy,	
	⇔ cyano,	
	•• nitro,	
	•• amino,	
10	◆ C <sub>1-5</sub> alkyl,	
	•• C <sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:	
	- 1-3,,,,,	
	••• halogen,	
	••• hydroxy,	
4=		
15	••• carboxy, and	
	••• carbamoyl,	
	O all and and additionable to an advantable and	
	•• C <sub>1-5</sub> alkyl substituted by carbocyclic aryl,	
	◆ C <sub>1-5</sub> alkoxy,	
20	◆ C <sub>1-5</sub> alkoxy substituted by halogen,	
	→ C <sub>1-5</sub> alkoxy substituted by carbocyclic aryl,	
	•• carbocyclic aryl, and	
	(ii) C <sub>2-8</sub> alkenyl, and	
25	C <sub>2-8</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:	
	2-0	
	• halogen,	
	• OXO,	
	• C <sub>1-5</sub> alkoxy,	
30	C <sub>1-5</sub> alkoxy substituted by carbocyclic aryl,	
00	· =	
	carbocyclic aryl,  - and a substituted by a phatituant/a) independently calculated from the group consisting of	٤.
	<ul> <li>carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of</li> </ul>	•
	as hologon	
0.5	• halogen,	
35	•• hydroxy,	
	•• nitro,	
	•• C <sub>1-5</sub> alky!,	
	<ul> <li>C<sub>1-5</sub> alkyl substituted by halogen,</li> </ul>	
	◆ C <sub>1-5</sub> alkoxy, and	
40	<ul> <li>C<sub>1-5</sub> alkoxy substituted by halogen,</li> </ul>	
	heterocyclyl, and	
	<ul> <li>heterocyclyl substituted by substituent(s) independently selected from the group consisting of:</li> </ul>	
45	•• hydroxy,	
	• nitro,	
	◆ C <sub>1-5</sub> alkoxy,	
50	(iii) C allowyl and	
50	(iii) C <sub>2-5</sub> alkynyl, and	
	C <sub>2-5</sub> alkynyl substituted by carbocyclic aryl,	
	(iv) C <sub>3-12</sub> cycloalkyl, and	_
	C <sub>3-12</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting	of:
55	• C <sub>1-5</sub> alkyl,	
	<ul> <li>C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:</li> </ul>	

oxo, and

carbocyclic aryl, mono-C<sub>1-5</sub> alkylamino, 5 mono-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl, carbocyclic arylcarbonylamino, carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 10 halogen, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkyl, and 15 ◆ C<sub>1-5</sub> alkyl substituted by halogen, (v) C<sub>3-6</sub> cycloalkenyl, and C<sub>3-6</sub> cycloalkenyl substituted by C<sub>1-5</sub> alkyl, (vi) carbocyclyl, and 20 carbocyclyl substituted by substitutent(s) independently selected from the group consisting of: hydroxy, and nitro, (vii) carbocyclic aryl, and 25 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, 30 cyano, nitro, C<sub>1-10</sub> alkyl,  $C_{1-10}$  alkyl substituted by substituent(s) independently selected from the group consisting of: 35 halogen, hydroxy, carboxy, carbamoyl, oxo, C<sub>1-5</sub> alkoxy, 40 carbocyclic aryloxy, mono-C<sub>1-5</sub> alkylamino-N-oxy, di-C<sub>1-5</sub> alkylamino-N-oxy, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, 45 mono-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl, mono-carbocyclic arylamino, di-carbocyclic arylamino, 50 carbocyclylimino, carbocyclylimino substituted by carbocyclic aryl, mono-carbocyclic arylamino, di-carbocyclic arylamino, mono-carbocyclic arylamino substituted by  $C_{1-5}$  alkoxy, di-carbocyclic arylamino substituted by C<sub>1-5</sub> alkoxy, 55 mono-carbocyclic arylaminocarbonyl, di-carbocyclic arylaminocarbonyl,

mono-carbocyclic arylaminocarbonyl substituted by C<sub>1-5</sub> alkoxy,

		••	di-carbocyclic arylaminocarbonyl substituted by C <sub>1-5</sub> alkoxy, carbocyclic aryl,
		••	carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
5			••• halogen,
			••• C <sub>1-5</sub> alkyl, and
			••• C <sub>1-5</sub> alkyl substituted by halogen,
10		••	heterocyclyl, and
10		••	heterocyclyl substituted by C <sub>1-5</sub> alkyl,
	•		<sub>5</sub> alkenyl, <sub>5</sub> alkenyl substituted by carbocyclic aryl,
	•		g alkoxy,
15	•		g alkoxy substituted by substituent(s) independently selected from the group consisting of:
		••	hydroxy,
		••	halogen,
		••	carboxy,
20		••	mono-C <sub>1-5</sub> alkylamino,
			di-C <sub>1-5</sub> alkylamino,
		••	carbocyclic aryl,
		••	halogenated carbocyclic aryl,
		••	heterocyclyl,
25		••	heterocyclyl substituted by substituent(s) independently selected from the group consisting of:
			halogen, heterocyclyl, and
			<ul> <li>heterocyclyl substituted by substituent(s) independently selected from the group consisting of:</li> </ul>
30			includes of the second of the
			•••• halogen,
			•••• C <sub>1-5</sub> alkyl, and
			•••• C <sub>1-5</sub> alkyl substituted by halogen,
35	•		<sub>5</sub> alkenyloxy,
	•		<sub>6</sub> cycloalkoxy,
	•		<sub>5</sub> alkylcarbonyloxy,
	•		bocyclic aryloxy,
	•	car	bocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of:
40			T. Av.
		••	halogen,
		••	hydroxy,
		••	carboxy,
45			carbamoyl,
45			cyano, nitro,
		••	amino,
		••	C <sub>1-5</sub> alkyl,
		••	C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
50		•••	01-5 dikyi substituted by substituent(s) independentity selected from the group consisting of
-			••• halogen,
			••• hydroxy,
			••• carboxy, and
			••• carbamoyl,
55			
		••	C <sub>1.5</sub> alkoxy, and
		••	C <sub>1.5</sub> alkoxy substituted by halogen,

heterocyclyloxy, heterocyclyloxy substituted by substituent(s) independently selected from the group consisting of: halogen, 5 hydroxy, carboxy, carbamoyl, cyano, nitro, 10 amino, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: ••• halogen, 15 ••• hydroxy, carboxy, and carbamoyl, C<sub>1-5</sub> alkoxy, and C<sub>1-5</sub> alkoxy substituted by halogen, 20 (carbocyclic aryl)S(O)2O, carboxy, carbamoyl, 25 C<sub>1-5</sub> alkoxycarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, 30 mono-carbocyclic arylaminocarbonyl, di-carbocyclic arylaminocarbonyl, mono-carbocyclic arylaminocarbonyl substituted by C<sub>1-5</sub> alkyl, di-carbocyclic arylaminocarbonyl substituted by C<sub>1-5</sub> alkyl, 35 mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, mono-C<sub>1-5</sub> alkylamino substituted by cyano, di-C<sub>1-5</sub> alkylamino substituted by cyano, mono-carbocyclic arylamino, di-carbocyclic arylamino, 40 C<sub>1-5</sub> alkylcarbonylamino, C<sub>3-6</sub> cycloalkylcarbonylamino, C2-5 alkynylcarbonylamino, C<sub>2-5</sub> alkynylcarbonylamino substituted by carbocyclic aryl, 45 C<sub>1-5</sub> alkoxycarbonylamino, carbocyclic arylsulfonylamino, carbocyclic arylsulfonylamino substituted by C<sub>1-5</sub> alkyl, (carbocyclic aryl)NHC(O)NH, (carbocyclic aryl)NHC(O)NH substituted by C<sub>1-5</sub> alkoxy, 50 (carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy, carbocyclic aryl azo, carbocyclic aryl azo substituted by mono-C<sub>1-5</sub> alkylamino, carbocyclic aryl azo substituted by di-C<sub>1-5</sub> alkylamino, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by halogen, 55

carbocyclic arylthio substituted by substituent(s) independently selected from the group consisting of:

carbocyclic arylthio.

halogen, nitro, cyano, and C<sub>1-5</sub> alkyl, 5 aminosulfonyl, heterocyclylthio, C<sub>1-5</sub> alkylsulfonyl, mono-C<sub>1-5</sub> alkylaminosulfonyl, di-C<sub>1-5</sub> alkylaminosulfonyl, 10 heterocyclylsulfonyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkyl substituted by C<sub>1-5</sub> alkyl, carbocyclic aryl, 15 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-7</sub> alkyl, and C<sub>1-7</sub> alkyl substituted by halogen, 20 heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, carbocyclic aryl, and 25 halogenated carbocyclic aryl, C<sub>1-5</sub> alkoxycarbonyl substituted by carbocyclic aryl, and (viii) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: 30 halogen, hydroxy, carboxy, 35 carbamoyl, cyano, nitro, amino,  $C_{1-5}$  alkyl substituted by substituent(s) independently selected from the group consisting of: 40 halogen, hydroxy, carboxy, 45 carbamoyl, C<sub>1-5</sub> alkylcarbonyloxy, carbocyclic arylcarbonylamino, carbocyclic arylcarbonylamino substituted by halogen, 50 C<sub>1-5</sub> alkoxycarbonyl, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl, C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl, carbocyclic aryl, 55 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: ••• halogen, and nitro,

heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: ••• halogen, 5 ••• C<sub>1-5</sub> alkyl, and ••• C<sub>1-5</sub> alkyl substituted by halogen, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, 10 carbocyclic aryloxy, carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of: halogen, 15 nitro, cyano, hydroxy, carboxy, carbamovI. 20 amino. C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: ••• halogen, 25 ••• hydroxy, e carboxy, and e carbamoyl, mono-C<sub>1-5</sub> alkylamino, 30 di-C<sub>1-5</sub> alkylamino, C<sub>1-5</sub> alkylcarbonylamino, C<sub>3-6</sub> cycloalkycarbonylamino, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen, 35 C<sub>3-6</sub> cycloalkyl, C<sub>2-5</sub> alkenyl, C<sub>2-5</sub>alkynyl, carboxy, C<sub>1-5</sub> alkoxycarbonyl, 40 mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, mono-C<sub>3-6</sub> cycloalkylaminocarbonyl, di-C<sub>3-6</sub> cycloalkylaminocarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonylamino, 45 di-C<sub>1-5</sub> alkylaminocarbonylamino, mono-C<sub>3-6</sub> cycloalkylaminocarbonylamino, di-C3-6 cycloalkylaminocarbonylamino, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by halogen, 50 C<sub>1-5</sub> alkylsulfinyl, C<sub>1-5</sub> alkylsulfinyl substituted by halogen, C<sub>1-5</sub> alkylsulfonyl, and • C<sub>1-5</sub> alkylsulfonyl substituted by halogen, 55 heterocyclyloxy,

halogen,

heterocyclyloxy substituted by substituent(s) independently selected from the group consisting of:

nitro, hydroxy, carboxy, carbamoyl, 5 cyano, amino, C<sub>1-5</sub> alkyl, C<sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 10 ••• halogen, ••• hydroxy, e carboxy, and carbamoyl, 15 C<sub>1-5</sub> alkoxy, and C<sub>1-5</sub> alkoxy substituted by halogen, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, 20 mono-carbocyclic arylamino, mono-carbocyclic arylamino substituted by halogen, C<sub>1-5</sub> alkylcarbonylamino, C<sub>1-5</sub> alkylthio, C2-5 alkenylthio, 25 carbocyclic arylthio, carbocyclic arylthio substituted by halogen, carbocyclic arylthio substituted by C<sub>1-5</sub> alkoxycarbonyl, heterocyclyithio, heterocyclylthio substituted by C<sub>1-5</sub> alkyl, 30 C<sub>1-5</sub> alkylsulfinyl, C<sub>1-5</sub> alkylsulfonyl, carbocyclic arylsulfinyl, carbocyclic arylsulfinyl substituted by halogen, carbocyclic arylsulfonyl, 35 carbocyclic arylsulfonyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkyl, and 40 C<sub>1-5</sub> alkoxycarbonyl, C<sub>1-5</sub> alkoxycarbonyl substituted by carbocyclic aryl, carbocyclic aryl, 45 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, nitro, C<sub>1-5</sub> alkyl, 50 ◆ C<sub>1-5</sub> alkoxy, and C<sub>1-5</sub> alkoxy substituted by halogen, heterocyclyl, and 55 heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl,

- C<sub>1-5</sub> alkyl substituted by halogen,
- ◆ C<sub>1-5</sub> alkoxy, and
- ◆ C<sub>1-5</sub> alkoxycarbonyl;
- 5 R<sub>2</sub> is selected from the group consisting of:

hydrogen, halogen, hydroxy, carboxy, carbamoyl, amino,  $C_{1-5}$  alkyl,  $C_{1-5}$  alkyl substituted by halogen,  $C_{1-5}$  alkyl substituted by carbamoyl,  $C_{1-5}$  alkyl substituted by carbamoyl,  $C_{1-5}$  alkoxy,  $C_{1-5}$  alkoxy substituted by halogen, -NHNH2, -NHNHBoc, -N( $R_{2a}$ )( $R_{2b}$ ), morpholino, 4-acetyl-piperazyl, or 4-phenyl-piperazyl,

wherein  $R_{2a}$  is hydrogen or  $C_{1.5}$  alkyl and  $R_{2b}$  is  $C_{1.5}$  alkyl,  $C_{3.6}$  cycloalkyl, or  $C_{1.5}$  alkyl substituted by substituent (s) independently selected from the group consisting of:

halogen,

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- hydroxy,
- carboxy,
- carbamoyl,
- C<sub>1-5</sub> alkoxy,
- amino,
- -NHBoc,
- C<sub>3-6</sub> cycloalkyl,
- carbocyclic aryl,
- · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - halogen,
  - C<sub>1-5</sub> alkyl,
  - ◆ C<sub>1-5</sub> alkoxy, and
  - SO₂NH₂,
- heterocyclyl, and

C<sub>3-6</sub> cycloalkyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- C<sub>1.5</sub> alkyl,
- C<sub>1-5</sub> alkoxy, and
- a group of Formula (V):

wherein Boc is carbamic acid *tert*-butyl ester and G is C<sub>1-5</sub> alkyl or C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- carbocyclic aryl,
- · halogenated carbocyclic aryl, and
- carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy;
- or R<sub>2</sub> is methylamino or dimethylamino when Q is Formula (II) and Y is a single bond or -CH<sub>2</sub>-; Each T is independently selected from the group consisting of halogen, hydroxy, carboxy, carbamoyl, amino, cyano, nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, C<sub>1-5</sub> alkyl substituted by hydroxy, C<sub>1-5</sub> alkyl substituted by carbamoyl, C<sub>2-5</sub> alkenyl, C<sub>2-5</sub> alkynyl, C<sub>3-6</sub> cycloalkyl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbamoyl, C<sub>2-5</sub> alkenyl, C<sub>2-5</sub> alkyl substituted by carbamoyl, C<sub>2-6</sub> alkoxy substituted by carbamoyl, C<sub>2-7</sub> alkoxy substituted by carbamoyl, C<sub>2-8</sub> alkenyl, C<sub>3-8</sub> cycloalkyl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbamoyl, C<sub>2-8</sub> alkenyl, C<sub>3-8</sub> cycloalkyl, C<sub>1-8</sub> alkoxy, C<sub>1-8</sub> alkoxy substituted by carbamoyl, C<sub>1-8</sub> alkyl substituted by carbamoyl, C<sub>1-8</sub> alkoxy substituted by carbamoyl, C<sub>1-8</sub> alkyl substituted by carbamoyl, C<sub>1-8</sub> alkoxy substituted by carbamoyl, C<sub>1-8</sub> alkyl substituted by carbamoyl substituted by carba

stituted by halogen, carbocyclic aryl, heterocyclyl, and -N(R $_{2a}$ )(R $_{2b}$ ); p is 0,1, 2, 3, 4 or 5;

L is selected from the group consisting of Formulae (VI) to (XXI):

(XIII)

R

R

R

R

R

R

R

R

(XIII)

(XIII)

wherein  $R_3$  and  $R_4$  are independently hydrogen or  $C_{1-5}$  alkyl; and A and B are independently a single bond,  $-CH_{2^-}$ , or  $-(CH_2)_{2^-}$ ;

and

Y represents:

- (i)  $-C(O)NR_{5^-}$ ,  $-C(S)NR_{5^-}$ ,  $-C(O)O^-$ ,  $-S(O)_{2^-}$ , -C(O)-, -C(S)-, a single bond, or  $-CH_{2^-}$  when L is selected from the group consisting of Formulae (VI) to (XIIII); or
- (ii) -C(O)NR<sub>5</sub>-, -C(S)NR<sub>5</sub>-, -C(O)O- or -OC(O)- when L is selected from the group consisting of Formulae (XIV) to (XXI);

wherein  $R_5$  is hydrogen or  $C_{1-5}$  alkyl, or when Y is -C(O)NR $_5$ - then  $R_5$  and  $R_1$  together with the nitrogen they are bonded form a heterocyclyl group;

wherein carbocyclic aryl is phenyl, naphthyl, anthranyl, phenanthryl, or biphenyl;

carbocyclyl is 10,11-dihydro-5-oxo-dibenzo[a,d]cycloheptyl, 1-oxo-indanyl, 7,7-dimethyl-2-oxo-bicyclo[2.2.1] heptyl, 9*H*-fluorenyl, 9-oxo-fluorenyl, acenaphthyl, anthraquinonyl, *C*-fluoren-9-ylidene, indanyl, indenyl, 1,2,3,4-tetrahydro-naphthyl, or bicyclo[2.2.1]heptenyl;

heterocyclyl is 1,2,3,4-tetrahydro-isoquinolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2-dihydro-3-oxo-pyrazolyl, 1,3,4-thiadiazolyl, 1,3-dioxo-isoindolyl, 1,3-dioxolanyl, 1*H*-indolyl, 1*H*-pyrrolo[2,3-c]pyridyl, 1*H*-pyrrolyl, 1-oxo-3*H*-isobenzofuranyl, 2,2',5',2"-terthiophenyl, 2,2'-bithiophenyl, 2,3-dihydro-1-oxo-isoindolyl, 2,3-dihydro-benzo[1,4]dioxinyl, 2,3-dihydro-benzofuryl, 2,4-dihydro-3-oxo-pyrazolyl, 2*H*-benzopyranyl, 2-oxo-benzopyranyl, 2-oxo-pyrrolidinyl, 3,4-dihydro-2*H*-benzo[1,4]dioxepinyl, 4*H*-benzo[1,3]dioxinyl, 4*H*-benzopyranyl, 4-oxo-1,5,6,7-tetrahydro-indolyl, 4-oxo-3,4-dihydro-phthalazinyl, 4-oxo-benzopyranyl, 9,10,10-trioxo-thioxanthenyl, 9*H*-carbazolyl, 9*H*-xanthenyl, azetidinyl, benzimidazolyl, benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[b]thienyl, benzofuryl, benzothiazolyl, cinnolyl, furyl, imidazo[2,1-b]thiazolyl, imidazolyl, pyrazinyl, pyridyl, pyrimidyl, pyrrolidyl, quinoxalyl, thiazolidyl, thiazolyl, thienyl, thiolanyl, 2,3-dihydro-benzofuryl, tetrahydro-thienyl, or benzofuranyl; and

halogen is fluoro, chloro, bromo, or iodo;

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or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0020] One aspect of the present invention pertains to pharmaceutical compositions comprising at least one compound, as described herein, in combination with a pharmaceutically acceptable carrier.

[0021] One aspect of the present invention pertains to methods for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders and dyskinesias including Parkinson's disease, epilepsy, and addiction comprising administering to an individual suffering from said condition a therapeutically effective amount of a compound, as described herein, or a pharmaceutical composition thereof.

[0022] One aspect of the present invention pertains to methods for the prophylaxis or treatment of an eating disorder, obesity or an obesity related disorder comprising administering to an individual suffering from the condition a therapeutically effective amount of a compound, as described herein, or a pharmaceutical composition thereof.

[0023] One aspect of the present invention pertains to methods for the prophylaxis or treatment of anxiety, depression, schizophrenia, addiction, or epilepsy comprising administering to an individual suffering from the condition a therapeutically effective amount of a compound, as described herein, or a pharmaceutical composition.

[0024] One aspect of the present invention pertains to compounds of the present invention, as described herein, or a pharmaceutical composition thereof, for use in a method of treatment of the human or animal body by therapy.

[0025] One aspect of the present invention pertains to compounds of the present invention, as described herein, or a pharmaceutical composition thereof, for use in a method of prophylaxis or treatment of an eating disorder, obesity or an obesity related disorder of the human or animal body by therapy.

[0026] One aspect of the present invention pertains to compounds of the present invention, as described herein, or a pharmaceutical composition thereof, for use in a method of prophylaxis or treatment of anxiety, depression, schizophrenia, addiction, or epilepsy of the human or animal body by therapy.

[0027] One aspect of the present invention pertains to compounds of the present invention, as described herein, for the manufacture of a medicament for use in the prophylaxis or treatment of an eating disorder, obesity or obesity related disorders.

[0028] One aspect of the present invention pertains to compounds of the present invention, as described herein, for the manufacture of a medicament for use in the prophylaxis or treatment of anxiety, depression, schizophrenia, addiction, or epilepsy.

55 [0029] One aspect of the present invention pertains to methods of decreasing food intake of an individual comprising administering to the individual a therapeutically effective amount of a compound, as described herein, or a pharmaceutical composition thereof.

[0030] One aspect of the present invention pertains to methods of inducing satiety in an individual comprising ad-

ministering to said individual a therapeutically effective amount of a compound, as described herein, or a pharmaceutical composition thereof.

[0031] One aspect of the present invention pertains to methods of controlling or reducing weight gain in an individual comprising administering to said individual a therapeutically effective amount of a compound, as described herein, or a pharmaceutical composition thereof.

[0032] One aspect of the present invention pertains to methods of modulating a MCH receptor in an individual comprising contacting the receptor with a compound, as described herein. In some embodiments, the compound is an antagonist. In some embodiments, the modulation of the MCH receptor is for the prophylaxis or treatment of an eating disorder, obesity or obesity related disorder. In some embodiments, the modulation of the MCH receptor reduces food intake of the individual. In some embodiments, the modulation of the MCH receptor induces satiety in the individual. In some embodiments, the modulation of the MCH receptor controls or reduces weight gain of the individual. In some embodiments, the modulation of the MCH receptor is for prophylaxis or treatment of anxiety, depression, schizophrenia, addiction, or epilepsy.

[0033] In some embodiments, the individual is a mammal.

[0034] In some embodiments, the mammal is a human.

[0035] In some embodiments, the human has a body mass index of about 18.5 to about 45. In some embodiments, the human has a body mass index of about 25 to about 45. In some embodiments, the human has a body mass index of about 30 to about 45. In some embodiments, the human has a body mass index of about 35 to about 45.

[0036] One aspect of the present invention pertains to methods of producing a pharmaceutical composition comprising admixing a compound, as described herein, and a pharmaceutically acceptable carrier.

[0037] This application claims priority to US Provisional Patent Applications, Serial No. 60/458,530, filed March 31, 2003; Serial No. 60/495,911, filed August 19, 2003; Serial 60/510,186, filed October 9, 2003; and Serial No. 60/530,360, filed December 16, 2003; all of which are incorporated herein by reference in their entirety.

## Detailed Description of the Invention

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[0038] One aspect of the present invention relates to certain substituted heterocyclic compounds represented by Formula (I):

Q \_ L \_ Y \_ R<sub>1</sub>

**(I)** 

or a pharmaceutically acceptable salt, hydrate or solvate thereof, wherein Q, L, Y, and R<sub>1</sub> are as described herein, supra and infra.

[0039] It is appreciated that certain features of the invention, which are, for clarity, described in the context of separate embodiments, may also be provided in combination in a single embodiment. Conversely, various features of the invention which are, for brevity, described in the context of a single embodiment, may also be provided separately or in any suitable subcombination.

[0040] In some embodiments of the present invention, R<sub>2</sub> is selected from the group consisting of:

hydrogen, halogen, hydroxy, carboxy, carbamoyl, amino,  $C_{1-5}$  alkyl substituted by hydroxy,  $C_{1-5}$  alkyl substituted by carboxy,  $C_{1-5}$  alkoxy,  $C_{1-5}$  alkoxy substituted by halogen, -NHNH<sub>2</sub>, -NHN-HBoc, -N(R<sub>2a</sub>)(R<sub>2b</sub>), morpholino, 4-acetyl-piperazyl, or 4-phenyl-piperazyl,

wherein  $R_{2a}$  is hydrogen or  $C_{1-5}$  alkyl and  $R_{2b}$  is  $C_{1-5}$  alkyl,  $C_{3-6}$  cycloalkyl, or  $C_{1-5}$  alkyl substituted by substituent (s) independently selected from the group consisting of:

halogen,

- hydroxy,
- carboxy,
- carbamoyl,
- C<sub>1-5</sub> alkoxy,
- amino,
- -NHBoc,
- C<sub>3-6</sub> cycloalkyl,

- carbocyclic aryl,
- · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - → halogen,
- ← C<sub>1-5</sub> alkyl,
  - ◆ C<sub>1-5</sub> alkoxy, and
  - → -SO<sub>2</sub>NH<sub>2</sub>,
- heterocyclyl, and

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 $C_{3-6}$  cycloalkyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- · halogen,
- 15 C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkoxy, and
  - a group of Formula (V):

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wherein Boc is carbamic acid *tert*-butyl ester and G is  $C_{1-5}$  alkyl or  $C_{1-5}$  alkyl substituted by substituent(s) independently selected from the group consisting of:

- carbocyclic aryl,
- halogenated carbocyclic aryl, and
  - carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy.

[0041] In some embodiments of the present invention,  $R_2$  is  $-N(R_{2a})(R_{2b})$ , wherein  $R_{2a}$  is hydrogen or  $C_{1-5}$  alkyl and  $R_{2b}$  is  $C_{1-5}$  alkyl,  $C_{3-6}$  cycloalkyl, or  $C_{1-5}$  alkyl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- hydroxy,
- carboxy,
- 40 carbamoyl,
  - C<sub>1-5</sub> alkoxy,
  - amino,
  - -NHBoc,
  - C<sub>3-6</sub> cycloalkyl,
- 45 carbocyclic aryl,
  - carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
    - halogen,
    - ← C<sub>1-5</sub> alkyl,
    - C<sub>1-5</sub> alkoxy, and

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    - → -SO<sub>2</sub>NH<sub>2</sub>,
  - heterocyclyl, and
- C<sub>3-6</sub> cycloalkyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - · halogen,

- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkoxy, and
- a group of Formula (V):

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$$\xi$$
— $N-G$ 

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wherein Boc is carbamic acid *tert-butyl* ester and G is  $C_{1-5}$  alkyl or  $C_{1-5}$  alkyl substituted by substituent(s) independently selected from the group consisting of:

- carbocyclic aryl,
  - · halogenated carbocyclic aryl, and
  - carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy.

[0042] In some embodiments of the present invention,  $R_2$  is -N( $R_{2a}$ )( $R_{2b}$ ), wherein  $R_{2a}$  is hydrogen or  $C_{1-5}$  alkyl and  $R_{2b}$  is  $C_{1-5}$  alkyl or  $C_{3-6}$  cycloalkyl.

[0043] In some embodiments of the present invention,  $R_1$  is selected from the group consisting of:

(i) C<sub>1-8</sub> alkyl, and

C<sub>1-8</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

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- halogen,
- oxo,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
- C<sub>1-5</sub> alkylcarbonyloxy,
  - · carbocyclic aryloxy,
  - · carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of:
    - halogen,
    - → nitro,
    - C<sub>1-5</sub> alkyl, and
    - ◆ C<sub>1-5</sub> alkoxy,
  - heterocyclyloxy,
- heterocyclyloxy substituted by C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkoxycarbonyl,
  - mono-C<sub>1-5</sub> alkylaminocarbonyl,
  - di-C<sub>1-5</sub> alkylaminocarbonyl,
  - mono-C<sub>1-5</sub> alkylamino,
  - mono-C<sub>1-5</sub> alkylamino substituted by cyano,
  - mono-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl,
  - di-C<sub>1-5</sub> alkylamino,
  - di-C<sub>1-5</sub> alkylamino substituted by cyano,
  - di-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl,
- mono-carbocyclic arylamino,
  - mono-carbocyclic arylamino substituted by halogen,
  - mono-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl,
  - di-carbocyclic arylamino,
  - di-carbocyclic arylamino substituted by halogen,
  - di-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl,
    - C<sub>1-5</sub> alkoxycarbonylamino,
    - · carbocyclic arylcarbonylamino,
    - carbocyclic arylsulfonylamino,

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carbocyclic arylsulfonylamino substituted C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkylthio, C<sub>1.5</sub> alkylthio substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl, carbocyclic aryl substituted by halogen, and carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy, carbocyclic arylthio, 10 heterocyclylthio, heterocyclylthio substituted by nitro, heterocyclylthio substituted by C<sub>1-5</sub> alkyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkenyl, 15 carbocydyl, carbocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, 20 C<sub>2-5</sub> alkenyl, and C<sub>2.5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: ••• carbocyclic aryl, and 25 ••• carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 30 halogen, hydroxy, nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 35 ••• oxo. ••• carbocyclic aryl, and ••• heterocyclyl, C<sub>2-5</sub> alkenyl, 40 C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, carbocyclic aryloxy, 45 mono-carbocyclic arylaminocarbonyl, mono-carbocyclic arylaminocarbonyl substituted by halogen, di-carbocyclic arylaminocarbonyl, di-carbocyclic arylaminocarbonyl substituted by halogen, carbocyclic aryl, and 50 → heterocyclyl, heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: 55 C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by carbocyclic aryl,

◆ C<sub>1-5</sub> alkoxy,

• C1-5 alkoxy substituted by carbocyclic aryl,

- carbocyclic aryl, and carbocyclic aryl substituted by halogen, (ii) C2-7 alkenyl, and C<sub>2-7</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, nitro, and C<sub>1-5</sub> alkoxy, (iii) C<sub>2-5</sub> alkynyl, and C<sub>2-5</sub> alkynyl substituted by carbocyclic aryl, (iv) C<sub>3-12</sub> cycloalkyl, and C<sub>3-12</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by oxo, C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, and carbocyclic aryl, (v) carbocyclyl, (vi) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, cyano, nitro, carboxy, carbamoyl, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, oxo, carbocyclic aryloxy, carbocyclic aryl, and carbocyclic aryl substituted by C<sub>1-5</sub> alkyl, C<sub>1-7</sub> alkoxy, C<sub>1-7</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
  - C<sub>2-5</sub> alkenyloxy,

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- C<sub>3-6</sub> cycloalkoxy,
- carbocyclic aryloxy,

halogen,

· carbocyclic aryloxy substituted by nitro,

carbocyclic aryl, and halogenated carbocyclic aryl,

- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy,
  - C<sub>1-5</sub> alkoxycarbonyl,
  - mono-C<sub>1-5</sub> alkylaminocarbonyl,
  - di-C<sub>1-5</sub> alkylaminocarbonyl,

- mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, amino. mono-C<sub>1-5</sub> alkylamino, di-C<sub>1.5</sub> alkylamino, mono-C<sub>1-5</sub> alkylamino substituted by cyano, di-C<sub>1-5</sub> alkylamino substituted by cyano, C<sub>2-5</sub> alkynylcarbonylamino, C<sub>2-5</sub> alkynylcarbonylamino substituted by carbocyclic aryl, C<sub>1-5</sub> alkoxycarbonylamino, (carbocyclic aryl)NHC(O)NH, (carbocyclic aryl)NHC(O)NH substituted by C<sub>1-5</sub> alkoxy, (carbocyclic aryl)N-HC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy, carbocyclic aryl azo, carbocyclic aryl azo substituted by mono-C<sub>1-5</sub> alkylamino, carbocyclic aryl azo substituted by di-C<sub>1-5</sub> alkylamino, C<sub>1-5</sub> alkylthio, C<sub>1.5</sub> alkylthio substituted by halogen, carbocyclic arylthio, carbocyclic arylthio substituted by nitro, carbocyclic arylthio substituted by cyano, aminosulfonyl, mono-C<sub>1-5</sub> alkylaminosulfonyl, di-C<sub>1-5</sub> alkylaminosulfonyl, heterocyclylsulfonyl, C3-6 cycloalkyl, C<sub>3-6</sub> cycloalkyl substituted by C<sub>1-5</sub> alkyl, carbocyclic aryl, heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, carbocyclic aryl, and halogenated carbocyclic aryl, (vii) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, nitro, amino, hydroxy, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl, • C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl, · carbocyclic aryl,
- 55 C<sub>1-5</sub> alkoxy,

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· carbocyclic aryloxy,

heterocyclyl,

- · carbocyclic aryloxy substituted by halogen,
- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl,

carbocyclic aryl substituted by halogen, and

- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy,
- mono-C<sub>1-5</sub> alkylamino,
- di-C<sub>1-5</sub> alkylamino,
- C<sub>1-5</sub> alkylthio,
- C<sub>2-5</sub> alkenylthio,
- carbocyclic arylthio,
- carbocyclic arylthio substituted by C<sub>1-5</sub> alkoxycarbonyl,
- C<sub>1-5</sub> alkylsulfonyl,
- carbocyclic arylsulfonyl,
- carbocyclic arylsulfonyl substituted by C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkoxycarbonyl,
  - C<sub>1-5</sub> alkoxycarbonyl substituted by carbocyclic aryl,
  - carbocyclic aryl,
  - carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

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- halogen,
- → nitro.
- C<sub>1-5</sub> alkyl, and
- C<sub>1-5</sub> alkyl substituted by halogen,

· heterocyclyl;

wherein carbocyclic aryl is phenyl, naphthyl, or anthranyl;

carbocyclyl is 1,2,3,4-tetrahydronaphthyl, 1-oxo-indanyl, 9-fluorenyl, 9*H*-fluorenyl, 9-oxo-9*H*-fluorenyl, adamantly, bicyclo[2.2.1]heptenyl, bicyclo[2.2.1]heptyl, indanyl, indenyl, or menthyl;

heterocyclyl is 1,2,3-triazolyl, 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-1-oxo-isoindolyl, 2,3-dihydro-benzo[1,4]dioxinyl, 2,3-dihydro-benzofuryl, 2,4-dihydro-3-oxo-pyrazolyl, 2*H*-benzopyranyl, 2-oxo-benzopyranyl, 3,4-dihydro-2*H*-benzo[b][1,4]dioxepinyl, 4,5,6,7-tetrahydro-benzo[b]thienyl, 4*H*-benzo[1,3]dioxinyl, 4-oxo-1,5,6,7-tetrahydro-indolyl, 4-oxo-benzopyranyl, 9*H*-carbazolyl, 9*H*-xanthenyl, azetidinyl, benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[2,1,3]thiadiazolyl, benzo[b]thienyl, benzofuryl, benzothiazolyl, furyl, imidazo[2,1-b]thiazolyl, imidazolyl, isoxazolyl, morpholino, morpholinyl, oxazolyl, phenanthro[9,10-d]oxazolyl, piperidyl, pyrazolyl, pyrrimidyl, quinoxalyl, tetrahydrofuryl, thiazolyl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0044] In some embodiments of the present invention, Q is Formula (II);

R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-8</sub> alkyl, and

 $C_{1-8}$  alkyl substituted by substituent(s) independently selected from the group consisting of:

- · halogen,
- oxo,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
- C<sub>1-5</sub> alkylcarbonyloxy,
- carbocyclic aryloxy,
- · carbocyclic aryloxy substituted by halogen,
- carbocyclic aryloxy substituted by nitro,
- heterocyclyloxy,
- heterocyclyloxy substituted by C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkoxycarbonyl,
- mono-C<sub>1-5</sub> alkylaminocarbonyl,
- di-C<sub>1-5</sub> alkylaminocarbonyl,
- mono-C<sub>1-5</sub> alkylamino,
- mono-C<sub>1-5</sub> alkylamino substituted by cyano,
- mono-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl,
- di-C<sub>1-5</sub> alkylamino,

di-C<sub>1-5</sub> alkylamino substituted by cyano, di-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl, mono-carbocyclic arylamino, di-carbocyclic arylamino, C<sub>1-5</sub> alkoxycarbonylamino, 5 carbocyclic arylcarbonylamino, carbocyclic arylsulfonylamino, carbocyclic arylsulfonylamino substituted C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkylthio, 10 C<sub>1-5</sub> alkylthio substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl, carbocyclic aryl substituted by halogen, and carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy, 15 carbocyclic arylthio, heterocyclylthio, heterocyclylthio substituted by C<sub>1-5</sub> alkyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkenyl, 20 carbocyclyl, carbocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, 25 C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, C<sub>2-5</sub> alkenyl, and C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: e carbocyclic aryl, and carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 35 halogen, hydroxy, nitro, C<sub>1-5</sub> alkyl, 40 C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl, and ••• heterocyclyl, 45 C<sub>2-5</sub> alkenyl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, 50 carbocyclic aryloxy, mono-carbocyclic arylaminocarbonyl, mono-carbocyclic arylaminocarbonyl substituted by halogen, di-carbocyclic arylaminocarbonyl, di-carbocyclic arylaminocarbonyl substituted by halogen, 55 carbocyclic aryl, and heterocyclyl,

heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, C<sub>1-5</sub> alkoxy, 5 C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, carbocyclic aryl, and carbocyclic aryl substituted by halogen, 10 (ii) C2-7 alkenyl, and C2-7 alkenyl substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 15 halogen, nitro, and C<sub>1-5</sub> alkoxy, 20 (iii) C2-5 alkynyl, and C<sub>2-5</sub> alkynyl substituted by carbocyclic aryl, (iv) C<sub>3-6</sub> cycloalkyl, and C<sub>3-6</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of: 25 C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by oxo, C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, and carbocyclic aryl, 30 (v) carbocyclyl, (vi) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, 35 hydroxy, cyano, nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 40 halogen, oxo, carbocyclic aryloxy, carbocyclic aryl, and 45 carbocyclic aryl substituted by C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: 50 carbocyclic aryl, and halogenated carbocyclic aryl, C<sub>2-5</sub> alkenyloxy, C<sub>3-6</sub> cycloalkoxy, 55 carbocyclic aryloxy, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxycarbonyl,

mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, 5 amino, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, mono-C<sub>1-5</sub> alkylamino substituted by cyano, di-C<sub>1-5</sub> alkylamino substituted by cyano, 10 C2-5 alkynylcarbonylamino, C<sub>2-5</sub> alkynylcarbonylamino substituted by carbocyclic aryl, (carbocyclic aryl)NHC(O)NH, (carbocyclic aryl)NHC(O)NH substituted by C<sub>1-5</sub> alkoxy, (carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy, 15 C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by halogen, carbocyclic arylthio, carbocyclic arylthio substituted by cyano, mono-C<sub>1-5</sub> alkylaminosulfonyl, 20 di-C<sub>1-5</sub> alkylaminosulfonyl, and carbocyclic aryl, (vii) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: 25 halogen, nitro, C<sub>1-5</sub> alkyl,  $C_{1-5}$  alkyl substituted by substituent(s) independently selected from the group consisting of: 30 halogen, hydroxy, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl, 35 C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl, carbocyclic aryl, carbocyclic aryl substituted by halogen, and heterocyclyl, C<sub>1-5</sub> alkoxy, 40 carbocyclic aryloxy, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkylthio, C<sub>2-5</sub> alkenylthio, 45 carbocyclic arylthio, carbocyclic arylthio substituted by C<sub>1-5</sub> alkoxycarbonyl, C<sub>1-5</sub> alkylsulfonyl, carbocyclic arylsulfonyl, carbocyclic arylsulfonyl substituted by C<sub>1-5</sub> alkyl, 50 C<sub>1-5</sub> alkoxycarbonyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, 55 nitro, C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by halogen,

heterocyclyl;

R<sub>2</sub> is methylamino or dimethylamino when Y is a single bond or -CH<sub>2</sub>-;

wherein carbocyclic aryl is phenyl, naphthyl, or anthranyl;

carbocyclyl is 1,2,3,4-tetrahydronaphthyl, 1-oxo-indanyl, 9-fluorenyl, 9-oxo-9*H*-fluorenyl, bicyclo[2.2.1]heptyl, indenyl, or menthyl;

heterocyclyl is 1,2,3-triazolyl, 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-1-oxo-isoindolyl, 2,3-dihydro-benzo[1,4] dioxinyl, 2,3-dihydro-benzofuryl, 2,4-dihydro-3-oxo-pyrazolyl, 2*H*-benzopyranyl, 2-oxo-benzopyranyl, 3,4-dihydro-2*H*-benzo[b][1,4]dioxepinyl, 4-oxo-benzopyranyl, 9*H*-carbazolyl, 9*H*-xanthenyl, azetidinyl, benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[b]thienyl, benzofuryl, benzothiazolyl, furyl, imidazo[2,1-b]thiazolyl, imidazolyl, morpholino, pyrazolyl, pyridyl, pyrimidyl, quinolyl, quinoxalyl, thiazolyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- [0045] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-7</sub> alkyl, and

C<sub>1-7</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- C<sub>1-5</sub> alkoxy,
  - C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
  - · carbocyclic aryloxy,
  - carbocyclic aryloxy substituted by halogen,
  - mono-C<sub>1-5</sub> alkylamino,
- mono-C<sub>1-5</sub> alkylamino substituted by substituent(s) independently selected from the group consisting of:
  - e cyano, and
  - carbocyclic aryl,
- di-C<sub>1-5</sub> alkylamino,
  - di-C<sub>1-5</sub> alkylamino substituted by substituent(s) independently selected from the group consisting of:
    - e cyano, and
    - carbocyclic aryl,

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- · mono-carbocyclic arylamino,
- di-carbocyclic arylamino,
- carbocyclic arylsulfonylamino,
- carbocyclic arylsulfonylamino substituted by C<sub>1-5</sub> alkyl,
- carbocyclic aryl,
  - carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
    - halogen,
    - → nitro,
    - C<sub>1-5</sub> alkyl,
    - C<sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
      - ••• oxo, and
      - ••• carbocyclic aryl,

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- C<sub>1-5</sub> alkoxy,
- heterocyclyl,
- heterocyelyl substituted by carbocyclic aryl, and
- heterocyclyl substituted by halogen,
- (ii) C<sub>2-7</sub> alkenyl, and

 $C_{2-7}$  alkenyl substituted by substituent(s) independently selected from the group consisting of:

- · carbocyclic aryl, and
- carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy,

(iii) C<sub>2-5</sub> alkynyl, and

C2-5 alkynyl substituted by carbocyclic aryl,

(iv) C<sub>3-6</sub> cycloalkyl, and

C<sub>3-6</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of:

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- C<sub>1-5</sub> alkyl, and
- C<sub>1-5</sub> alkyl substituted by carbocyclic aryl,
- (v) carbocyclic aryl, and
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - · halogen,
  - hydroxy,
  - cyano,
  - C<sub>1-5</sub> alkyl,
    - C<sub>1-5</sub> alkyl substituted by halogen,
    - C<sub>1-5</sub> alkoxy,
    - C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
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- halogen, and
- carbocyclic aryl,
- · carbocyclic aryl substituted by halogen,
- C<sub>2-5</sub> alkenyloxy,
- mono-C<sub>1-5</sub> alkylamino,
  - di-C<sub>1-5</sub> alkylamino,
  - mono-C<sub>1-5</sub> alkylamino substituted by cyano,
  - di-C<sub>1.5</sub> alkylamino substituted by cyano,
  - C<sub>1-5</sub> alkylthio, and
- C<sub>1-5</sub> alkylthio substituted by halogen,
  - (vi) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
  - C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
    - •• hydroxy, and
  - carbocyclic aryl,
  - C<sub>1-5</sub> alkoxy,
  - carbocyclic arylthio,
  - carbocyclic arylthio substituted by C<sub>1-5</sub> alkoxycarbonyl,
  - C<sub>1-5</sub> alkoxycarbonyl,
    - carbocyclic aryl,
    - carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
      - haloger
    - ← C<sub>1-5</sub> alkyl, and
      - C<sub>1-5</sub> alkyl substituted by halogen,

L is Formula (VII);

Y is a single bond or -CH2-;

R<sub>2</sub> is methylamino or dimethylamino;

wherein carbocyclic aryl is phenyl or naphthyl;

heterocyclyl is 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-benzo[1,4]dioxinyl, 4-oxo-benzopyranyl, 9*H*-carbazolyl, azetidinyl, benzo[1,3]dioxolyl, benzo[b]thienyl, furyl, imidazo[2,1-b]thiazolyl, pyrazolyl, pyridyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0046] In some embodiments of the present invention, p is 0;  $R_3$  and  $R_4$  are hydrogen; A is a single bond or -CH<sub>2</sub>-; and B is a single bond or -CH<sub>2</sub>-; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0047] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

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- mono-C<sub>1-5</sub> alkylamino,
- mono-C<sub>1-5</sub> alkylamino substituted by cyano,
- di-C<sub>1-5</sub> alkylamino,
- di-C<sub>1-5</sub> alkylamino substituted by cyano,
- · mono-carbocyclic arylamino,
- di-carbocyclic arylamino,
- · carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
- • halogen, and
  - •C<sub>1-5</sub> alkoxy,
  - heterocyclyl, and
  - · heterocyclyl substituted by carbocyclic aryl,
  - (ii) C<sub>2-5</sub> alkenyl, and

C<sub>2-5</sub> alkenyl substituted by carbocyclic aryl,

(iii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

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- halogen,
- hydroxy,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by halogen, and
- C<sub>2-5</sub> alkenyloxy,
  - (iv) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- 45 halogen,
  - C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkyl substituted by carbocyclic aryl,
  - C<sub>1-5</sub> alkoxy, and
  - C<sub>1-5</sub> alkoxycarbonyl;

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wherein carbocyclic aryl is phenyl or naphthyl; heterocyclyl is 1*H*-indolyl, azetidinyl, or benzo[1,3]dioxolyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0048] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

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ethyl 4,6-dichloro-3-{{(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)amino}-methyl}-1H-indole-2-car-
           boxylate:
           3-[{2-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-amino]ethyl}(phenyl)-amino]propanenitrile;
           N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}-cyclohexyl)quinoline-2,4-diamine;
           N2-[cis-4-({[1-(diphenylmethyl)azetidin-3-yl]methyl}amino)cyclohexyl]-N4,N4-dimethylquinoline-2,4-diamine;
           N<sup>2</sup>-(cis-4-{[(2,6-dimethoxybenzyl)amino]methyl]cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylquinoline-2,4-diamine;
           N<sup>2</sup>-(cis-4-{[(2-ethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylquinoline-2,4-diamine;
           N<sup>2</sup>-[cis-4-({[(4-methoxy-1-naphthyl)methyl]amino}methyl)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethylquinoline-2,4-diamine;
           4-bromo-2-({{(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]amino}-methyl)-6-methoxyphenol;
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           N<sup>2</sup>-[cis-4-({[(5-bromo-1H-indol-3-yl)methyl]aminomethyl)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethylquinoline-2,4-diamine;
           N<sup>2</sup>-(cis-4-{[(5-bromo-2,4-dimethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylquinoline-2,4-diamine;
           N2-(cis-4-{[(3,3-diphenylprop-2-en-1-yl)amino]methyl}cyclohexyl)-N4,N4-dimethylquinoline-2,4-diamine;
            N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{{(2,4,6-trimethoxybenzyl)amino]methyl}-cyclohexyl)quinoline-2,4-diamine;
            N<sup>2</sup>-(cis-4-{[(2,5-diethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylquinoline-2,4-diamine;
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            N<sup>2</sup>-(cis-4-{[(2,4-diethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylquinoline-2,4-diamine;
            N<sup>2</sup>-(cis-4-{[(3,5-dibromo-2-methoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>.N<sup>4</sup>-dimethylguinoline-2,4-diamine:
            N<sup>4</sup>.N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[(2,4,5-triethoxybenzyl)amino]methyl}-cyclohexyl)quinotine-2,4-diamine;
            N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[(2,4,5-trimethoxybenzyl)amino]methyl}-cyclohexyl)quinoline-2,4-diamine;
            N<sup>2</sup>-[cis-4-({[2-(allyloxy)benzyl]amino]methyl)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethylquinoline-2,4-diamine;
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            N2-[cis-4-{{[(7-methoxy-1,3-benzodioxol-5-yl)methyl]amino}methyl)-cyclohexyl]-N4,N4-dimethylquinoline-2,4-di-
           amine:
           N<sup>2</sup>-{cis-4-[2-(4-bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>-dimethyl-quinoline-2,4-diamine;
            N2-[cis-4-(4-bromo-2-trifluoromethoxy-benzyl]amino-cyclohexyl]-N4,N4-dimethyl-quinoline - 2,4-diamine;
            N2-[cis-4-(4-bromo-2-trifluoromethoxy-benzyl)amino-cyclohexyl]-N4-methyl-quinoline-2,4-diamine;
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            N<sup>2</sup>-{4-[2-{4-bromo-2-trifluoromethoxy-phenyl}-ethylamino]-cyclohexyl}-N<sup>4</sup>-methyl-quinoline-2,4-diamine;
            N<sup>4</sup>-methyl-N<sup>2</sup>-{cis-4-[(2-trifluoromethoxy-benzyl)amino-methyl}-cyclohexyl}-quinoline-2,4-diamine;
            N2-{cis-4-[(4-bromo-2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}-N4-methyl-quinoline-2,4-diamine;
            N2-{cis-4-[(4-bromo-2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}-N4,N4-dimethyl-quinoline-2,4-diamine;
            N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-{cis-4-{(2-trifluoromethoxy-benzyl)amino-methyl}-cyclohexyl}-quinoline-2,4-diamine;
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           cis-N-(3,5-dimethoxybenzyl)-N'-(4-methylquinolin-2-yl)cyclohexane-1,4-diamine; and
            cis-N-(3,5-dichlorobenzyl)-N'-(4-methylquinolin-2-yl)cyclohexane-1,4-diamine;
             or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
      [0049] In some embodiments of the present invention R<sub>1</sub> is selected from the group consisting of:
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            (i) C<sub>1.5</sub> alkyl, and
                  C<sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
                hydroxy,
40
                oxo.
                C<sub>1-5</sub> alkoxy.
                C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
                C<sub>1-5</sub> alkylcarbonyloxy,
                carbocyclic aryloxy,
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                carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of:
                     halogen,
                     nitro,
                     C<sub>1-5</sub> alkyl,
                    C<sub>1-5</sub> alkoxy, and
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                   C<sub>1-5</sub> alkoxy substituted by halogen,
                heterocyclyloxy,
                heterocyclyloxy substituted by C<sub>1-5</sub> alkyl,
                mono-C<sub>1-5</sub> alkylaminocarbonyl,
                di-C<sub>1-5</sub> alkylaminocarbonyl,
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mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino,

- mono-carbocyclic arylamino, di-carbocyclic arylamino, mono-carbocyclic arylamino substituted by halogen, di-carbocyclic arylamino substituted by halogen, 5 carbocyclic arylcarbonylamino, C<sub>1-5</sub> alkoxycarbonylamino, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by substituent(s) independently selected from the group consisting of: 10 carbocyclic aryl, and Carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: ••• halogen, and ••• C<sub>1-5</sub> alkoxy, 15 carbocyclic arylthio, heterocyclylthio, heterocyclylthio substituted by C<sub>1-5</sub> alkyl, C3-6 cycloalkyl, 20 C<sub>3-6</sub> cycloalkenyl, carbocyclyl, carbocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, 25 C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, C2-5 alkenyl, and C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: ••• carbocyclic aryl, and 30 ••• carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 35 halogen, hydroxy, nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 40 ••• oxo. ee carbocyclic aryl, and ••• heterocyclyl, 45 C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, carbocyclic aryloxy, mono-carbocyclic arylaminocarbonyl, 50 mono-carbocyclic arylaminocarbonyl substituted by halogen, di-carbocyclic arylaminocarbonyl, di-carbocyclic arylaminocarbonyl substituted by halogen, carbocyclic aryl, and 55 heterocyclyl,
  - heterocyclyl, and
  - heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

 C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, ◆ C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, 5 carbocyclic aryl, and carbocyclic aryl substituted by halogen, (ii) C2-5 alkenyl, and C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: 10 carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, and 15 nitro, (iii) C<sub>3-6</sub> cycloalkyl, and  $C_{3-6}$  cycloalkyl substituted by substituent(s) independently selected from the group consisting of: 20 C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: → oxo, and carbocyclic aryl, and 25 carbocyclic aryl, (iv) carbocyclyl, (v) carbocyclic aryl, and 30 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, cyano, 35 nitro, carboxy, carbamoyl, C<sub>1-5</sub> alkyl, C<sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 40 halogen, hydroxy, oxo, carbocyclic aryloxy, 45 carbocyclic aryl, and carbocyclic aryl substituted by C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, C<sub>1.5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: 50 halogen, and · carbocyclic aryl, carbocyclic aryloxy, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, 55 C<sub>1-5</sub> alkoxycarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl,

di-C<sub>1-5</sub> alkylaminocarbonyl,

- mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl,
   di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl,
   amino,
   mono-C<sub>1-5</sub> alkylamino,
   di-C<sub>1-5</sub> alkylamino,
   C<sub>2-5</sub> alkynylcarbonylamino,
- C<sub>2-5</sub> alkynylcarbonylamino substituted by carbocyclic aryl,
   (carbocyclic aryl)NHC(O)NH,
- (carbocyclic aryl)NHC(O)NH substituted by C<sub>1-5</sub> alkoxy,
- (carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy,
  - C<sub>1-5</sub> alkylthio,
  - C<sub>1-5</sub> alkylthio substituted by halogen,
  - · carbocyclic arylthio,
  - carbocyclic arylthio substituted by cyano,
- mono-C<sub>1-5</sub> alkylaminosulfonyl,
  - di-C<sub>1-5</sub> alkylaminosulfonyl, and
  - · carbocyclic aryl,

# (vi) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- nitro,
- hydroxy,
- 25 amino,

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- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
  - halogen,
- ← C<sub>1-5</sub> alkylthio,
  - ◆ C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl,
  - → C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl,
  - · carbocyclic aryl,
  - carbocyclic aryl substituted by halogen, and
- - C<sub>1-5</sub> alkoxy,
  - carbocyclic aryloxy,
  - · carbocyclic aryloxy substituted by halogen,
  - carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl,
    - carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy,
    - mono-C<sub>1-5</sub> alkylamino,
    - di-C<sub>1-5</sub> alkylamino,
    - C<sub>1-5</sub> alkylthio,
- C<sub>1-5</sub> alkenylthio,
  - · carbocyclic arylthio,
  - C<sub>1-5</sub> alkylsulfonyl,
  - carbocyclic arylsulfonyl,
  - carbocyclic arylsulfonyl substituted by C<sub>1-5</sub> alkyl,
- carbocyclic aryl,
  - carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
    - → halogen,
    - nitro, and
- - heterocyclyl;

L is Formula (VII); Y is -C(O)-; wherein carbocyclic aryl is phenyl, naphthyl, or anthranyl; carbocyclyl is 1,2,3,4-tetrahydronaphthyl, 1-oxo-indanyl, 9-oxo-9H-fluorenyl, or indeny; 5 heterocyclyl is 1,2,3-triazolyl, 1H-indolyl, 1H-pyrrolyl, 2,3-dihydro-1-oxo-isoindolyl, 2,3-dihydro-benzofuryl, 2,4-dihydro-3-oxo-pyrazolyl, 2H-benzopyranyl, 2-oxo-benzopyranyl, 9H-xanthenyl, benzo[1,3]dioxolyl, benzo [2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[b]thienyl, benzofuryl, benzothiazolyl, furyl, imidazolyl, isoxazolyl, morpholino, pyrazolyl, pyridyl, pyrimidyl, quinolyl, quinoxalyl, thiazolyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo; 10 or a pharmaceutically acceptable salt, hydrate, or solvate thereof. [0050] In some embodiments of the present invention, R2 is hydrogen, halogen, methyl, trifluoromethyl, methoxy, carbamoyl, amino, methylamino, or dimethylamino; p is 0; R3 and R4 are hydrogen; A is a single bond; B is a single bond or -CH2-; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 15 [0051] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of: (i) C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 20 oxo, carbocyclic aryloxy, carbocyclic aryloxy substituted by halogen, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, 25 mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, mono-carbocyclic arylamino, di-carbocyclic arylamino, mono-carbocyclic arylamino substituted by halogen, 30 di-carbocyclic arylamino substituted by halogen, C<sub>3-6</sub> cycloalkyl, carbocyclic aryl, carbocyclic aryl by substituent(s) independently selected from the group consisting of: 35 halogen, C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkoxy, heterocyclyl, and 40 heterocyclyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, and carbocyclic aryl, 45 (ii)  $C_{2-5}$  alkenyl, and C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl, 50 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, and nitro,

halogen,

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(iii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

hydroxy.

cyano, nitro, carbamoyl, C<sub>1-5</sub> alkyl, 5 C<sub>1-5</sub> alkyl substituted by halogen, C<sub>1-5</sub> alkyl substituted by hydroxy, C<sub>1-5</sub> alkoxycarbonyl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: 10 halogen, and carbocyclic aryl, 15 carbocyclic aryloxy, and carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, (iv) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: 20 halogen, nitro, amino. C<sub>1-5</sub> alkyl, 25 C<sub>1-5</sub> alkyl substituted by halogen, C<sub>1-5</sub> alkoxy, carbocyclic aryloxy, carbocyclic aryloxy substituted by halogen, carbocyclic aryloxy substituted by C1-5 alkyl, 30 carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, carbocyclic aryl, carbocyclic aryl substituted by halogen, 35 carbocyclic aryl substituted by nitro, and heterocyclyl; wherein carbocyclic aryl is phenyl; heterocyclyl is 1,2,3-triazolyl, 1H-indolyl, 1H-pyrrolyl, 9H-xanthenyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5] 40 oxadiazolyl, furyl, isoxazolyl, pyridyl, quinolyl, quinoxalyl, thiazolyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. [0052] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of: 45 (i) C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryloxy, 50 carbocyclic aryloxy substituted by halogen, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, 55 mono-carbocyclic arylamino, di-carbocyclic arylamino.

mono-carbocyclic arylamino substituted by halogen, di-carbocyclic arylamino substituted by halogen,

- carbocyclic aryl,
   carbocyclic aryl l
- · carbocyclic aryl by substituent(s) independently selected from the group consisting of:
  - halogen,
  - C<sub>1-5</sub> alkyl, and
  - ← C<sub>1-5</sub> alkoxy,

and

heterocyclyl,

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(ii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- · halogen,
- 15 nitro,
  - hydroxy,
  - cyano,
  - C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkyl substituted by halogen,
  - C<sub>1-5</sub> alkoxycarbonyl,
  - C<sub>1-5</sub> alkoxy,
  - C<sub>1-5</sub> alkoxy substituted by halogen,
  - carbocyclic aryloxy, and
  - carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy,

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(iii) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- nitro,
  - C<sub>1-5</sub> alkyl,
  - · carbocyclic aryloxy,
  - carbocyclic aryloxy substituted by halogen,
  - carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl,
  - carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy,
  - carbocyclic aryl,
  - · carbocyclic aryl substituted by halogen,
  - carbocyclic aryl substituted by nitro, and
  - heterocyclyl;

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wherein carbocyclic aryl is phenyl;

heterocyclyl is 1*H*-indolyl, 1*H*-pyrrolyl, 9*H*-xanthenyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, furyl, isoxazolyl, pyridyl, thiazolyl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

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or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0053] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-methoxybenzamide;

3-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-benzamide;

4-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-benzamide;

 $N-(cis-4-\{[4-(dimethylamino)quinolin-2-yl]amino\} cyclohexyl)-2,1,3-benzoxadiazole-5-carboxamide;\\$ 

3-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-benzamide;

4-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-benzamide:

4-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-nitrobenzamide;

3-cyano-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-benzamide;

3,5-dichloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)benzamide;

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3,4-dichloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2,2-diphenylacetamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3,5-difluorobenzamide;
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          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-fluoro-5-(trifluoromethyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-methyl-3-nitrobenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-nitrobenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenoxybutanamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenoxypropanamide;
10
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-(trifluoromethoxy)-benzamide;
          4-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-iodobenzamide:
15
          3-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2,4-difluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2,5-dimethyl-3-furamide;
          3-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-fluoro-4-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-vl]amino}cyclohexvl)-3.5-dimethoxybenzamide:
20
          N-(cis-4-{[4-(dimethylamino)quinolin-2-vl]amino)cyclohexyl)-3.5-bis(trifluoromethyl)-benzamide:
          (2E)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-(4-nitrophenyl)-acrylamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)-4-fluoro-3-methylbenzamide:
          2,5-dichloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)thiophene-3-carboxamide;
          2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-acetamide;
          3-(2-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-5-methylisoxazole-4-carboxam-
25
          ide:
          1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-cyclopentanecarboxamide;
          3-(2-chloro-6-fluorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-methylisoxazole-
          4-carboxamide:
30
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-fluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-fluoro-3-(trifluoromethyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-methyl-2-phenyl-2H-1,2,3-triazole-4-carboxamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(4-methoxyphenoxy)-5-nitrobenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-nitro-2-furamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenoxyacetamide;
35
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)quinoxaline-2-carboxamide;
          2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-acetamide;
          3-(2,6-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-5-methylisoxazole-4-carbox-
          amide;
40
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenoxynicotinamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(4-methylphenoxy)-nicotinamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(2-thienyl)-1,3-thiazole-4-carboxamide;
          5-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-thiophene-2-carboxamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino]cyclohexyl)-2-(2,3,6-trichlorophenyl)-acetamide;
45
          5-(4-chloro-2-nitrophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-furamide;
          5-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-thiophene-2-carboxamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)-5-iodo-2-furamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(2-iodophenyl)acetamide;
          N-(cis-4-\{[4-(dimethylamino)quinolin-2-yl]amino\} cyclohexyl)-2-(5-methoxy-2-methyl-1H-indol-3-yl)acetamide;\\
50
          (2E)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-(3-nitrophenyl)-acrylamide;
          2,2-bis(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]-amino}cyclohexyl)-acetamide;
          N-(cis-4-\{[4-(dimethylamino)quinolin-2-yl]amino\} cyclohexyl)-5-nitrothiophene-2-carboxamide;\\
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-methyl-4-nitrobenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-methoxy-4-nitrobenzamide;
55
          5-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)-2-furamide;
          4,5-dibromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)thiophene-2-carboxamide;
          4,5-dibromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-2-furamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(1H-indol-3-yl)acetamide;
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N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(1H-indol-3-yl)-4-oxo-4-phenylbutanamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(2-phenyl-1H-indol-3-yl)acetamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(2,4,6-trichlorophenoxy)-acetamide;
          3-(benzyloxy)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-4-methoxybenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenoxybenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenylquinoline-4-carboxamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-(3-nitrophenyl)-2-furamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-nitrothiophene-3-carboxamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-1-methyl-4-nitro-1H-pyrrole-2-carboxamide;
10
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-nitrobenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-methoxy-4-nitrobenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-fluoro-4-(trifluoromethyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3,5-dimethyl-4-nitrobenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-mesityl-2-oxoacetamide;
15
          5-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino]cyclohexyl)-2-hydroxybenzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-methoxybenzamide;
          3-bromo-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-benzamide;
          4-bromo-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-benzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2,1,3-benzoxadiazole-5-carboxamide;
20
          3-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-benzamide;
          4-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-benzamide;
          4-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-3-nitrobenzamide;
          3-cyano-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)-methyl]benzamide;
          3,5-dichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)methyl]-benzamide;
25
          3,4-dichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)methyl]-benzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2,2-diphenylacetamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3,4-difluorobenzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3,5-difluorobenzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-4-fluorobenzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-fluoro-5-(trifluoromethyl)benzamide;
30
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-4-methyl-3-nitrobenzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-nitrobenzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2-phenoxybutanamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2-phenoxypropanamide;
35
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-methylbenzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-(trifluoromethoxy)benzamide;
          4-bromo-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-3-methylbenzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-iodobenzamide;
          3-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-2,4-difluorobenzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2,5-dimethyl-3-furamide;
40
          3-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-4-fluorobenzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-fluoro-4-methylbenzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3,5-dimethoxybenzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3,5-bis(trifluoromethyl)benzamide;
45
          (2E)-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-3-(4-nitrophenyl)acrylamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-4-fluoro-3-methylbenzamide;
          2,5-dichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)methyl]-thiophene-3-carboxamide;
          2,6-dichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)methyl]-benzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2,4,6-trimethylbenzamide;
          2,4,6-trichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)methyl]-benzamide;
50
          (2E)-3-(2-chlorophenyl)-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]acrylamide;
          5-bromo-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]thiophene-2-carboxamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2-(2,3,6-trichlorophenyl)acetamide;
          5-(4-chloro-2-nitrophenyl)-N-[(cis-4-[(4-(dimethylamino)quinolin-2-yl]amino)-cyclohexyl)methyl]-2-furamide;
55
          5-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]thiophene-2-carboxamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino]cyclohexyl)methyl]-5-iodo-2-furamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-vl]amino]cyclohexyl)methyl]-2-(2-iodophenyl)-acetamide;
          (2E)-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-3-(3-nitrophenyl)acrylamide;
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2,2-bis(4-chlorophenyl)-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]acetamide;
          N-{(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-5-nitrothiophene-2-carboxamide;
          N-{(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-methyl-4-nitrobenzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-methoxy-4-nitrobenzamide;
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide;
5
          3,4-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          3,4-difluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          2-phenoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          3-chloro-N-{cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
10
          N-[cis-4-(4-chloro-quinolin-2-vlamino)-cyclohexyl]-2-phenoxy-nicotinamide:
          3-methyl-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide:
          3-methoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          3-chloro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          5-nitro-thiophene-3-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
15
          5-nitro-thiophene-3-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
          3-chloro-4-fluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          3,5-dimethoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          3,4-dichloro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          benzo[2,3,1]oxadiazole-5-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
20
          3-methyl-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          3-methoxy-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          4-cyano-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          1-methyl-4-nitro-1H-pyrrole-2-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
          9H-xanthene-9-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
25
          5-(4-chloro-phenyl)-furan-2-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-arnide;
          3-nitro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          4-fluoro-3-methyl-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide:
          3-bromo-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          2-(2-bromo-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide:
30
          3-cyano-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-trifluoromethyl-benzamide:
          N-[cis-4-(4-chloro-quinolin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide;
          3,4-dichloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          3-chloro-4-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
35
          4-fluoro-3-methyl-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          1-methyl-4-nitro-1H-pyrrole-2-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
          9H-xanthene-9-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
          5-bromo-furan-2-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-acetamide;
40
          N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-acetamide;
          2,2-diphenyl-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
          5-bromo-furan-2-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
          benzo[2,3,1]oxadiazole-5-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
          3-bromo-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
45
          3-cyano-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-3-trifluoromethyl-benzamide;
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2,2-diphenyl-acetamide;
          2-(4-fluoro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          2-(4-fluoro-phenoxy)-N-(cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
50
          2-(3,4-difluoro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          2-(3.4-difluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-2 p-tolyloxy-nicotinamide;
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-p-tolyloxy-nicotinamide;
          2-(4-chloro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
55
          2-(4-chloro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          2-(4-bromo-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          2-(4-bromo-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          2-(4-methoxy-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
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2-(4-methoxy-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          2-(3-chloro-4-fluoro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          2-(3-chloro-4-fluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-nicotinamide;
5
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-nicotinamide;
          2-(3-methoxy-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
          2-(3-chloro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
          2-(3-chloro-4-fluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide:
          2-(3.4-dichloro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide:
10
          C-(methyl-phenyl-amino)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide:
          2-(3,4-dichloro-phenylamino)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
          2-(3-methoxy-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
          2-(3-chloro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
          2-(3-chloro-4-fluoro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
15
          2-(3,4-dichloro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
          C-(methyl-phenyl-amino)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
          2-(3,4-dichloro-phenylamino)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
          3-hydroxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid methyl ester;
20
          N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-3-trifluoromethoxy-benzamide;
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-bis-trifluoromethyl-benzamide;
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-3-trifluoromethoxy-benzamide;
          N-[cis-4-(4-amino-quinolin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide;
          C-(ethyl-phenyl-amino)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
25
          C-(ethyl-phenyl-amino)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide:
          3-hydroxy-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide:
          2-amino-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide:
          2,3-difluoro-N-[cis-4-(4-methyl-quinolin-2-vlamino)-cyclohexyl]-benzamide:
          2,4-difluoro-N-[cis-4-(4-methyl-quinolin-2-vlamino)-cyclohexyl]-benzamide:
30
          2,5-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          2,6-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide:
          3,5-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          C-[(4-chloro-phenyl)-ethyl-amino]-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
          4-chloro-3-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
35
          4-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          3-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          2-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          4-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid methyl ester;
40
          3,5-difluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          4-chloro-3-fluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          C-[(4-chloro-phenyl)-ethyl-amino]-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
          6-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          6-dimethylamino-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
45
          3-hydroxymethyl-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-isophthalamide;
          3-chloro-5-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          3,4,5-trifluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          pyridine-2-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyll-amide:
50
          4-chloro-pyridine-2-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyll-amide:
          5-bromo-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyll-nicotinamide:
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-6-trifluoromethyl-nicotinamide;
          3,4-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexylmethyl]-benzamide:
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexylmethyl]-2-phenoxy-nicotinamide;
          N-[cis-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexylmethyl]-3,4-difluoro-benzamide;
          3,4-difluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexylmethyl]-benzamide;
          2-phenoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexylmethyl]-nicotinamide;
          4-methyl-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}benzamide;
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2-(4-chlorophenoxy)-N-{cis-4-[(4-methylquinolin-2-vl)aminolcyclohexyl}acetamide:
          3.4.5-trimethoxy-N-{cis-4-f(4-methylquinolin-2-yl)aminolcyclohexyl}benzamide:
          2-(3,4-difluorophenyl)-N-cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}acetamide;
          2-(2-bromo-4,5-dimethoxyphenyl)-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}-acetamide;
5
          2,6-dimethoxy-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}nicotinamide;
          N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}-4-(trifluoromethoxy)benzamide;
          5-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide; and
          5-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
10
           or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
      [0054] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is se-
      lected from the group consisting of:
          3-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-benzamide;
15
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2,1,3-benzoxadiazole-5-carboxamide;
          3-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-benzamide;
          4-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-benzamide;
          4-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-nitrobenzamide;
          3,4-dichloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)benzamide;
20
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-nitrobenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenoxybutanamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenoxypropanamide;
25
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
          4-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2,5-dimethyl-3-furamide;
          3-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide;
30
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide;
          2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-acetamide;
          3-(2-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-5-methylisoxazole-4-carboxam-
          3-(2-chloro-6-fluorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-methylisoxazole-
35
          4-carboxamide:
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-fluoro-3-(trifluoromethyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(4-methoxyphenoxy)-5-nitrobenzamide;
          N-(cis-4-{{4-(dimethylamino)quinolin-2-vl]amino}cyclohexyl)-5-nitro-2-furamide:
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenoxyacetamide;
40
          2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-acetamide;
          3-(2,6-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-5-methylisoxazole-4-carbox-
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenoxynicotinamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(4-methylphenoxy)-nicotinamide;
45
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(2-thienyl)-1,3-thiazole-4-carboxamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(2,3,6-trichlorophenyl)-acetamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-iodo-2-furamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-nitrothiophene-2-carboxamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-methyl-4-nitrobenzamide;
50
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-methoxy-4-nitrobenzamide;
          5-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-furamide;
          4,5-dibromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-2-furamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(1H-indol-3-yl)acetamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-(3-nitrophenyl)-2-furamide;
55
          N-(cis-4-{[4-(dimethylamino)quinolin-2-vl]amino}cyclohexyl)-5-nitrothiophene-3-carboxamide:
          N-(cis-4-{[4-(dimethylamino)quinolin-2-vl]amino}cvclohexvl)-1-methyl-4-nitro-1H-pyrrole-2-carboxamide:
          N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-nitrobenzamide;
          N-(cis-4-{[4-(dimethylamino)quinolin-2-v]]amino}cyclohexyl)-3-fluoro-4-(trifluoromethyl)benzamide;
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3-bromo-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-benzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2,1,3-benzoxadiazole-5-carboxamide;
         3-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-benzamide;
          4-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-benzamide;
5
          4-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-3-nitrobenzamide;
          3,4-dichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)methyl]-benzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-ył]amino}cyclohexyl)methyl]-3,4-difluorobenzamide:
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino]cyclohexyl)methyl]-4-fluorobenzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-nitrobenzamide;
          N-[(cis-4-{(4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2-phenoxybutanamide;
10
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2-phenoxypropanamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-methylbenzamide;
          4-bromo-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-3-methylbenzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2,5-dimethyl-3-furamide;
15
          3-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-4-fluorobenzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3,5-dimethoxybenzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-4-fluoro-3-methylbenzamide:
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino]cyclohexyl)methyl]-2,4.6-trimethylbenzamide:
          2,4,6-trichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)methyl]-benzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2-(2,3,6-trichlorophenyl)acetamide;
20
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-5-iodo-2-furamide:
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-5-nitrothiophene-2-carboxamide,
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-methyl-4-nitrobenzamide;
          N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-methoxy-4-nitrobenzamide;
25
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide;
          3,4-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          3,4-difluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          2-phenoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          3-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          3-methyl-N-(cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
30
          3-methoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          3-chloro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          5-nitro-thiophene-3-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
          5-nitro-thiophene-3-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
35
          3-chloro-4-fluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          3,5-dimethoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          3,4-dichloro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          benzo[2,3,1]oxadiazole-5-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyll-amide:
          3-methyl-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide:
40
          3-methoxy-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          4-cyano-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          1-methyl-4-nitro-1H-pyrrole-2-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
          9H-xanthene-9-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
          3-nitro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          4-fluoro-3-methyl-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
45
          3-bromo-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          2-(2-bromo-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          3-cyano-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-trifluoromethyl-benzamide;
50
          N-[cis-4-(4-chloro-quinolin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide;
          3,4-dichloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          3-chloro-4-fluoro-N-[cis-4-(4-methyl-quinolin-2_ylamino)-cyclohexyl]-benzamide;
          4-fluoro-3-methyl-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          1-methyl-4-nitro-1H-pyrrole-2-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
55
          9H-xanthene-9-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide:
          5-bromo-furan-2-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylaniino)-cyclohexyll-amide:
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-acetamide:
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N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-acetamide;

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2,2-diphenyl-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
          5-bromo-furan-2-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
          benzo[2,3,1]oxadiazole-5-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
          3-bromo-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl)-benzamide;
5
          3-cyano-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-3-trifluoromethyl-benzamide;
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2,2-diphenyl-acetamide;
          2-(4-fluoro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          2-(4-fluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide:
10
          2-(3,4-difluoro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide:
          2-(3,4-difluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          N-[cis-4-(quinolin-2-ylamino)-cyclohexyl)-2-p-tolyloxy-nicotinamide:
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-p-tolyloxy-nicotinamide;
          2-(4-chloro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide:
15
          2-(4-chloro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          2-(4-bromo-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          2-(4-bromo-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          2-(4-methoxy-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide:
          2-(4-methoxy-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
20
          2-(3-chloro-4-fluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-nicotinamide;
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-nicotinamide;
          2-(3-methoxy-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
          2-(3-chloro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
25
          2-(3-chloro-4-fluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
          2-(3,4-dichloro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
          C-(methyl-phenyl-amino)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
          2-(3-methoxy-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
          2-(3-chloro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
          2-(3-chloro-4-fluoro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
          2-(3,4-dichloro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
          C-(methyl-phenyl-amino)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-3-trifluoromethoxy-benzamide;
          N-[cis-4-(4-amino-quinolin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide;
35
          C-(ethyl-phenyl-amino)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
          C-(ethyl-phenyl-amino)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
          3-hydroxy-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          2,4-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          3,5-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
40
          C-[(4-chloro-phenyl)-ethyl-amino]-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
          4-chloro-3-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          4-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          3-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          4-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
45
          N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid methyl ester;
          3,5-difluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
          4-chloro-3-fluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide:
          C-[(4-chloro-phenyl)-ethyl-amino]-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
          6-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
50
          3-chloro-5-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide:
          3.4.5-trifluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
          5-bromo-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
          4-methyl-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}benzamide;
          2-(4-chlorophenoxy)-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}-acetamide;
55
          3,4,5-trimethoxy-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}benzamide;
          2-(3,4-difluorophenyl)-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}-acetamide;
          2-(2-bromo-4,5-dimethoxyphenyl)-N-{cis-4-[(4-methylquinolin-2-yl)amino]-cyclohexyl}-acetamide;
          2,6-dimethoxy-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}nicotinamide;
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N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}-4-(trifluoromethoxy)-benzamide; 5-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide; and 5-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0055] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

C<sub>1-6</sub> alkyl, and

C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

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- carbocyclic aryl,
- · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - halogen,
  - ← C<sub>1-5</sub> alkyl,

  - ◆ C<sub>1-5</sub> alkoxy, and
  - C<sub>1-5</sub> alkoxy substituted by halogen,

20 L is Formula (XV);

Y is  $-C(O)NR_{5}$ -;

wherein carbocyclic aryl is phenyl; and halogen is fluoro, chloro, or bromo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0056] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

C<sub>1-16</sub> alkyl, and

C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

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- carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - → halogen,
  - ◆ C<sub>1-5</sub> alkyl, and
  - C<sub>1-5</sub> alkyl substituted by halogen,

wherein carbocyclic aryl is phenyl; and halogen is fluoro, chloro, or bromo;

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or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0057] In some embodiments of the present invention,  $R_2$  is methyl; p is 0;  $R_3$  and  $R_4$  are both hydrogen; A and B are both single bonds; and  $R_5$  is hydrogen: or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0058] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

 ${\it cis-N-[(1R)-1-(4-bromophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino]-cyclohexanecarboxamide;}\\$ 

cis-N-{(1S)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl}-4-[(4-methylquinolin-2-yl)amino]-cyclohexanecarboxamide;

cis-N-[(1R)-1-(2-fluorophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino]-cyclohexanecarboxamide;

cis-N-[(1S)-1-(2-fluorophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino]-cyclohexanecarboxamide;

cis-4-[(4-methylquinolin-2-yl)aznino]-N-{(1S)-1-[2-(trifluoromethyl)phenyl]ethyl}-cyclohexanecarboxamide;

 $cis-4-[(4-methylquinolin-2-yl)amino]-N-\{(1S)-1-[3-(trifluoromethyl)phenyl]ethyl\}-cyclohexanecarboxamide;\\$ 

cis-N-[(1R)-1-(4-chlorophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino]-cyclohexanecarboxamide; and

cis-N-[(1S)-1-(4-chlorophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino]-cyclohexanecarboxamide;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0059] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

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cis-N-[(1R)-1-(4-bromophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino]-cyclohexanecarboxamide;
           cis-N-[(1S)-1-(2-auorophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino]-cyclohexanecarboxamide;
           cis-4-[(4-methylquinolin-2-yl)amino]-N-{(1S)-1-[2-(trifluoromethyl)phenyl]ethyl}-cyclohexanecarboxamide; and
           cis-4-[(4-methylquinolin-2-yl)amino]-N-{(1S)-1-[3-(trifluoromethyl)phenyl]ethyl}-cyclohexanecarboxamide;
             or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
      [0060] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:
           (i) C<sub>1-5</sub> alkyl, and
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                  C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
                C<sub>1-5</sub> alkoxycarbonyl,
                C<sub>1-5</sub> alkylthio,
                carbocyclic aryl,
                carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
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                    C<sub>1-5</sub> alkyl, and
                   C<sub>2-5</sub> alkenyl,
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           (ii) C<sub>3-6</sub>cycloalkyl, and
                  C3-6 cycloalkyl substituted by carbocyclic aryl,
           (iii) carbocyclic aryl, and
                 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
25
                halogen,
                cyano,
                nitro,
                C<sub>1-5</sub> alkyl,
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                C<sub>1-5</sub> alkyl substituted by halogen,
                C<sub>1-5</sub> alkoxycarbonyl,
                C<sub>1-5</sub> alkoxy,
                C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
                C<sub>3-6</sub> cycloalkoxy,
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                carbocyclic aryloxy,
                C<sub>1-5</sub> alkylthio, and
                carbocyclic aryl,
           (iv) heterocyclyl, and
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                  heterocyclyl substituted by substituent(s) independently selected from the group consisting of:
                C<sub>1-5</sub> alkyl,
                C<sub>1-5</sub> alkyl substituted by halogen, and
                carbocyclic aryl;
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                 L is Formula (VII):
                  Y is -C(O)NR_5-;
                  wherein carbocyclic aryl is phenyl or naphthyl;
                  heterocyclyl is 2,3-dihydro-benzo[1,4]dioxinyl,
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           3,4-dihydro-2H-benzo[b][1,4]-dioxepinyl, benzo[1,3]dioxolyl, furyl, or isoxazolyl; and
                  halogen is fluoro, chloro, bromo, or iodo;
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or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0061] In some embodiments of the present invention, R2 is hydrogen, methyl, methylamino, or dimethylamino; p is 0; R<sub>3</sub> and R<sub>4</sub> are hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-; R<sub>5</sub> is hydrogen; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0062] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-5</sub> alkyl, and

C<sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- C<sub>1-5</sub> alkoxycarbonyl,
- · carbocyclic aryl, and
- · carbocyclic aryl substituted by halogen,
- (ii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

halogen,

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- nitro,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen, and
- C<sub>1-5</sub> alkoxy,
  - (iii) heterocyclyl,

heterocyclyl substituted by C<sub>1-5</sub> alkyl, and

heterocyclyl substituted by carbocyclic aryl;

wherein carbocyclic aryl is phenyl or naphthyl;

heterocyclyl is isoxazolyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0063] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

N-(2-chlorophenyl)-N'-(cis-4-[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)urea;

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-(2-ethyl-6-methylphenyl)urea;

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-mesitylurea;

 $N-(cis-4-\{[4-(dimethylamino)quinolin-2-yl]amino\} cyclohexyl)-N'-(2,4,6-trichlorophenyl)-urea;\\$ 

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-(2,4,6-tribromophenyl)-urea;

N-(2,4-dibromo-6-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)urea;

N-(2,6-diethylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)urea;

35 N-(2-chlorobenzyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)urea;

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-(2-ethyl-6-isopropylphenyl)urea;

N-(cis-4-{[4-(dimethylamino)quinolin-2-v]lamino)cyclohexvi)-N'-(2-isopropyl-6-methylphenyl)urea:

N-(2-tert-butyl-6-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)urea;

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-(diphenylmethyl)urea;

40 N-(4-bromo-2,6-dimethylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)urea;

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-(3-methyl-5-phenylisoxazol-4-yl)urea;

 $N-(cis-4-\{[4-(dimethylamino)quinolin-2-yl]amino\}cyclohexyl)-N'-1-naphthylurea;\\$ 

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-[1-(1-naphthyl)ethyl]-urea;

methyl N-{[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-amino]carbonyl}-phenylalaninate;

45 N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-(3,4,5-trimethoxyphenyl)urea;

N-(5-chloro-2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)urea;

N-(4-bromo-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)urea;

N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino]cyclohexyl)methyl]-N'-(2-ethyl-6-methylphenyl)urea;

N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-N'-mesitylurea;

50 N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-N'-(2,4,6-trichlorophenyl)urea;

N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-N'-(2,4,6-tribromophenyl)urea;

N-(2,4-dibromo-6-fluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)methyl]urea;

N-(2,6-diethylphenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-methyl]urea;

N-[2-chloro-6-(trifluoromethyl)phenyl]-N'-{(cis-4-{[4-(dimethylamino)-quinolin-2-yl]-amino}cyclohexyl)methyl]urea;

N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)methyl]-N'-(2-ethyl-6-isopropylphenyl)urea;

N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-N'-(2-isopropyl-6-methylphenyl)urea;

N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-N'-(2-methyl-3-nitrophenyl)urea;

N-(2-tert-butyl-6-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)methyllurea;

N-(2-tert-butylphenyl)-N'-{(cis-4-{[4-(dimethylamino)quinolin-2-yl]-amino}cyclohexyl)-methyllurea: N-{(cis-4-{[4-(dimethylamano)quinolin-2-vl]amino}cvclohexyl)methyl]-N'-(diphenylmethyl)urea: N-(4-bromo-2,6-dimethylphenyl)-N'-[(cis-4-([4-(dimethylamino)quinolin-2-yl] amino)-cyclohexyl)methyl]urea; N-(2,3-dichlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]-amino}cyclohexyl)-methyl]urea; N-(2.6-diisopropylphenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]-amino}-cyclohexyl)methyl]urea; 5 1-(2,3-dichloro-phenyl)-3-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-urea; and 1-(2,3-dichloro-phenyl)-3-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexylmethyl]-urea; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. [0064] In some embodiments of the present invention,  $R_1$  is selected from the group consisting of: 10 (i) C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 15 carbocyclic aryl. carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, and C<sub>1-5</sub> alkoxy, 20 (ii) carbocyclyl, (iii) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 25 halogen, cyano, nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, 30 C<sub>1-5</sub> alkoxy carbonyl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, and 35 carbocyclic aryl, (iv) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: 40 C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy carbonyl, and carbocyclic aryl; L is Formula (VII); 45 Y is -C(S)NR<sub>5</sub>-; wherein carbocyclic aryl is phenyl or naphthyl; carbocyclyl is bicyclo[2.2.1]heptyl; heterocyclyl is 2,3-dihydro-benzo[1,4]dioxinyl, benzo[1,3]dioxolyl, isoxazolyl, or thienyl; and 50 halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0065] In some embodiments of the present invention,  $R_2$  is methylamino or dimethylamino; p is 0;  $R_3$  and  $R_4$  are hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-;  $R_5$  is hydrogen; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0066] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

(i) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

halogen,

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- C<sub>1-5</sub> alkyl,
- C<sub>1.5</sub> alkyl substituted by halogen,
  - C<sub>1-5</sub> alkoxy,
  - mono-C<sub>1-5</sub> alkylamino, and
  - di-C<sub>1-5</sub> alkylamino,
  - (ii) heterocyclyl, and

heterocyclyl substituted by C1-5 alkyl, and

heterocyclyl substituted by C<sub>1-5</sub> alkoxy carbonyl;

wherein carbocyclic aryl is phenyl or naphthyl;

heterocyclyl is thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0067] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

N-(2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]-amino}cyclohexyl)-thiourea;

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-(3,4,5-trimethoxyphenyl)thiourea;

 $N-[4-(dimethylamino)-1-naphthyl]-N'-(cis-4-\{(4-(dimethylamino)quinolin-2-yl]amino\}-cyclohexyl) thiourea;\\$ 

N-(cis-4-[4-(dimethylamino)quinolin-2-yl]amino]cyclohexyl)-N'-(2,4,6-tribromophenyl)-thiourea;

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-(2,4,6-trichlorophenyl)-thiourea;

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-mesitylthiourea;

N-(2,6-diethylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-thiourea;

N-(4-bromo-2,6-dimethylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)thiourea;

N-(4-bromo-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)thiourea;

N-[4-bromo-2-(trifluoromethyl)phenyl]-N'-(cis-4-{[4-(dimethylamino)-quinolin-2-yl]-amino}cyclohexyl)thiourea;

N-(5-chloro-2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)thiourea;

N-(2,4-dibromo-6-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)thiourea;

N-(2,4-dichloro-6-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)thiourea; and methyl 3-{[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-carbonothioyl}amino)-4-methylthi-

35 ophene-2-carboxylate;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0068] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

40 (i) C<sub>1-8</sub> alkyl, and

C<sub>1-8</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
  - carbocyclyl,
  - carbocyclic aryl,
  - carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
    - → halogen,
    - onitro, and
    - ← C<sub>1-5</sub> alkoxy,
  - (ii) C<sub>2-5</sub> alkenyl,
  - (iii) carbocyclyl,
  - (iv) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, and C<sub>1-5</sub> alkoxy; L is Formula (VII); Y is -C(O)O-; wherein carbocyclic aryl is phenyl or naphthyl; carbocyclyl is 9H-fluorenyl or menthyl; and 10 halogen is fluoro, chloro, bromo, or iodo; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. [0069] In some embodiments of the present invention, R2 is methylamino or dimethylamino; p is 0; R3 and R4 are hydrogen; A is a single bond; B is a single bond or -CH2-; or a pharmaceutically acceptable salt, hydrate, or solvate [0070] In some embodiments of the present invention, Q is Formula (III); (i) C<sub>1-8</sub> alkyl, and C<sub>1-8</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 20 halogen, oxo, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, C<sub>1-5</sub> alkylcarbonyloxy, 25 carbocyclic aryloxy, carbocyclic aryloxy substituted by halogen, carbocyclic aryloxy substituted by nitro, heterocyclyloxy, heterocyclyloxy substituted by C<sub>1-5</sub> alkyl, 30 C<sub>1-5</sub> alkoxycarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, mono-C<sub>1-5</sub> alkylamino, 35 mono-C<sub>1-5</sub> alkylamino substituted by cyano, mono-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino substituted by cyano, di-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl, 40 mono-carbocyclic arylamino, mono-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl, di-carbocyclic arylamino, di-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl, carbocyclic arylsulfonylamino, 45 carbocyclic arylsulfonylamino substituted C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by substituent(s) independently selected from the group consisting of:
  - carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy,

carbocyclic arylthio,

carbocyclic aryl,

· heterocyclylthio,

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heterocyclylthio substituted by C<sub>1-5</sub> alkyl,

carbocyclic aryl substituted by halogen, and

- C<sub>3-6</sub> cycloalkyl,
- C<sub>3-6</sub> cycloalkenyl,
- · carbocyclyl,

	• C	arbocyclyl substituted by substituent(s) independently selected from the group consisting of:
	•	halogen,
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	••	
	••	C <sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:
		••• carbocyclic aryl, and
10		••• carbocyclic aryl substituted by C <sub>1-5</sub> alkylsulfinyl,
		arbocyclic aryl, arbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
15	••	halogen,
	••	
	••	·····
	••	- 1-9 7
20	••	C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
		••• OXO,
		••• carbocyclic aryl, and
		••• heterocyclyl,
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	**	- 1-2 amery)
	**	1.3
	••	- 1-3 3
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35	••	heterocyclyl,
		eterocyclyl, and
	• h	eterocyclyl substituted by substituent(s) independently selected from the group consisting of:
40	••	C <sub>1-5</sub> alkyl,
	•	C <sub>1-5</sub> alkoxy,
	•	C <sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
	••	our polyone ary, and
4-	••	carbocyclic aryl substituted by halogen,
45	(ii) C	allegand and
		<sub>-7</sub> alkenyl, and C <sub>2-7</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:
	• C	arbocyclic aryl,
50	• C	arbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
	••	·····-g=···,
	•	······································
	••	C <sub>1-5</sub> alkoxy,
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		<sub>2-5</sub> alkynyl,
		$_{3-12}$ cycloalkyl, and $C_{3-12}$ cycloalkyl substituted by substituent(s) independently selected from the group consisting of:

C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by oxo, C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, and carbocyclic aryl, 5 (v) carbocyclyl, (vi) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 10 halogen, hydroxy, cyano, nitro, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 15 halogen, oxo, carbocyclic aryloxy, 20 carbocyclic aryl, and carbocyclic aryl substituted by C<sub>1-5</sub> alkyl, C<sub>1-7</sub> alkoxy, C<sub>1-7</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: 25 halogen, carbocyclic aryl, and halogenated carbocyclic aryl, 30 C<sub>2-5</sub> alkenyloxy, C<sub>3-6</sub> cycloalkoxy, carbocyclic aryloxy, carbocyclic aryloxy substituted by nitro, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, 35 carboxy, C<sub>1-5</sub> alkoxycarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, 40 di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, amino, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, mono-C<sub>1-5</sub> alkylamino substituted by cyano, 45 di-C<sub>1-5</sub> alkylamino substituted by cyano, C<sub>2-5</sub> alkynylcarbonylamino,  $C_{2-5}$  alkynylcarbonylamino substituted by carbocyclic aryl, C<sub>1-5</sub> alkoxycarbonylamino, (carbocyclic aryl)NHC(O)NH, (carbocyclic aryl)NHC(O)NH substituted by C<sub>1-5</sub> alkoxy, 50 (carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy, carbocyclic aryl azo, carbocyclic aryl azo substituted by mono-C<sub>1-5</sub> alkylamino, carbocyclic aryl azo substituted by di-C<sub>1-5</sub> alkylamino, 55 C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by halogen,

carbocyclic arylthio,

carbocyclic arylthio substituted by nitro,

- EP 1 464 335 A2 carbocyclic arylthio substituted by cyano, aminosulfonyl, mono-C<sub>1-5</sub> alkylaminosulfonyl, di-C<sub>1-5</sub> alkylaminosulfonyl, heterocyclylsulfonyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkyl substituted by C<sub>1-5</sub> alkyl, carbocyclic aryl, heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, carbocyclic aryl, and halogenated carbocyclic aryl, (vii) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl, C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl, carbocyclic aryl, carbocyclic aryl substituted by halogen, and heterocyclyl, C<sub>1-5</sub> alkoxy, carbocyclic aryloxy, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkenylthio, carbocyclic arylthio, carbocyclic arylthio substituted by C<sub>1-5</sub> alkoxycarbonyl, C<sub>1-5</sub> alkylsulfonyl, carbocyclic arylsulfonyl, carbocyclic arylsulfonyl substituted by C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxycarbonyl, C<sub>1-5</sub> alkoxycarbonyl substituted by carbocyclic aryl, carbocyclic aryl,
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- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - halogen,
  - nitro.
  - C<sub>1-5</sub> alkyl, and
  - C<sub>1-5</sub> alkyl substituted by halogen,
- heterocyclyl;

wherein carbocyclic aryl is phenyl, naphthyl, or anthranyl; carbocyclyl is 1,2,3,4-tetrahydronaphthyl, 1-oxo-indanyl, 9-fluorenyl, 9H-fluorenyl, 9-oxo-9H-fluorenyl, adamantly, bicyclo[2.2.1]heptenyl, bicyclo[2.2.1]heptyl, indanyl, indenyl, or menthyl; heterocyclyl is 1,2,3-triazolyl, 1H-indolyl, 1H-pyrrolyl, 2,3-dihydro-1-oxo-isoindolyl, 2,3-dihydro-benzo[1,4]

dioxinyl, 2,4-dihydro-3-oxo-pyrazolyl, 2H-benzopyranyl, 2-oxo-benzopyranyl, 3,4-dihydro-2H-benzo[b][1,4]dioxepinyl, 4,5,6,7-tetrahydro-benzo[b]thienyl, 4H-benzo[1,3]dioxinyl, 4-oxo-1,5,6,7-tetrahydro-indolyl, 4-oxo-benzopyranyl, 9H-carbazolyl, 9H-xanthenyl, azetidinyl, benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[2,1,3]thiadiazolyl, benzo[b]thienyl, benzofuryl, benzothiazolyl, furyl, imidazo[2,1-b]thiazolyl, isoxazolyl, morpholino, morpholinyl, oxazolyl, phenanthro[9,10-d]oxazolyl, piperidyl, pyriazolyl, pyridyl, pyrimidyl, quinolyl, quinoxalyl, tetrahydrofuryl, thiazolyl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 10 [0071] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-7</sub> alkyl, and

C<sub>1-7</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

C<sub>1-5</sub> alkox

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- C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
- · carbocyclic aryloxy,
- mono-C<sub>1-5</sub> alkylamino,
- mono-C<sub>1.5</sub> alkylamino substituted by substituent(s) independently selected from the group consisting of:
- e cyano, and
  - · carbocyclic aryl,
  - di-C<sub>1-5</sub> alkylamino,
- di-C<sub>1-5</sub> alkylamino substituted by substituent(s) independently selected from the group consisting of:
  - e cyano, and
  - · carbocyclic aryl,
- mono-carbocyclic arylamino,
  - · di-carbocyclic arylamino,
  - mono-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl,
  - di-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl,
  - · carbocyclic arylsulfonylamino,
  - carbocyclic arylsulfonylamino substituted by C<sub>1-5</sub> alkyl,
    - · carbocyclic aryl,
    - carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
      - → halogen,
  - nitro,
    - ← C<sub>1-5</sub> alkyl,
    - •• C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
      - ••• oxo, and
      - ••• carbocyclic aryl,
    - ← C<sub>1-5</sub> alkoxy,
    - heterocyclyl, and
- heterocyclyl substituted by carbocyclic aryl,
  - (ii) C2-7 alkenyl, and

C<sub>2-7</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:

- carbocyclic aryl, and
  - carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy,
  - (iii) C<sub>3-6</sub> cycloalkyl, and

C<sub>3-6</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of:

- C<sub>1-5</sub> alkyl, and
- C<sub>1-5</sub> alkyl substituted by carbocyclic aryl,

(iv) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- hydroxy,
- cyano,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen,
- C<sub>1-5</sub> alkoxy,
- C<sub>1.5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
  - halogen, and
  - · carbocyclic aryl,
  - · carbocyclic aryl substituted by halogen,

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- C<sub>2-5</sub> alkenyloxy,
- mono-C<sub>1-5</sub> alkylamino,
- di-C<sub>1-5</sub> alkylamino,
- mono-C<sub>1-5</sub> alkylamino substituted by cyano,
- di-C<sub>1-5</sub> alkylamino substituted by cyano,
  - C<sub>1-5</sub> alkylthio, and
  - C<sub>1-5</sub> alkylthio substituted by halogen,
  - (v) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- C<sub>1-5</sub> alkyl
- C<sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

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- → hydroxy, and
- carbocyclic aryl,
- C<sub>1-5</sub> alkoxy,
- · carbocyclic arylthio,
- carbocyclic arylthio substituted by C<sub>1.5</sub> alkoxycarbonyl,
- C<sub>1-5</sub> alkoxycarbonyl,
- carbocyclic aryl,
- · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

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- → halogen,
- C<sub>1-5</sub> alkyl, and
- •• C<sub>1-5</sub> alkyl substituted by halogen;

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L is Formula (VII);

Y is a single bond or -CH<sub>2</sub>-;

wherein carbocyclic aryl is phenyl or naphthyl;

heterocyclyl is 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-benzo[1,4]dioxinyl, 4-oxo-benzopyranyl, 9*H*-carbazolyl, azetidinyl, benzo[1,3]dioxolyl, benzo[b]thienyl, furyl, imidazo[2,1-b]thiazolyl, pyrazolyl, pyridyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo;

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or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0072] In some embodiments of the present invention,  $R_2$  is methylamino or dimethylamino; p is 0;  $R_3$  and  $R_4$  are

hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0073] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- mono-C<sub>1-5</sub> alkylamino,
- mono-C<sub>1-5</sub> alkylamino substituted by cyano,
- di-C<sub>1-5</sub> alkylamino,
  - di-C<sub>1-5</sub> alkylamino substituted by cyano,
  - · mono-carbocyclic arylamino,
  - · di-carbocyclic arylamino,
  - mono-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl,
- di-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl,
  - · carbocyclic arylsulfonylamino,
  - carbocyclic arylsulfonylamino substituted by C<sub>1.5</sub> alkyl,
  - · carbocyclic aryl, and
  - carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy,

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(ii) C<sub>2-5</sub> alkenyl, and

C2-5 alkenyl substituted by carbocyclic aryl,

(iii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

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- halogen,
- hydroxy,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by halogen,
- mono-C<sub>1-5</sub> alkylamino, and
- di-C<sub>1-5</sub> alkylamino,
- (iv) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by carbocyclic aryl,
- C<sub>1-5</sub> alkoxy,
  - C<sub>1-5</sub> alkoxycarbonyl,
  - · carbocyclic aryl,
  - carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
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- halogen,
- ◆ C<sub>1-5</sub> alkyl, and

wherein carbocyclic aryl is phenyl or naphthyl;

heterocyclyl is 1*H*-indolyl, 4-oxo-benzopyranyl, azetidinyl, benzo[1,3]dioxolyl, or pyrazolyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0074] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

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(i) C<sub>1-5</sub> alkyl, and

C<sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- mono-C<sub>1-5</sub> alkylamino,
- mono-C<sub>1-5</sub> alkylamino substituted by cyano.
- di-C<sub>1-5</sub> alkylamino,
- di-C<sub>1-5</sub> alkylamino substituted by cyano,
- mono-carbocyclic arylamino,
  - di-carbocyclic arylamino,
  - carbocyclic arylsulfonylamino,
  - carbocyclic arylsulfonylamino substituted by C<sub>1-5</sub> alkyl, and
  - · carbocyclic aryl,

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(ii) C<sub>2-5</sub> alkenyl, and

C<sub>2-5</sub> alkenyl substituted by carbocyclic aryl,

(iii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

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- halogen,
- hydroxy,
- C<sub>1-5</sub> alkoxy, and
- C<sub>1-5</sub> alkoxy substituted by halogen,

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(iv) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by carbocyclic aryl,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxycarbonyl,
- · carbocyclic aryl, and
- carbocyclic aryl substituted by halogen;

wherein carbocyclic aryl is phenyl; heterocyclyl is 1*H*-indolyl, azetidinyl, or pyrazolyl; and halogen is fluoro, chloro, bromo, or iodo;

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or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0075] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

N<sup>2</sup>-{cis-4-[(2,6-dimethoxybenzyl)amino]cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine; N<sup>2</sup>-{cis-4[(2-ethoxybenzyl)amino]cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;

 $N^2-\{cis-4-[(1H-indol-3-ylmethyl)amino]cyclohexyl]-N^4,N^4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;$ 

N<sup>2</sup>-{cis-4-[(2,5-dimethoxybenzyl)amino]cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;

 $N^2$ -(cis-4-{[(4-methoxy-1-naphthyl)methyl]amino}cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydroquinazoline-2.4-diamine:

 $N^2-(cis-4-\{[(5-methoxy-1H-indol-3-yl)methyl]amino\}cyclohexyl)-N^4,N^4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;\\$ 

4-bromo-2-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)amino]methyl}-6-methoxyohenol:

N<sup>2</sup>-(cis-4-{[(5-bromo-1H-indol-3-yl)methyl]amino}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2.4-diamine:

4-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-amino]methyl}-2,6-dimethox-yphenol;

N<sup>2</sup>-{cis-4-[(3-ethoxy-4-methoxybenzyl)amino]cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-di-amine:

 $N^4$ ,  $N^4$ -dimethyl- $N^2$ -{cis-4-[({3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl}methyl)-amino]cyclohexyl}-5,6,7,8-tet-rahydroquinazoline-2,4-diamine;

N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-{cis-4-[(3,4,5-trimethoxybenzyl)amino]cyclohexyl}-5,6,7,8-tetrahydroquinazoline-2,4-diamine;

- $N^4$ ,  $N^4$ -dimethyl- $N^2$ -{cis-4-[(pentamethylbenzyl)amino]cyclohexyl}-5,6,7,8-tetrahydroquinazoline-2,4-diamine;  $N^2$ -{cis-4-[(3,5-dimethoxybenzyl)amino]cyclohexyl}- $N^4$ ,  $N^4$ -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine; 4-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl}-amino]methyl}-2-iodo-6-methoxyphenol:
- 4-{(cis-4-{(4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-amino]methyl}-2,6-dimethyl-phenol;

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- 3-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-amino}methyl}-6,8-dimethyl-4H-chromen-4-one;
- ethyl 4,6-dichloro-3-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)amino]methyl}-1H-indole-2-carboxylate;
  - $N^2$ -[cis-4-({[3-(4-fluorophenyl)-1H-pyrazol-4-yl]methyl}amino)cyclohexyl]- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
  - $N^4$ ,  $N^4$ -dimethyl- $N^2$ -[4-(pentamethylphenylmethyl-amino)-cyclohexyl]-5,6,7,8-tetrahydro-quinazoline-2,4-diamine; 3-[{2-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-amino]ethyl}(3-methylphenyl)amino]propanenitrile:
  - 3-[{2-{(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-amino]ethyl}(phenyl)amino] propanenitrile;
  - N-{(1S)-1-benzyl-2-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)amino]ethyl}-4-methylbenzenesulfonamide;
- 20 N²-(cis-4-{[2-(3,5-dimethoxyphenyl)ethyl]amino}cyclohexyl)-N⁴,N⁴-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
  - $N^2$ -[cis-4-({[1-(diphenylmethyl)azetidin-3-yl]methyl}amino)cyclohexyl]- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydroquinazoline-2.4-diamine:
  - N<sup>2</sup>-(cis-4-{[(2,6-dimethoxybenzyl)amino]methyl]cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-di-
  - N<sup>2</sup>-(cis-4-{[(2-ethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine:
  - $N^2$ -(cis-4-{[(1H-indol-3-ylmethyl)amino]methyl}cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine
- 30 N²-(cis-4-{[(2,5-dimethoxybenzyl)amino]methyl}cyclohexyl)-N⁴,N⁴-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine:
  - N<sup>2</sup>-[cis-4-({[(4-methoxy-1-naphthyl)methyl]amino}methyl)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
  - N<sup>2</sup>-[cis-4-({[(5-methoxy-1H-indol-3-yl)methyl]amino}methyl)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquina-zoline-2,4-diamine:
  - 4-bromo-2-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]amino}methyl)-6-methoxyphenol:
  - N<sup>2</sup>-[cis-4-({[(5-bromo-1H-indol-3-yl)methyl]amino}methyl)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2.4-diamine:
- 40 N²-(cis-4-{[(3-ethoxy-4-methoxybenzyl)amino]methyl}cyclohexyl)-N⁴,N⁴-dimethyl-5,6,7,8-tetrahydroquinazoline-2.4-diamine:
  - $N^4$ ,  $N^4$ -dimethyl- $N^2$ -(cis-4-{[({3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl}methyl)-amino]methyl}cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
- N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[(3,4,5-trimethoxybenzyl)amino]-methyl}cyclohexyl)-5,6,7,8-tetrahydroquinazoline-45 2,4-diamine;
  - N<sup>2</sup>-(cis-4-{[(3,5-dimethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine:
  - 4-({[(cis-4{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-methyl]amino}methyl)-2-iodo-6-methoxyphenol;
- 4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-methyl]amino}methyl)-2,6-dimethylphenol;
  - 3-chloro-4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]amino}methyl)phenol;
  - N<sup>2</sup>-[cis-4-({[4-(diethylamino)benzyl]amino}methyl)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-
  - $N^2$ -(cis-4-{[(3,3-diphenylprop-2-en-1-yl)amino]methyl}cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydroquinazoline-2.4-diamine:
  - 4-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-methyl]amino}methyl)-

2-ethoxyphenol;

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- N<sup>2</sup>-{cis-4-[({[4-(dimethylamino)-1-naphthyl]methyl}amino)methyl]-cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine;
- N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[(2,4,6-trimethoxybenzyl)amino]methyl}-cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine:
- 2-bromo-4-chloro-6-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)methyl] amino}methyl)phenol;
- N<sup>2</sup>-{cis-4-([(2,5-diethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7, 8-tetrahydroquinazoline-2,4-diamine:
- N<sup>2</sup>-(cis-4-{[(2,4-diethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine
  - N<sup>2</sup>-(cis-4-{[(3,5-dibromo-2-methoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2.4-diamine:
  - $N^4$ , $N^4$ -dimethyl- $N^2$ -(cis-4-{[(2,4,5-triethoxybenzyl)amino]methyl}-cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine:
  - $N^4$ ,  $N^4$ -dimethyl- $N^2$ -(cis-4-{{(2,4,5-trimethoxybenzyl)amino}methyl}-cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
  - $N^2$ -[cis-4-({[(7-methoxy-1,3-benzodioxol-5-yl)methyl]amino}methyl)-cyclohexyl]- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahyd-roquinazoline-2,4-diamine;
- 4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-methyl]amino}methyl)2-methylphenol;
  - $N^2$ -(cis-4-{[(4-methoxy-2,5-dimethylbenzyl)amino]methyl}cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
  - $4-\{\{[(cis-4-\{[4-(dimethylaniino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\}cyclohexyl\}-methyl]amino\}methyl\}-methyllamino\}methyllamino\}methyllamino\}methyllamino\}methyllamino\}methyllamino\}methyllamino\}methyllamino\}methyllamino\}methyllamino]m$
- 25 2-fluoro-6-methoxyphenol;
  - $N^4$ ,  $N^4$ -dimethyl- $N^2$ -[cis-4-({([(1-phenyl-5-propyl-1H-pyrazol-4-yl)methyl]amino)methyl)-cyclohexyl]-5,6,7,8-tet-rahydroquinazoline-2,4-diamine;
  - N<sup>2</sup>-{cis-4-[({[1-(4-chlorophenyl)-5-propyl-1H-pyrazol-4-yl]methyl}-amino)methyl]-cyclohexyl}-N<sup>4</sup>N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
- N<sup>2</sup>-{cis-4-[2-(4-bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine;
  - N<sup>2</sup>-{cis-4-[2-(4-bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl}-N<sup>4</sup>-methyl-5,6,7,8-tetrahydro-quinazo-line-2,4-diamine;
  - N<sup>2</sup>-{cis-4-[(4-bromo-2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}-N<sup>4</sup>-methyl-5,6,7,8-tetrahydro-quinazo-line-2,4-diamine;
  - N<sup>2</sup>-{cis-4-[(4-bromo-2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine;
  - $N^4$ ,  $N^4$ -dimethyl- $N^2$ -{cis-4-[(2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}-5,6,7,8-tetrahydro-quinazoline-2,4-diamine; and
- N<sup>4</sup>-methyl-N<sup>2</sup>-{cis-4-[(2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}-5,6,7,8-tetrahydro-quinazoline-2,4-diamine;
  - or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
- [0076] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:
  - N<sup>2</sup>-(cis-4-{[(5-methoxy-1H-indol-3-yl)methyl]amino}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
- ethyl 4,6-dichloro-3-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)amino}methyl}-1H-indole-2-carboxylate;
  - $N^2$ -[cis-4-({[3-(4-fluorophenyl)-1H-pyrazol-4-yl]methyl}amino)cyclohexyl]- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
  - 3-[{2-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino]cyclohexyl)-amino]ethyl}(phenyl)amino] propanenitrile;
- N-{(1S)-1-benzyl-2-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)amino]ethyl}4-methylbenzenesulfonamide;
  - $N^2-[cis-4-(\{[1-(diphenylmethyl)azetidin-3-yl]methyl\}amino) cyclohexyl]-N^4, N^4-dimethyl-5, 6, 7, 8-tetrahydroquinazoline-2, 4-diamine;$

- N<sup>2</sup>-(cis-4-{[(2,6-dimethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine:
- $N^2$ -[cis-4-({[(5-methoxy-1H-indol-3-yl)methyl]amino}methyl)cyclohexyl]- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
- 5 N<sup>2</sup>-[cis-4-({[(5-bromo-1H-indol-3-yl)methyl]amino}methyl)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazo-line-2,4-diamine;
  - N<sup>2</sup>-(cis-4-{[(3-ethoxy-4-methoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
  - 4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-methyl]amino}methyl)-2-io-do-6-methoxyphenol;
    - $N^2$ -(cis-4-{[(3,3-diphenylprop-2-en-1-yl)amino]methyl}cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
    - $N^4$ ,  $N^4$ -dimethyl- $N^2$ -(cis-4-{[(2,4,6-trimethoxybenzyl)amino]methyl}-cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
- N²-(cis-4-{[(2,5-diethoxybenzyl)amino]methyl}cyclohexyl)-N⁴,N⁴-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine:
  - $N^2$ -(cis-4-{[(2,4-diethoxybenzyl)amino]methyl}cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine:
  - N<sup>2</sup>-(cis-4-{[(3,5-dibromo-2-methoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazo-line-2,4-diamine;
  - N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[(2,4,5-triethoxybenzyl)amino]methyl}-cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
  - $N^4$ ,  $N^4$ -dimethyl- $N^2$ -(cis-4-{[(2,4,5-trimethoxybenzyl)amino]methyl}-cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
- 25 N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-[cis-4-([(1-phenyl-5-propyl-1H-pyrazol-4-yl)methyl]amino}methyl)-cyclohexyl]-5,6,7,8-tet-rahydroquinazoline-2,4-diamine;
  - N<sup>2</sup>-{cis-4-[({[1-(4-chlorophenyl)-5-propyl-1H-pyrazol-4-yl]methyl}-amino)methyl}-cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
  - N<sup>2</sup>-{cis-4-[2-(4-bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine;
  - $N^2-\{\text{cis-4-[(4-bromo-2-trifluoromethoxy-benzyl]}-\text{methyl}\}-\text{N}^4-\text{methyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine};$
  - $N^2$ -{cis-4-[(4-bromo-2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl)- $N^4$ ,  $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine; and
- 35 N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-{cis-4-[(2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}-5,6,7,8-tetrahydro-quinazoline-2,4-diamine;
  - or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
  - [0077] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-5</sub> alkyl, and
    - C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
    - oxo.

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- C<sub>1-5</sub> alkoxy,
  - C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
  - C<sub>1-5</sub> alkylcarbonyloxy,
  - · carbocyclic aryloxy,
  - carbocyclic aryloxy substituted by halogen,
  - carbocyclic aryloxy substituted by nitro,
    - · heterocyclyloxy,
    - heterocyclyloxy substituted by C<sub>1-5</sub> alkyl,
    - mono-C<sub>1-5</sub> alkylaminocarbonyl,
    - di-C<sub>1-5</sub> alkylaminocarbonyl,
    - carbocyclic arylcarbonylamino,
      - C<sub>1-5</sub> alkylthio,
      - C<sub>1.5</sub> alkylthio substituted by substituent(s) independently selected from the group consisting of:

- carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: ••• halogen, and ••• C<sub>1-5</sub> alkoxy, 5 carbocyclic arylthio, heterocyclylthio, heterocyclylthic substituted by C<sub>1-5</sub> alkyl, 10 C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkenyl, carbocyclyl, carbocyclyl substituted by substituent(s) independently selected from the group consisting of: 15 halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, C<sub>2-5</sub> alkenyl, and C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: 20 e carbocyclic aryl, and ••• carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl, carbocyclic aryl, 25 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, nitro, 30 C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: ••• oxo, e carbocyclic aryl, and 35 ••• heterocyclyl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen, ◆ C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, 40 · carbocyclic aryloxy, • mono-carbocyclic arylaminocarbonyl, · mono-carbocyclic arylaminocarbonyl substituted by halogen, di-carbocyclic arylaminocarbonyl, di-carbocyclic arylaminocarbonyl substituted by halogen, 45 carbocyclic aryl, and heterocyclyl, heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: 50 C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, carbocyclic aryl, and 55 carbocyclic aryl substituted by halogen,
  - (ii) C<sub>2-5</sub> alkenyl, and

C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:

- EP 1 464 335 A2 carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, and nitro, (iii) C<sub>3-6</sub> cycloalkyl, and C<sub>3-6</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: oxo, and · carbocyclic aryl, carbocyclie aryl, (iv) carbocyclyl, (v) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, cyano, nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: → halogen, oxo, carbocyclic aryloxy, carbocyclic aryl, and carbocyclic aryl substituted by C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, C<sub>1.5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: halogen, and carbocyclic aryl, carbocyclic aryloxy, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, amino, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, C<sub>2-5</sub> alkynylcarbonylamino,
  - carbocyclic arylthio,

(carbocyclic aryl)NHC(O)NH,

C<sub>1-5</sub> alkylthio,

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carbocyclic arylthio substituted by cyano,

C<sub>1-5</sub> alkylthio substituted by halogen,

C<sub>2-5</sub> alkynylcarbonylamino substituted by carbocyclic aryl,

(carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy,

(carbocyclic aryl)NHC(O)NH substituted by C<sub>1-5</sub> alkoxy,

- mono-C<sub>1-5</sub> alkylaminosulfonyl,
- di-C<sub>1-5</sub> alkylaminosulfonyl,
- · carbocyclic aryl,
- · heterocyclyl,
- heterocyclyl substituted by substituent(s) independently selected from the group consisting of:
  - ↔ C<sub>1-5</sub> alkyl,
  - carbocyclic aryl, and
  - · halogenated carbocyclic aryl,

(vi) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- 15 nitro,

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- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
  - halogen,
- ◆ C<sub>1-5</sub> alkylthio,
  - C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl,
  - •• C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl,
  - carbocyclic aryl,
  - · carbocyclic aryl substituted by halogen, and
- - C<sub>1-5</sub> alkoxy,
  - · carbocyclic aryloxy,
  - carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkylthio,
  - C<sub>2-5</sub> alkenylthio,
  - carbocyclic arylthio,
  - C<sub>1-5</sub> alkylsulfonyl,
  - carbocyclic arylsulfonyl,
- carbocyclic arylsulfonyl substituted by C<sub>1-5</sub> alkyl,
  - carbocyclic aryl,
  - carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
    - → halogen,
    - nitro, and
    - ← C<sub>1-5</sub> alkyl,
  - · heterocyclyl;
    - L is Formula (VII);

Y is -C(O)-; wherein carbocyclic aryl is phenyl, naphthyl, or anthranyl;

carbocyclyl is 1,2,3,4-tetrahydronaphthyl, 1-oxo-indanyl, 9-oxo-9H-fluorenyl, or indenyl;

heterocyclyl is 1,2,3-triazolyl, 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-1-oxo-isoindolyl, 2,4-dihydro-3-oxo-pyrazolyl, 2*H*-benzopyranyl, 2-oxo-benzopyranyl, 4-oxo-1,5,6,7-tetrahydro-indolyl, 9*H*-xanthenyl, benzo[1,3]dioxolyl, benzo[2,2,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[b]thienyl, benzofuryl, benzothiazolyl, furyl, isoxazolyl, morpholino, pyrazolyl, pyridyl, pyrimidyl, quinolyl, quinoxalyl, thiazolyl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0078] In some embodiments of the present invention, R<sub>2</sub> is methylamino or dimethylamino; p is 0; R<sub>3</sub> and R<sub>4</sub> are hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0079] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: oxo, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, C<sub>1-5</sub> alkylcarbonyloxy, carbocyclic aryloxy, carbocyclic aryloxy substituted by halogen, 10 mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, carbocyclic arylcarbonylamino, C<sub>1-5</sub> alkylthio,  $C_{1-5}$  alkylthio substituted by substituent(s) independently selected from the group consisting of: 15 · carbocyclic aryl, and carbocyclic aryl substituted by halogen, heterocyclylthio, heterocyclylthio substituted by C<sub>1-5</sub> alkyl, 20 C3-6 cycloalkyl, carbocyclyl, carbocyclyl substituted by substituent(s) independently selected from the group consisting of: 25 halogen, C<sub>1-5</sub> alkyl, C<sub>2-5</sub> alkenyl, and C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: ••• carbocyclic aryl, and 30 ••• carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 35 halogen, hydroxy. nitro. C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 40 ••• oxo, and ••• heterocyclyl, 45 C<sub>1-5</sub> alkoxy, carbocyclic aryloxy, carbocyclic aryl, and heterocyclyl, 50 heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, and

(ii) C<sub>2-5</sub> alkenyl, and

carbocyclic aryl,

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C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:

carbocyclic aryl, and carbocyclic aryl substituted by nitro, (iii) C<sub>3-6</sub> cycloalkyl, and C<sub>3-6</sub> cycloalkyl substituted by carbocyclic aryl, 5 (iv) carbocyclyl, (v) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 10 halogen, hydroxy, cyano, nitro, C<sub>1-5</sub> alkyl, 15 C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: halogen, oxo, and carbocyclic aryl, 20 C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: halogen, and 25 carbocyclic aryl, carbocyclic aryloxy, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, mono-C<sub>1-5</sub> alkylaminocarbonyl, 30 di-C<sub>1-5</sub> alkylaminocarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, 35 C<sub>2-5</sub> alkynylcarbonylamino, C<sub>2-5</sub> alkynylcarbonylamino substituted by carbocyclic aryl, (carbocyclic aryl)NHC(O)NH, (carbocyclic aryl)NHC(O)NH substituted by  $C_{1-5}$  alkoxy, and (carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy, 40 (vi) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, 45 nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: halogen, 50 C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl, C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl,

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carbocyclic aryloxy,

carbocyclic aryl, andheterocyclyl,

- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkylthio,

- carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, nitro, and 5 C<sub>1-5</sub> alkyl, heterocyclyl; wherein carbocyclic aryl is phenyl; 10 carbocyclyl is 1-oxo-indanyl or indenyl; heterocyclyl is 1,2,3-triazolyl, 1H-indolyl, 1H-pyrrolyl, 2,3-dihydro-1-oxo-isoindolyl, 2-oxo-benzopyranyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, furyl, isoxazolyl, morpholino, pyrazolyl, pyridyl, pyrimidyl, quinolyl, quinoxalyl, thiazolyl, or thienyl; 15 halogen is fluoro, chloro, bromo, or iodo; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. [0080] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of: 20 (i) C<sub>1-5</sub> alkyl, and C<sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkylcarbonyloxy, 25 carbocyclic aryloxy, carbocyclic aryloxy substituted by halogen, mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, carbocyclic arylcarbonylamino, 30 carbocyclyl, carbocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, C,\_5 alkyl, C<sub>1-5</sub> alkenyl, and 35 C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: ••• carbocyclic aryl, and ••• carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl, 40
  - · carbocyclic aryl,
  - carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
    - → halogen,
    - hydroxy,
    - → nitro,
    - C<sub>1-5</sub> alkyl, and
    - ◆ C<sub>1-5</sub> alkoxy,
- heterocyclyl, and

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- heterocyclyl substituted by substituent(s) independently selected from the group consisting of:
  - ◆ C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkoxy, and
- carbocyclic aryl,
- (ii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- hydroxy.
- cyano,
- nitro,
- C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
    - halogen, and
    - **∞** 0x0,

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- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
- · carbocyclic aryloxy,
- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy,
- mono-C<sub>1-5</sub> alkylaminocarbonyl,
  - di-C<sub>1-5</sub> alkylaminocarbonyl,
  - mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl,
  - di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl,
  - C<sub>2-5</sub> alkynylcarbonylamino,
  - C<sub>2-5</sub> alkynylcarbonylamino substituted by carbocyclic aryl,
  - (carbocyclic aryl)NHC(O)NH,
  - (carbocyclic aryl)NHC(O)NH substituted by C<sub>1-5</sub> alkoxy, and
  - (carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy,
- 25 (iii) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- halogen
- nitro,
- C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkyl substituted by halogen,
  - C<sub>1-5</sub> alkyl substituted by heterocyclyl,
  - carbocyclic aryloxy,
  - carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkylthio,
  - carbocyclic aryl.
  - · carbocyclic aryl substituted by halogen, and
  - · carbocyclic aryl substituted by nitro;
- 40 wherein carbocyclic aryl is phenyl;

carbocyclyl is indenyl;

heterocyclyl is 1*H*-indolyl, 1*H*-pyrrolyl, 2-oxo-benzopyranyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, furyl, isoxazolyl, morpholino, pyridyl, quinoxalyl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

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or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0081] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-methoxybenzamide;

3-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)benzamide;

4-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8 -tetrahydroquinazolin-2-yl]amino}-cyclohexyl)benzamide;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2,1,3-benzoxadiazole-5-carboxamide:

3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)benzamide;

 $4-chloro-N-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetra hydroquinazolin-2-yl]amino\}-cyclohexyl) benzamide;\\$ 

4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-nitrobenzamide;

2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)acetamide;

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3-cyano-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-v]lamino}-cyclohexyl)benzamide:
          3.5-dichloro-N-(cis-4-{[4-(dimethylamino)-5.6,7,8-tetrahydroguinazolin-2-vl]amino}-cvclohexyl)benzamide:
          3.4-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2,2-diphenylacetamide;
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3,4-difluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3,5-difluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-fluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-fluoro-5-(trifluoromethyl)
          benzamide:
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          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-hexanamide;
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-methyl-3-nitrobenzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-nitrobenzamide;
          (2R)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-phenylcyclopropane-
          carboxamide;
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          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-vllamino}-cyclohexyl)-2-phenoxybutanamide:
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-phenoxypropanamide;
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-(trifluoromethoxy)benza-
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          mide:
          4-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazotin-2-yl]amino}-cyclohexyl)-3-iodobenzamide;
          2-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-fluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(3-methoxyphenyl)aceta-
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          mide:
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazoiin-2-yl]amino}-cyclohexyl)-2-(4-fluorophenyl)acetamide;
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(4-methoxyphenyl)aceta-
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-methyl-2-(trifluoromethyl)-
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          3-furamide:
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2,5-dimethyl-3-furamide;
          3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-fluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-fluoro-4-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3,5-dimethoxybenzamide;
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          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3,5-bis(trifluoromethyl)benza-
          N-(cis-4-{[4-(dimethylamino)-5.6.7.8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-fluoro-3-methylbenzamide:
          2,5-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroguinazolin-2-yl]amino}-cyclohexyl)thiophene-3-car-
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          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(propylthio)nicotinamide;
          1-benzyl-3-tert-butyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)-1H-pyra-
          zole-5-carboxamide;
          5-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)nicotinamide;
          2-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-amino]-2-oxo-1-phenylethyl
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          acetate:
          N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-benzamide;
          2-(benzyloxy)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)acetamide;
          2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)aceta-
          mide:
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          3-(2-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)-5-methyl-
          isoxazole-4-carboxamide;
          1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)cyclopen-
          tanecarboxamide:
          3-(2-chloro-6-fluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-
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          5-methylisoxazole-4-carboxamide:
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N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-1,3-dimethyl-1H-pyrazole-

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-fluorobenzamide;

5-carboxamide:

- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-fluoro-3-(trifluoromethyl) benzamide;
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl)amino}-cyclohexyl)-5-methyl-2-phenyl-2H-1,2,3-triazole-4-carboxamide;
- 5 N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl)amino}-cyclohexyl)-2-(4-methoxyphenoxy)-5-nitrobenzamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-nitro-2-furamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-phenoxyacetamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-quinoxaline-2-carboxamide;
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-(trifluoromethyl)benzamide; 2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)acetamide;
  - 3-(2,6-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)-5-methylisoxazole-4-carboxamide;
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-phenoxynicotinamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(4-methylphenoxy)nicotinamide:
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(2-thienyl)-1,3-thiazole-4-carboxamide;
- 5-bromo-N-(cis-4-{{4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)thiophene-2-carboxamide

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- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(2,3,6-trichlorophenyl)acetamide:
- 2-(2-chloro-4-fluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl) acetamide:
- 5-(4-chloro-2-nitrophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-furamide:
- 5-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)thiophene-2-carboxamide:
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2,3-diphenylpropanamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-(2-hydroxyphenyl)propanamide; mide:
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-iodo-2-furamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yllamino}-cyclohexyl)-2-(2-iodophenyl)acetamide;
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(5-methoxy-2-methyl-1H-in-dol-3-yl)acetamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-oxoindane-1-carboxamide; 2-benzyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)benzamide;
  - 2,2-bis(4-chlorophenyl)-N-(cis-4-{[4-(dimethylarnino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)acetamide:
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-(4-methyl-2-nitrophenyl)-2-furamide:
  - $N-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetra hydroquinazolin-2-yl]amino\}-cyclohexyl)-5-nitrothiophene-2-carboxamide:\\$
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-methyl-4-nitrobenzamide;
  N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-methoxy-4-nitrobenzamide;
  3-acetyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)benzamide;
  5-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-furamide;
  N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-furamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-[(4-methylpyrimidin-2-yl) thiolacetamide:
    - 5-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)-2-furamide:
    - 2-(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)acetamide:
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(4-hydroxy-3,5-dimethoxy-phenyl)acetamide;
  - 4,5-dibromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)thiophene-2-car-boxamide;

- N<sup>2</sup>,N<sup>6</sup>-dibenzoyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)lysinamide; 3-(dimethylamino)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)benzamide; 4,5-dibromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-furamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-(4-fluorophenyl)-4-oxobutanamide;
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(2-fluorobiphenyl-4-yl)propanamide;
  - N-(cis-4-{[4-(dimethylamino}-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-[4-(1-oxo-1,3-dihydro-2H-isoindol-2-yl)phenyl]propanamide;
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(1H-indol-3-yl)acetamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(7-methoxy-2-oxo-2H-chromen-4-yl)acetamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(1H-indol-3-yl)-4-oxo-4-phenylbutanamide;
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3,5-dimethyl-2-[({[4-(trifluoromethoxy)phenyl]amino}-benzamide;
  - 3,5-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)-cyclohexyl)-2-[(3-phenylprop-2-ynoyl)amino]benzamide;
  - $4-(4-tert-butylphenyl)-N-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino\} cyclohexyl)-10-(dimethylamino)-$
- 20 2-(7-ethyl-1H-indol-3-yl)-4-oxobutanamide;

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- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(1-methyl-1H-indol-3-yl)-4-(4-methylphenyl)-4-oxobutanamide;
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-methyl-1-(3-morpholin-4-yl-propyl)-5-phenyl-1H-pyrrole-3-carboxamide;
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-(4-nitrophenyl)butanamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(3-phenoxyphenyl)acetamide:
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(4-phenoxyphenyl)acetamide:
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(2-phenyl-1H-indol-3-yl) acetamide:
  - $N^2$ -benzoyl- $N^5$ -(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)- $N^1$ , $N^1$ -dipropylglutamamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-phenoxybenzamide;
- N-(cis-4-[[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(ethylthio)-2,2-diphenyla-
  - N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N,N-bis[(1S)-1-phenylethyl] phthalamide;
  - (2S)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-(2-fluorobiphenyl-4-yl) propanamide;
  - 2-[(4-chlombenzyl)thio]-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)-4-(4-methylphenyl)-4-oxobutanamide;
  - $N-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\}-cyclohexyl)-2-\{(1E)-5-fluoro-2-methyl-1-[4-(methylsulfonyl)benzylidene]-1H-inden-3-yl]acetamide;$
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-[4-(2-thienylcarbonyl)phenyl]propanamide;
  - 3-(benzyloxy)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-methoxybenzamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-methyl-1,5-diphenyl-1H-pyrrole-3-carboxamide:
  - $1-\{2-[(2-chloro-6-fluorobenzyl)thio]ethyl\}-N-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\}-(dimethyl-2-methyl-5-phenyl-1H-pyrrole-3-carboxamide;$
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-phenoxybenzamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-phenylquinoline-4-carboxa-
    - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-(3-nitrophenyl)-2-furamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-nitrothiophene-3-carboxamide;

- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-1-methyl-4-nitro-1H-pyrrole-2-carboxamide;
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-methoxy-4-nitrobenzamide;
  - N-(cis-4-{{4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-methoxy-2-phenylaceta-mide;
    - 5-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-hydroxybenzamide:
    - 3-bromo-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]benzamide; N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-2-(ethylthio)nicotinamide:
    - N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-2-(4-methoxyphenyl) acetamide;
    - N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-5-methyl-2-(trifluoromethyl)-3-furamide;
- (2E)-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-methyl]-3-(4-nitrophenyl) acrylamide;
  - N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-4-fluoro-3-methylben-zamide;
  - N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-2-(propylthio)nicotinamide;
  - $2, 6-dichloro-N-[(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\}-cyclohexyl) methyl] benzamide;\\$
  - N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-2,4,6-trimethylbenzamide;
  - 2-chloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-6-fluoroben-zamide;
    - 2,4,6-trichloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]benzamide;
    - N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-2-(2,3,6-trichlorophenyl)acetamide;
    - (2E)-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-methyl]-3-(3-nitrophenyl) acrylamide; and
    - N-[cis-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexylmethyl]-3,4-difluoro-benzamide;
- or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

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- [0082] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:
- N-(cis-4-{[4-(dimethylaminono)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-methoxybenzamide;
- 3-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)benzamide;
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2,1,3-benzoxadiazole-5-car-boxamide:
- 3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)benzamide;
- 4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroguinazolin-2-vl]amino}-cyclohexyl)benzamide;
- 45 4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-nitrobenzamide;
  - 2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)acetamide;
  - 3-cyano-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)benzamide;
  - 3,5-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)benzamide;
  - $3, 4-dichloro-N-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetra hydroquinazolin-2-yl]amino\}-cyclohexyl) benzamide;$
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2,2-diphenylacetamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3,4-difluorobenzamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroguinazolin-2-yl]amino}-cyclohexyl)-3,5-difluorobenzamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-fluorobenzamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-ftuoro-5-(trifluoromethyl) benzamide:
    - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-methyl-3-nitrobenzamide;
    - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-nitrobenzamide;
    - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-phenoxybutanamide;

- $N-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetra hydroquinazolin-2-yl]amino\}-cyclohexyl)-2-phenoxypropanamide;\\$
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-methylbenzamide;
- N-(cis-4-[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-iodobenzamide;

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- N-(cis-4-){[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(4-fluorophenyl)acetamide;
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2,5-dimethyl-3-furamide;
  - 3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-fluorobenzamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3,5-dimethoxybenzamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl)amino}-cyclohexyl)-3,5-bis(trifluoromethyl)benza-
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-fluoro-3-methylbenzamide; 2,5-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)thiophene-3-car-boxamide;
  - 5-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)nicotinamide;
  - 2-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-amino]-2-oxo-1-phenylethyl acetate:
  - 3-(2-chloro-6-fluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-5-methylisoxazole-4-carboxamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-fluorobenzamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-fluoro-3-(trifluoromethyl) benzamide:
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(4-methoxyphenoxy)-5-ni-trobenzamide:
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-nitro-2-furamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-y|]amino}-cyclohexyl)-2-phenoxyacetamide;
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-quinoxaline-2-carboxamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-(trifluoromethyl)benzamide; 2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}-cyclohexyl)acetamide;
  - 3-(2,6-dichlorophenyl}-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)-5-methylisoxazole-4-carboxamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(4-methylphenoxy)nicotinamide:
  - 2-(2-chloro-4-fluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl) acetamide:
- 5-(4-chloro-2-nitrophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-furamide:
  - 5-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)thiophene-2-carboxamide:
  - $N-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetra hydroquinazolin-2-yl]amino\}-cyclohexyl)-5-iodo-2-furamide;\\$
- 40 2,2-bis(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)acetamide:
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-nitrothiophene-2-carboxamide:
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-methyl-4-nitrobenzamide;
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-methoxy-4-nitrobenzamide; 3-acetyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)benzamide; 5-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-furamide; 5-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)-2-furamide:
- 50 2-(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)acetamide;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(4-hydroxy-3,5-dimethoxy-phenyl)acetamide;
  - 4,5-dibromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-furamide;
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(1H-indol-3-yl)acetamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(7-methoxy-2-oxo-2H
  - chromen-4-yl)acetamide;

omethoxy)phenyl] amino]carbonyl)amino]-benzamide;

3,5-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-[(3-phenylprop-2-ynoyl)amino]benzamide;

4-(4-tert-butylphenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)-

2-(7-ethyl-1H-indol-3-yl)-4-oxobutanamide;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-methyl-1-(3-morpholin-4-yl-propyl)-5-phenyl-1H-pyrrole-3-carboxamide:

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-(4-nitrophenyl)butanamide;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(2-phenyl-1H-indol-3-yl)

acetamide;

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 $N^2$ -benzoyl- $N^5$ -(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl)amino}-cyclohexyl)- $N^1$ , $N^1$ -dipropylglutamamide;

 $N-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetra hydroquinazolin-2-yl]amino\}-cyclohexyl)-3-phenoxybenzamide;\\$ 

N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N,N-bis[(1S)-1-phenylethyl] phthalamide;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-{(1E)-5-fluoro-2-methyl-1-[4-(methylsulfinyl)benzylidene]-1H-inden-3-yl}acetamide;

3-(benzyloxy)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-methoxyben-zamide;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-phenoxybenzamide;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-nitrothiophene-3-carboxamide;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-1-methyl-4-nitro-1H-pyrrole-2-carboxamide;

5-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-hydroxybenza-mide:

N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-2-(ethylthio)nicotinamide:

N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-2-(4-methoxyphenyl) acetamide;

N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-5-methyl-2-(trifluoromethyl)-3-furamide;

N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-2-(propylthio)nicotinamide; and

2,4,6-trichloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]benza-mide;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0083] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-5</sub> alkyl, and

C<sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- oxo.
- C<sub>1-5</sub> alkoxy carbonyl,
- · carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - halogen,
  - C<sub>1-5</sub> alkyl,

  - ← C<sub>1.5</sub> alkoxy,
- C<sub>1-5</sub> alkylthio, and
- heterocyclyl,
  - (ii) C<sub>3-6</sub> cycloalkyl, and

C<sub>3-6</sub> cycloalkyl substituted by carbocyclic aryl,

(iii) carbocyclyl, (iv) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: . 5 cyano, nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 10 halogen, oxo, and carbocyclic aryl, 15 C<sub>1-5</sub> alkoxy carbonyl, C<sub>1-7</sub> alkoxy, C<sub>1-7</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: halogen, and 20 carbocyclic aryl, C<sub>3-6</sub> cycloalkoxy, carbocyclic aryloxy, mono-C<sub>1-5</sub> alkylamino, 25 di-C<sub>1-5</sub> alkylamino, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by halogen, and carbocyclic aryl, 30 (v) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, 35 C<sub>1-5</sub> alkyl substituted by halogen, C<sub>1-5</sub> alkoxy carbonyl C<sub>1-5</sub> alkoxy carbonyl substituted by carbocyclic aryl, and carbocyclic aryl; L is Formula (VII); 40 Y is  $-C(O)NR_{5}$ -; wherein carbocyclic aryl is phenyl or naphthyl; carbocyclyl is indanyl, adamantly, or 9H-fluorenyl; heterocyclyl is 2,3-dihydro-benzo[1,4]dioxinyl, 3,4-dihydro-2H-benzo[b][1,4]dioxepinyl, 4H-benzo[1,3]dioxi-45 nyl, benzo[1,3]dioxolyl, furyl, isoxazolyl, piperidyl, pyridyl, or thienyl; halogen is fluoro, chloro, bromo, or iodo; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. [0084] In some embodiments of the present invention,  $R_2$  is methylamino or dimethylamino; p is 0;  $R_3$  and  $R_4$  are 50

hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>- R<sub>5</sub> is hydrogen; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0085] In some embodiments of the present invention,  $R_1$  is selected from the group consisting of:

(i) C<sub>1-5</sub> alkyl, and

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C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- C<sub>1-5</sub> alkoxy carbonyl,
- carbocyclic aryl, and

- · carbocyclic aryl substituted by halogen,
- (ii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

halogen,

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- nitro,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen,
- C<sub>1-5</sub> alkoxy, and
  - C<sub>1-5</sub> alkoxy substituted by halogen,
- (iii) heterocyclyl, and

heterocyclyl substituted by C<sub>1-5</sub> alkyl, and heterocyclyl substituted by carbocyclic aryl; wherein carbocyclic aryl is phenyl or naphthyl; heterocyclyl is isoxazolyl; halogen is fluoro, chloro, bromo, or iodo;

20 or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0086] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2-ethyl-6-methylphenyl) urea;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(4-fluorophenyl)urea;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-mesitylurea;

 $N-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetra hydroquinazolin-2-yl]amino\}-cyclohexyl)-N'-(2,4,6-trichlorophenyl)urea;\\$ 

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2,4,6-tribromophenyl)urea;

N-(2,4-dibromo-6-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cy-clohexyl)urea;

 $N-(2,6-diethylphenyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino\}cyclohexyl)urea;\\ N-(2-chlorobenzyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino\}cyclohexyl)urea;\\ N-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\}-cyclohexyl)-N'-(2-ethyl-6-isopropylphenyl)urea;\\ N-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\}-cyclohexyl)-N'-(2-ethyl-6-isopropylphenyl)urea;\\ N-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\}-cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}-cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}-cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}-cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}-cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}-cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}-cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}-cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}-cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}-cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}-cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}-cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}-cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}-cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}-cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}-cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}-cyclohe$ 

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2-ethylphenyl)urea; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2-isopropyl-6-methylphenyl)urea;

N-(2-tert-butyl-6-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(diphenylmethyl)urea; N-(4-bromo-2,6-dimethylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(3-methyl-5-phenylisoxa-zol-4-yl)urea;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-1-naphthylurea;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-[1-(1-naphthyl)ethyl]urea;

N-(2,4-dibromophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino]cyclohexyl)urea;

 $N-(2,4-dichlorobenzyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino\} cyclohexyl) urea;\\$ 

N-(2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea;

 $N-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetra hydroquinazolin-2-yl]amino\}-cyclohexyl)-N'-(2-ethoxyphenyl)urea;\\$ 

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-y|]amino}-cyclohexyl)-N'-(2-fluorobenzyl)urea;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(3,4,5-trimethoxyphenyl)

N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea; N-(4-chloro-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl) urea:

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(4-fluorobenzyl)urea;

- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(4-methoxy-2-methylphenyl)urea;
- N-(5-chloro-2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cy-clohexyl)urea;
- 5 N-[1-(4-bromophenyl)ethyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)
  - N-(4-bromo-2-methylphenyl}-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl) urea:
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(5-methyl-3-phenylisoxazol-4-yl)urea;
- N-(2,5-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea; N-(4-bromo-2-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl) urea:
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-N'-[2-(trifluoromethoxy)phenyl] urea:
- 20 N-[(cis-4-{[4-(dimethylanuno)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-N'-(2,6-dimethylphenyl)urea;

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- $N-(2,4-difluorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino\}cyclohexyl)methyl] urea:\\$
- N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-N'-(2-ethyl-6-methylphenyl)urea;
- ethyl N-{{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}-cyclohexyl)methyl]amino}carbon-yl)leucinate;
- N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-N'-(4-fluorophenyl) urea:
- N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-N'-mesitylurea;
  N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-N'-(2,4,6-trichlorophenyl)urea:
  - N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-N'-(2,4,6-tribromophenyl)urea;
- N-(2,6-diethylphenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)methyl]
  - N-[2-chloro-6-(trifluoromethyl)phenyl]-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cy-clohexyl)methyl]urea;
  - N-(2-chloro-6-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl) methyl]urea;
  - N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-N'-(2-ethyl-6-isopropylphenyl)urea;
  - $N-[(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetra hydroquinazolin-2-yl]amino\}-cyclohexyl)-methyl]-N'-(2-isopropyl-6-methylphenyl)urea;\\$
- 45 N-(2-tert-butyl-6-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cy-clohexyl)methyl]urea;
  - N-(2-tert-butylphenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)methyl] urea:
  - N-(3-chloro-2-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl) methyl]urea;
  - N-(4-bromo-2,6-dimethylphenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea;
  - $N-(2,6-diisopropylphenyl)-N'-[(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\}cyclohexyl) methyl]urea;\\$
- N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-methyl]-N'-(2,3-dimethyl-6-ni-trophenyl)urea;
  - N-(2,6-dibromo-4-fluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea;

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 $N-(2,6-dichlorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino\}cyclohexyl)me-(2,6-dichlorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino]cyclohexyl)me-(2,6-dichlorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino]cyclohexyl)me-(2,6-dichlorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino]cyclohexyl)me-(2,6-dichlorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino]cyclohexyl)me-(2,6-dichlorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino]cyclohexyl)me-(2,6-dichlorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino]cyclohexyl)-(2,6-dichlorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino]cyclohexyl)-(2,6-dichlorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino]cyclohexyl)-(2,6-dichlorophenyl)-(2,6-dichlorop$ thyl]urea; and 1-(2,3-dichloro-phenyl)-3-{cis-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexylmethyl}urea; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. [0087] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of: (i) C<sub>1-8</sub> alkyl, and C<sub>1-8</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkenyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, and ◆ C<sub>1-5</sub> alkoxy, heterocyclyl, (ii) C<sub>2-5</sub> alkynyl, (iii) C<sub>2-5</sub> alkenyl, (iv) C<sub>3-12</sub> cycloalkyl, (v) carbocyclyl, (vi) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, cyano, nitro, C<sub>1-10</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: → halogen, and oxo, carboxy, C<sub>1-5</sub> alkoxy carbonyl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: halogen, and carbocyclic aryl, carbocyclic aryloxy, carbocyclic aryloxy substituted by nitro, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, C<sub>1-5</sub> alkoxy carbonylamino, carbocyclic aryl azo, • carbocyclic aryl azo substituted by substituent(s) independently selected from the group consisting of:

mono-C<sub>1-5</sub> alkylamino, and
 di-C<sub>1-5</sub> alkylamino,

- C<sub>1-5</sub> alkylthio,
- C<sub>1-5</sub> alkylthio substituted by halogen,
- · carbocyclic arylthio,
- carbocyclic arylthio substituted by nitro,
- amino sulfonyl,
  - · heterocyclyl sulfonyl,
  - C<sub>3-6</sub> cycloalkyl,
  - C<sub>3-6</sub> cycloalkyl substituted by C<sub>1-5</sub> alkyl,
  - · carbocyclic aryl, and
- heterocyclyl,

## (vii) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkoxy carbonyl,
  - · carbocyclic aryloxy,
  - · carbocyclic aryl, and
  - heterocyclyl;

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L is Formula (VII);

Y is -C(S)NR<sub>5</sub>-;

wherein carbocyclic aryl is phenyl or naphthyl;

carbocyclyl is indanyl, bicyclo[2.2.1]heptyl, bicyclo[2.2.1]heptenyl, or adamantly;

heterocyclyl is 2,3-dihydro-benzo[1,4]dioxinyl, 4,5,6,7-tetrahydro-benzo[b]thienyl, benzo[1,3]dioxolyl, benzo [2,1,3]thiadiazolyl, furyl, isoxazolyl, morpholinyl, oxazolyl, phenanthro[9,10-d]oxazolyl, piperidyl, pyrazolyl, pyridyl, tetrahydrofuryl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

**[0088]** In some embodiments of the present invention,  $R_2$  is methylamino or dimethylamino; p is 0;  $R_3$  and  $R_4$  are hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-:  $R_5$  is hydrogen; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0089] In some embodiments of the present invention,  $R_1$  is selected from the group consisting of:

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(i) C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by carbocyclic aryl,

(ii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

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- halogen,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by halogen,
- mono-C<sub>1-5</sub> alkylamino, and
- di-C<sub>1-5</sub> alkylamino;

wherein carbocyclic aryl is phenyl or naphthyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0090] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

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 $N-(2,4-dimethoxyphenyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\}cyclohexyl) thiourea:$ 

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(3,4,5-trimethoxyphenyl)

thiourea:

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N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea;

N-[4-(dimethylamino)-1-naphthyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2-methoxy-5-methylphenyl)thiourea;

N-(4-bromo-2-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl) thiourea:

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(4-iodophenyl)thiourea; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2,4,6-tribromophenyl) thiourea;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2,4,6-trichlorophenyl) thiourea;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-mesitylthiourea; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2,4-dimethylphenyl)thiourea:

N-(2,6-diethylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]-amino}cyclohexyl)thiourea; N-(4-bromo-2,6-dimethylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea;

N-(4-bromo-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl) thiourea:

 $N-[4-bromo-2-(trifluoromethyl)phenyl]-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\} cyclohexyl)thiourea;\\$ 

N-(4-chloro-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl) thiourea:

N-[4-chloro-2-(trifluoromethyl)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(4-fluoro-2-methylphenyl) thiourea;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(4-methoxy-2-methylphenyl)thiourea;

 $N-(5-chloro-2,4-dimethoxyphenyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\} cyclohexyl) thiourea;\\$ 

N-(2,4-dibromo-6-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cy-clohexyl)thiourea;

 $N-(2,4-dichloro-6-methylphenyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\} cyclohexyl) thiourea;\\$ 

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2-ethoxyphenyl)thiourea; N-[4-bromo-2-(trifluoromethoxy)phenyl]-N'-(cis-4-{[(4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)thiourea;

 $N-(4-chloro-2,5-dimethoxyphenyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\} cyclohexyl) thiourea; and the sum of the sum of$ 

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2,2-diphenylethyl)thiourea;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0091] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-8</sub> alkyl, and

C<sub>1-8</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
- carbocyclyl,
  - carbocyclic aryl,
  - carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

halogen, nitro, and C<sub>1-5</sub> alkoxy, 5 (ii) C<sub>2-5</sub> alkenyl, (iii) carbocyclyl, (iv) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 10 halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, and C<sub>1-5</sub> alkoxy; L is Formula (VII); 15 Y is -C(O)O-; wherein carbocyclic aryl is phenyl or naphthyl; carbocyclyl is 9H-fluorenyl or menthyl; and halogen is fluoro, chloro, bromo, or iodo; 20 or a pharmaceutically acceptable salt, hydrate, or solvate thereof. [0092] In some embodiments of the present invention, R2 is methylamino or dimethylamino; p is 0; R3 and R4 are hydrogen; A is a single bond; B is a single bond or -CH2-; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. [0093] In some embodiments of the present invention, Q is Formula (IV); p is 0; R<sub>1</sub> is selected from the group consisting of: (i) C<sub>1-8</sub> alkyl, and C<sub>1-8</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 30 halogen, oxo. C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, 35 C<sub>1-5</sub> alkylcarbonyloxy, carbocyclic aryloxy, carbocyclic aryloxy substituted by halogen, carbocyclic aryloxy substituted by nitro, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, 40 heterocyclyloxy, heterocyelyloxy substituted by C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxycarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, 45 mono-C<sub>1-5</sub> alkylamino, mono-C<sub>1-5</sub> alkylamino substituted by cyano, mono-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino substituted by cyano, 50 di-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl, mono-carbocyclic arylamino, mono-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl, di-carbocyclic arylamino, di-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl, 55 C<sub>1-5</sub> alkoxycarbonylamino, carbocyclic arylcarbonylamino, C<sub>1-5</sub> alkylthio,

C<sub>1-5</sub> alkylthio substituted by substituent(s) independently selected from the group consisting of:

carbocyclic aryl,

- carbocyclic aryl substituted by halogen, and carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy, 5 carbocyclic arylthio, heterocyclylthio, heterocyclylthio substituted by nitro, heterocyclylthio substituted by C<sub>1-5</sub> alkyl, C<sub>3-6</sub> cycloalkyi, C<sub>3-6</sub> cycloalkenyl, 10 carbocyclyl, carbocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, C<sub>2-5</sub> alkenyl, and C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: 20 ••• carbocyclic aryl, and ••• carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 25 hydroxy, nitro, C<sub>1-5</sub> alkyl, 30 C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: ••• oxo, carbocyclic aryl, and ••• heterocyclyl, 35 C<sub>2-5</sub> alkenyl, C<sub>2-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, 40 carbocyclic aryloxy, carbocyclic aryl, and heterocyclyl, heterocyclyl, and 45 heterocyclyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, C<sub>1-5</sub> alkoxy, • C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, 50 carbocyclic aryl, and • carbocyclic aryl substituted by halogen, (ii) C<sub>2-7</sub> alkenyl, and 55 C<sub>2-7</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl.
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carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

halogen, nitro, and C<sub>1-5</sub> alkoxy, 5 (iii) C<sub>2-5</sub> alkynyl, and C<sub>2-5</sub> alkynyl substituted by carbocyclic aryl, (iv) C<sub>3-6</sub> cycloalkyl, and C3-6 cycloalkyl substituted by substituent(s) independently selected from the group consisting of: 10 C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by oxo, C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, and carbocyclic aryl, 15 (v) carbocyclyl, (vi) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, 20 hydroxy, cyano, nitro. C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 25 halogen, carbocyclic aryloxy, carbocyclic aryl, and 30 carbocyclic aryl substituted by C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: 35 halogen, carbocyclic aryl, and halogenated carbocyclic aryl, C<sub>2-5</sub> alkenyloxy, C<sub>3-6</sub> cycloalkoxy, 40 carbocyclic aryloxy, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxycarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl, 45 di-C<sub>1-5</sub> alkylaminocarbonyl,  $mono-C_{1-5}$  alkylaminocarbonyl substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, mono-C<sub>1-5</sub> alkylamino, 50 di-C<sub>1-5</sub> alkylamino, mono-C<sub>1-5</sub> alkylamino substituted by cyano, di-C<sub>1-5</sub> alkyłamino substituted by cyano, C<sub>2-5</sub> alkynylcarbonylamino,

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(carbocyclic aryl)NHC(O)NH substituted by haloganated  $C_{1-5}$  alkoxy,

C<sub>2-5</sub> alkynylcarbonylamino substituted by carbocyclic aryl,

(carbocyclic aryl)NHC(O)NH substituted by C<sub>1-5</sub> alkoxy,

(carbocyclic aryl)NHC(O)NH,

C<sub>1-5</sub> alkylthio,

- C<sub>1-5</sub> alkylthio substituted by halogen,
- · carbocyclic arylthio,
- · carbocyclic arylthio substituted by cyano,
- mono-C<sub>1-5</sub> alkylaminosulfonyl,
- di-C<sub>1-5</sub> alkylaminosulfonyl,
  - · carbocyclic aryl,
- · heterocyclyl, and
- · heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

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- carbocyclic aryl, and
- halogenated carbocyclic aryl,

## (vii) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- · halogen,
- nitro,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
  - halogen,
  - ◆ hydroxy,
  - ← C<sub>1-5</sub> alkylthio,
  - C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl,
  - C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl,
  - carbocyclic aryl,
  - carbocyclic aryl substituted by halogen, and
  - heterocyclyl,

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- C<sub>1-5</sub> alkoxy,
- · carbocyclic aryloxy,
- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkylthio,
- C<sub>2-5</sub> alkenylthio,
  - carbocyclic arylthio,
  - carbocyclic arylthio substituted by C<sub>1-5</sub> alkoxycarbonyl,
  - C<sub>1.5</sub> alkylsulfonyl,
  - · carbocyclic arylsulfonyl,
- carbocyclic arylsulfonyl substituted by C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkoxycarbonyl,
  - carbocyclic aryl,
  - carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
- - nitro,
  - ◆ C<sub>1-5</sub> alkyl, and
  - ◆ C<sub>1-5</sub> alkyl substituted by halogen,
- heterocyclyl;

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wherein carbocyclic aryl is phenyl, naphthyl, or anthranyl;

carbocyclyl is 1,2,3,4-tetrahydronaphthyl, 1-oxo-indanyl, 9-fluorenyl, 9-oxo-9*H*-fluorenyl, bicyclo[2.2.1]heptyl, indenyl, or menthyl;

heterocyclyl is 1,2,3-triazolyl, 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-1-oxo-isoindolyl, 2,3-dihydro-benzo[1,4] dioxinyl, 2,3-dihydro-benzofuryl, 2,4-dihydro-3-oxo-pyrazolyl, 2*H*-benzopyranyl, 2-oxo-benzopyranyl, 3,4-dihydro-2*H*-benzo[b][1,4]dioxepinyl, 4-oxo-1,5,6,7-tetrahydro-indolyl, 4-oxo-benzopyranyl, 9*H*-carbazolyl, 9*H*-xanthenyl, benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[b]thienyl, benzofuryl, benzothiazolyl,

furyl, imidazo[2,1-b]thiazolyl, imidazolyl, isoxazolyl, morpholino, pyrazolyl, pyridyl, pyrimidyl, quinolyl, quinoxalyl, thiazolyl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0094] In some embodiments of the present invention,  $R_1$  is selected from the group consisting of:

(i) C<sub>1-7</sub> alkyl, and

C<sub>1-7</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- C<sub>1.5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
- · carbocyclic aryloxy,
- · carbocyclic aryloxy substituted by halogen,
- mono-C<sub>1-5</sub> alkylamino,
  - mono-C<sub>1-5</sub> alkylamino substituted by substituent(s) independently selected from the group consisting of:
    - e cyano, and
    - carbocyclic aryl,

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- di-C<sub>1-5</sub> alkylamino,
- di-C<sub>1-5</sub> alkylamino substituted by substituent(s) independently selected from the group consisting of:
  - ⇔ cyano, and
  - carbocyclic aryl,
- mono-carbocyclic arylamino,
- di-carbocyclic arylamino,
- mono-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl,
- di-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl,
- carbocyclic aryl,
- · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - → halogen,
  - C<sub>1-5</sub> alkyl, and
  - ◆ C<sub>1-5</sub> alkoxy,
- (ii) C2-7 alkenyl, and

C<sub>2-7</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:

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- · carbocyclic aryl, and
- carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy,
- (iii) C<sub>2-5</sub> alkynyl, and

C<sub>2-5</sub> alkynyl substituted by carbocyclic aryl,

(iv) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- hydroxy,
- cyano,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
  - → halogen.
  - carbocyclic aryl, and

- carbocyclic aryl substituted by halogen.
- C<sub>2-5</sub> alkenyloxy,
- mono-C<sub>1-5</sub> alkylamino,
- di-C<sub>1-5</sub> alkylamino,
  - mono-C<sub>1-5</sub> alkylamino substituted by cyano,
  - di-C<sub>1-5</sub> alkylamino substituted by cyano,
  - C<sub>1-5</sub> alkylthio, and
  - C<sub>1-5</sub> alkylthio substituted by halogen,

(v) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- C<sub>1-5</sub> alkyl,

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- C<sub>1-5</sub> alkyl substituted by hydroxy,
- C<sub>1-5</sub> alkoxy,
- · carbocyclic arylthio,
- carbocyclic arylthio substituted by C<sub>1-5</sub> alkoxycarbonyl,
- C<sub>1-5</sub> alkoxycarbonyl,
- carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - halogen,
  - C<sub>1-5</sub> alkyl, and
  - C<sub>1-5</sub> alkyl substituted by halogen;

L is Formula (VII);

Y is a single bond or -CH<sub>2</sub>-;

wherein carbocyclic aryl is phenyl or naphthyl;

heterocyclyl is 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-benzo[1,4]dioxinyl, 4-oxo-benzopyranyl, 9*H*-carbazolyl, benzo[1,3]dioxolyl, benzo[b]thienyl, furyl, imidazo[2,1-b]thiazolyl, pyrazolyl, pyridyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0095] In some embodiments of the present invention, R<sub>2</sub> is methylamino, or dimethylamino; p is 0; R<sub>3</sub> and R<sub>4</sub> are hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0096] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>2-5</sub> alkenyl, and

C<sub>2-5</sub> alkenyl substituted by carbocyclic aryl,

(ii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
  - hydroxy,
  - C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
  - •• halogen
  - carbocyclic aryl, and
  - •• carbocyclic aryl substituted by halogen,
  - C<sub>2-5</sub> alkenyloxy,
  - mono-C<sub>1-5</sub> alkylamino,
  - di-C<sub>1-5</sub> alkylamino,

- mono-C<sub>1-5</sub> alkylamino substituted by cyano, and
- di-C<sub>1-5</sub> alkylamino substituted by cyano,
- (iii) heterocyclyl, and
  - heterocyclyl substituted by substituent(s) independently selected from the group consisting of:
- halogen,

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- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxycarbonyl,
  - carbocyclic aryl,
  - carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
    - halogen,
    - C<sub>1-5</sub> alkyl, and
    - C<sub>1.5</sub> alkyl substituted by halogen;

wherein carbocyclic aryl is phenyl or naphthyl;

heterocyclyl is 1*H*-indolyl, 9*H*-carbazolyl, benzo[1,3]dioxolyl, pyrazolyl, or pyridyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0097] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>2-5</sub> alkenyl, and

C2-5 alkenyl substituted by carbocyclic aryl,

(ii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- nalogen,
  - hydroxy,
  - C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkoxy,
  - C<sub>1-5</sub> alkoxy substituted by halogen,
- C<sub>2-5</sub> alkenyloxy,
  - (iii) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- 40 halogen,
  - C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkoxy,
  - C<sub>1-5</sub> alkoxycarbonyl,
  - carbocyclic aryl,
  - carbocyclic aryl substituted by C<sub>1-5</sub> alkyl, and
  - carbocyclic aryl substituted by halogenated C<sub>1-5</sub> alkyl;

wherein carbocyclic aryl is phenyl or naphthyl;

heterocyclyl is 1H-indolyl, 9H-carbazolyl, benzo[1,3]dioxolyl, or pyrazolyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0098] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

N<sup>2</sup>-(cis-4-{[(5-bromo-1H-indol-3-yl)methyl]amino}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine; N<sup>2</sup>-[cis-4-({[5-(4-fluorophenyl)pyridin-3-yl]methyl}amino)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine; ethyl 4,6-dichloro-3-{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-amino]methyl}-1H-indole-

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2-carboxylate;
           N<sup>2</sup>-(cis-4-{[(2.6-dimethoxybenzyl)amino]methyl}cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2.4-diamine:
           N<sup>2</sup>-(cis-4-{[(2-ethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
           N<sup>2</sup>-cis-4-({[(4-methoxy-1-naphthyl)methyl]amino}methyl)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
           N2-[cis-4-({[(5-methoxy-1H-indol-3-yl)methyl]amino}methyl)cyclohexyl]-N4,N4-dimethylpyrimidine-2,4-diamine;
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           N<sup>2</sup>-[cis-4-({[(2-methoxy-1-naphthyl)methyl]amino}methyl)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
           4-bromo-2-({[(cis-4-{[4-(dimethy!amino)pyrimidin-2-yl]amino)}-cyclohexyl)methyl]-amino}methyl)-6-methoxyphe-
           nol;
           N2-[cis-4-([(5-bromo-1H-indol-3-yl)methyl]amino}methyl)cyclohexyl]-N4,N4-dimethylpyrimidine-2,4-diamine;
           N<sup>2</sup>-(cis-4-{[(2,4-dimethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
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            N4,N4-dimethyl-N2-(cis-4-{[(2,3,4-trimethoxybenzyl)amino]methyl}-cyclohexyl)pyrimidine-2,4-diamine;
            N2-(cis-4-{[(3-ethoxy-4-methoxybenzyl)amino]methyl}cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine;
            N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[({3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl)methyl)-amino]methyl}cyclohexyl)pyri-
            midine-2,4-diamine;
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            N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[(3,4,5-trimethoxybenzyl)amino]methyl}-cyclohexyl)pyrimidine-2,4-diamine;
            4-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]amino}methyl)-2-iodo-6-methoxyphenol;
            4-({(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl}-amino}methyl)-2,6-dimethylphenol;
            N<sup>2</sup>-(cis-4-{[(5-bromo-2,4-dimethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
            N<sup>2</sup>-(cis-4-{[(5-bromo-2-methoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
            N2-[cis-4-({[4-(diethylamino)benzyl]amino}methyl)cyclohexyl]-N4,N4-dimethylpyrimidine-2,4-diamine;
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            N2-[cis-4-([[(9-ethyl-9H-carbazol-3-yl)methyl]amino}methyl)cyclohexyl]-N4,N4-dimethylpyrimidine-2,4-diamine;
            N<sup>2</sup>-(cis-4-{[(4-isopropoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
            N<sup>2</sup>-(cis-4-{[(3,3-diphenylprop-2-en-1-yl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
            4-({\(\cis-4-\(\)\)-2-ethoxypheno\);
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            N<sup>2</sup>-{cis-4-[({[4-(dimethylamino)-1-naphthyl]methyl}amino)methyl]-cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-di-
            amine;
            N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[(2,4,6-trimethoxybenzyl)amino]methyl}-cyclohexyl)pyrimidine-2,4-diamine;
            N<sup>2</sup>-(cis-4-{[(5-bromo-2-ethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
            N<sup>2</sup>-(cis-4-{[(2,4-dimethoxy-3-methylbenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
            N2-(cis-4-{[(2,5-diethoxybenzyl)amino]methyl}cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine;
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            N<sup>2</sup>-(cis-4-{[(2,4-diethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
            N<sup>2</sup>-(cis-4-{[(3,5-dibromo-2-methoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
            N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[(2,4,5-triethoxybenzyl)amino]methyl}-cyclohexyl)pyrimidine-2,4-diamine;
            N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[(2,4,5-trimethoxybenzyl)amino]methyl}-cyclohexyl)pyrimidine-2.4-diamine;
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            N<sup>2</sup>-[cis-4-({[2-(allyloxy)benzyl]amino}methyl)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
            N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-[cis-4-({[(1-methyl-1H-indol-3-yl)methyl]amino}-methyl)cyclohexyl]-pyrimidine-2,4-diamine;
            N2-[cis-4-([[(7-methoxy-1,3-benzodioxol-5-yl)methyl]amino}methyl)-cyclohexyl]-N4-dimethylpyrimidine-2,4-di-
            N2-(cis-4-{[(3-bromo-4,5-dimethoxybenzyl)amino]methyl}cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine;
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            N<sup>2</sup>-(cis-4-{[(4-methoxy-3-methylbenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
            N2-(cis-4-{[(2-bromo-4,5-dimethoxybenzyl)amino]methyl}cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine;
            N<sup>2</sup>-(cis-4-{[(3,4-dimethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
            N<sup>2</sup>-(cis-4-{[(4-methoxy-2,5-dimethylbenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
            3-[[4-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]amino}-methyl)phenyl](methyl)amino]
            propanenitrile;
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            N<sup>2</sup>-{cis-4-{({4-[(4-horomobenzyl)oxy]benzyl}amino)methyl]cyclohexyl}-N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
            N<sup>2</sup>-(cis-4-{[(3,5-dibromo-2-ethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
            N<sup>2</sup>-[4-(4-bromo-2-trifluoromethoxy-benzyl)amino-cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethyl-pyrimidine-2,4-diamine;
            N<sup>2</sup>-{cis-4-[2-(4-bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>-dimethyl-pyrimidine-2,4-di-
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or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0099] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

N<sup>2</sup>-{cis-4-[(4-bromo-2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>-dimethyl-pyrimidine-2,4-di-

ethyl 4,5-dichloro-3-{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-amino]methyl}-1H-indole-

#### 2-carboxylate;

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 $N^2-[\text{cis-4}^-(\{[(4-\text{methoxy-1-naphthyl})\text{methyl}]\text{amino}\}\text{methyl}]\text{cyclohexyl}]-N^4, N^4-\text{dimethyl}\text{pyrimidine-2,4-diamine}; \\ N^2-[\text{cis-4}^-(\{[(2-\text{methoxy-1-naphthyl})\text{methyl}]\text{amino}}\text{methyl}]\text{cyclohexyl}]-N^4, N^4-\text{dimethyl}\text{pyrimidine-2,4-diamine}; \\ 4-\text{bromo-2}^-(\{[(\text{cis-4-}\{[4-(\text{dimethylamino})\text{pyrimidin-2-yl}]\text{amino}}\text{-cyclohexyl})\text{methyl}]\text{-amino}}\text{methyl})\text{-6-methoxyphenol}; \\ N^2-[\text{cis-4}^-(\{[(\text{cis-4-}\{[4-(\text{dimethylamino})\text{pyrimidin-2-yl}]\text{amino}}\text{-cyclohexyl})\text{methyl}]\text{-amino}}\text{-methoxyphenol}; \\ N^2-[\text{cis-4}^-(\{[(\text{cis-4-}\{[4-(\text{dimethylamino})\text{pyrimidin-2-yl}]\text{amino}}\text{-cyclohexyl})\text{-methyl}]\text{-amino}}\text{-methoxyphenol}; \\ N^2-[\text{cis-4}^-(\{[(\text{cis-4-}\{[4-(\text{dimethylamino})\text{pyrimidin-2-yl}]\text{-amino}}\text{-cyclohexyl})\text{-methyl}]\text{-amino}}\text{-methyl}$ 

 $N^2-[cis-4-([(5-bromo-1H-indol-3-yl)methyl]amino]methyl)cyclohexyl]-N^4,N^4-dimethylpyrimidine-2,4-diamine;\\ N^4,N^4-dimethyl-N^2-(cis-4-[((2,3,4-trimethoxybenzyl)amino]methyl]-cyclohexyl)pyrimidine-2,4-diamine;\\ N^2-(cis-4-\{[(3-ethoxy-4-methoxybenzyl)amino]methyl]-cyclohexyl)-N^4,N^4-dimethylpyrimidine-2,4-diamine;\\ N^4,N^4-dimethyl-N^2-(cis-4-\{[([3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl)-amino]methyl]-cyclohexyl)pyrimidine-2,4-diamine;\\ N^4-dimethyl-N^2-(cis-4-\{[([3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl)-amino]methyl]-cyclohexyl)pyrimidine-2,4-diamine;\\ N^4-dimethyl-N^2-(cis-4-[([3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl)-amino]methyl]-cyclohexyl)pyrimidine-2,4-diamine;\\ N^4-dimethyl-N^2-(cis-4-[([3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl)-amino]methyl]-cyclohexyl)pyrimidine-2,4-diamine;\\ N^4-dimethyl-N^2-(cis-4-[([3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl)-amino]methyl]-cyclohexyl)pyrimidine-2,4-diamine;\\ N^4-dimethyl-N^2-(cis-4-[([3-[4-(trifluoromethyl]phenyl]-1H-pyrazol-4-yl]methyl)-amino]methyl]-cyclohexyl)-cyclohexyl)-cyclohexyl)-cyclohexyl)-cyclohexyl-cyclohex$ 

N2-[cis-4-([(9-ethyl-9H-carbazol-3-yl)methyl]amino)methyl)cyclohexyl]-N4,N4-dimethylpyrimidine-2,4-diamine; N2-(cis-4-[[(3,3-diphenylprop-2-en-1-yl)amino]methyl]cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine; N4,N4-dimethyl-N2-(cis-4-{[(2,4,6-trimethoxybenzyl)amino]methyl}-cyclohexyl)pyrimidine-2,4-diamine; N2-(cis-4-{[(5-bromo-2-ethoxybenzyl)amino]methyl}cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine; N2-(cis-4-{[(2,4-dimethoxy-3-methylbenzyl)amino]methyl}cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine:

N²-(cis-4-{[(2,5-diethoxybenzyl)amino]methyl}cyclohexyl)-N⁴,N⁴-dimethylpyrimidine-2,4-diamine;
N²-(cis-4-{[(3,5-dibromo-2-methoxybenzyl)amino]methyl}cyclohexyl)-N⁴,N⁴-dimethylpyrimidine-2,4-diamine;
N⁴,N⁴-dimethyl-N²-(cis-4-{[(2,4,5-triethoxybenzyl)amino]methyl}-cyclohexyl)pyrimidine-2,4-diamine;
N²-[cis-4-({[2-(allyloxy)benzyl]amino}methyl)cyclohexyl]-N⁴,N⁴-dimethylpyrimidine-2,4-diamine;
N²-[cis-4-({[(7-methoxy-1,3-benzodioxol-5-yl)methyl]amino}methyl)-cyclohexyl]-N⁴,N⁴-dimethylpyrimidine-2,4-di-

amine;  $N^2-(cis-4-\{[(3-bromo-4,5-dimethoxybenzyl)amino]methyl\}cyclohexyl)-N^4,N^4-dimethylpyrimidine-2,4-diamine; \\N^2-\{cis-4-\{2-(4-bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl\}-N^4,N^4-dimethyl-pyrimidine-2,4$ 

N<sup>2</sup>-{cis-4-[(4-bromo-2-thifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>-dimethyl-pyrimidine-2,4-dimension

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0100] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

35 (i) C<sub>1-5</sub> alkyl, and

amine: and

C<sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- oxo.
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
  - C<sub>1-5</sub> alkylcarbonyloxy,
  - carbocyclic aryloxy,
  - carbocyclic aryloxy substituted by halogen,
  - carbocyclic aryloxy substituted by nitro,
- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy,
  - heterocyclyloxy,
  - heterocyclyloxy substituted by C<sub>1-5</sub> alkyl,
  - mono-C<sub>1-5</sub> alkylaminocarbonyl,
  - di-C<sub>1-5</sub> alkylaminocarbonyl,
- mono-C<sub>1-5</sub> alkylamino,
  - di-C<sub>1-5</sub> alkylamino,
  - mono-carbocyclic arylamino,
  - di-carbocyclic arylamino,
  - mono-carbocyclic arylamino substituted by halogen,
  - di-carbocyclic arylamino substituted by halogen,
    - carbocyclic arylcarbonylamino,
    - C<sub>1-5</sub> alkoxycarbonylamino,
    - C<sub>1-5</sub> alkylthio,

C<sub>1-5</sub> alkylthio substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 5 ••• halogen, and ••• C<sub>1-5</sub> alkoxy, carbocyclic arylthio, 10 heterocyclylthio, heterocyclylthio substituted by C<sub>1-5</sub> alkyl, heterocyclylthio substituted by nitro, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkenyl, 15 carbocyclyl, carbocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, 20 C<sub>2-5</sub> alkenyl, and C<sub>2.5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: ••• carbocyclic aryl, and 25 ••• carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 30 halogen, hydroxy, nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 35 carbocyclic aryl, and ••• heterocyclyl, 40 C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, carbocyclic aryloxy, carbocyclic aryl, and 45 heterocyclyl, heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: 50 C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, carbocyclic aryl, and 55 carbocyclic aryl substituted by halogen, (ii) C<sub>2-5</sub> alkenyl, and

C<sub>2.5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:

carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, and 5 nitro, (iii) C<sub>3-6</sub> cycloalkyl, and C3-6 cycloalkyl substituted by substituent(s) independently selected from the group consisting of: 10 C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: → oxo, and carbocyclic aryl, and 15 carbocyclic aryl, (iv) carbocyclyl, (v) carbocyclic aryl, and 20 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, cyano, 25 nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: halogen, 30 oxo, carbocyclic aryloxy, carbocyclic aryl, and carbocyclic aryl substituted by C<sub>1-5</sub> alkyl, 35 C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: • halogen, and carbocyclic aryl, 40 carbocyclic aryloxy, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1.5</sub> alkylaminocarbonyl, 45 mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, 50 C<sub>2-5</sub> alkynylcarbonylamino, C<sub>2-5</sub> alkynylcarbonylamino substituted by carbocyclic aryl, (carbocyclic aryl)NHC(O)NH, (carbocyclic aryl)NHC(O)NH substituted by  $C_{1-5}$  alkoxy, (carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy, 55 C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by halogen, carbocyclic arylthio,

carbocyclic arylthio substituted by cyano,

- mono-C<sub>1-5</sub> alkylaminosulfonyl,
- di-C<sub>1-5</sub> alkylaminosulfonyl, and
- · carbocyclic aryl,
- carbocyclic aryl substituted by halogen,
- heterocyclyl, and
  - · heterocyclyl substituted by substituent(s) independently selected from the group consisting of:
    - ← C<sub>1-5</sub> alkyl,
    - carbocyclic aryl, and
    - halogenated carbocyclic aryl,

## (vi) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

• halogen,

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- nitro,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
- - ⇔ C<sub>1-5</sub> alkylthio,
  - C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl,
  - ← C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl,
  - carbocyclic aryl,
  - · carbocyclic aryl substituted by halogen, and
  - heterocyclyl,
  - C<sub>1-5</sub> alkoxy,
  - carbocyclic aryloxy,
  - carbocyclic aryloxy substituted by halogen,
    - carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl,
    - C<sub>1-5</sub> alkylthio,
    - C<sub>2-5</sub> alkenylthio,
    - · carbocyclic arylthio,
    - C<sub>1-5</sub> alkylsulfonyl,
      - · carbocyclic arylsulfonyl,
      - carbocyclic arylsulfonyl substituted by C<sub>1-5</sub> alkyl,
      - carbocyclic aryl,
      - carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
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- halogen,
- nitro, and
- C<sub>1-5</sub> alkyl,
- heterocyclyl;

L is Formula (VII);

Y is -C(O)-;

wherein carbocyclic aryl is phenyl, naphthyl, or anthranyl;

carbocyclyl is 1,2,3,4-tetrahydronaphthyl, 1-oxo-indanyl, 9-oxo-9H-fluorenyl, or indenyl;

heterocyclyl is 1,2,3-triazolyl, 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-1-oxo-isoindolyl, 2,3-dihydro-benzofuryl, 2,4-dihydro-3-oxo-pyrazolyl, 2*H*-benzopyranyl, 2-oxo-benzopyranyl, 4-oxo-1,5,6,7-tetrahydro-indolyl, 9*H*-xanthenyl, benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[b]thienyl, benzofuryl, benzothiazolyl, furyl, imidazolyl, isoxazolyl, morpholino, pyrazolyl, pyridyl, pyrimidyl, quinolyl, quinoxalyl, thiazolyl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0101] In some embodiments of the present invention,  $R_2$  is hydrogen, trifluoromethyl, methoxy, methylamino, dimethylamino, ethyl amino, ethylmethylamino, or hydroxylethylmethylamino; p is 0;  $R_3$  and  $R_4$  are hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0102] In some embodiments of the present invention,  $R_1$  is selected from the group consisting of:

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(i) C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- oxo
  - · carbocyclic aryloxy,
  - · carbocyclic aryloxy substituted by halogen,
  - carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy,
  - mono-C<sub>1-5</sub> alkylaminocarbonyl,
  - di-C<sub>1-5</sub> alkylaminocarbonyl,
  - mono-C<sub>1-5</sub> alkylamino,
  - di-C<sub>1-5</sub> alkylamino,
  - · mono-carbocyclic arylamino,
  - di-carbocyclic arylamino.
  - mono-carbocyclic arylamino substituted by halogen,
  - · di-carbocyclic arylamino substituted by halogen,
  - carbocyclic arylcarbonylamino,
  - C<sub>1-5</sub> alkylthio,
  - C<sub>1-6</sub> cycloalkyl,
  - carbocyclyl,
- carbocyclyl substituted by substituent(s) independently selected from the group consisting of:
  - → halogen,
  - C<sub>1-5</sub> alkyl,

  - C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:
    - ••• carbocyclic aryl, and
    - ••• carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl,
- carbocyclic aryl,
  - · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
    - → halogen,
    - → hydroxy,
    - → nitro,
    - ◆ C<sub>1-5</sub> alkyl,
    - C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
      - ••• oxo.
      - ••• carbocyclic aryl, and
      - ••• heterocyclyl,
    - ← C<sub>1-5</sub> alkoxy,
    - ← C<sub>1-5</sub> alkoxy substituted by halogen,

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- heterocyclyl, and
- heterocyclyl substituted by substituent(s) independently selected from the group consisting of:
  - C<sub>1-5</sub> alkyl,
  - e carbocyclic aryl, and
  - carbocyclic aryl substituted by halogen,
- (ii) C2-5 alkenyl, and

C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:

- carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - halogen, and
  - → nitro,
- (iii) carbocyclyl,
- (iv) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- hydroxy,
- 15 nitro,

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- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
  - → halogen,
  - → oxo, and
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
- → halogen, and
- · carbocyclic aryloxy,
- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy,
  - mono-C<sub>1-5</sub> alkylaminocarbonyl,
  - di-C<sub>1-5</sub> alkylaminocarbonyl,
  - mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl,
  - di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl,
- mono-C<sub>1-5</sub> alkylamino,
  - di-C<sub>1-5</sub> alkylamino,
  - C<sub>2-5</sub> alkynylcarbonylamino,
  - C<sub>2-5</sub> alkynylcarbonylamino substituted by carbocyclic aryl,
  - mono-C<sub>1-5</sub> alkylaminosulfonyl, and
- 40 di-C<sub>1-5</sub> alkylaminosulfonyl,
  - (v) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
  - nitro,
  - C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
- - ← C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl,
  - C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl,
  - · carbocyclic aryl,
  - carbocyclic aryl substituted by halogen, and
- - carbocyclic aryloxy,
  - carbocyclic aryloxy substituted by halogen,

- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkylthio,

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- C<sub>1-5</sub> alkylsulfonyl,
- · carbocyclic arylsulfonyl,
- carbocyclic arylsulfonyl substituted by C<sub>1-5</sub> alkyl,
  - · carbocyclic aryl,
  - · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
    - halogen,
    - nitro, and
    - C<sub>1-5</sub> alkyl,
  - heterocyclyl;
- wherein carbocyclic aryl is phenyl or naphthyl;
  - carbocyclyl is 1-oxo-indanyl, 9-oxo-9H-fluorenyl, or indenyl;

heterocyclyl is 1,2,3-triazolyl, 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-benzofuryl, 2*H*-benzopyranyl, 9*H*-xanthenyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[b]thienyl, furyl, isoxazolyl, morpholino, pyrazolyl, pyridyl, quinoxylyl, thiazolyl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0103] In some embodiments of the present invention,  $R_1$  is selected from the group consisting of:

(i) C<sub>1-5</sub> alkyl, and

C<sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- oxo.
- carbocyclic aryloxy,
- carbocyclic aryloxy substituted by halogen,
  - carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy,
  - mono-C<sub>1-5</sub> alkylamino,
  - di-C<sub>1-5</sub> alkylamino,
  - mono-carbocyclic arylamino,
- di-carbocyclic arylamino,
  - · mono-carbocyclic arylamino substituted by halogen,
  - di-carbocyclic arylamino substituted by halogen,
  - C<sub>1-5</sub> alkylthio,
  - carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - → halogen,
  - ◆ hydroxy,
  - ← C<sub>1-5</sub> alkyl,
  - ↔ C<sub>1-5</sub> alkoxy, and
  - C<sub>1.5</sub> alkoxy substituted by halogen,
  - heterocyclyl, and
  - heterocyclyl substituted by substituent(s) independently selected from the group consisting of:
  - ← C<sub>1-5</sub> alkyl,
    - carbocyclic aryl, and
    - carbocyclic aryl substituted by halogen,
- 55 (ii) C<sub>2-5</sub> alkenyl, and

C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:

· carbocyclic aryl,

EP 1 464 335 A2 carbocyclic aryl substituted by nitro, (iii) carbocyclyl, (iv) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, carbocyclic aryloxy, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, mono-C<sub>1-5</sub> alkylaminosulfonyl, and di-C<sub>1-5</sub> alkylaminosulfonyl, (v) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl, and C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl, carbocyclic aryloxy, carbocyclic aryloxy substituted by halogen, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl, carbocyclic aryl, carbocyclic aryl substituted by halogen, carbocyclic aryl substituted by nitro, and heterocyclyl; wherein carbocyclic aryl is phenyl or naphthyl; carbocyclyl is 1-oxo-indanyl; heterocyclyl is 1,2,3-triazolyl, 1H-indolyl, 1H-pyrrolyl, 2,3-dihydro-benzofuryl, 9H-xanthenyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[b]thienyl, furyl, isoxazolyl, pyridyl, quinoxalyl, thiazolyl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

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[0104] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl)-3-methoxybenzamide;

3-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-benzamide;

N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2,1,3-benzoxadiazole-5-carboxamide;

3-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-benzamide;

4-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-benzamide:

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4-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-nitrobenzamide:
          3.5-dichloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)benzamide:
          3.4-dichloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2,2-diphenylacetamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3,5-difluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-5-(trifluoromethyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-methyl-3-nitrobenzamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-nitrobenzamide;
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          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-phenoxybutanamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide:
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethoxy)-benzamide;
          4-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-iodobenzamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2,5-dimethyl-3-furamide:
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          3-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)-benzamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide;
          2,5-dichloro-N-(cis-4-[[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)thiophene-3-carboxamide;
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          1-benzyl-3-tert-butyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-1H-pyrazole-5-carboxamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(1-naphthyl)acetamide;
          \hbox{2-(4-chlorophenoxy)-N-(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino\}-cyclohexyl)-acetamide;}\\
          1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-cyclopentanecarboxamide;
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          3-(2-chloro-6-fluorophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-5-methylisoxazole-
          4-carboxamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)-4-fluoro-3-(trifluoromethyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-methyl-2-phenyl-2H-1,2,3-triazole-4-carboxam-
          ide:
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(4-methoxyphenoxy)-5-nitrobenzamide;
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          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-phenoxyacetamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-quinoxaline-2-carboxamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethyl)-benzamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(pentafluorophenoxy)-acetamide;
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          2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-acetamide;
          3-(2,6-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino )cyclohexyl)-5-methylisoxazole-4-car-
          boxamide:
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-phenoxynicotinamide:
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-vl]amino}cvclohexyl)-2-(4-methylphenoxy)-nicotinamide:
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-[(dipropylamino)-sulfonyl]benzamide;
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          2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-methylpropanamide;
          2-(2,3-dihydro-1-benzofuran-5-yl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-1,3-thiazole-
          4-carboxamide:
          3-tert-butyl-1-(2,4-dichlorobenzyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-1H-pyrazole-
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          5-carboxamide:
          6-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2H-chromene-3-carboxamide;
          N-(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino\}cyclohexyl)-2-(2-thienyl)-1, 3-thiazole-4-carboxamide;\\
          5-(4-chloro-2-nitrophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-iodo-2-furamide;
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          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-(4-methyl-2-nitrophenyl)-2-furamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-nitrothiophene-2-carboxamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-methyl-4-nitrobenzamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-methoxy-4-nitrobenzamide;
          1-benzyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-1H-indole-3-carboxamide;
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          3-acetyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          5-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
          5-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-2-furamide;
          4,5-dibromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)thiophene-2-carboxamide;
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2-(3.5-di-tert-butyl-4-hydroxyphenyl)-N-(cis-4-[4-(dimethylamino)-pyrimidin-2-yl]amino)cyclohexyl)acetamide:
          N<sup>2</sup>.N<sup>6</sup>-dibenzovl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-vllamino}-cyclohexyl)-lysinamide:
          3-(dimethytamino)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-benzamide;
          4.5-dibromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-2-furamide;
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          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(1H-indol-3-yl)-acetamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(5-methyl-2-phenyl-1,3-thiazol-4-yl)acetamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(1H-indol-3-yl)-4-oxo-4-phenylbutanamide;
          4-(4-bromophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-2-(1H-indol-3-yl)-4-oxobutana-
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          3,5-dichloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-2-[(3-phenylprop-2-ynoyl)amino]ben-
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(1-methyl-1H-indol-3-yl)-4-(4-methylphenyl)-
          4-oxobutanamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-methyl-1-(3-morpholin-4-ylpropyl)-5-phenyl-1H-
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          pyrrole-3-carboxamide:
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl)-4-(4-nitrophenyl)-butanamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(2-phenyl-1H-indol-3-yl)acetamide;
          N<sup>2</sup>-benzoyl-N<sup>5</sup>-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-N<sup>1</sup>,N<sup>1</sup>-dipropylglutamamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-phenoxybenzamide;
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          3-benzoyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(ethylthio)-2,2-diphenylacetamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-[(1R)-1-(1-naphthyl)ethyl]phthalamide;
          (2S)-2-(3-benzoylphenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-propanamide;
          N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N,N-bis [(1S)-1-phenylethyl]phthalamide;
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          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-{(1E)-5-fluoro-2-methyl-1-[4-(methylsulfinyl)ben-
          zylidene]-1H-inden-3-yl acetamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino cyclohexyl)-2-[4-(2-thienylcarbonyl)-phenyl]propanamide;
          3-(benzyloxy)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-4-methoxybenzamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-y1]amino}cyclohexyl)-2-methyl-1,5-diphenyl-1H-pyrrole-3-carboxamide;
          1-{2-[(2-chloro-6-fluorobenzyl)thio]ethyl}-N-(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]-amino}cyclohexyl)-2-me-
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          thyl-5-phenyl-1H-pyrrole-3-carboxamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-phenoxybenzamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-phenylquinoline-4-carboxamide;
          2-[4-(4-chlorophenyl)-2-phenyl-1,3-thiazol-5-yl]-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)
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          acetamide:
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-1-[(4-methylphenyl)-sulfonyl]-1H-pyrrole-3-carbox-
          amide:
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-(3-nitrophenyl)-2-furamide;
          3-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-(isopropylsulfonyl)-5-(methylthio)thi-
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          ophene-2-carboxamide:
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-iodo-4-(isopropylsulfonyl)-5-(methylthio)thi-
          ophene-2-carboxamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-nitrothiophene-3-carboxamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-1-methyl-4-nitro-1H-pyrrole-2-carboxamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-nitrobenzamide;
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          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino)}cyclohexyl)-3,5-dimethyl-4-nitrobenzamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)-2-mesityl-2-oxoacetamide;
          3,5-di-tert-butyl-N-(cis-4-1[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-4-hydroxybenzamide;
          4-chloro-N-[(cis-4-{ [4-(dimethylamino)pyrimidin-2-yl]amino)cyclohexyl)-methyl]-benzamide;
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          (2E)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]-3-phenylacrylamide;
          4-chloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl)-methyl]-3-nitrobenzamide;
          2-(4-chlorophenyl)-N-{(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-methyl]acetamide;
          3.5-dichloro-N-((cis-4-{[4-(dimethylamino)pyrimidin-2-v(lamino) -cyclohexyl)methyl]-benzamide:
          3,4-dichloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino)-cyclohexyl)methyl]-benzamide;
55
          N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2,2-diphenylacetamide;
          2,4-dichloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-5-fluorobenzamide;
          N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-phenoxybutanamide;
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N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-phenylbutanamide;

N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-(3-methoxyphenyl)acetamide;

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N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-(4-methoxyphenyl)acetamide;
         N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-3,5-bis(trifluoromethyl)benzamide;
         (2E)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]-3-(4-nitrophenyl)acrylamide;
5
         2-(2-bromophenyl)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-methyl]acetamide;
         N-[(cis-4-[[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl)methyl]-2-(propylthio)-nicotinamide;
         N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl)methyl]-2-(1-naphthyl)-acetamide;
         N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-9-oxo-9H-fluorene-4-carboxamide;
         N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2,4,6-trimethylbenzamide;
         2,4,6-trichloro-N-[(cis-4-[[4-(dimethylamino)pyrimidin-2-yl]amino)-cyclohexyl)methyl]-benzamide;
10
         (2E)-3-(2-chlorophenyl)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]acrylamide;
         N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-(2,3,6-trichlorophenyl)acetamide;
         N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2,3-diphenylpropanamide;
         N-[(cis-4-{[4-(dimethylamino}pyrimidin-2-yl]amino}cyclohexyl)methyl]-5-iodo-2-furamide;
15
         (2E)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]-3-(3-nitrophenyl)acrylamide;
         N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-3-oxoindane-1-carboxamide;
         2-benzyl-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]-benzamide;
         2,2-bis(4-chlorophenyl)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl)-methyl]acetamide:
         N-[(cis-4-[[4-(dimethylamino)pyrimidin-2-vl]amino]cyclohexyl)methyl]-3-methyl-4-nitrobenzamide:
20
         N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-vl]amino]cyclohexyl)methyl]-3-methoxy-4-nitrobenzamide:
         N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-[2-(trifluoromethoxy)phenyl]acetamide;
         N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-9H-xanthene-9-carboxamide:
         2-(1-benzothien-3-yl)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]acetamide;
         N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-(4-fluoro-phenoxy)-nicotinamide;
25
         N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-C-(ethyl-phenyl-amino)-acetamide;
         C-[cis-(4-chloro-phenyl)-ethyl-amino]-N-[4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-acetamide;
         2-(3,4-difluoro-phenyl)-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-acetamide;
         4-chloro-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3-fluoro-benzamide;
         5-bromo-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-nicotinamide;
30
         3-chloro-4-fluoro-N-[cis-4-(4-methylamino-pyrimidin-2-ylamino)-cyclohexyl]-benzamide;
         N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide;
         3-chloro-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-5-fluoro-benzamide;
         N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,4,5-trifluoro-benzamide;
         N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexylmethyl]-3,4-difluorobenzamide;
35
         2-(3,4-dichloro-phenoxy)-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-acetamide;
         N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-(3-methoxy-phenoxy)-acetamide; and
         N-[cis-4-(4-dimethymamino-pyrimidin-2-ylamino)-cyclohexyl]-C-(ethyl-phenyl-amino)-acetamide;
           or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
40
     [0105] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is se-
      lected from the group consisting of:
          3-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-benzamide;
         N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2,1,3-benzoxadiazole-5-carboxamide;
45
         3-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-benzamide;
         3,5-dichloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)benzamide;
          3,4-dichloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)benzamide;
         N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide;
50
         N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-nitrobenzamide;
         N-(cis-4-[4-(dimethylamino)pyrimidin-2-yl]amino)cyclohexyl)-3-(trifluoromethoxy)-benzamide;
          4-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
         N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-iodobenzamide;
          3-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
55
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide;
          N-(cis-4-[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl)-3,5-bis(trifluoromethyl)-benzamide;
          N-(cis-4-{[4-(dimethytamino)pynmidin-2-vl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide:
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2-(4-chlorophenoxy)-N-(cis-4-{[(4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-acetamide;

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N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-(trifluoromethyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-methyl-2-phenyl-2H-1,2,3-triazole-4-carboxam-
          N-(cis-4-{[4-(dimethylamino)pyrinudin-2-yl]amino}cyclohexyl)-2-(4-methoxyphenoxy)-5-nitrobenzamide;
5
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino{cyclohexyl}-quinoxaline-2-carboxamide;
          2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-acetamide;
          3-(2,6-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-methylisoxazole-4-car-
          boxamide:
          N-(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino\} cyclohexyl)-2-(4-methylphenoxy)-nicotinamide;\\
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-[(dipropylamino)-sulfonyl]benzamide;
10
          2-(2,3-dihydro-1-benzofuran-5-yl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-1,3-thiazole-
          4-carboxamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(2-thienyl)-1,3-thiazole-4-carboxamide;
          5-(4-chloro-2-nitrophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
15
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-methoxy-4-nitrobenzamide;
          5-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
          5-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-vl]amino}-cyclohexyl)-2-furamide;
          2-(3,5-di-tert-butyl-4-hydroxyphenyl)-N-(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]-amino]cyclohexyl)acetamide;
          4,5-dibromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} -cyclohexyl)-2-furamide:
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(1H-indol-3-yl)-4-oxo-4-phenylbutanamide;
20
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino)}cyclohexyl)-2-(1-methyl-1H-indol-3-yl)-4-(4-methylphenyl)-
          4-oxobutanamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(2-phenyl-1H-indol-3-yl)acetamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(ethylthio)-2,2-diphenylacetamide;
25
          N'-(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino\} cyclohexyl)-N, N-bis[(1S)-1-phenylethyl]phthalamide;\\
          3-(benzyloxy)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-4-methoxybenzamide;
          N-(cis-4-[4-(dimethylamino)pyrimidin-2-yl]amino)cyclohexyl)-2-methyl-1,5-diphenyl-1H-pyrrole-3-carboxamide;
          1-{2-[(2-chloro-6-fluorobenzyl)thio]ethyl}-N-(cis-4-{{4-(dimethylamino)-pyrimidin-2-yl}-amino}cyclohexyl)-2-me-
          thyl-5-phenyl-1H-pyrrole-3-carboxamide;
30
          2-[4-(4-ehlorophenyl)-2-phenyl-1, 3-thiazol-5-yl]-N-(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino\}cyclohexyl)
          acetamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-nitrothiophene-3-carboxamide;
          N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-1-methyl-4-nitro-1H-pyrrole-2-carboxamide;
          3,5-di-tert-butyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-4-hydroxybenzamide;
35
          N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2,2-diphenylacetamide;
          N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-phenylbutanamide;
          (2E)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)-methyl]-3-(4-nitrophenyl)acrylamide:
          N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-(1-naphthyl)-acetamide:
          N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-vl]amino}cyclohexyl)methyl]-2-(2,3.6-trichlorophenyl)acetamide:
40
          (2E)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]-3-(3-nitrophenyl)acrylamide;
          N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-vl]amino}cyclohexyl)methyl]-3-oxoindane-1-carboxamide;
          2,2-bis(4-chlorophenyl)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]acetamide;
          N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-3-methyl-4-nitrobenzamide;
          N-[(cis-4-{{4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-3-methoxy-4-nitrobenzamide;
45
          N-{(cis-4-{{4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-{2-(trifluoromethoxy)phenyl]acetamide;
          N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-9H-xanthene-9-carboxamide;
          2-(1-benzothien-3-yl)-N-[(cis-4-[[4-(dimethylamino)pyrimidin-2-yl]amino)cyclohexyl)-methyl]acetamide;
          N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-(4-fluoro-phenoxy)-nicotinamide;\\
          N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-C-(ethyl-phenyl-amino)-acetamide;
50
          C-[cis-(4-chloro-phenyl)-ethyl-amino]-N-[4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-acetamide;
          4-chloro-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3-fluoro-benzamide;
          N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,4,5-trifluoro-benzamide;
          2-(3,4-dichloro-phenoxy)-N-(cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-acetamide;
          N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-(3-methoxy-phenoxy)-acetamide; and
55
          N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-C-(ethyl-phenyl-amino)-acetamide;
```

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0106] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-5</sub> alkyl, and

C<sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- C<sub>1-5</sub> alkoxy carbonyl,
- C<sub>1-5</sub> alkylthio,

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- · carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - halogen,
  - ◆ C<sub>1-5</sub> alkyl, and
- (ii) C<sub>3-6</sub> cycloalkyl,

C<sub>3-6</sub> cycloalkyl substituted by carbocyclic aryl,

15 (iii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- cyano,
- nitro,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen,
- C<sub>1-5</sub> alkoxy carbonyl,
- C<sub>1-5</sub> alkoxy,
- C<sub>3-6</sub> cycloalkoxy,
  - · carbocyclic aryloxy,
  - C<sub>1-5</sub> alkylthio, and
  - carbocyclic aryl,
- 30 (iv) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen, and
- carbocyclic aryl;

L is Formula (VII);

Y is  $-C(O)NR_{5}^{-}$ ;

wherein carbocyclic aryl is phenyl or naphthyl;

heterocyclyl is 2,3-dihydro-benzo[1,4]dioxinyl, 3,4-dihydro-2*H*-benzo[b][1,4]dioxepinyl, benzo[1,3]dioxolyl, furyl, or isoxazolyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

45 [0107] In some embodiments of the present invention, R<sub>2</sub> is methylamino or dimethylamino; p is 0; R<sub>3</sub> and R<sub>4</sub> are hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-: R<sub>5</sub> is hydrogen; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0108] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-5</sub> alkyl, and

C<sub>1.5</sub> alkyl substituted by carbocyclic aryl,

(ii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
  - nitro,
  - C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkyl substituted by halogen,

- C<sub>1-5</sub> alkoxy, and
- C<sub>3-6</sub> cycloalkoxy,
- (iii) heterocyclyl, and

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heterocyclyl substituted by C<sub>1-5</sub> alkyl, and heterocyclyl substituted by carbocyclic aryl; wherein carbocyclic aryl is phenyl or naphthyl; heterocyclyl is isoxazolyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0109] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

- N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-mesitylurea;
   N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-(2,4,6-trichlorophenyl)-urea;
   N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-(2,4,6-tribromophenyl)-urea;
   N-(2,4-dibromo-6-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)urea;
   N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-(diphenylmethyl)urea;
   N-(4-bromo-2,6-dimethylphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)urea;
   N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-[1-(1-naphthyl)ethyl]-urea;
   N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-(3,4,5-trimethoxyphenyl)urea;
   N-(4-chloro-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)urea;
   N-(5-chloro-2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]amino}-cyclohexyl)urea;
- N-(4-bromo-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)urea;
  N-(2,6-dibromo-4-isopropylphenyl)-N'-(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]amino}-cyclohexyl)urea;
  N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N'-(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]-amino}cyclohexyl)urea;
  N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(2,6-dimethylphenyl)urea;
- N-(2,4-difluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]urea;

  N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(2-ethyl-6-methylphenyl)urea;

  N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(4-fluorophenyl)urea;
  - N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-mesitylurea;
  - N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(2,4,6-trichlorophenyl)urea; N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(2,4,6-tribromophenyl)urea;
  - N-(2,4-dibromo-6-fluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]urea;
    - N-(2,6-diethylphenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]urea; N-[2-chloro-6-(trifluoromethyl)phenyl]-N'-[(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]-amino}cyclohexyl)methyl] urea;
  - N-(2-chloro-6-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]urea;
- N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl)methyl]-N'-(2-ethyl-6-isopropylphenyl)urea;
  N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl)methyl]-N'-(2-isopropyl-6-methylphenyl)urea;
  N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl)methyl]-N'-(2-methyl-3-nitrophenyl)urea;
  - N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl)methyl]-N'-(2-methyl-3-mirophenyl)urea;
  - N-(2-tert-butyl-6-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]urea;
- N-(2-tert-butylphenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-methyl]urea;
  N-(3-chloro-2-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]urea;
  N-(4-bromo-2,6-difluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]urea;
- N-[4-chloro-2-(triftuoromethyl)phenyl]-N'-[(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]-amino}cyclohexyl)methyl] urea;

  N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(diphenylmethyl)urea;
- N-(4-bromo-2,6-dimethylphenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]urea;
  N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]-N'-(3-methyl-5-phenylisoxazol-4-yl)urea;
  N-(3,5-dichlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]-amino}cyclohexyl)-methyl]urea;
  N-(2,3-dichlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]-amino}cyclohexyl)-methyl]urea;
- N-(2,6-diisopropylphenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]-amino}-cyclohexyl)methyl]urea;
  N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(2,3-dimethyl-6-nitrophenyl)urea;
  N-(2,6-dibromo-4-fluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]urea;
  N-(2,6-dichlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]-amino}cyclohexyl)-methyl]urea;

 $N-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino\}cyclohexyl)methyl]-N'-(2-methoxy-5-methylphenyl)urea;\\ N-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino\}cyclohexyl)methyl]-N'-(2-methyl-6-nitrophenyl)urea;\\ N-(3,4-difluorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]-amino\}cyclohexyl)-methyl]urea;\\ N-(3,5-difluorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]-amino\}cyclohexyl)-methyl]urea;\\ n-(3-chloro-4-fluorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]urea;\\ n-(3-chloro-4-fluorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]urea;\\ n-(3-chloro-4-fluorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]urea;\\ n-(3-chloro-4-fluorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]urea;\\ n-(3-chloro-4-fluorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]urea;\\ n-(3-chloro-4-fluorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]urea;\\ n-(3-chloro-4-fluorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-methyl]urea;\\ n-(3-chloro-4-fluorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-methyl]urea;\\ n-(3-chloro-4-fluorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-methyl]urea;\\ n-(3-chloro-4-fluorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-methyl]urea;\\ n-(3-chloro-4-fluorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-methyl]urea;\\ n-(3-chloro-4-fluorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-methyl]urea;\\ n-(3-chloro-4-fluorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-methyllurea;\\ n-(3-chloro-4-fluorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-methyllurea;\\ n-(3-chloro-4-fluorophenyl)-N'-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-methyllurea;\\ n-(3-chloro-4-fluorophenyl)-N'-[(cis-4-\{[4-(dimeth$ 

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0110] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-5</sub> alkyl, and

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C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- arbocyclic aryl.
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- ← C<sub>1-5</sub> alkoxy,
- (ii) carbocyclyl,
- (iii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- cyano,
- 25 nitro,
  - C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkyl substituted by halogen,
  - C<sub>1-5</sub> alkoxy carbonyl,
  - C<sub>1-5</sub> alkoxy,
  - C<sub>1-5</sub> alkoxy substituted by halogen,
    - mono-C<sub>1-5</sub> alkylamino,
    - di-C<sub>1-5</sub> alkylamino, and
    - carbocyclic aryl,
- 35 (iv) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkoxy carbonyl, and
- carbocyclic aryl;

L is Formula (VIII);

Y is -C(S)NR<sub>5</sub>-;

wherein carbocyclic aryl is phenyl or naphthyl;

carbocyclyl is bicyclo[2.2.1]heptyl;

heterocyclyl is 2,3-dihydro-benzo[1,4]dioxinyl, benzo[1,3]dioxolyl, isoxazolyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0111] In some embodiments of the present invention, R<sub>2</sub> is methylamino, or dimethylamino; p is 0; R<sub>3</sub> and R<sub>4</sub> are hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-; R<sub>5</sub> is hydrogen; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0112] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

55 carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

halogen,

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cyano, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, mono-C<sub>1-5</sub> alkylamino, and di-C<sub>1-5</sub> alkylamino; wherein carbocyclic aryl is phenyl or naphthyl; and halogen is fluoro, chloro, bromo, or iodo; 10 or a pharmaceutically acceptable salt, hydrate, or solvate thereof. [0113] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of: N-(4-cyanophenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-thiourea; 15 N-(2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]-amino}-cyclohexyl)thiourea; N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-(3,4,5-trimethoxyphenyl)thiourea; N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]-amino}-cyclohexyl)thiourea; N-[4-(dimethylamino)-1-naphthyl]-N'-(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]amino}-cyclohexyl)thiourea; N-(cis-4-[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-(2,4,6-tribromophenyl)-thiourea; 20 N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-mesitylthiourea; N-(4-bromo-2,6-dimethylphenyl)-N'-(cis-4-[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)thiourea; N-(5-chloro-2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]amino}-cyclohexyl)thiourea; N-(2,4-dibromo-6-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)thiourea; and N-(2,4-dichloro-6-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl}amino}-cyclohexyl)thiourea; 25 or a pharmaceutically acceptable salt, hydrate, or solvate thereof. [0114] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of: (i) C<sub>1-8</sub> alkyl, and C<sub>1-8</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 30 halogen, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, 35 carbocyclyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, 40 nitro, and C<sub>1-5</sub> alkoxy, (ii) C2-5 alkenyl, (iii) carbocyclyl, 45 (iv) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, and 50 C<sub>1-5</sub> alkoxy;

L is Formula (VII); Y is -C(0)0-;

wherein carbocyclic aryl is phenyl or naphthyl; carbocyclyl is 9H-fluorenyl or menthyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0115] In some embodiments of the present invention,  $R_2$  is methylamino or dimethylamino; p is 0;  $R_3$  and  $R_4$  are hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 5 [0116] In some embodiments of the present invention, Q is Formula (IV); p is 1 or 2;
  - R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-6</sub> alkyl, and

C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

hydroxy,

- oxo,
- carbocyclic aryloxy,
- carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of:

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- halogen,
- ◆ C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen, and
- C<sub>1-5</sub> alkoxy,

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- heterocyclyloxy,
- · heterocyclyloxy substituted by substituent(s) independently selected from the group consisting of:
  - → halogen,
  - C<sub>1-5</sub> alkyl, and
  - C<sub>1-5</sub> alkyl substituted by halogen,
- mono-carbocyclic arylamino,
- · mono-carbocyclic arylamino substituted by substituent(s) independently selected from the group consisting of:
- → halogen,
  - ↔ C<sub>1-5</sub> alkoxy, and
  - ↔ C<sub>1-5</sub> alkyl,
- carbocyclic arylsulfinyl,
  - · carbocyclic arylsulfinyl substituted by substituent(s) independently selected from the group consisting of:
    - •• halogen,
    - C<sub>1-5</sub> alkyl, and
  - C<sub>1-5</sub> alkyl substituted by halogen,
    - carbocyclic arylsulfonyl,
    - carbocyclic arylsulfonyl substituted by substituent(s) independently selected from the group consisting of:
- - C<sub>1-5</sub> alkyl, and
  - •• C<sub>1-5</sub> alkyl substituted by halogen,
  - carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - halogen,
  - •• nitro.
  - C<sub>1-5</sub> alkylcarbonylamino,
  - C<sub>3-6</sub> cycloalkylcarbonylamino,
  - ◆ C<sub>1-5</sub> alkyl,
  - •• C<sub>1-5</sub> alkyl substituted by halogen,
  - C<sub>1-5</sub> alkoxy, and

C<sub>1-5</sub> alkoxy substituted by halogen, and

heterocyclyl, 5 (ii) C<sub>3-12</sub> cycloalkyl, and C3.12 cycloalkyl substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl, and carbocyclic aryl substituted by substitutent(s) independently selected from the group consisting of: 10 C1-5 alkoxy, halogen, C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by halogen, 15 (iii) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, 20 cyano, nitro, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 25 halogen, and hydroxy, C<sub>1-9</sub> alkoxy, C<sub>1-9</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: 30 halogen, and carbocyclic aryl, carboxy, 35 C<sub>1-5</sub> alkoxycarbonyl, di-C<sub>1-5</sub> alkylamino, C<sub>1-5</sub> alkylcarbonylamino, C<sub>3-6</sub> cycloalkylcarbonylamino, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylsulfinyl, 40 C<sub>1-5</sub> alkylsulfonyl, carbocyclic aryl, (iv) heterocyclyl, and 45 heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, amino, 50 C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, C<sub>1-5</sub> alkoxy, carbocyclic aryloxy, carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of: 55 halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, and

 C<sub>1-5</sub> alkoxy, heterocyclyloxy, heterocyclyloxy substituted by halogen, 5 heterocyclyl sulfonyl, heterocyclyl sulfonyl substituted by C<sub>1-5</sub> alkyl, mono-carbocyclic arylamino, mono-carbocyclic arylamino substituted by halogen, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylsulfinyl, 10 carbocyclic arylsulfinyl, carbocyclic arylsulfinyl substituted by halogen, carbocyclic arylsulfonyl, carbocyclic arylsulfonyl substituted by substituents(s) independently selected from the group consisting of: 15 halogen, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by halogen, 20 R<sub>2</sub> is selected from the group consisting of: amino,  $C_{1-5}$  alkyl,  $C_{1-5}$  alkoxy,  $-N(R_{2a})(R_{2b})$ , wherein  $R_{2a}$  is hydrogen or  $C_{1-5}$  alkyl and  $R_{2b}$  is  $C_{1-5}$  alkyl or  $C_{3-6}$ cycloalkyl; 25 wherein carbocyclic aryl is phenyl or naphthyl; heterocyclyl is 3,4-dihydro-1*H*-isoquinolinyl, benzo[1,3]dioxolyl, furyl, isoxazolyl, oxazolyl, pyrazolyl, pyrazolyl, nyl, pyridyl, pyrimidyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo; 30 or a pharmaceutically acceptable salt, hydrate, or solvate thereof. [0117] In some embodiments of the present invention,  $R_1$  is selected from the group consisting of: (i) C<sub>1-16</sub> alkyl, and C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 35 carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 40 halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, and ← C<sub>1-5</sub> alkoxy, and 45 (ii) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: carbocyclic arylsulfinyl, and 50 carbocyclic arylsulfinyl substituted by halogen, L is Formula (VII); Y is a single bond or -CH2-;  $R_2$  is  $-N(R_{2a})(R_{2b})$ , wherein  $R_{2a}$  is  $C_{1-5}$  alkyl and  $R_{2b}$  is  $C_{1-5}$  alkyl;

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carbocyclic aryl is phenyl; heterocyclyl is pyrazinyl; and halogen is fluoro, chloro, or bromo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0118] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-16</sub> alkyl, and

C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- carbocyclic aryl,
- · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - → halogen, and
  - C<sub>1-5</sub> alkoxy,
- (ii) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

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- · carbocyclic arylsulfinyl, and
- · carbocyclic arylsulfinyl substituted by halogen,

 $\rm R_2$  is -N(R\_{2a})(R\_{2b}), wherein  $\rm R_{2a}$  is  $\rm C_{1-5}$  alkyl and  $\rm R_{2b}$  is  $\rm C_{1-5}$  alkyl;

carbocyclic aryl is phenyl;

heterocyclyl is pyrazinyl; and

halogen is fluoro or bromo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0119] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- carbocyclic arylsulfinyl, and
- · carbocyclic arylsulfinyl substituted by halogen,

 $\rm R_2$  is -N(R\_{2a})(R\_{2b}), wherein  $\rm R_{2a}$  is  $\rm C_{1-5}$  alkyl and  $\rm R_{2b}$  is  $\rm C_{1-5}$  alkyl;

carbocyclic aryl is phenyl;

heterocyclyl is pyrazinyl; and

halogen is fluoro;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0120] In some embodiments of the present invention, p is 1 and T is  $C_{1-5}$  alkyl;  $R_3$  and  $R_4$  are both hydrogen; A and B are both single bonds: or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

40 [0121] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

 $N^2-\{cis-4-[(3,5-dimethoxybenzyl)amino]cyclohexyl\}-N^4,N^4,5-trimethylpyrimidine-2,4-diamine;$ 

N<sup>2</sup>-{cis-4-[(3-bromobenzyl)amino]cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>,5,6-tetramethylpyrimidine-2, 4-diamine;

N2-{cis-4-[(3,4-difluorobenzyl)amino]cyclohexyl}-N4,N4,5,6-tetramethylpyrimidine-2,4-diamine; and

 $N^2$ -[cis-4-({6-[(3,4-difluorophenyl)sulfinyl]pyrazin-2-yl}amino)cyclohexyl]- $N^4$ , $N^4$ ,5-trimethylpyrimidine-2,4-diamine;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

50 [0122] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is:

 $N^2$ -[cis-4-({6-[(3,4-difluorophenyl)sulfinyl]pyrazin-2-yl}amino)cyclohexyl]- $N^4$ , $N^4$ ,5-trimethylpyrimidine-2,4-diamine:

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0123] In some embodiments of the present invention,  $R_1$  is selected from the group consisting of:

(i) C<sub>1-16</sub> alkyl, and

 $C_{1-16}$  alkyl substituted by substituent(s) independently selected from the group consisting of:

- hydroxy,
- carbocyclic aryloxy,
- carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of:
  - → halogen,
  - ← C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkyl substituted by halogen, and
  - ← C<sub>1-5</sub> alkoxy,
    - heterocyclyloxy,
    - · heterocyclyloxy substituted by substituent(s) independently selected from the group consisting of:
- 15 ↔ halogen,
  - ← C<sub>1-5</sub> alkyl, and
  - •• C<sub>1-5</sub> alkyl substituted by halogen,
  - mono-carbocyclic arylamino,
- mono-carbocyclic arylamino substituted by substituent(s) independently selected from the group consisting of:
  - → halogen,
  - C<sub>1-5</sub> alkoxy, and
  - ← C<sub>1-5</sub> alkyl,

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- · carbocyclic arylsulfinyl,
- · carbocyclic arylsulfinyl substituted by substituent(s) independently selected from the group consisting of:
  - → haiogen
  - ← C<sub>1-5</sub> alkyl, and
    - ◆ C<sub>1-5</sub> alkyl substituted by halogen,
- carbocyclic arylsulfonyl,
- · carbocyclic arylsulfonyl substituted by substituent(s) independently selected from the group consisting of:
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- ◆ halogen,
- C<sub>1-5</sub> alkyl, and
- C<sub>1-5</sub> alkyl substituted by halogen,
- carbocyclic aryl,
  - · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
    - halogen,
    - ← C<sub>1-5</sub> alkyl,
    - C<sub>1-5</sub> alkyl substituted by halogen, and
    - ← C<sub>1-5</sub> alkoxy,
  - (ii) C<sub>3-12</sub> cycloalkyl, and

 $C_{3-12}$  cycloalkyl substituted by substituent(s) independently selected from the group consisting of:

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- carbocyclic aryl, and
- carbocyclic aryl substituted by substitutent(s) independently selected from the group consisting of:
  - C<sub>1-5</sub> alkoxy,
  - halogen,
  - C<sub>1-5</sub> alkyl, and

(iii) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, 5 cyano, nitro, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 10 halogen, and hydroxy, C<sub>1-9</sub> alkoxy, C<sub>1-9</sub> alkoxy substituted by halogen, 15 carboxy, C<sub>1-5</sub> alkoxycarbonyl, di-C<sub>1-5</sub> alkylamino, C<sub>1-5</sub> alkylcarbonylamino, C<sub>3-6</sub> cycloalkylcarbonylamino, C<sub>1-5</sub> alkylsulfonyl, and 20 carbocyclic aryl, (iv) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: 25 halogen, hydroxy, amino, C<sub>1-5</sub> alkyl, 30 C<sub>1-5</sub> alkyl substituted by halogen, C<sub>1-5</sub> alkoxy, carbocyclic aryloxy, carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of: 35 halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, and ◆ C<sub>1-5</sub> alkoxy, 40 heterocyclyloxy, heterocyclyloxy substituted by halogen, heterocyclyl sulfonyl, heterocyclyl sulfonyl substituted by C<sub>1-5</sub> alkyl, mono-carbocyclic arylamino, 45 mono-carbocyclic arylamino substituted by halogen, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylsulfinyl, carbocyclic arylsulfonyl, carbocyclic arylsulfonyl substituted by substituents(s) independently selected from the group consisting of: 50 → halogen, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by halogen, L is Formula (VIII); Y is -C(O)-;

R<sub>2</sub> is selected from the group consisting of:

amino,  $C_{1-5}$  alkyl,  $C_{1-5}$  alkoxy,  $-N(R_{2a})(R_{2b})$ , wherein  $R_{2a}$  is hydrogen or  $C_{1-5}$  alkyl and  $R_{2b}$  is  $C_{1-5}$  alkyl or  $C_{3-6}$  cycloalkyl;

wherein carbocyclic aryl is phenyl;

heterocyclyl is benzo[1,3]dioxolyl, furyl, isoxazolyl, oxazolyl, pyrazolyl, pyrazinyl, pyridyl, pyrimidyl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 10 [0124] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-16</sub> alkyl, and

C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

hydroxy,

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- carbocyclic aryloxy,
- carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of:
  - halogen
  - ← C<sub>1-5</sub> alkyl,

  - ◆ C<sub>1-5</sub> alkoxy,
- heterocyclyloxy,
- heterocyclyloxy substituted by halogen,
  - · mono-carbocyclic arylamino,
  - mono-carbocyclic arylamino substituted by substituent(s) independently selected from the group consisting of:
    - halogen,
    - ◆ C<sub>1-5</sub> alkoxy, and
    - ← C<sub>1-5</sub> alkyl,
  - carbocyclic arylsulfinyl,
  - carbocyclic arylsulfinyl substituted by substituent(s) independently selected from the group consisting of:
- halogen,
  - C<sub>1-5</sub> alkyl, and
  - •• C<sub>1-5</sub> alkyl substituted by halogen,
- carbocyclic arylsulfonyl,
  - · carbocyclic arylsulfonyl substituted by substituent(s) independently selected from the group consisting of:
    - C<sub>1-5</sub> alkyl, and
    - ◆ C<sub>1-5</sub> alkyl substituted by halogen,
  - · carbocyclic aryl,
  - carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
    - → halogen,
    - ◆ C<sub>1-5</sub> alkyl, and
    - C<sub>1-5</sub> alkyl substituted by halogen,
  - (ii) C<sub>3-12</sub> cycloalkyl, and

C<sub>3-12</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of:

- carbocyclic aryl, and
- carbocyclic aryl substituted by substitutent(s) independently selected from the group consisting of:

◆ C<sub>1-5</sub> alkoxy, halogen, C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by halogen, 5 (iii) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, 10 cyano, nitro, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 15 halogen, and hydroxy, C<sub>1-9</sub> alkoxy, C<sub>1-9</sub> alkoxy substituted by halogen, 20 carboxy, C<sub>1-5</sub> alkoxycarbonyl, and C<sub>1-5</sub> alkylsulfonyl, (iv) heterocyclyl, and 25 heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, 30 C<sub>1-5</sub> alkoxy, carbocyclic aryloxy, carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of: halogen, ← C<sub>1-5</sub> alkyl, 35 • C<sub>1-5</sub> alkyl substituted by halogen, and ◆ C<sub>1-5</sub> alkoxy, heterocyclyloxy, 40 heterocyclyloxy substituted by halogen, heterocyclyl sulfonyl, heterocyclyl sulfonyl substituted by C<sub>1-5</sub> alkyl, mono-carbocyclic arylamino, mono-carbocyclic arylamino substituted by halogen, 45 C<sub>1-5</sub> alkylthio, carbocyclic arylsulfonyl, carbocyclic arylsulfonyl substituted by substituents(s) independently selected from the group consisting of: halogen, 50 C<sub>1-5</sub> alkyl, and 

R<sub>2</sub> is selected from the group consisting of:

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wherein carbocyclic aryl is phenyl;

 $C_{1-5}$  alkoxy,  $-N(R_{2a})(R_{2b})$ , wherein  $R_{2a}$  is hydrogen or  $C_{1-5}$  alkyl and  $R_{2b}$  is  $C_{1-5}$  alkyl;

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0125] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-16</sub> alkyl, and

C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- hydroxy,
- · carbocyclic aryloxy,
- · carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of:
  - → halogen,
  - ← C<sub>1-5</sub> alkyl,

  - ↔ C<sub>1-5</sub> alkoxy,
- heterocyclyloxy,
- heterocyclyloxy substituted by substituent(s) independently selected from the group consisting of:

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- → halogen,
- C<sub>1-5</sub> alkyl, and
- C<sub>1-5</sub> alkyl substituted by halogen,
- mono-carbocyclic arylamino,
  - · mono-carbocyclic arylamino substituted by substituent(s) independently selected from the group consisting of:
    - → halogen,
    - C<sub>1-5</sub> alkoxy, and
  - ↔ C<sub>1-5</sub> alkyl,
    - carbocyclic arylsulfinyl,
    - · carbocyclic arylsulfinyl substituted by substituent(s) independently selected from the group consisting of:
- - C<sub>1-5</sub> alkyl, and

  - · carbocyclic aryl,
  - carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
    - halogen
    - C<sub>1-5</sub> alkyl, and
    - •• C<sub>1-5</sub> alkyl substituted by halogen,

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(ii) C<sub>3-12</sub> cycloalkyl, and

C<sub>3-12</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of:

- carbocyclic aryl, and
- carbocyclic aryl substituted by substitutent(s) independently selected from the group consisting of:
  - ◆ C<sub>1-5</sub> alkoxy,
  - halogen,
  - C<sub>1-5</sub> alkyl, and

## (iii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- cvano.
- nitro,

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- C<sub>1-10</sub> alkyl,
- C<sub>1-10</sub> alkyl substituted by halogen,
- C<sub>1-9</sub> alkoxy, and
- C<sub>1-9</sub> alkoxy substituted by halogen,

#### (iv) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- · halogen,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen,
- C<sub>1-5</sub> alkoxy,
  - · carbocyclic aryloxy,
  - carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of:
    - halogen.
    - ← C<sub>1-5</sub> alkyl,

    - ◆ C<sub>1-5</sub> alkoxy,
  - C<sub>1-5</sub> alkylthio,
  - · carbocyclic arylsulfonyl,
  - carbocyclic arylsulfonyl substituted by halogen,

R<sub>2</sub> is selected from the group consisting of:

-N(R<sub>2a</sub>)(R<sub>2b</sub>). wherein R<sub>2a</sub> is hydrogen or C<sub>1-5</sub> alkyl and R<sub>2b</sub> is C<sub>1-5</sub> alkyl;

wherein carbocyclic aryl is phenyl;

heterocyclyl is benzo[1,3]dioxolyl, furyl, pyrazolyl, pyridyl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0126] In some embodiments of the present invention, p is 1 and T is C<sub>1-5</sub> alkyl; R<sub>3</sub> and R<sub>4</sub> are both hydrogen; A is a single bond and B is a single bond or -CH<sub>2</sub>-; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0127] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

N-{(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3,5-bis(trifluoromethyl)benzamide:

N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3,5-bis(trifluoromethyl)benzamide;

N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3,4-difluorobenzamide;

3,5-dichloro-N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-methyl]benzamide;

 $N-[(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\} cyclohexyl) methyl]-3, 4-difluorobenzamide;\\$ 

 $N-[(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\} cyclohexyl) methyl]-3, 5-dimethoxybenzamide;\\$ 

 $N-[(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl) methyl]-3-fluoro-4-methylbenzamide;\\$ 

N-[(cis-4-([4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)methyl]-3-(trifluoromethyl)benzamide;

N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3-(trifluoromethoxy)benzamide;

4-bromo-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-methyl]-3-methylbenzamide;

N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)methyl]-3-fluoro-4-(trifluoromethyl)benzamide:

3,5-dichloro-N-{(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-methyl]benzamide;

3,4-dichloro-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-methyl]benzamide;

4-chloro-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-methyl]benzamide;

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4-chloro-N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-methyl]benzamide;
          N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-3,5-dimethoxybenzamide;
          4-bromo-N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)-cyclohexyl]benzamide;
          4-bromo-N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)-cyclohexyl]-3-methylbenzamide;
          3.5-dichloro-N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)-cyclohexyl]benzamide;
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          3,4-dichloro-N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)-cyclohexyl]benzamide;
          N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-3,5-bis(trifluoromethyl)benza-
          mide;
          N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-3,4-difluorobenzamide;
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          4-bromo-N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl)-cyclohexyl]benzamide;
          4-bromo-N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl)-cyclohexyl]-3-methylbenzamide;
          N-(cis-4-[4-{(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(2-fluorophenoxy)nicotinamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,4,5-trimethoxybenzamide;
          N-(4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-nitrobenzamide;
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          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-2,2-diphenylacetamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-methylbenzamide;
          4-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          3-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
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          N-(cis-4-{(4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methoxybenzamide;
          N-(cis-4-{[4-{dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methoxybenzamide;
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          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-methylbenzamide;
          N-(cis-4-{([4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-3,4-difluorobenzamide;
          3-chloro-N-(cis-4-{[4-(dimethylamino-5-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-methylphenoxy)nicotinamide;
          2-(4-bromophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)nicotinamide;
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          2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)nicotinamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(4-fluorophenoxy)nicotinamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-fluorophenoxy)nicotinamide;
          2-(2-bromophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)nicotinamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-methoxyphenoxy)nicotinamide:
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          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl}-2-(4-methoxyphenoxy)nicotinamide:
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-vl]amino}cyclohexyl)-2-(4-iodophenoxy)nicotinamide:
          2-(3,4-dichlorophenoxy)-N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}-cyclohexyl)acetamide;
          2-(2,3-dichlorophenoxy)-N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}-cyclohexyl)acetamide;
          2-[(3,4-difluorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexyl)nicotina-
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          N-(cis-4-{[4-(dimethylamino)-5-ethylpyrimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide;
          N-[cis-4-({4-[ethyl(methyl)amino]-5-methylpyrimidin-2-yl amino}cyclohexyl]-3,4-difluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide;
          N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\} cyclohexyl]-2-(2-methoxyphenoxy) nicotinamide;\\
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          2-(2-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)nicotinamide;
          2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)nicotinamide;
          2-(3-bromophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)nicotinamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{3-(trifluoromethyl)phenoxy]nicotina-
          mide:
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          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-fluorophenoxy)acetamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-methoxyphenoxy)acetamide;
          N-(ds-4-{{4-(dmiethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-[3-(trifluoromethyl)phenoxy]acetamide;
          2-(3-chlorophenoxy)-N-(cis-4-{{4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)acetamide;
          2-[(5-chloropyridin-3-yl)oxy]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexyl)acetamide;
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          N-(cis-4-{(4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide;
          2-(3,4-difluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-2-hydroxyaceta-
          mide:
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N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxy-2-(4-methoxyphenyl)aceta-

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mide:
          2-(2,3-difluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-2-hydroxyaceta-
          mide:
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxy-2-[3-(trifluoromethyl)phenyl]
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          acetamide:
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{[2-(trifluoromethyl)phenyl]sulfinyl}
          acetamide;
          2-[(2-chlorophenyl)sulfinyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)acetamide;
          2-[(3-bromophenyl)sulfinyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)acetamide;
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          2-[(3,4-difluorophenyl)sulfinyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexyl)aceta-
          N-(cis-4-{(4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluorobenzamide;
          1-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) benzamide;
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          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy)benzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-4-fluorobenzamide;
          3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide;
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          N-(cis-4-{(4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2,4-difluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2,5-difluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2,3,4-trifluorobenzamide;
          4-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          3-cyano-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
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          4-cyano-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          2-[(3,4-dichlorophenyl)sulfinyl]-N-(cis-4-{(4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino)cyclohexyl)aceta-
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{[3-(trifluoromethyl)phenyl]sulfinyl}
          acetamide;
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          N-(cis-4-{{4-(dimethylamino)-5-methylpyrimidin-2-y|}amino}cyclohexyl)-2-{[3-(trifluoromethyl)phenyl}sulfonyl
          acetamide:
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(isopropylthio)nicotinamide;
          2-(tert-butylthio)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)nicotinamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yllamino)cyclohexyl)-2-(propylthio)-nicotinamide:
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)-3-(methylsulfonyl)benzamide;
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          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethyl)benzamide:
          3-cyano-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          4-cyano-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
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          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethyl)benzamide;
          3-cyano-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino)cyclohexyl)-3-methylbenzamide;
          3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
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          3-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide;
          3,4-dichloro-N-(cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino)cyclohexyl)-benzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy)benzamide;
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          4-cyano-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-4-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-5.6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
          4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-2-methoxybenzamide;
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          4-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-methoxybenzamide;
          5-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
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N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-5-methylisoxazole-3-carboxamide;
         2-(3,5-difluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}-cyclohexyl)-2-hydroxya-
         N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-2-methyl-1,3-oxazole-4-carboxamide;
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         N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-2,6-dimethoxynicotinamide;
         4-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino)cyclohexyl)-benzamide;
         N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yflamino)cyclohexyl)-4-(trifluoromethyl)benzamide;
         4-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)-3-methylbenzamide;
         N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-4-methylbenzamide;
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         N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide;
         N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-ethylbenzamide;
         N-(cis-4-\{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino\} cyclohexyl)-3-(trifluoromethoxy) benzamide;\\
         5-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino)cyclohexyl)-nicotinamide;
         N-(cis-4-\{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino\} cyclohexyl)-5-methylthiophene-2-carboxamide
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         N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-6-(trifluoromethyl)nicotinamide;
         N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3,5-diethoxybenzamide;
         N-(cis-4-{[4-(dimethylamino)-5,6-climethylpyrimidin-2-yl]amino}cyclohexyl)-3-ethoxybenzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-isopropoxybenzamide;
         3,5-dichloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-benzamide;
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          4-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide:
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-ethoxybenzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-4-methylbenzamide;
          N-(cis-4-{{4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-ethylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide;
25
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl)-3-fluoro-4-(trifluoromethyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-5-(trifluoromethyl)benzamide;
          3-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide;
30
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-4-methylbenzamide;
          3,5-dichloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethoxy)benzamide;
          N-(cis-4-{[4-(dimethylamino}-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-difluorobenzamide;
          4-bromo-N-(cis-4-{[4-(dimethylarnino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
35
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-ethylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethyl)benzamide;
          4-bromo-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide:
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-ethylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-diethoxybenzamide;
40
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-ethoxybenzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-isopropoxybenzamide;
          5-bromo-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-nicotinamide;
          5-bromo-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
          5-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
45
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-3-fluoro-5-(trifluoromethyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2,2-difluoro-1,3-benzodioxole-5-carboxa-
          mide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-3-ethoxybenzamide;
          N-(cis-4-{(4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-3-isopropoxybenzamide;
50
          5-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-diethoxybenzamide;
          4-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethyl)benzamide;
          5-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-nicotinamide;
          3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
55
          3-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-4-(trifluoromethoxy)benzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-methoxy-3-(trifluoromethyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-methoxy-3-(trifluoromethyl)benzamide:
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2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl}amino]-cyclohexyl)-2-methylpropana-

mide:

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- 1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)cyclopropanecarboxamide:
- 1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)cyclobutanecarboxamide:
- 1-(2,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)cyclopropanecar-boxamide:
- 2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexyl)-2-methylpropanamide:
- 1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexyl)cyclopropanecarboxamide:
  - 1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexyl)cyclobutanecarboxa-mide;
  - 1-(2,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexyl)cyclopropanecar-boxamide:
  - 2-[3,5-bis(trifluoromethyl)phenyl]-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]-amino}cyclohexyl)acetamide:
  - N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]benzamide;
- 20 2-(4-chlorophenyl)-N-(cis-4-{(4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)acetamide; N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-1-(4-methylphenyl)cyclopropanecarboxamide:
  - $2\hbox{-}(4\hbox{-}chiorophenyl)\hbox{-}N\hbox{-}(cis-4\hbox{-}\{[4\hbox{-}(dimethylamino})\hbox{-}5\hbox{-}methylpyrimidin-}2\hbox{-}yl]amino}\hbox{-}cyclohexyl)propanamide;$
  - 2-(4-chtorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-2-hydroxyacetamide;
- N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-1-(4-methoxyphenyl)cyclopropanecar-boxamide;
  - $N^2-(3-chlorophenyl)-N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}-cyclohexyl)-N^2-methylglycinamide:$
  - N<sup>2</sup>-(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N<sup>2</sup>-methylglycinamide:
  - N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N<sup>2</sup>-methyl-N<sup>2</sup>-(3-methylphenyl)glycinamide:
  - N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N<sup>2</sup>-(3-fluorophenyl)-N<sup>2</sup>-methylglycinamide;
- N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N<sup>2</sup>-(4-fluorophenyl)-N<sup>2</sup>-methylglycinamide;
  - $N^2$ -(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)- $N^2$ -methylglycinamide;
  - N<sup>2</sup>-(3,4-difluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N<sup>2</sup>-methylglycinamide;
  - $N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-N^2-(3--methoxyphenyl)-N^2-methylglycinamide:\\ N^2-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-N^2-(3--methoxyphenyl)-N^2-methylglycinamide:\\ N^2-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-N^2-(3--methoxyphenyl)-N^2-methylglycinamide:\\ N^2-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N^2-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N^2-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N^2-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N^2-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N^2-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N^2-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N^2-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N^2-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N^2-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N^2-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyllamin$
  - $N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-N^2-(4-methoxyphenyl)-N^2-methylglycinamide:\\ N^2-(4-methoxyphenyl)-N^2-methylglycinamide:\\ N^2-(4-methoxyphenyl)-N^2-methylglycinamid$
- 45 2-[(3,4-difluorophenyl)amino]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexyl)nicotinamide:
  - 2-(3,4-dichlorophenoxy)-N-(cis-4-{[4-methyl-6-(methylamino)pyrimidin-2-yl]amino}-cyclohexyl)acetamide; trans-2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)cyclopropane-carboxamide;
- trans-2-(3-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)cyclopropane-carboxamide;
  - trans-2-(3,4-difluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)cyclopro-panecarboxamide;
  - trans-2-(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexyl)cyclopropanecarboxamide:
  - trans-2-[3,5-bis(trifluoromethyl)phenyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)cyclopropanecarboxamide;
  - 2-[(4-chlorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino)cyclohexyl)nicotinamide;

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2-[(3-chlorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexyl)nicotinamide;
          2-[(4-bromophenyl)sulfonyl]-N-(cis-4-{[(4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexyl)nicotina-
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{[4-(trifluoromethyl)phenyl]sulfonyl}
          nicotinamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{[1-methyl-3-(trifluoromethyl)-1H-pyra-
          zol-5-yl]oxy]acetamide;
          2-[(2-chlorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]-amino}cyclohexyl)nicotinamide;
          2-[(3-chlorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]-amino}cyclohexyl)nicotinamide;
          3.4-dichloro-N-{cis-4-[(4-methoxy-5-methylpyrimidin-2-yl)amino]cyclohexyl}-benzamide;
10
          N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide:
          N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide;
          3-chloro-N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide;
          4-chloro-N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-fluoro-benzamide;
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          3-chloro-N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-5-fluoro-benzamide;
          N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4,5-trifluoro-benzamide;
          3-chloro-4-fluoro-N-[cis-4-(5-methyl-4-methylamino-pyrimidin-2-ylamino)-cyclohexyl]-benzamide:
          4-chloro-N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-fluoro-benzamide;
          3-chloro-N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-5-fluoro-benzamide;
20
          N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4,5-trifluoro-benzamide;
          N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,5-difluorobenzamide; and
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or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

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[0128] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

2-(3,4-difluoro-phenyl)-N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-acetamide;

N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3,5-bis(trifluoromethyl)benza-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3,5-dimethoxybenzamide; 30 N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3-(trifluoromethyl)benzamide; 4-bromo-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-methyl]-3-methylbenzamide; 3,5-dichloro-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-methyl]benzamide; 3,4-dichloro-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-methyl]benzamide;  $3, 5-dichloro-N-[(cis-4-(\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}methyl)-cyclohexyl] benzamide;\\$ 35 N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(2-fluorophenoxy)nicotinamide; N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,4,5-trimethoxybenzamide; N-(4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-nitrobenzamide; N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-2,2-diphenylacetamide; 4-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino)cyclohexyl)-benzamide; 40 3-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide; N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide; N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide; N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methoxybenzamide; 45 N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide; N-(cis-4-{([4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide; N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methoxybenzamide; N-(cis-4-{(4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-4-methylbenzamide; N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3.4-difluorobenzamide: 50 3-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-vl] amino}cyclohexyl)-benzamide: N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-methylphenoxy)nicotinamide:

2-(4-bromophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)nicotinamide; 2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-vl]amino}-cyclohexyl)nicotinamide: N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(4-fluorophenoxy)nicotinamide; 55 N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-fluorophenoxy)nicotinamide; 2-(2-bromophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)nicotinamide; N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-methoxyphenoxy)nicotinamide; N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(4-methoxyphenoxy)nicotinamide;

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N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(4-iodophenoxy)nicotinamide;
         2-(3,4-dichlorophenoxy)-N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}-cyclohexyl)acetamide;
         2-(2,3-dichlorophenoxy)-N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}-cyclohexyl)acetamide;
          2-[(3,4-difluorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexyl)nicotina-
5
          N-[cis-4-{(4-[ethyl(methyl)amino]-5-methylpyrimidin-2-yl]amino)cyclohexyl]-3,4-difluorobenzamide;
          N-(cis-4-{{4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide;
          N-(cis-4-{([4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-2-(2-methoxyphenoxy)nicotinamide;
          2-(2-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)nicotinamide:
10
          2-(3-chlorophenoxy)-N-(cis-4-{{4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)nicotinamide:
          2-(3-bromophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyriniddin-2-yl]amino}-cyclohexyl)nicotinamide;
          N-(cis-4-{((4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-[3-(trifluoromethyl)phenoxy]nicotina-
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-fluorophenoxy)acetamide;
          N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\} cyclohexyl)-2-(3-methoxyphenoxy) acetamide;\\
15
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl}-2-[3-(trifluoromethyl)phenoxy]acetamide;
         2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)acetamide;
         2-[(5-chloropyridin-3-yl)oxy]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexyl)acetamide;
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-vl]amino}cyclohexyl)-3.4-difluorobenzamide:
20
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxy-2-(4-methoxyphenyl)aceta-
         2-(2,3-difluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-2-hydroxyaceta-
          mide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxy-2-[3-(trifluoromethyl)phenyl]
25
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-2-{[2-(trifluoromethyl)phenyl]sulfinyl}
         2-[(2-chlorophenyl)sulfinyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)acetamide;
          2-[(3-bromophenyl)sulfinyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)acetamide:
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-3-(trifluoromethyl)benzamide;
30
          N-(cis-4-{[4-(dimethylamino)-5-methylpvrimidin-2-vl]amino}cvclohexvl)-3-fluorobenzamide:
          3-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yllamino}cyclohexyl)-benzamide:
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy)benzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-vl]amino}cyclohexyl)-4-fluorobenzamide:
          3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
35
         N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide;
         N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide;
         N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2,4-difluorobenzamide;
          N-( cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2,5-difluorobenzamide;
40
         N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2,3,4-trifluorobenzamide;
          4-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
         3-cyano-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          4-cyano-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(isopropylthio)nicotinamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(propylthio)nicotinamide;
45
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino]}cyclohexyl)-3-(trifluoromethyl)benzamide;
         3-cyano-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          4-cyano-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethyl)benzamide;
50
          3-cyano-N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-benzamide:
          N-(cis-4-{[4-(dimethylamino)-5.6-dimethylpyrimidin-2-vl]amino}cyclohexyl)-3-methylbenzamide:
          3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          3-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino)cyclohexyl)-benzamide:
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide;
         3,4-dichioro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
         4-cyano-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-4-methylbenzamide;
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N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide:
          4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino)cyclohexyl)-benzamide:
          4-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-methoxybenzamide;
          5-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-2,6-dimethoxynicotinamide;
          4-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          N-(cis-4-{[4-(dimethylammo)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethyl)benzamide;
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          4-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amin}}cyclohexyl)-3-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-4-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide:
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethoxy)benzamide;
          5-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-nicotinamide;
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)-5-methylthiophene-2-carboxamide;
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          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3,5-diethoxybenzamide:
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-ethoxybenzamide:
          N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-isopropoxybenzamide;
          3,5-dichloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-benzamide:
          4-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cydohexyl)-4-fluoro-3-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-3-fluoro-4-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-ethylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl] amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-4-(trifluoromethyl)benzamide;
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          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-5-(trifluoromethyl)benzamide;
          3-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-4-methylbenzamide;
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          3,5-dichloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethoxy)benzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-difluorobenzamide;
          4-bromo-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-ethylbenzamide;
          4-bromo-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
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          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-ethylbenzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-diethoxybenzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-ethoxybenzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-isopropoxybenzamide:
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          5-bromo-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-nicotinamide;
          5-bromo-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
          5-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-3-fluoro-5-(trifluoromethyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2,2-difluoro-1,3-benzodioxole-5-carboxa-
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          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-ethoxybenzamide;
          5-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-diethoxybenzamide;
          4-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethyl)benzamide;
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          5-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-nicotinamide;
          3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide;
          3-chloro-N-(cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-4-(trifluoromethoxy)benzamide;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-methoxy-3-(trifluoromethyl)benzamide;
          N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-methoxy-3-(trifluoromethyl)benzamide;
          2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-2-methylpropana-
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          1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)cyclopropanecarboxa-
          mide:
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- 1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)cyclobutanecarboxamide:
- 1-(2,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)cyclopropanecar-boxamide;
- 5 2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexyl)-2-methylpropana-

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- 1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexyl)cyclopropanecarboxamide:
- 1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexyl)cyclobutanecarboxamide:
- 1-(2,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexyl)cyclopropanecar-boxamide;
- 2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)acetamide;
- N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-1-(4-methylphenyl)cyclopropanecarboxamide
- 2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)propanamide 2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-2-hydroxyacetamide; N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-1-(4-methoxyphenyl)cyclopropanecar-boxamide:
- 20 N²-(3-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N²-methylglycina-mide;
  - $N^2-(3,4-dichlorophenyl)-N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}-cyclohexyl)-N^2-methylglycinamide;$
  - N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N<sup>2</sup>-methyl-N<sup>2</sup>-(3-methylphenyl)glycinamide:
  - $N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-N^2-(3-fluorophenyl)-N^2-methylglycinamide:$
  - N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N<sup>2</sup>-(4-fluorophenyl)-N<sup>2</sup>-methylglycinamide:
- 30 N²-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N²-methylglycinamide;
  - $N^2-(3,4-\text{difluorophenyl})-N-(\text{cis-}4-\{[4-(\text{dimethylamino})-5-\text{methylpyrimidin-}2-yl]amino}-\text{cyclohexyl})-N^2-\text{methylglycinamide};$
  - N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N2-(3-methoxyphenyl)-N2-methylglycinamide
  - 2-(3,4-dichlorophenoxy)-N-(cis-4-{[4-methyl-6-(methylamino)pyrimidin-2-yl]amino}-cyclohexyl)acetamide; trans-2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)cyclopropane-carboxamide:
  - trans-2-(3-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)cyclopropane-carboxamide;
  - trans-2-(3,4-difluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)cyclopropanecarboxamide;
  - trans-2-(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexyl)cyclopropanecarboxamide;
- trans-2-[3,5-bis(trifluoromethyl)phenyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)cyclopropanecarboxamide;
  - 2-[(4-chlorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexyl)nicotinamide; N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{[1-methyl-3-(trifluoromethyl)-1H-pyra-zol-5-yl]oxy}acetamide;
- 50 N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide;
  - N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide;
  - 3-chloro-N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide;
  - 4-chloro-N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-fluoro-benzamide;
  - 3-chloro-N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-5-fluoro-benzamide;
- 55 N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyll-3,4,5-trifluoro-benzamide;
  - 3-chloro-4-fluoro-N-[cis-4-(5-methyl-4-methylamino-pyrimidin-2-ylamino)-cyclohexyl]-benzamide;
  - 4-chloro-N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-fluoro-benzamide;
  - 3-chloro-N-[cis-4-(4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-5-fluorobenzamide;

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◆ C<sub>1-5</sub> alkyl,

C<sub>1-5</sub> alkoxy, and

C<sub>1-5</sub> alkyl substituted by halogen,

N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3, 4, 5-triftuorobenzamide;N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,5-difluorobenzamide; and 2-(3,4-difluoro-phenyl)-N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-acetamide; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. [0129] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of: (i) C<sub>1-16</sub> alkyl, and C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, nitro, C<sub>1-5</sub> alkylcarbonylamino, C<sub>3-6</sub> cycłoalkylcarbonylamino, C<sub>1-5</sub> alkyl, C<sub>1.5</sub> alkyl substituted by halogen, C<sub>1-5</sub> alkoxy, and (ii) C<sub>3-12</sub> cycloalkyl, and C3-12 cycloalkyl substituted by carbocyclic aryl, (iii) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted by halogen, C<sub>1-9</sub> alkoxy, and C<sub>1-5</sub> alkylthio, (iv) heterocyclyl, L is Formula (XV); Y is  $-C(O)NR_{5}$ -; R<sub>2</sub> is selected from the group consisting of: -N(R<sub>2a</sub>(R<sub>2b</sub>), wherein R<sub>2a</sub> is hydrogen or C<sub>1-5</sub> alkyl and R<sub>2b</sub> is C<sub>1-5</sub> alkyl; wherein carbocyclic aryl is phenyl or naphthyl; heterocyclyl is 3,4-dihydro-1 H-isoquinolinyl; and halogen is fluoro, chloro, bromo, or iodo; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. [0130] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of: (i) C<sub>1-16</sub> alkyl, and C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, nitro,

- (ii) C3-12 cycloalkyl, and

C<sub>3-12</sub> cycloalkyl substituted by carbocyclic aryl,

(iii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- C<sub>1-10</sub> alkyl,
- C<sub>1-10</sub> alkyl substituted by halogen, and
- C<sub>1-9</sub> alkoxy,

R2 is selected from the group consisting of:

N(R<sub>2a</sub>)(R<sub>2b</sub>), wherein R<sub>2a</sub> is hydrogen or C<sub>1-5</sub> alkyl and R<sub>2b</sub> is C<sub>1-5</sub> alkyl;

wherein carbocyclic aryl is phenyl or naphthyl; heterocyclyl is 3,4-dihydro-1*H*-isoquinolinyl; and halogen is fluoro, chloro, bromo, or iodo;

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or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0131] In some embodiments of the present invention, p is 1 and T is  $C_{1-5}$  alkyl;  $R_3$  and  $R_4$  are both hydrogen; and A and B are both single bonds;  $R_5$  is hydrogen: or a pharmaceutically acceptable salt, hydrate, or solvate thereof. [0132] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

cis-4-{{4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(3-iodobenzyl)-cyclohexanecarboxamide; cis-N-(2,4-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide; cis-N-(2,5-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide; cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(4-methylbenzyl)-cyclohexanecarboxamide; cis-N-(3,5-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide; cis-N-(3,5-dimethoxybenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide; cis-N-(3-chlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide; cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[3-(trifluoromethyl)benzyl]-cyclohexanecarboxamide; cis-N-[3,5-bis(trifluoromethyl)benzyl]-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]-amino}cyclohexanecarboxacis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(3-methoxybenzyl)-cyclohexanecarboxamide; cis-N-(4-chlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide; cis-N-(3,4-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide; cis-N-(2,5-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide; cis-N-(2,3-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide; cis-N-(4-bromo-2-fluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide; cis-N-(2,4-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-vl]amino}-cyclohexanecarboxamide; cis-4-{{4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(3-methylbenzyl)-cyclohexanecarboxamide; cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[2-(trifluoromethoxy)benzyl]-cyclohexanecarboxamcis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-phenylethyl]-cyclohexanecarboxamide; cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)-ethyl]cyclohexanecarboxamide: cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(4-fluorophenyl)-ethyl]cyclohexanecarboxamcis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-fluorophenyl)-ethyl]cyclohexanecarboxamcis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(3-methoxyphenyl)-ethyl] cyclohexanecarboxcis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-vl]amino}-N-{(1S)-1-(3-methoxyphenyl)-ethyl]cyclohexanecarbox-

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methoxyphenyl)-ethyl] cyclohexanecarbox-

amide:

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cis-N-[(1R)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexanecarboxamide:

cis-N-[1-(4-bromophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide; cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(4-nitrophenyl)-ethyl]cyclohexanecarboxamide;

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-nitrophenyl)ethyl]-cyclohexanecarboxamide;

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-vl]amino}-N-(3-fluorophenyl)-cyclohexanecarboxamide:

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-(3-methoxyphenyl)-cyclohexanecarboxamide;

cis-N-(3-chlorophenyl)-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide;

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S,2R)-2-phenylcyclopropyl]cyclohexanecarboxamide;

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[4-(trifluoromethyl)phenyl]-cyclohexanecarboxamide; cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(3-methoxyphenyl)-ethyl] cyclohexanecarboxamide:

cis-N-[(1S)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]-amino}cyclohexanecarboxamide;

cis-N-benzyl-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide;

20 cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(4-fluorobenzyl)-cyclohexanecarboxamide; cis-N-(3,4-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide;

cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methoxyphenyl)-ethyl]cyclohexanecarbox-amide:

cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(3-methoxyphenyl)-ethyl]cyclohexanecarbox-amide:

cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(4-fluorophenyl)-ethyl]cyclohexanecarboxamide;

cis-N-[(1R)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]-amino )cyclohexanecarboxamide;

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(1-naphthyl)ethyl]-cyclohexanecarboxamide; cis-N-[(1R)-1-(4-bromophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexanecarboxamide;

cis-N-[(1S)-1-(4-bromophenyl)ethyl]-4-[(4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexanecarboxamide;

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-{(1S)-1-[4-(trifluoromethoxy)phenyl]ethyl}cyclohex-anecarboxamide;

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(2-fluorophenyl)-ethyl]cyclohexanecarboxamide:

cis-N-{(1S)-1-{3,5-bis(trifluoromethyl)phenyl]ethyl}-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cy-clohexanecarboxamide;

4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-{(1S)-1-[3-(trifluoromethyl)-phenyl]ethyl}cyclohexanecar-boxamide;

4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-{(1S)-1-[2-(trifluoromethyl)-phenyl]ethyl}cyclohexanecar-boxamide;

45 cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-]{(1R)-1-[4-(trifluoromethoxy)phenyl]ethyl]cyclohex-anecarboxamide;

cis-N-[(1S)-1-(4-chlorophenyl)ethyl]-4-{[4-(4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexanecarboxamide;

cis-N-[(1R)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexanecarboxamide;

cis-N-[1-(4-chlorophenyl)-1-methylethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexanecar-boxamide; and

cis-N-{1-[3,5-bis(trifluoromethyl)phenyl]-1-methylethyl}-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0133] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

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cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(3-iodobenzyl)-cyclohexanecarboxamide;
          cis-N-(2,4-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide;
          cis-N-(2,5-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide;
          cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(4-methylbenzyl)-cyclohexanecarboxamide;
          cis-N-(3,5-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide;
5
          cis-N-(3,5-dimethoxybenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide;
          cis-N-(3-chlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide;
          cis-N-[3,5-bis(trifluoromethyl)benzyl]-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]-amino)cyclohexanecarboxa-
10
          cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(3-methoxybenzyl)-cyclohexanecarboxamide;
          cis-N-(4-chlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide;
          cis-N-(3,4-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide;
          cis-N-(2,5-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide;
          cis-N-(2,3-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide;
15
          cis-N-(4-bromo-2-fluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-vl] amino}-cyclohexanecarboxamide;
          cis-N-(2,4-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide;
          cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(3-methylbenzyl)-cyclohexanecarboxamide;
          cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[2-(trifluoromethoxy)benzyl]-cyclohexanecarboxam-
20
         cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)-ethyl]cyclohexanecarboxa-
          cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(4-fluorophenyl)-ethyl]cyclohexanecarboxam-
          cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(3-methoxyphenyl)-ethyl]cyclohexanecarbox-
25
          cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(3-methoxyphenyl)-ethyl]cyclohexanecarbox-
          cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methoxyphenyl)-ethyl]cyclohexanecarbox-
          amide:
30
          cis-N-[(1R)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexanecarboxa-
          cis-N-[1-(4-bromophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide;
          cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-((1R)-1-(4-nitrophenyl)-ethyl] cyclohexanecarboxam-
          cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-(3-methoxyphenyl)-cyclohexanecarboxamide;
35
          cis-N-(3-chlorophenyl)-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide;
          cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S,2R)-2-phenylcyclopropyl]cyclohexanecarboxam-
          cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[4-(trifluoromethyl)phenyl]-cyclohexanecarboxamide;
40
          cis-N-[(1S)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl)-amino}cyclohexanecarboxa-
          cis-N-(3,4-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexanecarboxamide;
          cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl)amino}-N-[(1S)-1-(4-methoxyphenyl)-ethyl]cyclohexanecarbox-
45
          cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(3-methoxyphenyl)-ethyl]cyclohexanecarbox-
          cis-N-[(1R)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]-amino}cyclohexanecarboxa-
          cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(1-naphthyl)ethyl]-cyclohexanecarboxamide;
50
          cis-N-[(1S)-1-(4-bromophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexanecarboxa-
          cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-{(1S)-1-[4-(trifluoromethoxy)phenyl]ethyl}cyclohex-
          anecarboxamide:
          cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(2-fluorophenyl)-ethyl]cyclohexanecarboxam-
55
          cis-N-{(1S)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl}-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cy-
          clohexanecarboxamide;
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4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-{(1S)-1-[3-(trifluoromethyl)-phenyl]ethyl}cyclohexanecar-

boxamide:

4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-{(1S)-1-[2-(trifluoromethyl)-phenyl]ethyl)}cyclohexane-carboxamide; and

cis-N-[(1R)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexanecarboxamide:

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0134] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-16</sub> alkyl, and

C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

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- halogen,
- C<sub>1-5</sub> alkyl, and
- C<sub>1-5</sub> alkyl substituted by halogen,
- (ii) C<sub>3-12</sub> cycloalkyl, and

C<sub>3-12</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of:

- carbocyclic aryl, and
- carbocyclic aryl substituted by halogen,

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(iii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- C<sub>1-10</sub> alkyl,
- C<sub>1-10</sub> alkyl substituted by halogen,
- C<sub>1-9</sub> alkoxy,
- C<sub>1-9</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
  - halogen, and
  - e carbocyclic aryl,

L is Formula (VII);

Y is  $-C(O)NR_{5}$ -;

 $\rm R_2$  is -N(R<sub>2a</sub>)(R<sub>2b</sub>) wherein R<sub>2a</sub> is hydrogen or C<sub>1-5</sub> alkyl and R<sub>2b</sub> is C<sub>1-5</sub> alkyl;

wherein carbocyclic aryl is phenyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0135] In some embodiments of the present invention, p is 1 or 2 and each T is independently C<sub>1-5</sub> alkyl; R<sub>3</sub> is hydrogen; R<sub>4</sub> is hydrogen or C<sub>1-5</sub> alkyl; A and B are both single bonds; R<sub>5</sub> is hydrogen: or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0136] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

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N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]-amino}cyclohexyl)urea;

 $N-(3-chlorophenyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}-cyclohexyl)-N-methylurea;\\$ 

N-(3,4-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N-methylurea;

 $N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\} cyclohexyl)-N-methyl-N-(3-methylphenyl) urea;\\$ 

N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methyl-N-(4-methylphenyl)urea; N'-(cis-4-{[4-(dimethylamino}-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-(3-fluorophenyl)-N-methylurea;

N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-N-(4-fluorophenyl)-N-methylurea;

N-(4-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N-methylurea;

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N-(3,4-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N-methylurea;
          N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N-(3-methoxyphenyl)-N-methylurea;
          N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N-(4-methoxyphenyl)-N-methylurea;
          N-{1-[3,5-bis(trifluoromethyl)phenyl]-1-methylethyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}
5
          cyclohexyl)urea;
          N-[1-(4-chlorophenyl)-1-methylethyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yi]amino}cyclohexyl)
          urea:
          N-[1-(4-chlorophenyl)-1-methylethyl]-N'-(cis-4-\{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino\}cyclohexyl)
          urea:
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          N-[1-(4-chlorophenyl)-1-methylethyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-
          methylurea;
          N-[1-(4-chlorophenyl)-1-methylethyl]-N'-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-N-
          methylurea;
          N-[1-(4-chlorophenyl)cyclopropyl]-N'-(cis-4-[(4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino)cyclohexyl)-N-
15
          methylurea;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N'-(2-methoxyphenyl)urea;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N'-(3-methoxyphenyl)urea:
          N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)urea;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N'-(4-fluorophenyl)urea;
          N-(3.4-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)urea;
20
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N'-[2-(trifluoromethoxy)phenyl]urea;
          N-(4-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)urea;
          N-[3,5-bis(trifluoromethyl)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino}cyclohexyl)urea;
          N-(4-bromophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)urea;
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N'-(2-methylphenyl)urea;
25
          N-benzyl-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)urea;
          N-[2-chloro-6-(trifluoromethyl)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)
          urea:
          N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N'-(2,4,6-trichlorophenyl)urea;
30
          N-(2,4-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N-methylurea;
          N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methyl-N-[2-(trifluoromethoxy)phenyl]
          N-(4-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N-ethylurea;
          N-[3,5-bis(trifluoromethyl)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-
35
          N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-(2-fluorophenyl)-N-methylurea;
          N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-ethyl-N-[2-(trifluoromethoxy)phenyl]
          N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-vi]amino}cyclohexyl)-N-ethyl-N-phenylurea:
          N'-(cis-4-)[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-N-ethyl-N-(3-methylphenyl)urea; and
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or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0137] In some embodiments, compounds of the present invention are of Formula (I) wherein the compound is selected from the group consisting of:

1-(2,3-dichloro-phenyl)-3-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl]-urea;

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N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]-amino}cyclohexyl)urea;
N-(3-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N-methylurea;
N-(3,4-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N-methylurea;
N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methyl-N-(3-methylphenyl)urea;
N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-(3-fluorophenyl)-N-methylurea;
N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-(4-fluorophenyl)-N-methylurea;
N-(4-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N-methylurea;
N-(3,4-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N-methylurea;
N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N-methylurea;
N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N-methylurea;
N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N-methylurea;
N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N-methylurea;
N-[1-(4-chlorophenyl)-1-methylethyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)
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urea; N-[1-(4-chlorophenyl)-1-methylethyl]-N'-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-vi]amino)cyclohexyl) N-[1-(4-chlorophenyl)-1-methylethyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-N-5 methylurea; N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N'-(4-fluorophenyl)urea; N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N'-[2-(trifluoromethoxyl)phenyl]area; N-(4-bromophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)urea; N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N'-(2-methylphenyl)urea; N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N'-(2,4,6-trichlorophenyl)urea; 10 N-(2,4-dichlorophenyl-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N-methylurea; N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methyl-N-[2-(trifluoromethoxy)phenyl] N-(4-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-N-ethylurea; 15 N-[3,5-bis(trifluoromethyl)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-Nethylurea; N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-ethyl-N-phenylurea: N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-vl]amino}cyclohexyl)-N-ethyl-N-(3-methylphenyl)urea; and 1-(2,3-dichloro-phenyl)-3-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl]-urea; 20 or a pharmaceutically acceptable salt, hydrate, or solvate thereof. [0138] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of: heterocyclyl, and 25 heterocyclyl substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryloxy. carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of: 30 halogen, and C<sub>1-5</sub> alkoxy, L is Formula (X) or (XI); Y is -C(0)-; 35  $\rm R_2$  is -N(R<sub>2a</sub>)(R<sub>2b</sub>) wherein R<sub>2a</sub> is C<sub>1.5</sub> alkyl and R<sub>2b</sub> is C<sub>1.5</sub> alkyl; wherein carbocyclic aryl is phenyl; heterocyclyl is pyridyl; and halogen is fluoro, chloro, bromo, or iodo; 40 or a pharmaceutically acceptable salt, hydrate, or solvate thereof. [0139] In some embodiments of the present invention, p is 1 and T is C<sub>1.5</sub> alkyl; R<sub>3</sub> and R<sub>4</sub> are both hydrogen; A is a single bond and B is -CH<sub>2</sub>-; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. [0140] In some embodiments of the present invention, R<sub>1</sub> is selected from the group consisting of: 45 (i) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen. C<sub>1-10</sub> alkyl, and C<sub>1-10</sub> alkyl substituted by halogen, 50 (ii) heterocyclyl. L is Formula (VII); and Y is -S(O)2-; 55  $R_2$  is  $-N(R_{2a})(R_{2b})$  wherein  $R_{2a}$  is  $C_{1.5}$  alkyl and  $R_{2b}$  is  $C_{1.5}$  alkyl;

wherein carbocyclic aryl is phenyl or naphthyl;

halogen is fluoro, chloro, bromo, or iodo;

heterocyclyl is furyl; and

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0141] In some embodiments of the present invention, p is 1 and T is  $C_{1-10}$  alkyl;  $R_3$  and  $R_4$  are both hydrogen, and A and B are both single bonds; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0142] In some embodiments, a compound of the present invention is:

4-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-benzenesulfonamide;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0143] In some embodiments of the present invention, wherein R<sub>1</sub> is selected from hydrogen, -CO<sub>2</sub>tBu, or-CO<sub>2</sub>Bn (Bn is a benzyl group);

R<sub>2</sub> is selected from the group consisting of:

hydrogen, halogen, hydroxy, carboxy, carbamoyl, amino,  $C_{1-5}$  alkyl,  $C_{1-5}$  alkyl substituted by halogen,  $C_{1-5}$  alkyl substituted by carboxy,  $C_{1-5}$  alkyl substituted by carbamoyl,  $C_{1-5}$  alkoxy,  $C_{1-5}$  alkoxy,  $C_{1-5}$  alkoxy substituted by halogen,  $-N(R_{2a})(R_{2b})$ ;

wherein  $R_{2a}$  is hydrogen or  $C_{1-5}$  alkyl and  $R_{2b}$  is  $C_{1-5}$  alkyl,  $C_{3-6}$  cycloalkyl, or  $C_{1-5}$  alkyl substituted by substituent (s) independently selected from the group consisting of:

halogen,

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- hydroxy,
- carboxy,
- carbamoyl,
- C<sub>1-5</sub> alkoxy,
- 25 amino,
  - C<sub>3-6</sub> cycloalkyl,

or R2 is methylamino or dimethylamino when Q is Formula (II);

Each T is independently selected from the group consisting of halogen, hydroxy, carboxy, carbamoyl, amino, cyano, nitro,  $C_{1-5}$  alkyl,  $C_{1-5}$  alkyl substituted by halogen,  $C_{1-5}$  alkyl substituted by hydroxy,  $C_{1-5}$  alkyl substituted by carboxy,  $C_{1-5}$  alkyl substituted by carbamoyl,  $C_{2-5}$  alkenyl,  $C_{2-5}$  alkynyl,  $C_{3-6}$  cycloalkyl,  $C_{1-5}$  alkoxy,  $C_{1-5}$  alkoxy substituted by halogen, carbocyclic aryl, heterocyclyl, and  $-N(R_{2a})(R_{2b})$ ;

p is 0, 1, 2, 3, 4 or 5;

L is selected from the group consisting of Formula (VII), (X), (XI), (XV), (XVIII), or (XIX): wherein  $R_3$  and  $R_4$  are independently hydrogen or  $C_{1-5}$  alkyl; and A and B are independently a single bond or -CH<sub>2</sub>-; and

Y is a single bond;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

[0144] One aspect of the present invention pertains to pharmaceutical compositions comprising at least one compound, as described herein, in combination with a pharmaceutically acceptable carrier.

[0145] One aspect of the present invention pertains to methods for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders and dyskinesias including Parkinson's disease, epilepsy, and addiction comprising administering to an individual suffering from the condition a therapeutically effective amount of a compound, as described herein, or a pharmaceutical composition thereof.

[0146] One aspect of the present invention pertains to methods for the prophylaxis or treatment of an eating disorder, obesity or an obesity related disorder comprising administering to an individual suffering from the condition a therapeutically effective amount of a compound, as described herein, or a pharmaceutical composition thereof.

[0147] One aspect of the present invention pertains to methods for the prophylaxis or treatment of anxiety, depression, schizophrenia, addiction, or epilepsy comprising administering to an individual suffering from the condition a therapeutically effective amount of a compound, as described herein, or a pharmaceutical composition.

[0148] One aspect of the present invention pertains to compounds of the present invention, as described herein, or a pharmaceutical composition thereof, for use in a method of treatment of the human or animal body by therapy.

[0149] One aspect of the present invention pertains to compounds of the present invention, as described herein, or a pharmaceutical composition thereof, for use in a method of prophylaxis or treatment of an eating disorder, obesity or an obesity related disorder of the human or animal body by therapy.

[0150] One aspect of the present invention pertains to compounds of the present invention, as described herein, or

a pharmaceutical composition thereof, for use in a method of prophylaxis or treatment of anxiety, depression, schizophrenia, addiction, or epilepsy of the human or animal body by therapy.

[0151] One aspect of the present invention pertains to compounds of the present invention, as described herein, for the manufacture of a medicament for use in the prophylaxis or treatment of an eating disorder, obesity or obesity related disorders.

[0152] One aspect of the present invention pertains to compounds of the present invention, as described herein, for the manufacture of a medicament for use in the prophylaxis or treatment of anxiety, depression, schizophrenia, addiction, or epilepsy.

[0153] One aspect of the present invention pertains to methods of decreasing food intake of an individual comprising administering to the individual a therapeutically effective amount of a compound, as described herein, or a pharmaceutical composition thereof.

[0154] One aspect of the present invention pertains to methods of inducing satiety in an individual comprising administering to said individual a therapeutically effective amount of a compound, as described herein, or a pharmaceutical composition thereof.

[0155] One aspect of the present invention pertains to methods of controlling or reducing weight gain in an individual comprising administering to said individual a therapeutically effective amount of a compound, as described herein, or a pharmaceutical composition thereof.

[0156] One aspect of the present invention pertains to methods of modulating a MCH receptor in an individual comprising contacting the receptor with a compound, as described herein. In some embodiments, the compound is an antagonist. In some embodiments, the modulation of the MCH receptor is for the prophylaxis or treatment of an eating disorder, obesity or obesity related disorder. In some embodiments, the modulation of the MCH receptor reduces food intake of the individual. In some embodiments, the modulation of the MCH receptor induces satiety in the individual. In some embodiments, the modulation of the MCH receptor controls or reduces weight gain of the individual. In some embodiments, the modulation of the MCH receptor is for prophylaxis or treatment of anxiety, depression, schizophrenia, addiction, or epilepsy.

[0157] In some embodiments, the individual is a mammal.

[0158] In some embodiments, the mammal is a human.

[0159] In some embodiments, the human has a body mass index of about 18.5 to about 45. In some embodiments, the human has a body mass index of about 25 to about 45. In some embodiments, the human has a body mass index of about 30 to about 45. In some embodiments, the human has a body mass index of about 35 to about 45.

[0160] One aspect of the present invention pertains to methods of producing a pharmaceutical composition comprising admixing a compound, as described herein, and a pharmaceutically acceptable carrier.

[0161] One aspect of the present invention pertains to methods for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders and dyskinesias including Parkinson's disease, epilepsy, and addiction in mammals in need of such treatment comprising administering to the mammal a therapeutically effective amount of a compound, as described herein, or pharmaceutical composition thereof.

[0162] One embodiment of the invention includes any compound of the invention which selectively binds an MCH receptor, such selective binding is preferably demonstrated by a Ki for one or more other GPCR(s), preferably NPY, being at least 10-fold greater than the Ki for any particular MCH receptor, preferable MCHR1.

[0163] As used herein, the term "alkyl" is intended to denote hydrocarbon compounds including straight chain and branched chain, including for example but not limited to methyl, ethyl, n-propyl, isopropyl, n-butyl, see-butyl, tert-butyl, n-pentyl, isopentyl, tert-pentyl, n-hexyl, and the like.

[0164] The term "alkoxy" is intended to denote substituents of the formula -O-alkyl.

[0165] At various places in the present specification substituents of compounds of the invention are disclosed in groups. It is specifically intended that the invention include each and every individual subcombination of the members of such groups.

[0166] G-protein coupled receptors (GPCRs) represent a major class of cell surface receptors with which many neurotransmitters interact to mediate their effects. GPCRs are predicted to have seven membrane-spanning domains and are coupled to their effectors via G-proteins linking receptor activation with intracellular biochemical sequelae such as stimulation of adenylyl cyclase. Melanin Concentrating Hormone (MCH), a cyclic peptide, has been identified as the endogenous ligand of the orphan G-protein coupled receptor SLC-1. See, for example, Shimomura et al., Biochem. Biophys. Res. Commun. 261, 622-26 (1999). Studies have indicated that MCH acts as a neurotransmitter/modulator/

Biophys. Res. Commun. 261, 622-26 (1999). Studies have indicated that MCH acts as a neurotransmitter/modulator regulator to alter a number of behavioral responses.

[0167] Mammalian MCH (19 amino acids) is highly conserved between rat, mouse, and human, exhibiting 100% amino acid identity, but its physiological roles are less clear. MCH has been reported to participate in a variety of

processes including feeding, water balance, energy metabolism, general arousal/attention state, memory and cognitive functions, and psychiatric disorders. For reviews, see 1. Baker, Int. Rev. Cytol. 126:1-47 (1991); 2. Baker, TEM 5: 120-126 (1994); 3. Nahon, Critical Rev. in Neurobiol 221:221-262, (1994); 4. Knigge et al., Peptides 18(7):1095-1097, (1996). The role of MCH in feeding or body weight regulation is supported by Qu et al., Nature 380:243-247, (1996), demonstrating that MCH is over expressed in the hypothalamus of ob/ob mice compared with ob/+mice, and that fasting further increased MCH mRNA in both obese and normal mice during fasting. MCH also stimulated feeding in normal rats when injected into the lateral ventricles as reported by Rossi et al., Endocrinology 138:351-355, (1997). MCH also has been reported to functionally antagonize the behavioral effects of  $\alpha$ -MSH; see: Miller et al., Peptides 14:1-10, (1993); Gonzalez et al, Peptides 17:171-177, (1996); and Sanchez et al., Peptides 18:3933-396, (1997). In addition, stress has been shown to increase POMC mRNA levels while decreasing the MCH precursor preproMCH (ppMCH) mRNA levels; Presse et al., Endocrinology 131:1241-1250, (1992). Thus MCH can serve as an integrative neuropeptide involved in the reaction to stress, as well as in the regulation of feeding and sexual activity; Baker, Int. Rev. Cytol. 126: 1-47, (1991); Knigge et al., Peptides 17:1063-1073, (1996).

[0168] The localization and biological activities of MCH peptide suggest that the modulation of MCH receptor activity can be useful in a number of therapeutic applications. MCH is expressed in the lateral hypothalamus, a brain area implicated in the regulation of thirst and hunger: Grillon et al., Neuropeptides 31:131-136, (1997); recently orexins A and B, which are potent orexigenic agents, have been shown to have very similar localization to MCH in the lateral hypothalamus; Sakurai et al., Cell 92:573-585 (1998). MCH mRNA levels in this brain region are increased in rats after 24 hours of food-deprivation; Herve and Fellmann, Neurpeptides 31:237-242 (1997); after insulin injection, a significant increase in the abundance and staining intensity of MCH immunoreactive perikarya and fibres was observed concurrent with a significant increase in the level of MCH mRNA; Bahjaoui-Bouhaddi et al., Neuropeptides 24:251-258, (1994). Consistent with the ability of MCH to stimulate feeding in rats; Rossi et al., Endocrinology 138:351-355, (1997); is the observation that MCH mRNA levels are upregulated in the hypothalami of obese ob/ob mice; Qu et al., Nature 380: 243-247, (1996); and decreased in the hypothalami of rats treated with leptin, whose food intake and body weight gains are also decreased; Sahu, Endocrinology 139:795-798, (1998). MCH appears to act as a functional antagonist of the melanocortin system in its effects on food intake and on hormone secretion within the HPA (hypothalamopituitary/ adrenal axis); Ludwig et al., Am. J. Physiol. Endocrinol. Metab. 274:E627-E633, (1998). Together these data suggest a role for endogenous MCH in the regulation of energy balance and response to stress, and provide a rationale for the development of specific compounds acting at MCH receptors for use in the treatment of obesity and stress-related disorders.

[0169] Accordingly, a MCH receptor antagonist is desirable for the prophylaxis or treatment of obesity or obesity related disorders. An obesity related disorder is a disorder that has been directly or indirectly associated to obesity, such as, type II diabetes, syndrome X, impaired glucose tolerance, dyslipidaemia, hypertension, coronary heart disease and other cardiovascular disorders including atherosclerosis, insulin resistance associated with obesity and psoriasis, for treating diabetic complications and other diseases such as polycystic ovarian syndrome (PCOS), certain renal diseases including diabetic nephropathy, glomerulonephritis, glomerular sclerosis, nephrotic syndrome, hypertensive nephrosclerosis, end-stage renal diseases and microalbuminuria as well as certain eating disorders.

[0170] In species studied to date, a major portion, of the neurons of the MCH cell group occupies a rather constant location in those areas of the lateral hypothalamus and subthalamus where they lie and can be a part of some of the so-called "extrapyramidal" motor circuits. These involve substantial striato- and pallidofugal pathways involving the thalamus and cerebral cortex, hypothalamic areas, and reciprocal connections to subthalamic nucleus, substantia nigra, and mid-brain centers; Bittencourt et al., J. Comp. Neurol. 319:218-245, (1992). In their location, the MCH cell group may offer a bridge or mechanism for expressing hypothalamic visceral activity with appropriate and coordinated motor activity. Clinically it can be of some value to consider the involvement of this MCH system in movement disorders, such as Parkinson's disease and Huntingdon's Chorea in which extrapyramidal circuits are known to be involved.

[0171] Human genetic linkage studies have located authentic hMCH loci on chromosome 12 (12q23-24) and the variant hMCH loci on chromosome 5 (5q12-13) (Pedeutour et al., 1994). Locus 12q23-24 coincides with a locus to which autosomal dominant cerebellar ataxia type II (SCA2) has been mapped; Auburger et al., Cytogenet. Cell. Genet. 61:252-256, (1992); Twells et al., Cytogenet. Cell. Genet. 61:262-265, (1992). This disease comprises neurodegenerative disorders, including an olivopontocerebellar atrophy. Furtherner, the gene for Darier's disease, has been mapped to locus 12q23-24; Craddock et al., Hum. Mol. Genet. 2:1941-1943, (1993). Dariers' disease is characterized by abnormalities I keratinocyte adhesion and mental illnesses in some families. In view of the functional and neuroanatomical patterns of the MCH neural system in the rat and human brains, the MCH gene can represent a good candidate for SCA2 or Darier's disease. Interestingly, diseases with high social impact have been mapped to this locus. Indeed, the gene responsible for chronic or acute forms of spinal muscular atrophies has been assigned to chromosome 5q12-13 using genetic linkage analysis; Melki et al., Nature (London) 344:767-768, (1990); Westbrook et al., Cytogenet. Cell. Genet. 61:225-231, (1992). Furthermore, independent lines of evidence support the assignment of a major schizophrenia locus to chromosome 5q11.2-13.3; Sherrington et al., Nature (London) 336:164-167, (1988); Bassett et al.,

Lancet 1:799-801, (1988); Gilliam et al., Genomics 5:940-944, (1989). The above studies suggest that MCH can play a role in neurodegenerative diseases and disorders of emotion.

[0172] Additional therapeutic applications for MCH-related compounds are suggested by the observed effects of MCH in other biological systems. For example, MCH can regulate reproductive functions in male and female rats. MCH transcripts and MCH peptide were found within germ cells in testes of adult rats, suggesting that MCH can participate in stem cell renewal and/or differentiation of early spermatocytes; Hervieu et al., Biology of Reduction 54:1161-1172, (1996). MCH injected directly into the medial preoptic area (MPOA) or ventromedial nucleus (VMN) stimulated sexual activity in female rats; Gonzalez et al., Peptides 17:171-177, (1996). In ovariectomized rats primed with estradiol, MCH stimulated luteinizing hormone (LH) release while anti-MCH antiserum inhibited LH release; Gonzalez et al., Neuroendocrinology 66:254-262, (1997). The zona incerta, which contains a large population of MCH cell bodies, has previously been identified as a regulatory site for the pre-ovulatory LH surge; MacKenzie et al., Neuroendocrinology 39:289-295, (1984). MCH has been reported to influence release of pituitary hormones including ACTH and oxytocin. MCH analoques can also be useful in treating epilepsy. In the PTZ seizure model, injection of MCH prior to seizure induction prevented seizure activity in both rats and guinea pigs, suggesting that MCH-containing neurons can participate in the neural circuitry underlying PTZ-induced seizure; Knigge and Wagner, Peptides 18:1095-1097, (1997). MCH has also been observed to affect behavioral correlates of cognitive functions. MCH treatment hastened extinction of the passive avoidance response in rats; McBride et al., Peptides 15:757-759, (1994); raising the possibility that MCH receptor antagonists can be beneficial for memory storage and/or retention. A possible role for MCH in the modulation or perception of pain is supported by the dense innervation of the periaqueductal grey (PAG) by MCH-positive fibers. Finally, MCH can participate in the regulation of fluid intake. ICV infusion of MCH in conscious sheep produced diuretic, natriuretic, and kaliuretic changes in response to increased plasma volume; Parkes, J. Neuroendocrinol. 8:57-63, (1996). Together with anatomical data reporting the presence of MCH in fluid regulatory areas of the brain, the results indicate that MCH can be an important peptide involved in the central control of fluid homeostasis in mammals.

[0173] In a recent citation MCHR1 antagonists surprisingly demonstrated their use as an anti-depressants and/or anti-anxiety agents. MCHR1 antagonists have been reported to show antidepressant and anxiolytic activities in rodent models, such as, social interaction, forced swimming test and ultrasonic vocalization. Therefore, MCHR1 antagonists could be useful to independently treat subjects with depression and/or anxiety. Also, MCHR1 antagonists could be useful to treat subjects that suffer from depression and/or anxiety and obesity.

[0174] This invention provides a method of treating an abnormality in a subject wherein the abnormality is alleviated by decreasing the activity of a mammalian MCH 1 receptor which comprises administering to the subject an amount of a compound which is a mammalian MCH1 receptor antagonist effective to treat the abnormality. In separate embodiments, the abnormality is a regulation of a steroid or pituitary hormone disorder, an epinephrine release disorder, an anxiety disorder, genta gastrointestinal disorder, a cardiovascular disorder, an electrolyte balance disorder, hypertension, diabetes, a respiratory disorder, asthma, a reproductive function disorder, an immune disorder, an endocrine disorder, a musculoskeletal disorder, a neuroendocrine disorder, a cognitive disorder, a memory disorder, a sensory modulation and transmission disorder, a motor coordination disorder, a sensory integration disorder, a motor integration disorder, a dopaminergic function disorder, a sensory transmission disorder, an olfaction disorder, a sympathetic innervation disorder, an affective disorder, a stress-related disorder, a fluid-balance disorder, a seizure disorder, pain, psychotic behavior, morphine tolerance, opiate addiction or migraine.

[0175] Compositions of the invention can conveniently be administered in unit dosage form and can be prepared by any of the methods well known in the pharmaceutical art, for example, as described in *Remington's Pharmaceutical Sciences* (Mack Pub. Co., Easton, PA, 1980).

[0176] The compounds of the invention can be employed as the sole active agent in a pharmaceutical or can be used in combination with other active ingredients which could facilitate the therapeutic effect of the compound.

[0177] Compounds of the present invention or a solvate or physiologically functional derivative thereof can be used as active ingredients in pharmaceutical compositions, specifically as a MCH receptor antagonists. By the term "active ingredient" is defined in the context of a "pharmaceutical composition" and shall mean a component of a pharmaceutical composition that provides the primary pharmaceutical benefit, as opposed to an "inactive ingredient" which would generally be recognized as providing no pharmaceutical benefit. The term "pharmaceutical composition" shall mean a composition comprising at one active ingredient and at least one ingredient that is not an active ingredient (for example and not limitation, a filler, dye, or a mechanism for slow release), whereby the composition is amenable to use for a specified, efficacious outcome in a mammal (for example, and not limitation, a human).

**[0178]** Pharmaceutical compositions, including, but not limited to, pharmaceutical compositions, comprising at least one compound of the present invention and/or an acceptable salt or solvate thereof (*e.g.*, a pharmaceutically acceptable salt or solvate) as an active ingredient combined with at least one carrier or excipient (*e.g.*, pharmaceutical carrier or excipient) can be used in the treatment of clinical conditions for which a MCH receptor antagonist is indicated. At least one compound of the present invention can be combined with the carrier in either solid or liquid form in a unit dose formulation. The pharmaceutical carrier must be compatible with the other ingredients in the composition and must be

tolerated by the individual recipient. Other physiologically active ingredients can be incorporated into the pharmaceutical composition of the invention if desired, and if such ingredients are compatible with the other ingredients in the composition. Formulations can be prepared by any suitable method, typically by uniformly mixing the active compound (s) with liquids or finely divided solid carriers, or both, in the required proportions, and then, if necessary, forming the resulting mixture into a desired shape.

[0179] Conventional excipients, such as binding agents, fillers, acceptable wetting agents, tabletting lubricants, and disintegrants can be used in tablets and capsules for oral administration. Liquid preparations for oral administration can be in the form of solutions, emulsions, aqueous or oily suspensions, and syrups. Alternatively, the oral preparations can be in the form of dry powder that can be reconstituted with water or another suitable liquid vehicle before use. Additional additives such as suspending or emulsifying agents, non-aqueous vehicles (including edible oils), preservatives, and flavorings and colorants can be added to the liquid preparations. Parenteral dosage forms can be prepared by dissolving the compound of the invention in a suitable liquid vehicle and filter sterilizing the solution before filling and sealing an appropriate vial or ampoule. These are just a few examples of the many appropriate methods well known in the art for preparing dosage forms.

[0180] It is noted that when the MCH receptor antagonists are utilized as active ingredients in a pharmaceutical composition, these are not intended for use only in humans, but in other non-human mammals as well. Indeed, recent advances in the area of animal health-care mandate that consideration be given for the use of MCH receptor antagonists for the treatment of obesity in domestic animals (e.g., cats and dogs), and MCH receptor antagonists in other domestic animals where no disease or disorder is evident (e.g., food-oriented animals such as cows, chickens, fish, etc.). Those of ordinary skill in the art are readily credited with understanding the utility of such compounds in such settings.

[0181] Pharmaceutically acceptable salts of the compounds of the invention can be prepared by reacting the free acid or base forms of these compounds with the appropriate base or acid in water, in an organic solvent, or in a mixture of the two; generally, nonaqueous media like ether, ethyl acetate, ethanol, isopropanol, dioxane, or acetonitrile are preferred. For instance, when the compound (I) possesses an acidic functional group, it can form an inorganic salt such as an alkali metal salt (e.g., sodium salt, potassium salt, etc.), an alkaline earth metal salt (e.g. calcium salt, magnesium salt, barium salt, etc.), and an ammonium salt. When the compound (I) possesses a basic functional group, it can form an inorganic salt (e.g., hydrochloride, sulfate, phosphate, hydrobromate, etc.) or an organic salt (e.g., acetate, maleate, fumarate, succinate, methanesulfonate, p-toluenesulfonate, citrate, tartrate, etc.).

# 30 Other Utilities

[0182] Another object of the present invention relates to radiolabelled compounds of Formula (la) that would be useful not only in radio-imaging but also in assays, both in vitro and in vivo, for localizing and quantitating MCH in tissue samples, including human, and for identifying MCH ligands by inhibition binding of a radiolabelled compound. It is a further object of this invention to develop novel MCH assays of which comprise such radiolabelled compounds. [0183] Suitable radionuclides that can be incorporated in compounds of the present invention include but are not limited to <sup>3</sup>H (also written as T), <sup>11</sup>C, <sup>14</sup>C, <sup>18</sup>F, <sup>125</sup>I, <sup>82</sup>Br, <sup>123</sup>I, <sup>124</sup>I, <sup>125</sup>I, <sup>131</sup>I, <sup>75</sup>Br, <sup>76</sup>Br, <sup>15</sup>O, <sup>13</sup>N, <sup>35</sup>S and <sup>77</sup>Br, The radionuclide that is incorporated in the instant radiolabelled compounds will depend on the specific application of that radiolabelled compound. Thus, for in vitro MCH labeling and competition assays, compounds that incorporate 3H, 14C, 125I, 131I, 35S or <sup>82</sup>Br will generally most For be useful. applications <sup>11</sup>C, <sup>18</sup>F, <sup>125</sup>I, <sup>123</sup>I, <sup>124</sup>I, <sup>131</sup>I, <sup>75</sup>Br, <sup>76</sup>Br or <sup>77</sup>Br will generally be most useful.

**[0184]** It is understood that a "radio-labelled" or "labelled compound" is a compound of Formula (**Ia**) that has incorporated at least one radionuclide; in some embodiments the radionuclide is selected from the group consisting of <sup>3</sup>M, <sup>14</sup>C, <sup>125</sup>I, <sup>35</sup>S and <sup>82</sup> Br; in some embodiments the radionuclide <sup>3</sup>H or <sup>14</sup>C. Moreover, it should be understood that all of the atoms represented in the compounds of the invention can be either the most commonly occurring isotope of such atoms or the more scarce radio-isotope or nonradio-active isotope.

[0185] Synthetic methods for incorporating radio-isotopes into organic compounds including those applicable to those compounds of the invention are well known in the art and include incorporating activity levels of tritium into target molecules include: A. Catalytic Reduction with Tritium Gas-This procedure normally yields high specific activity products and requires halogenated or unsaturated precursors. B. Reduction with Sodium Borohydride [³H] - This procedure is rather inexpensive and requires precursors containing reducible functional groups such as aldehydes, ketones, lactones, esters, and the like. C. Reduction with Lithium Aluminum Hydride [³H] - This procedure offers products at almost theoretical specific activities. It also requires precursors containing reducible functional groups such as aldehydes, ketones, lactones, esters, and the like. D. Tritium Gas Exposure Labeling - This procedure involves exposing precursors containing exchangeable protons to tritium gas in the presence of a suitable catalyst. E. N-Methylation using Methyl lodide [³H] - This procedure is usually employed to prepare O-methyl or N-methyl (³H) products by treating appropriate precursors with high specific activity methyl iodide (³H). This method in general allows for high specific activity, such as about 80-87 Ci/mmol.

[0186] Synthetic methods for incorporating activity levels of <sup>125</sup>I into target molecules include: **A.** Sandmeyer and like reactions - This procedure transforms an aryl or heteroaryl amine into a diazonium salt, such as a tetrafluoroborate salt, and subsequently to <sup>125</sup>I labelled compound using Na<sup>125</sup>I. A represented procedure was reported by Zhu, D.-G. and co-workers in *J. Org. Chem.* **2002**, *67*, 943-948. **B.** Ortho <sup>125</sup>Iodination of phenols - This procedure allows for the incorporation of <sup>125</sup>I at the ortho position of a phenol as reported by Collier, T. L. and co-workers in *J. Labelled Compd Radiopharm.* 1999, *42*, S264-S266. **C.** Aryl and heteroaryl bromide exchange with <sup>125</sup>I - This method is generally a two step process. The first step is the conversion of the aryl or heteroaryl bromide to the corresponding tri-alkyltin intermediate using for example, a Pd catalyzed reaction [i.e. Pd(Ph<sub>3</sub>P)<sub>4</sub>] or through an aryl or heteroaryl lithium, in the presence of a tri-alkyltinhalide or hexaalkylditin [e.g., (CH<sub>3</sub>)<sub>3</sub>SnSn(CH<sub>3</sub>)<sub>3</sub>]. A represented procedure was reported by Bas, M.-D. and co-workers in *J. Labelled Compd Radiopharm.* **2001**, *44*, S280-S282.

[0187] A radiolabelled MCH compound of Formula (I) can be used in a screening assay to identify/evaluate compounds. In general terms, a newly synthesized or identified compound (i.e., test compound) can be evaluated for its ability to reduce binding of the "radiolabelled compound of Formula (Ia)" to the MCH receptor. Accordingly, the ability of a test compound to compete with the "radio-labelled compound of Formula (Ia)" for the binding to the MCH receptor directly correlates to its binding affinity.

[0188] The labelled compounds of the present invention bind to the MCH receptor. In one embodiment the labelled compound has an  $IC_{50}$  less than about 500  $\mu$ M, in another embodiment the labelled compound has an  $IC_{50}$  less than about 100  $\mu$ M, in yet another embodiment the labelled compound has an  $IC_{50}$  less than about 10  $\mu$ M, in yet another embodiment the labelled compound has an  $IC_{50}$  less than about 1  $\mu$ M, and in still yet another embodiment the labelled inhibitor has an  $IC_{50}$  less than about 0.1  $\mu$ M.

## Preparation of Compound of Formula (I) - General synthetic methods

[0189] The novel substituted quinolines, tetrahydroquinazolines, and pyrimidines of the present invention can be readily prepared according to a variety of synthetic manipulations, all of which would be familiar to one skilled in the art. Preferred methods for the preparation of compounds of the present invention include, but are not limited to, those described in Scheme 1-24.

[0190] The common intermediate (F) of the novel substituted quinolines can be prepared as shown in Scheme 1. Commercially available 2,4-dihydroxyquinoline (A), wherein T and p is as defined above, is converted to 2,4-dihaloquinoline (B) by a halogenating agent with or without a base (wherein X is halogen such as chloro, bromo, or iodo). The halogenating agent includes phosphorous oxychloride (POCl<sub>3</sub>), phosphorous oxybromide (POBr<sub>3</sub>), or phosphorus pentachloride (PCl<sub>5</sub>). The base includes a tertiary amine (preferably *N,N*-diisopropylethylamine, etc.) or an aromatic amine (preferably *N,N*-dimethylaniline, etc.). Reaction temperature ranges from about 100°C to 200°C, preferably about 140°C to 180°C.

[0191] The halogen of 4-position of 2,4-dihalo-quinoline (B) is selectively substituted by a primary or secondary amine (HNR<sub>2a</sub>R<sub>2b</sub>, wherein R<sub>2a</sub> and R<sub>2b</sub> are as defined above) with or without a base in an inert solvent to provide the corresponding 4-substituted amino adduct (C). The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydroxide (preferably sodium hydroxide, etc.), or a tertiary amine (preferably N,N-diisopropylethylan-tine, triethylamine, or N-methylmorpholine, etc.). The inert solvent includes lower alkyl alcohol solvents (preferably methanol, ethanol, 2-propanol, or butanol, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane, etc.), or amide solvents (preferably N,N-dimethylformamide or 1-methyl-pyrrolidin-2-one, etc.). Reaction temperature ranges from about 0°C to 200°C, preferably about 10°C to 150°C.

[0192] In turn, this is substituted by the mono-protected diamine (D), wherein R<sub>3</sub>, R<sub>4</sub>, A, and B are as defined above and P is a protective group, with or without a base in an inert solvent to provide 2,4-disubstituted amino quinoline (E). The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydroxide (preferably sodium hydroxide, etc.), or a tertiary amine (preferably N,N-diisopropylethylamine, triethylamine, or N-methylmorpholine, etc.). The inert solvent includes lower alkyl alcohol solvents (preferably methanol, ethanol, 2-propanol, or butanol, etc.) or amide solvents (preferably N,N-dimethylformamide or 1-methyl-pyrrolidin-2-one, etc.). Reaction temperature ranges from about 50°C to 200°C, preferably about 80°C to 150°C. Also this reaction can be carried out under microwave conditions.

[0193] Representative protecting groups suitable for a wide variety of synthetic transformations are disclosed in Greene and Wuts, *Protective Groups in Organic Synthesis*, second edition, John Wiley & Sons, New York, 1991, the disclosure of which is incorporated herein by reference in its entirety. The deprotection of the protective group leads to the common intermediate (F) of the novel substituted quinolines.

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# Scheme 1

5 OH halogenating agent 
$$(T)_p$$
  $(R)_{2a}R_{2b}$   $(T)_p$   $(R)_{2a}R_{2b}$   $(T)_p$   $(R)_{2a}R_{2b}$   $(T)_p$   $(R)_{2a}R_{2b}$   $(T)_p$   $(T)_p$ 

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[0194] The conversion of the common intermediate (F) to the novel substituted quinolines (G-K) of the present invention is outlined in Scheme 2.

[0195] The amine (F) is reacted with a carboxylic acid (R<sub>1</sub>CO<sub>2</sub>H) and a dehydrating condensing agent in an inert solvent with or without a base to provide the novel amide (G) of the present invention. The dehydrating condensing agent includes dicyclohexylcarbodiimide (DCC), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (EDC•HCI), bromo-tris-pyrrolidino-phosnium hexafluorophosphate (PyBroP), *O*-(7-azabenzotriazol-1-yI)-1,1,3,3-tetramethyluronium hexafluorophosphate (HATU), or 1-cyclohexyl-3-methylpolystyrene-carbodiimide. The base includes a tertiary amine (preferably N,N-diisopropylethylamine or triethylamine, etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), nitrile solvents (preferably acetonitrile, etc.), or amide solvents (preferably N,N-dimethylformamide, etc.). In case of need, 1-hydroxybenzotriazole (HOBT), HOBT-6-carboxaamidomethyl polystyrene, or 1-hydroxy-7-azabenzotriazole (HOAT) can be used as a reactant agent. Reaction temperature ranges from about -20°C to 50°C, preferably about 0°C to 40°C.

[0196] Alternatively, the novel amide (G) of the present invention can be obtained by amidation reaction using an acid chloride (R<sub>1</sub>COCI) and a base in an inert solvent. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably *N,N*-diisoprogylethylamine, triethylamine, or *N*-methylmorpholine, etc.), or an aromatic amine (preferably pyridine, imidazole, poly-(4-vinylpyridine), etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), amide solvents (preferably *N,N*-dimethylformamide, etc.), or aromatic solvents (preferably toluene or pyridine, etc.). Reaction temperature ranges from about -20°C to 50°C, preferably about 0°C to 40°C.

[0197] The novel amide (G) of the present invention is reacted with a reducing agent in an inert solvent to provide the novel amine (H) of the present invention. The reducing agent includes alkali metal aluminum hydrides (preferably lithium aluminum hydride), alkali metal borohydrides (preferably lithium borohydride), alkali metal trialkoxyaluminum hydrides (preferably lithium tri-tert-butoxyaluminum hydride), dialkylaluminum hydrides (preferably di-isobutylaluminum hydride), borane, dialkylboranes (preferably di-isoamyl borane), alkali metal trialkylboron hydrides (preferably lithium triethylboron hydride). The inert solvent includes ethereal solvents (preferably tetrahydrofuran or dioxane) or

aromatic solvents (preferably toluene, etc.). Reaction temperature ranges from about -78°C to 200°C, preferably about 50°C to 120°C.

[0198] Alternatively, the novel amine (H) of the present invention can be obtained by reductive amination reaction using aldehyde (R<sub>1</sub>CHO) and a reducing agent in an inert solvent with or without an acid. The reducing agent includes sodium triacetoxyborohydride, sodium cyanoborohydride, sodium borohydride, or boran-pyridine complex, preferably sodium triacetoxyborohydride or sodium cyanoborohydride. The inert solvent includes lower alkyl alcohol solvents (preferably methanol or ethanol, etc.), lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), or aromatic solvents (preferably toluene, etc.). The acid includes an inorganic acid (preferably hydrochloric acid or sulfuric acid) or an organic acid (preferably acetic acid). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C. Also this reaction can be carried out under microwave conditions.

[0199] The novel urea (I) of the present invention can be obtained by urea reaction using an isocyanate (R<sub>1</sub>NCO) in an inert solvent with or without a base. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably N,N-diisopropylethylamine, triethylamine, or N-methylmorpholine, etc.), or an aromatic amine (preferably pyridine or imidazole, etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), aromatic solvents (preferably benzene or toluene, etc.), or polar solvents (preferably N,N-dimethylformamide or dimethyl sulfoxide, etc.). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C.

[0200] The amine (F) is reacted with a isothiocyanate (R<sub>1</sub>NCS) in an inert solvent with or without a base to provide the novel thiourea (J) of the present invention. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably N,N-diisopropylethylamine, triethylamine, or N-methylmorpholine, etc.), or an aromatic amine (preferably pyridine or imidazole, etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), aromatic solvents (preferably benzene or toluene, etc.), or amide solvents (preferably N,N-dimethylformamide, etc.). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C.

[0201] The novel urethane (K) of the present invention can be obtained by urethane reaction using R<sub>1</sub>OCOX, wherein X is halogen such as chloro, bromo, or iodo, in an inert solvent with or without a base. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably N,N-diisopropylethylamine, triethylamine, or N-methylmorpholine, etc.), or an aromatic amine (preferably pyridine, imidazole, or poly-(4-vinylpyridine), etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), aromatic solvents (preferably benzene or toluene, etc.), or polar solvents (preferably N,N-dimethylformamide or dimethyl sulfoxide, etc.). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C.

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# Scheme 2

[0202] Compounds of Formula (N) can be prepared as shown in Scheme 3. [4-(Benzyloxycarbonylamino-methyl)-cyclohexyl]-carbamic acid *tert-butyl* ester (L) is synthesized by the method which is described in WO 01/72710. The deprotection of Boc-group is achieved by an acid to give the amine (M). The coupling of the amine with quinoline core (C), which is synthesized as scheme 1, gives 2,4-disubstituted amino quinoline. The deprotection of Z-group is achieved by hydrogen reduction to give compounds of Formula (N).

# Scheme 3

[0203] Compounds of Formula (P) can be prepared as shown in Scheme 4. The dicarboxylic acid of commercially available *cis*-cyclohexane-1,4-dicarboxylic acid is transformed to dibenzyl carbamate by curtius rearrangement. The deprotection of Z-group is achieved by hydrogen reduction to give the diamine. The mono-protection of the diamine can be achieved by the method described in *Synthetic communications*, **20**, 2559-2564 (1990) to give the compound (O). The coupling of the amine with quinoline core (C), which is synthesized as scheme 1, gives 2,4-disubstituted amino quinoline. The deprotection of Boc-group is achieved by an acid to give the amine (P).

# Scheme 4

(C) 
$$(T)_p$$
  $NH_{2a}R_{2b}$   $NHBoc$   $acid$   $(T)_p$   $NH_{2a}R_{2b}$   $NH_2$   $(P)$ 

[0204] The common intermediate (V) of the novel substituted tetrahydroquinazolines can be prepared as shown in Scheme 5. Commercially available ethyl 2-cyclohexanonecarboxylate (Q), wherein T and p is as defined above, is transformed to 2,4-dihydroxytetrahydroquinazoline (R) according to the method described in EP 0604920. 2,4-Dihydroxytetrahydroquinazoline (R) is converted to 2,4-dihalo-tetrahydroquinazoline (S) by a halogenating agent with or without a base (wherein X is halogen such as chloro, bromo, or iodo). The halogenating agent includes phosphorous oxychloride (POCl<sub>3</sub>), phosphorous oxybromide (POBr<sub>3</sub>), or phosphorus pentachloride (PCl<sub>5</sub>). The base includes a tertiary amine (preferably *N,N*-diisopropylethylamine, etc.) or an aromatic amine (preferably *N,N*-dimethylaniline, etc.). Reaction temperature ranges from about 100°C to 200°C, preferably about 140°C to 180°C.

[0205] The halogen of 4-position of 2,4-dihalo-tetrahydroquinazoline (S) is selectively substituted by a primary or secondary amine (HNR $_{2a}$ R $_{2b}$ , wherein R $_{2a}$  and R $_{2b}$  are as defined above) with or without a base in an inert solvent to provide the corresponding 4-substitued amino adduct (T). The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydroxide (preferably sodium hydroxide, etc.), or a tertiary amine (preferably N,N-diisopropylethylamine, triethylamine, or N-methylmorpholine, etc.). The inert solvent includes lower alkyl alcohol solvents (preferably methanol, ethanol, 2-propanol, or butanol, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane, etc.), or amide solvents (preferably N,N-dimethylformamide or 1-methyl-pyrrolidin-2-one, etc.). Reaction temperature ranges from about 0°C to 200°C, preferably about 10°C to 150°C.

[0206] In turn, this is substituted by the mono-protected diamine (D), wherein R<sub>3</sub>, R<sub>4</sub>, A, and B are as defined above and P is a protective group, with or without a base in an inert solvent to provide 2,4-disubstituted amino tetrahydro-quinazoline (U). The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydroxide (preferably sodium hydroxide, etc.), or a tertiary amine (preferably N,N-diisopropylethylamine, triethylamine, or N-methylmorpholine, etc.). The inert solvent includes lower alkyl alcohol solvents (preferably methanol, ethanol, 2-propanol, or butanol, etc.) or amide solvents (preferably N,N-dimethylformamide or 1-methylpyrrolidin-2-one, etc.). Reaction temperature ranges from about 50°C to 200°C, preferably about 80°C to 150°C. Also this reaction can be carried out under microwave conditions.

[0207] The deprotection of the protective group leads to the common intermediate (V) of the novel substituted tetrahydroquinazolines.

# Scheme 5

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$$\begin{array}{c}
\text{MR}_{2a}R_{2b} \\
\text{Meprotection} \\
\text{N} \\$$

[0208] The conversion of the common intermediate (V) to the novel substituted tetrahydroquinazolines (W-A') of the present invention is outlined in Scheme 6.

[0209] The amine (V) is reacted with a carboxylic acid (R<sub>1</sub>CO<sub>2</sub>H) and a dehydrating condensing agent in an inert solvent with or without a base to provide the novel amide (W) of the present invention. The dehydrating condensing agent includes dicyclohexylcarbodiimide (DCC), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (EDC•HCl), bromo-tris-pyrrolidino-phosnium hexafluorophosphate (PyBroP), O-(7-azabenzotriazol-1-yl)-1,1,3,3-te-tramethyluronium hexafluorophosphate (HATU), or 1-cyclohexyl-3-methylpolystyrene-carbodiimide. The base includes a tertiary amine (preferably *N*,*N*-diisopropylethylamine or triethylamine, etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahy-

drofuran or dioxane), nitrile solvents (preferably acetonitrile, etc.), or amide solvents (preferably *N,N*-dimethylformamide, etc.). In case of need, 1-hydroxybenzotriazole (HOBT), HOBT-6-carboxaamidomethyl polystyrene, or 1-hydroxy-7-azabenzotriazole (HOAT) can be used as a reactant agent. Reaction temperature ranges from about -20°C to 50°C, preferably about 0°C to 40°C.

[0210] Alternatively, the novel amide (W) of the present invention can be obtained by amidation reaction using an acid chloride (R<sub>1</sub>COCl) and a base in an inert solvent. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably *N,N*-diisopropylethylamine, triethylamine, or *N*-methylmorpholine, etc.), or an aromatic amine (preferably pyridine, imidazole, poly-(4-vinylpyridine), etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), amide solvents (preferably *N,N*-dimethylformamide, etc.), or aromatic solvents (preferably toluene or pyridine, etc.). Reaction temperature ranges from about -20°C to 50°C, preferably about 0°C to 40°C.

[0211] The novel amide (W) of the present invention is reacted with a reducing agent in an inert solvent to provide the novel amine (X) of the present invention. The reducing agent includes alkali metal aluminum hydrides (preferably lithium aluminum hydride), alkali metal borohydrides (preferably lithium borohydride), alkali metal trialkoxyaluminum hydrides (preferably lithium tri-*tert*-butoxyaluminum hydride), dialkylaluminum hydrides (preferably di-isobutylaluminum hydride), borane, dialkylboranes (preferably di-isoamyl borane), alkali metal trialkylboron hydrides (preferably lithium triethylboron hydride). The inert solvent includes ethereal solvents (preferably tetrahydrofuran or dioxane) or aromatic solvents (preferably toluene, etc.). Reaction temperature ranges from about -78°C to 200°C, preferably about 50°C to 120°C.

[0212] Alternatively, the novel amine (X) of the present invention can be obtained by reductive amination reaction using aldehyde (R<sub>1</sub>CHO) and a reducing agent in an inert solvent with or without an acid. The reducing agent includes sodium triacetoxyborohydride, sodium cyanoborohydride, sodium borohydride, or boran-pyridine complex, preferably sodium triacetoxyborohydride or sodium cyanoborohydride. The inert solvent includes lower alkyl alcohol solvents (preferably methanol or ethanol, etc.), lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), or aromatic solvents (preferably toluene, etc.). The acid includes an inorganic acid (preferably hydrochloric acid or sulfuric acid) or an organic acid (preferably acetic acid). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C. Also this reaction can be carried out under microwave conditions.

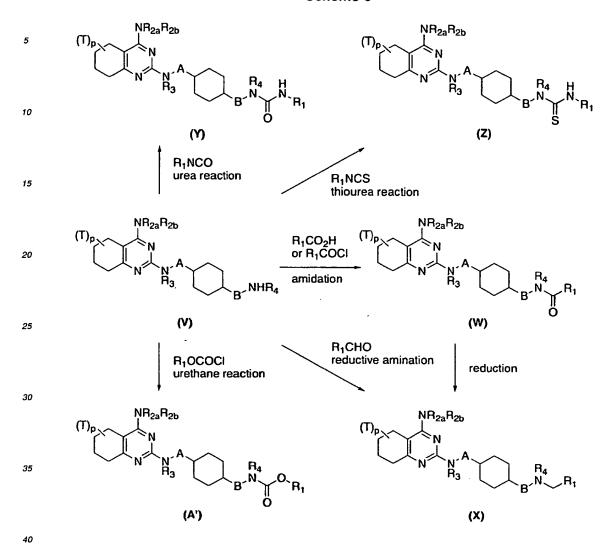
[0213] The novel urea (Y) of the present invention can be obtained by urea reaction using an isocyanate (R<sub>1</sub>NCO) in an inert solvent with or without a base. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably *N,N*-diisopropylethylamine, triethylamine, or *N*-methylmorpholine, etc.), or an aromatic amine (preferably pyridine or imidazole, etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), aromatic solvents (preferably benzene or toluene, etc.), or polar solvents (preferably *N,N*-dimethylformamide or dimethyl sulfoxide, etc.). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C.

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[0214] The amine (V) is reacted with a isothiocyanate (R<sub>1</sub>NCS) in an inert solvent with or without a base to provide the novel thiourea (Z) of the present invention. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably *N,N*-diisopropylethylamine, triethylamine, or *N*-methylmorpholine, etc.), or an aromatic amine (preferably pyridine or imidazole, etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), aromatic solvents (preferably benzene or toluene, etc.), or amide solvents (preferably *N,N*-dimethylformamide, etc.). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C.

[0215] The novel urethane (A') of the present invention can be obtained by urethane reaction using R<sub>1</sub>OCOX, wherein X is halogen such as chloro, bromo, or iodo, in an inert solvent with or without a base. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably *N,N*-diisopropylethylamine, triethylamine, or N-methylmorpholine, etc.), or an aromatic amine (preferably pyridine, imidazole, or poly-(4-vinylpyridine), etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), aromatic solvents (preferably benzene or toluene, etc.), or polar solvents (preferably *N,N*-dimethylformamide or dimethyl sulfoxide, etc.). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C.

# Scheme 6



[0216] Compounds of Formula (B') can be prepared as shown in Scheme 7. The coupling of the amine (M), which is synthesized as scheme 3, with tetrahydroquinazoline core (T), which is synthesized as scheme 5, gives 2,4-disubstituted amino tetrahydroquinazoline. The deprotection of Z-group is achieved by hydrogen reduction to give compounds of Formula (B').

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## Scheme 7

[0217] Compounds of Formula (C') can be prepared as shown in Scheme 8. The coupling of the amine (O), which is synthesized as scheme 4, with tetrahydroquinazoline core (T), which is synthesized as scheme 5, gives 2,4-disubstituted amino tetrahydroquinazoline. The deprotection of Boc-group is achieved by an acid to give the amine (C').

## Scheme 8

NHBoc (T)  $(T)_p$   $(T)_p$  (T

$$(T)_{p} \xrightarrow{NR_{2a}R_{2b}} NH_{2}$$

$$(C)$$

[0218] The common intermediate (H') of the novel substituted pyrimidines can be prepared as shown in Scheme 9. Commercially available substituted uracil (D'), wherein T and p is as defined above, is converted to substituted 2,4-dihalo-pyrimidines (E') by a halogenating agent with or without a base (wherein X is halogen such as chloro, bromo, or iodo). The halogenating agent includes phosphorous oxychloride (POCl<sub>3</sub>), phosphorous oxybromide (POBr<sub>3</sub>), or phosphorus pentachloride (PCl<sub>5</sub>). The base includes a tertiary amine (preferably *N,N*-diisopropylethylamine, etc.) or an aromatic amine (preferably *N,N*-dimethylaniline, etc.). Reaction temperature ranges from about 100°C to 200°C, preferably about 140°C to 180°C.

[0219] The halogen of 4-position of substituted 2,4-dihalo-pyrimidines (E') is selectively substituted by a primary or secondary amine (HNR<sub>2a</sub>R<sub>2b</sub>, wherein R<sub>2a</sub> and R<sub>2b</sub> are as defined above) with or without a base in an inert solvent to provide the corresponding 4-substituted amino adduct (F'). The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydroxide (preferably sodium hydroxide, etc.), or a tertiary amine (preferably N,N-diisopropylethylamine, triethylamine, or N-methylmorpholine, etc.). The inert solvent includes lower alkyl alcohol solvents (preferably methanol, ethanol, 2-propanol, or butanol, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane, etc.), or amide solvents (preferably N,N-dimethylformamide or 1-methyl-pyrrolidin-2-one, etc.). Reaction temperature ranges from about 0°C to 200°C, preferably about 10°C to 150°C.

[0220] In turn, this is substituted by the mono-protected diamine (D) , wherein  $R_3$ ,  $R_4$ , A, and B are as defined above and P is a protective group, with or without a base in an inert solvent to provide 2,4-disubstituted amino pyrimidines (G'). The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydroxide (preferably sodium hydroxide, etc.), or a tertiary amine (preferably N,N-diisopropylethylamine, triethylamine, or N-methylmorpholine, etc.). The inert solvent includes lower alkyl alcohol solvents (preferably methanol, ethanol, 2-propanol, or butanol, etc.) or amide solvents (preferably N,N-dimethylformamide or 1-methyl-pyrrolidin-2-one, etc.). Reaction temperature ranges from about 50°C to 200°C, preferably about 80°C to 150°C. Also this reaction can be carried out under microwave conditions.

[0221] The deprotection of the protective group leads to the common intermediate (H') of the novel substituted pyrimidines.

Scheme 9

$$(T)_{P} \stackrel{NH}{\longrightarrow} NH \qquad \text{halogenating reagent} \qquad (T)_{P} \stackrel{N}{\longrightarrow} NH \qquad \text{halogenating reagent} \qquad (F')$$

$$(D') \qquad (E') \qquad (F')$$

$$R_{3}HN \stackrel{A}{\longrightarrow} (D) \qquad (G')$$

$$R_{3}HN \stackrel{A}{\longrightarrow} (D) \qquad (G')$$

$$(G') \qquad (G')$$

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[0222] The conversion of the common intermediate (H') to the novel substituted pyrimidines (I'-M') of the present invention is outlined in Scheme 10.

[0223] The amine (H') is reacted with a carboxylic acid (R<sub>1</sub>CO<sub>2</sub>H) and a dehydrating condensing agent in an inert solvent with or without a base to provide the novel amide (I') of the present invention. The dehydrating condensing agent includes dicyclohexylcarbodiimide (DCC), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (EDC•HCl), bromo-tris-pyrrolidino-phosnium hexafluorophosphate (PyBroP), *O*-(7-azabenzotriazol-1-yl)-1,1,3,3-te-tramethyluronium hexafluorophosphate (HATU), or 1-cyclohexyl-3-methylpolystyrene-carbodiimide. The base includes a tertiary amine (preferably *N,N*-diisopropylethylamine or triethylamine, etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), nitrile solvents (preferably acetonitrile, etc.), or amide solvents (preferably *N,N*-dimethylformamide, etc.). In case of need, 1-hydroxybenzotriazole (HOBT), HOBT-6-carboxaamidomethyl polystyrene, or 1-hydroxy-7-azabenzotriazole (HOAT) can be used as a reactant agent. Reaction temperature ranges from about -20°C to 50°C, preferably about 0°C to 40°C.

[0224] Alternatively, the novel amide (I') of the present invention can be obtained by amidation reaction using an acid chloride (R<sub>1</sub>COCI) and a base in an inert solvent. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate

or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably *N*,*N*-diisoprogylethylamine, triethylamine, or *N*-methylmorpholine, etc.), or an aromatic amine (preferably pyridine, imidazole, poly-(4-vinylpyridine), etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), amide solvents (preferably *N*,*N*-dimethylformamide, etc.), or aromatic solvents (preferably toluene or pyridine, etc.). Reaction temperature ranges from about -20°C to 50°C, preferably about 0°C to 40°C.

[0225] The novel amide (I') of the present invention is reacted with a reducing agent in an inert solvent to provide the novel amine (J') of the present invention. The reducing agent includes alkali metal aluminum hydrides (preferably lithium aluminum hydride), alkali metal borohydrides (preferably lithium borohydride), alkali metal trialkoxyaluminum hydrides (preferably lithium tri-tert-butoxyaluminum hydride), dialkylaluminum hydrides (preferably di-isobutylaluminum hydride), borane, dialkylboranes (preferably di-isoamyl borane), alkali metal trialkylboron hydrides (preferably lithium triethylboron hydride). The inert solvent includes ethereal solvents (preferably tetrahydrofuran or dioxane) or aromatic solvents (preferably toluene, etc.). Reaction temperature ranges from about -78°C to 200°C, preferably about 50°C to 120°C.

[0226] Alternatively, the novel amine (J') of the present invention can be obtained by reductive amination reaction using aldehyde (R<sub>1</sub>CHO) and a reducing agent in an inert solvent with or without an acid. The reducing agent includes sodium triacetoxyborohydride, sodium cyanoborohydride, sodium borohydride, or boran-pyridine complex, preferably sodium triacetoxyborohydride or sodium cyanoborohydride. The inert solvent includes lower alkyl alcohol solvents (preferably methanol or ethanol, etc.), lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), or aromatic solvents (preferably toluene, etc.). The acid includes an inorganic acid (preferably hydrochloric acid or sulfuric acid) or an organic acid (preferably acetic acid). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C. Also this reaction can be carried out under microwave conditions.

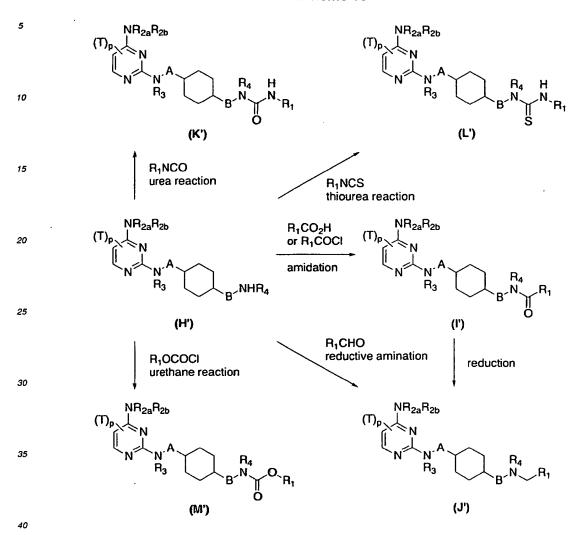
[0227] The novel urea (K') of the present invention can be obtained by urea reaction using an isocyanate (R<sub>1</sub>NCO) in an inert solvent with or without a base. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably *N,N*-diisopropylethylamine, triethylamine, or *N*-methylmorpholine, etc.), or an aromatic amine (preferably pyridine or imidazole, etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), aromatic solvents (preferably benzene or toluene, etc.), or polar solvents (preferably *N,N*-dimethylformamide or dimethyl sulfoxide, etc.). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C.

[0228] The amine (H') is reacted with a isothiocyanate (R<sub>1</sub>NCS) in an inert solvent with or without a base to provide the novel thiourea (L') of the present invention. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably *N,N*-diisopropylethylamine, triethylamine, or *N*-methylmorpholine, etc.), or an aromatic amine (preferably pyridine or imidazole, etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), aromatic solvents (preferably benzene or toluene, etc.), or amide solvents (preferably *N,N*-dimethylformamide, etc.). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C.

[0229] The novel urethane (M') of the present invention can be obtained by urethane reaction using R<sub>1</sub>OCOCI, wherein X is halogen such as chloro, bromo, or iodo, in an inert solvent with or without a base. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably N,N-diisopropylethylamine, triethylamine, or N-methylmorpholine, etc.), or an aromatic amine (preferably pyridine, imidazole, or poly-(4-vinylpyridine), etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), aromatic solvents (preferably benzene or toluene, etc.), or polar solvents (preferably N,N-dimethylformamide or dimethyl sulfoxide, etc.). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C.

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# Scheme 10



[0230] Compounds of Formula (N') can be prepared as shown in Scheme 11. The coupling of the amine (M), which is synthesized as scheme 3, with pyrimidine core (F'), which is synthesized as scheme 9, gives 2,4-disubstituted amino pyrimidine. The deprotection of Z-group is achieved by hydrogen reduction to give compounds of Formula (N').

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## Scheme 11

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

[0231] Compounds of Formula (O') can be prepared as shown in Scheme 12. The coupling of the amine (O), which is synthesized as scheme 4, with pyrimidine core (F'), which is synthesized as scheme 9, gives 2,4-disubstituted amino pyrimidine. The deprotection of Boc-group is achieved by an acid to give the amine (O').

## Scheme 12

$$(\Pi)_{p} \downarrow N$$

$$NH_{2a}$$

$$NH_{2}$$

$$NH_{$$

[0232] The common intermediate (S') of the novel substituted quinolines can be prepared as shown in Scheme 13. Commercially available substituted 2-hydroxy-quinoline (P'), wherein R<sub>2</sub>, T, and p is as defined above, is converted to 2-halo-quinolines (Q') by a halogenating agent with or without a base (wherein X is halogen such as chloro, bromo, or iodo). The halogenating agent includes phosphorous oxychloride (POCl<sub>3</sub>), phosphorous oxybromide (POBr<sub>3</sub>), or phosphorus pentachloride (PCl<sub>5</sub>). The base includes a tertiary amine (preferably *N,N*-diisopropylethylamine, etc.) or an aromatic amine (preferably *N,N*-dimethylaniline, etc.). Reaction temperature ranges from about 100°C to 200°C, preferably about 140°C to 180°C.

[0233] The halide (Q') is substituted by the mono-protected diamine (D), wherein  $R_3$ ,  $R_4$ ,  $R_5$ , and  $R_5$  are as defined above and  $R_5$  is a protective group, with or without a base in an inert solvent to provide 2-substituted amino quinoline (R'). The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydroxide (preferably sodium hydroxide, etc.), or a tertiary amine (preferably  $R_5$ ,  $R_5$ , and  $R_5$ ,  $R_5$ 

etc.). Reaction temperature ranges from about 50°C to 200°C, preferably about 80°C to 150°C. Also this reaction can be carried out under microwave conditions.

[0234] The deprotection of the protective group leads to the common intermediate (S') of the novel substituted quinolines.

#### Scheme 13

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$$(T)_{p}$$
 halogenating agent  $(T)_{p}$   $(Q')$   $(Q')$ 

(R')

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[0235] The conversion of the common intermediate (S') to the novel substituted quinolines (T'-X') of the present invention is outlined in Scheme 14.

(S')

[0236] The amine (S') is reacted with a carboxylic acid (R<sub>1</sub>CO<sub>2</sub>H) and a dehydrating condensing agent in an inert solvent with or without a base to provide the novel amide (T') of the present invention. The dehydrating condensing agent includes dicyclohexylcarbodiimide (DCC), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (EDC•HCI), bromo-tris-pyrrolidino-phosnium hexafluorophosphate (PyBroP), *O*-(7-azabenzotriazol-1-yl)-1,1,3,3-te-tramethyluronium hexafluorophosphate (HATU), or 1-cyclohexyl-3-methylpolystyrene-carbodiimide. The base includes a tertiary amine (preferably *N,N*-diisopropylethylamine or triethylamine, etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), nitrile solvents (preferably acetonitrile, etc.), or amide solvents (preferably *N,N*-dimethylformamide, etc.). In case of need, 1-hydroxybenzotriazole (HOBT), HOBT-6-carboxaamidomethyl polystyrene, or 1-hydroxy-7-azabenzotriazole (HOAT) can be used as a reactant agent. Reaction temperature ranges from about -20°C to 50°C, preferably about 0°C to 40°C.

[0237] Alternatively, the novel amide (T') of the present invention can be obtained by amidation reaction using an acid chloride (R<sub>1</sub>COCI) and a base in an inert solvent. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably *N,N*-diisopropylethylamine, triethylamine, or *N*-methylmorpholine, etc.), or an aromatic amine (preferably pyridine, imidazole, poly-(4-vinylpyridine), etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), amide solvents (preferably *N,N*-dimethylformamide, etc.), or aromatic solvents (preferably toluene or pyridine, etc.). Reaction temperature ranges from about -20°C to 50°C, preferably about 0°C to 40°C.

[0238] The novel amide (T') of the present invention is reacted with a reducing agent in an inert solvent to provide the novel amine (U') of the present invention. The reducing agent includes alkali metal aluminum hydrides (preferably lithium aluminum hydride), alkali metal borohydrides (preferably lithium borohydride), alkali metal trialkoxyaluminum hydrides (preferably lithium tri-tert-butoxyalurninum hydride), dialkylaluminum hydrides (preferably di-isobutylaluminum hydride), borane, dialkylboranes (preferably di-isoamyl borane), alkali metal trialkylboron hydrides (preferably lithium triethylboron hydride). The inert solvent includes ethereal solvents (preferably tetrahydrofuran or dioxane) or aromatic solvents (preferably toluene, etc.). Reaction temperature ranges from about -78°C to 200°C, preferably about 50°C to 120°C.

[0239] Alternatively, the novel amine (U') of the present invention can be obtained by reductive amination reaction using aldehyde (R<sub>1</sub>CHO) and a reducing agent in an inert solvent with or without an acid. The reducing agent includes sodium triacetoxyborohydride, sodium cyanoborohydride, sodium borohydride, or boran-pyridine complex, preferably sodium triacetoxyborohydride or sodium cyanoborohydride. The inert solvent includes lower alkyl alcohol solvents

(preferably methanol or ethanol, etc.), lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), or aromatic solvents (preferably toluene, etc.). The acid includes an inorganic acid (preferably hydrochloric acid or sulfuric acid) or an organic acid (preferably acetic acid). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C. Also this reaction can be carried out under microwave conditions.

[0240] The novel urea (V') of the present invention can be obtained by urea reaction using an isocyanate (R<sub>1</sub>NCO) in an inert solvent with or without a base. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably *N,N*-diisopropylethylamine, triethylamine, or *N*-methylmorpholine, etc.), or an aromatic amine (preferably pyridine or imidazole, etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), aromatic solvents (preferably benzene or toluene, etc.), or polar solvents (preferably *N,N*-dimethylformamide or dimethyl sulfoxide, etc.). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C.

[0241] The amine (S') is reacted with a isothiocyanate (R<sub>1</sub>NCS) in an inert solvent with or without a base to provide the novel thiourea (W') of the present invention. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably *N*,*N*-diisopropylethylamine, triethylamine, or *N*-methylmorpholine, etc.), or an aromatic amine (preferably pyridine or imidazole, etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), aromatic solvents (preferably benzene or toluene, etc.), or amide solvents (preferably *N*,*N*-dimethylformamide, etc.). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C.

[0242] The novel urethane (X') of the present invention can be obtained by urethane reaction using R<sub>1</sub>OCOCI, wherein X is halogen such as chloro, bromo, or iodo, in an inert solvent with or without a base. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali metal hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably *N*,*N*-diisopropylethylamine, triethylamine, or *N*-methylmorpholine, etc.), or an aromatic amine (preferably pyridine, imidazole, or poly-(4-vinylpyridine), etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), aromatic solvents (preferably benzene or toluene, etc.), or polar solvents (preferably *N*,*N*-dimethylformamide or dimethyl sulfoxide, etc.). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C.

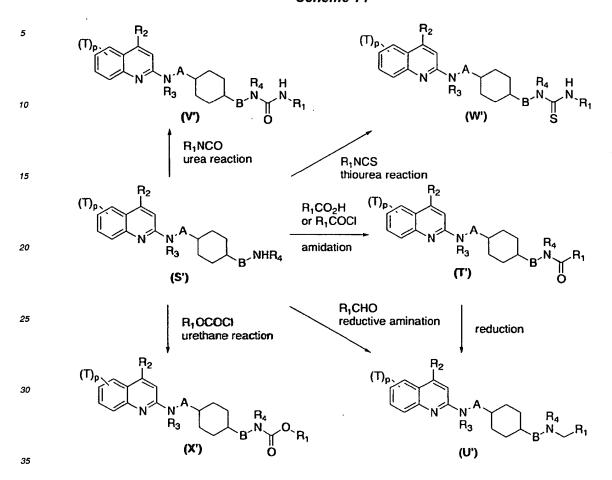
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# Scheme 14



[0243] Compounds of Formula (Y') can be prepared as shown in Scheme 15. The coupling of the amine (M), which is synthesized as scheme 3, with quinoline core (Q'), which is synthesized as scheme 13, gives 2-substituted amino quinoline. The deprotection of Z-group is achieved by hydrogen reduction to give compounds of Formula (Y').

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## Scheme 15

$$(T)_{p} \xrightarrow{R_{2}} NH_{2}$$

$$NH_{2}$$

$$(Y')$$

[0244] Compounds of Formula (Z') can be prepared as shown in Scheme 16. The coupling of the amine (O), which is synthesized as scheme 4, with quinoline core (Q'), which is synthesized as scheme 13, gives 2-substituted amino quinoline. The deprotection of Boc-group is achieved by an acid to give the amine (Z').

# Scheme 16

$$(T)_{p}$$

$$N$$

$$N$$

$$H$$

$$(Z')$$

[0245] The common intermediate (D") of the novel substituted pyrimidines can be prepared as shown in Scheme 17. Commercially available substituted 2-hydroxy-pyrimidines (A"), wherein R<sub>2</sub>, T, and p is as defined above, is converted to 2-halo-pyrimidines (B") by a halogenating agent with or without a base (wherein X is halogen such as chloro, bromo, or iodo). The halogenating agent includes phosphorous oxychloride (POCl<sub>3</sub>), phosphorous oxybromide (POBr<sub>3</sub>), or phosphorus pentachloride (PCl<sub>5</sub>). The base includes a tertiary amine (preferably *N*,*N*-diisopropylethylamine, etc.) or an aromatic amine (preferably *N*,*N*-dimethylaniline, etc.). Reaction temperature ranges from about 100°C to 200°C, preferably about 140°C to 180°C.

[0246] The halide (B") is substituted by the mono-protected diamine (D), wherein R<sub>3</sub>, R<sub>4</sub>, A, and B are as defined above and P is a protective group, with or without a base in an inert solvent to provide 2-substituted amino pyrimidine (C"). The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydroxide (preferably sodium hydroxide, etc.), or a tertiary amine (preferably *N*,*N*-diisopropylethylamine, triethylamine, or *N*-methylmorpholine, etc.). The inert solvent includes lower alkyl alcohol solvents (preferably methanol, ethanol, 2-propanol, or butanol, etc.) or amide solvents (preferably *N*,*N*-dimethylformamide or 1-methyl-pyrrolidin-2-one,

etc.). Reaction temperature ranges from about 50°C to 200°C, preferably about 80°C to 150°C. Also this reaction can be carried out under microwave conditions.

[0247] The deprotection of the protective group leads to the common intermediate (D") of the novel substituted pyrimidines.

## Scheme 17

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$$(T)_{p} \xrightarrow{R_{2}} N$$

$$N \xrightarrow{N} A$$

$$R_{3} \xrightarrow{B} NR_{4}P$$

$$(C")$$

$$(D")$$

[0248] The conversion of the common intermediate (D") to the novel substituted pyrimidines (E"-I") of the present invention is outlined in Scheme 18.

[0249] The amine (D") is reacted with a carboxylic acid (R<sub>1</sub>CO<sub>2</sub>H) and a dehydrating condensing agent in an inert solvent with or without a base to provide the novel amide (E") of the present invention. The dehydrating condensing agent includes dicyclohexylcarbodiimide (DCC), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (EDC•HCl), bromo-tris-pyrrolidino-phosnium hexafluorophosphate (PyBroP), *O*-(7-azabenzotriazol-1-yl)-1,1,3,3-te-tramethyluronium hexafluorophosphate (HATU), or 1-cyclohexyl-3-methylpolystyrene-carbodiimide. The base includes a tertiary amine (preferably *N*,*N*-diisopropylethylamine or triethylamine, etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), nitrile solvents (preferably acetonitrile, etc.), or amide solvents (preferably *N*,*N*-dimethylformamide, etc.). In case of need, 1-hydroxybenzotriazole (HOBT), HOBT-6-carboxaamidomethyl polystyrene, or 1-hydroxy-7-azabenzotriazole (HOAT) can be used as a reactant agent. Reaction temperature ranges from about -20°C to 50°C, preferably about 0°C to 40°C.

[0250] Alternatively, the novel amide (E") of the present invention can be obtained by amidation reaction using an acid chloride (R<sub>1</sub>COCl) and a base in an inert solvent. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably *N,N*-diisopropylethylamine, triethylamine, or *N*-methylmorpholine, etc.), or an aromatic amine (preferably pyridine, imidazole, poly-(4-vinylpyridine), etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), amide solvents (preferably *N,N*-dimethylformamide, etc.), or aromatic solvents (preferably toluene or pyridine, etc.). Reaction temperature ranges from about -20°C to 50°C, preferably about 0°C to 40°C.

[0251] The novel amide (E") of the present invention is reacted with a reducing agent in an inert solvent to provide the novel amine (F") of the present invention. The reducing agent includes alkali metal aluminum hydrides (preferably lithium aluminum hydride), alkali metal borohydrides (preferably lithium borohydride), alkali metal trialkoxyaluminum hydrides (preferably lithium tri-tert-butoxyaluminum hydride), dialkylaluminum hydrides (preferably di-isobutylaluminum hydride), borane, dialkylboranes (preferably di-isoamyl borane), alkali metal trialkylboron hydrides (preferably lithium triethylboron hydride). The inert solvent includes ethereal solvents (preferably tetrahydrofuran or dioxane) or aromatic solvents (preferably toluene, etc.). Reaction temperature ranges from about -78°C to 200°C, preferably about 50°C to 120°C.

[0252] Alternatively, the novel amine (F") of the present invention can be obtained by reductive amination reaction using aldehyde (R<sub>1</sub>CHO) and a reducing agent in an inert solvent with or without an acid. The reducing agent includes

sodium triacetoxyborohydride, sodium cyanoborohydride, sodium borohydride, or boran-pyridine complex, preferably sodium triacetoxyborohydride or sodium cyanoborohydride. The inert solvent includes lower alkyl alcohol solvents (preferably methanol or ethanol, etc.), lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), or aromatic solvents (preferably toluene, etc.). The acid includes an inorganic acid (preferably hydrochloric acid or sulfuric acid) or an organic acid (preferably acetic acid). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C. Also this reaction can be carried out under microwave conditions.

[0253] The novel urea (G") of the present invention can be obtained by urea reaction using an isocyanate (R<sub>1</sub>NCO) in an inert solvent with or without a base. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably *N,N*-diisopropylethylamine, triethylamine, or *N*-methylmorpholine, etc.), or an aromatic amine (preferably pyridine or imidazole, etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), aromatic solvents (preferably benzene or toluene, etc.), or polar solvents (preferably *N,N*-dimethylformamide or dimethyl sulfoxide, etc.). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C.

[0254] The amine (D") is reacted with a isothiocyanate (R<sub>1</sub>NCS) in an inert solvent with or without a base to provide the novel thiourea (H") of the present invention. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably *N,N*-diisopropylethylamine, triethylamine, or *N*-methylmorpholine, etc.), or an aromatic amine (preferably pyridine or imidazole, etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), ethereal solvents (preferably tetrahydrofuran or dioxane), aromatic solvents (preferably benzene or toluene, etc.), or amide solvents (preferably *N,N*-dimethylformamide, etc.). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C.

[0255] The novel urethane (I") of the present invention can be obtained by urethane reaction using  $R_1$ OCOCI, wherein X is halogen such as chloro, bromo, or iodo, in an inert solvent with or without a base. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydrogencarbonate (preferably sodium hydrogencarbonate or potassium hydrogencarbonate, etc.), an alkali hydroxide (preferably sodium hydroxide or potassium hydroxide, etc.), a tertiary amine (preferably N, N-diisopropylethylamine, triethylamine, or N-methylmorpholine, etc.), or an aromatic amine (preferably pyridine, imidazole, or poly-(4-vinylpyridine), etc.). The inert solvent includes lower halocarbon solvents (preferably dichloromethane, dichloroethane, or chloroform, etc.), or polar solvents (preferably tetrahydrofuran or dioxane), aromatic solvents (preferably benzene or toluene, etc.), or polar solvents (preferably N, N-dimethylformamide or dimethyl sulfoxide, etc.). Reaction temperature ranges from about -20°C to 120°C, preferably about 0°C to 100°C.

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# Scheme 18

[0256] Compounds of Formula (J") can be prepared as shown in Scheme 19. The coupling of the amine (M), which is synthesized as scheme 3, with pyrimidine core (B"), which is synthesized as scheme 17, gives 2-substituted amino pyrimidine. The deprotection of Z-group is achieved by hydrogen reduction to give compounds of Formula (J").

## Scheme 19

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

[0257] Compounds of Formula (K") can be prepared as shown in Scheme 20. The coupling of the amine (O), which is synthesized as scheme 4, with pyrimidine core (B"), which is synthesized as scheme 17, gives 2-substituted amino pyrimidine. The deprotection of Boc-group is achieved by an acid to give the amine (K").

## Scheme 20

[0258] Alternatively, the novel quinoline (M"), the novel tetrahydroquinazoline (N"), the novel pyrimidine (O"), the novel quinoline (P"), and the novel pyrimidine (Q") of the present invention are directly synthesized from the quinoline core (C), which is synthesized in Scheme 1, the tetrahydroquinazoline core (T), which is synthesized in Scheme 5, the pyrimidine core (F'), which is synthesized in Scheme 9, the quinoline core (Q'), which is synthesized in Scheme 13, and the pyrimidine core (B"), which is synthesized in Scheme 17, as shown in Scheme 21. This coupling is performed with or without a base in an inert solvent. The base includes an alkali metal carbonate (preferably sodium carbonate or potassium carbonate, etc.), an alkali metal hydroxide (preferably sodium hydroxide, etc.), or a tertiary amine (preferably *N,N*-diisopropylethylamine, triethylamine, or *N*-methylmorpholine, etc.). The inert solvent includes lower alkyl alcohol solvents (preferably methanol, ethanol, 2-propanol, or butanol, etc.) or amide solvents (preferably *N,N*-dimethylformamide or 1-methyl-pyrrolidin-2-one, etc.). Reaction temperature ranges from about 50°C to 200°C, preferably about 80°C. Also this reaction can be carried out under microwave conditions.

# Scheme 21

5	$(T)_{p}$ $NR_{2a}R_{2b}$ $NR_{2a}R_{2b}$ $N$ $N$ $N$	$(T)_{p}$ $NR_{2a}R_{2b}$ $N$ $R_{3}$ $R_{4}$ $R_{7}$ $R_{1}$ $(M'')$
15	$NR_{2a}R_{2b}$ $N$ $N$ $N$ $N$	$(T)_{p} \xrightarrow{NR_{2a}R_{2b}} N$ $N \xrightarrow{R_{3}} R_{4}$ $R_{3} \xrightarrow{R_{4}} R_{1}$
20	m	(N")
25	$(T)_{p} \downarrow_{N} X \qquad R_{3}HN_{A} \downarrow_{R_{4}} B{N} \downarrow_{R_{4}} $ $(E')$	$R_1$ $NR_{2a}R_{2b}$ $N$
30	. ,	(O")
35	(T) <sub>p</sub> R <sub>2</sub> X (Q')	$(T)_{p}$ $N$ $N$ $R_{3}$ $R_{4}$ $R_{4}$ $R_{5}$ $R_{1}$
40	(T) <sub>p</sub>   R <sub>2</sub>	(P")
45	`N` `X (B")	N N R <sub>3</sub> R <sub>4</sub> R <sub>4</sub> R <sub>7</sub> R <sub>1</sub> (Q")
		• •

[0259] For example, compounds of Formula (T") can be prepared as shown in Scheme 22. The amine (O), which is synthesized in Scheme 4, is subjected to reductive amination by aldehyde (R<sub>1</sub>CHO). The deprotection of Boc-group is achieved by an acid to give the amine. The coupling of the amine with pyrimidine core (F'), which is synthesized as scheme 9, gives the novel pyrimidine (T") of the present invention.

## Scheme 22

BocHN

$$R_1$$
 $R_1$ 
 $R_1$ 

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[0260] Compounds of Formula (W") can be prepared as shown in Scheme 23. The amine (O), which is synthesized in Scheme 4, is subjected to amidation by carboxylic acid ( $R_1CO_2H$ ) or acid chloride ( $R_1COCl$ ). The deprotection of Boc-group is achieved by an acid to give the amine. The coupling of the amine with quinoline core (Q'), which is synthesized as scheme 13, gives the novel quinoline (W") of the present invention.

## Scheme 23

[0261] Compounds of Formula (Z") can be prepared as shown in Scheme 24. The amine (O), which is synthesized in Scheme 4, is subjected to amidation by carboxylic acid ( $R_1CO_2H$ ) or acid chloride ( $R_1COCI$ ). The deprotection of Boc-group is achieved by an acid to give the amine. The coupling of the amine with pyrimidine core (B"), which is synthesized as scheme 17, gives the novel pyrimidine (Z") of the present invention.

## Scheme 24

Bochn

NH<sub>2</sub>

R<sub>1</sub>CO<sub>2</sub>H or R<sub>1</sub>COCl

amidation

Bochn

(V'')

$$R_1$$
 $R_1$ 
 $R_1$ 
 $R_1$ 
 $R_1$ 
 $R_1$ 
 $R_1$ 
 $R_1$ 
 $R_1$ 
 $R_2$ 
 $R_2$ 
 $R_1$ 
 $R_2$ 
 $R_1$ 
 $R_2$ 
 $R_2$ 
 $R_3$ 
 $R_4$ 
 $R_4$ 
 $R_5$ 
 $R_5$ 

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[0262] When a compound of the invention contains optical isomers, stereoisomers, regio isomers, rotational isomers, a single substance and a mixture of them are included as a compound of the invention. For example, when a chemical formula is represented as showing no stereochemical designation(s), such as Formula VI, then all possible stereoisomer, optical isomers and mixtures thereof are considered within the scope of that formula. Accordingly, Formula VII, specifically designates the cis relationship between the two amino groups on the cyclohexyl ring and therefore this formula is also fully embraced by Formula VI.

[0263] Other uses of the disclosed invention will become apparent to those in the art based upon, inter alia, a review of this patent document.

[0264] The following examples are given to illustrate the invention and are not intended to be inclusive in any manner:

Examples

[0265] The compounds of the invention and their synthesis are further illustrated by the following examples. The following examples are provided to further define the invention without, however, limiting the invention to the particulas of these examples. "Ambient temperature" as referred to in the following example is meant to indicate a temperature falling between 0 °C and 40 °C. The following compounds are named by Beilstein Auto Nom Version 4.0, CS Chem Draw Ultra Version 6.0, CS Chem Draw Ultra Version 7.0.1, or ACD Name Version 7.0.

[0266] Abbreviations used in the instant specification, particularly the Schemes and Examples, are as follows:

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<sup>1</sup>H NMR: proton nuclear magnetic resonance spectrum

AcOH: acetic acid

APCI: atmospheric pressure chemical ionization

(Boc)<sub>2</sub>O: di-tertiary-butyl dicarbonate

45 BuLi: butyl lithium
BuOH: butanol
Cbz: carbobenzoxy

CDCl<sub>3</sub>: deuterated chloroform dichloromethane

50 CHCl<sub>3</sub>: chloroform

CI: chemical ionization

mCPBA: meta chloroperbenzoic acid DMA: N,N-dimethyl acetamide

DCM: dichloromethane
DIEA: diisopropylethylamine
DMSO: dimethyl sulfoxide

Dppf: bis-(diphenylphosphino)ferrocene

EI: electron ionization

ESI: electrospray ionization

Et<sub>2</sub>O: diethyl ether

EtOAc: acetic acid ethyl ester

EtOH: ethanol

5 FAB: fast atom bombardment

HATU: O-(7-azabenzotriazol-1-yl)-N,N,N,N-tetramethyluronium-Hexafluorophosphate

H<sub>2</sub>SO<sub>4</sub>: sulfuric acid HCl: hydrogen chloride IPA: isopropanol

me<sub>2</sub>NH: potassium carbonate

Me<sub>2</sub>NH: dimethylamine

MeNH<sub>2</sub>: methylamine

MeOH: methanol

MgSO<sub>4</sub>: magnesium sulfate
MsOH: methanesulfonic acid

NaBH(OAc)<sub>3</sub>: sodium triacetoxyborohydride NaBH<sub>3</sub>CN: sodium cyanoborohydride NaBH<sub>4</sub>: sodium borohydride

NaHCO<sub>3</sub>: sodium hydrogencarbonate

Pd/C: palladium carbon
POCl<sub>3</sub>: phosphoryl chloride
PVP: poly(4-vinylpyridine)
SOCl<sub>2</sub>: thionyl chloride

TBME: tert-butyl methyl ether

TFA: trifluoroacetic acid

THF: tetrahydrofuran

ZCI: benzyloxycarbonyl chloride

s: singlet
d: doublet
t: triplet
q: qualtet

dd: doublet doublet

dt : doublet triplet ddd : doublet doublet doublet

35 brs: broad singlet

m: multiplet

J: coupling constant

Hz: Hertz

## 40 Example 1

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# $N^2$ -[cis-4-(4-Bromo-2-trifluoromethoxy-benzyl)-amino-cyclohexyl]- $N^4$ -methyl-quinoline-2,4-diamine dihydrochloride

## 45 Step A: Synthesis of 2,4-dichloro-quinoline.

[0267] A suspension of quinoline-2,4-diol (150 g, 931 mmol) in POCl<sub>3</sub> (975 mL, 10.4 mol) was stirred at reflux for 6 hr and the reaction mixture was concentrated. The residue was diluted with CHCl<sub>3</sub> (500 mL) and the solution was poured into ice water. The aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (silica gel, 20% EtOAc in hexane) to give 2,4-dichloro-quinoline (177 g, 96%) as a pale brown solid.

EI MS m/e 197, M+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  7.50 (s, 1 H), 7.65 (ddd, J = 8.3, 7.0, 1.3 Hz, 1 H), 7.79 (ddd, J = 8.5, 7.0, 1.3 Hz, 1 H), 8.00-8.06 (m, 1 H), 8.16-8.21 (m, 1 H).

## 55 Step B: Synthesis of (2-chloro-quinolin-4-yl)-methyl-amine.

[0268] To a solution of 2,4-dichloro-quinoline (29.8 g, 150 mmol) in THF (300 mL) was added 40% MeNH $_2$  in water (58.4 g, 752 mmol). The mixture was stirred at ambient temperature for 12 days and concentrated. The residue was

suspended in CHCl<sub>3</sub> and H<sub>2</sub>O. The precipitate was collected by filtration, washed with acetone, and dried at 50 °C under reduced pressure to give (2-chloro-quinolin-4-yl)-methyl-amine (13.2 g, 45%) as a colorless solid. ESI MS m/e 215, M + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  2.91 (d, J = 4.7 Hz, 3 H), 6.35 (s, 1 H), 7.47 (ddd, J = 8.3, 6.6, 1.7 Hz, 1 H), 7.62-7.75 (m, 3 H), 8.16 (d, J = 8.6 Hz, 1 H).

## Step C: Synthesis of (cis-4-benzyloxycarbonylamino-cyclohexyl)-carbamic acid-benzyl ester.

[0269] To a suspension of cis-cyclohexane-1,4-dicarboxylic acid (25.0 g, 145 mmol) in benzene (125 mL) were added phosphorazidic acid diphenyl ester (81.9 g, 298 mmol) and triethylamine (30.1 g, 297 mmol). The reaction mixture was stirred at reflux for 2.5 hr. Benzyl alcohol (32.2 g, 298 mmol) was added and the mixture was stirred at reflux for 24 hr. The reaction mixture was concentrated and the residue was dissolved in EtOAc and H<sub>2</sub>O. The organic layer was separated and the aqueous layer was extracted with EtOAc (twice). The combined organic layer was washed with 1 M aqueous KHSO<sub>4</sub>, saturated aqueous NaHCO<sub>3</sub>, and brine, dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (silica gel, 33% EtOAc in hexane) to give (*cis*-4-benzyloxycarbonylaminocyclohexyl)-carbamic acid benzyl ester (52.0 g, 94%) as a colorless oil.

ESI MS m/e 405, M + Na+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $^{8}$  1.45-1.60 (m, 4 H), 1.60-1.80 (m, 4 H), 3.52-3.80 (m, 2 H), 4.70-5.00 (m, 2 H), 5.07 (s, 4 H), 7.15-7.40 (m, 10 H).

## Step D: Synthesis of (cis-4-amino-cyclohexyl)-carbamic acid tert-butyl ester.

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[0270] To a solution of (cis-4-benzyloxycarbonylamino-cyclohexyl)-carbamic acid benzyl ester (91.7 g, 240 mmol) in MeOH (460 mL) was added 5% Pd/C (9.17 g). The reaction mixture was stirred at ambient temperature under hydrogen atmosphere for 2.5 days, filtrated through a pad of celite, and concentrated to give a diamine as a colorless oil. To a solution of the diamine in MeOH (550 mL) was added a solution of (Boc)2O (6.59 g, 30.2 mmol) in MeOH (80 mL) dropwise over 4 hr. The reaction mixture was stirred at ambient temperature for 1.5 days and concentrated. After dissolution with H2O, the aqueous layer was extracted with CHCl3 (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, and concentrated to give (cis-4-amino-cyclohexyl)-carbamic acid tert-butyl ester (7.78 g, 15%, crude) as a colorless oil. The aqueous layer was concentrated and the residue was dissolved in MeOH, dried over MgSO<sub>4</sub>, filtrated, and concentrated to give a recovered diamine (32.9 g) as a colorless oil. To a solution of the recovered diamine (32.9 g, 288 mmol) in MeOH (660 mL) was added a solution of (Boc)<sub>2</sub>O (6.29 g, 28.8 mmol) in MeOH (80 mL) dropwise over 5 hr. The reaction mixture was stirred at ambient temperature for 10 hr and concentrated. After dissolution with H2O, the aqueous layer was extracted with CHCI3 (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, and concentrated to give (cis-4-aminocyclohexyl)-carbamic acid tert-butyl ester (8.16 g, 16%, crude) as a colorless oil. The aqueous layer was concentrated and the residue was dissolved in MeOH, dried over MgSO4, filtrated, and concentrated to give a recovered diamine (23.1 g) as a colorless oil. To a solution of the recovered diamine (23.1 g, 202 mmol) in MeOH (462 mL) was added a solution of (BOC)<sub>2</sub>O (4.42 g, 20.3 mmol) in MeOH (56 mL) dropwise over 4 hr. The reaction mixture was stirred at ambient temperature for 3.5 days and concentrated. After dissolution with H<sub>2</sub>O, the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, and concentrated to give (cis-4-amino-cyclohexyl)-carbamic acid tert-butyl ester (5.01 q, 10% based on starting material) as a colorless oil. The aqueous layer was concentrated and the residue was dissolved in MeOH, dried over MgSO<sub>4</sub>, filtrated, and concentrated to give a recovered diamine (16.0 g) as a colorless oil. To a solution of the recovered diamine (16.0 g, 140 mmol) in MeOH (320 mL) was added a solution of (Boc)<sub>2</sub>O (3.06 g, 14.0 mmol) in MeOH (40 mL) dropwise over 4 hr. The reaction mixture was stirred at ambient temperature for 13 hr and concentrated. After dissolution with H<sub>2</sub>O, the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, and concentrated to give (cis-4-amino-cyclohexyl)-carbamic acid tert-butyl ester (3.53 g. 7% based on the starting material) as a colorless oil. The aqueous layer was concentrated and the residue was dissolved in MeOH, dried over MgSO<sub>4</sub>, filtrated, and concentrated to give a recovered diamine (11.1 g) as a colorless oil. ESI MS m/e 215, M + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.20-1.80 (m, 8 H), 1.44 (s, 9 H), 2.78-2.95 (m, 1 H), 3.50-3.80 (m, 1 H), 4.30-4.82 (m, 1 H).

## Step E: Synthesis of N<sup>2</sup>-(cis-4-amino-cyclohexyl)-N<sup>4</sup>-methyl-quinoline-2,4-diamine.

[0271] A mixture of (2-chloro-quinolin-4-yl)-methyl-amine (2.00 g, 10.4 mmol) and (*cis*-4-aminocyclohexyl)-carbamic acid *tert*-butyl ester (2.45 g, 11.4 mmol) in butanol (3 mL) was stirred at 130 °C for 2 days in a sealed tube. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% EtOAc in hexane) to give [*cis*-4-(4-methylamino-quinolin-2-ylamino)-cyclohexyl]-carbamic acid *tert*-butyl ester (1.45 g) as a pale yellow oil. To a solution of the above material (1.31 g) in EtOAc (15

mL) was added 4 M hydrogen chloride in EtOAc (30 mL). The reaction mixture was stirred at ambient temperature for 5 hr. The precipitate was collected by filtration and dissolved in saturated aqueous NaHCO<sub>3</sub>. The aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, and concentrated to give N<sup>2</sup>-(cis-4-amino-cyclohexyl)-N<sup>4</sup>-methyl-quinoline-2,4-diamine (999 mg, 40%) as a pale yellow solid.

5 EI MS m/e 271 M + H+; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>) δ 1.42-1. (m, 8 H), 2.81 (d, *J* = 4.7 Hz, 3 H), 2.89-3.01 (m, 1 H), 3.17 (s, 2 H), 4.07 (brs, 1 H), 5.77 (s, 1 H), 6.32 (d, *J* = 6.5 Hz, 1 H), 6.69-6.80 (m, 1 H), 6.94-7.06 (m, 1 H), 7.34 (d, *J* = 3.7 Hz, 2 H), 7.85 (d, *J* = 8.2 Hz, 1 H).

## Step F: Synthesis of 4-bromo-2-trifluoromethoxy-benzaldehyde.

[0272] A solution of 4-bromo-1-iodo-2-trifluoromethoxy-benzene (1.00 g, 2.72 mmol) in THF (15 mL) was cooled to -78 °C and 2.66 M BuLi in hexane (2.05 mL, 5.44 mmol) was added dropwise. The reaction mixture was stirred at -78 °C for 1.5 h and N-formylmorpholine (0.57 mL, 5.63 mmol) was added. The reaction mixture was stirred at -78 °C for 15 min and at ambient temperature for 80 min. The reaction was quenched with 0.25 M aqueous citric acid (10 mL) and the resulting mixture was extracted with EtOAc (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (silica gel, 2% to 5% EtOAc in hexane) to give 4-bromo-2-trifluoromethoxy-benzaldehyde (560 mg, 77%) as a pale brown solid.

CI MS m/e 269, M + H+;  $^{1}$  H NMR (300 MHz, CDCl<sub>3</sub>)  $^{1}$   $^{1}$   $^{1}$  7.50-7.67 (m, 2 H), 7.85 (d,  $^{1}$  = 8.1 Hz, 1 H), 10.33 (s, 1 H).

Step G: Synthesis of №-[cis-4-(4-bromo-2-trifluoromethoxy-benzyl)-amino-cyclohexyl]-N<sup>4</sup>-methyl-quinoline-2,4-diamine dihydrochloride.

[0273] To a solution of  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ -methyl-quinoline-2,4-diamine (370 mg, 1.37 mmol) in methanol (4 mL) were added 4-bromo-2-trifluoromethoxy-benzaldehyde (368 mg, 1.37 mmol), acetic acid (82 mg, 1.37 mmol), and NaBH<sub>3</sub>CN (129 mg, 2.05 mmol). The reaction mixture was stirred at ambient temperature for 20 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% EtOAc in hexane) and flash chromatography (silica gel, 5% MeOH in CHCl<sub>3</sub>) to give a colorless oil. To a solution of the above oil in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (5 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. A suspension of the residue in Et<sub>2</sub>O (12 mL) was stirred at ambient temperature for 1 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried under reduced pressure to give  $N^2$ -[cis-4-(4-bromo-2-trifluoromethoxy-benzyl)-amino-cyclohexyl]- $N^4$ -methylquinoline-2,4-diamine dihydrochloride (365 mg, 45%) as a white solid.

ESI MS m/e 523, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1.61-2.11 (m, 8 H), 2.96 (d, J= 4.4 Hz, 3 H), 3.19-3.41 (m, 2 H), 4.11-4.34 (m, 2 H), 5.92 (brs, 1 H), 7.40 (t, J= 8.2 Hz, 1 H), 7.63-7.79 (m, 3 H), 7.93 (d, J= 8.4 Hz, 1 H), 8.22

#### Example 2

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40 N<sup>2</sup>-{cis-4-[2-(4-Bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl}-N<sup>4</sup>-methylquinoline2,4-diamine dihydrochloride

Step A: Synthesis of (4-bromo-2-trifluoromethoxy-phenyl)-acetaldehyde.

(d, J = 8.2 Hz, 1H), 8.30-8.48 (m, 2 H), 9.59 (brs, 2H).

[0274] To a suspension of (methoxymethyl)-triphenylphosphonium chloride (5.29 g, 14.9 mol) in Et<sub>2</sub>O (50 mL) was added 1.8 M phenyl lithium in 30% Et<sub>2</sub>O in cyclohexane (8.58 mL, 15.5 mmol). The mixture was stirred at ambient temperature for 10 min. To the reaction mixture was added 4-bromo-2-trifluoromethoxy-benzaldehyde obtained in step F of example 1 (4.00 g, 14.9 mmol) in Et<sub>2</sub>O (18 mL). The mixture was stirred at ambient temperature for 4 hr, filtrated and concentrated. To the above residue was added 10% H<sub>2</sub>SO<sub>4</sub> in AcOH (40 mL). The mixture was stirred at ambient temperature for 90 min. The solution was poured into H<sub>2</sub>O and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was washed with saturated aqueous NaHCO<sub>3</sub> and brine, dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (silica gel, 9% EtOAc in hexane) to give (4-bromo-2-trifluoromethoxy-phenyl)-acetaldehyde (1.25 g, 30 %) as a pale brown oil. ESI MS m/e 284, M + H+; <sup>1</sup>H NMR (200 MHz, CDCl<sub>3</sub>) δ 3.75 (d, J = 1.5 Hz, 2 H), 7.16 (d, J = 8.4 Hz, 1 H), 7.41-7.51 (m, 2 H), 9.74 (t, J = 1.5 Hz, 1 H).

Step B: Synthesis of  $N^2$ -{cis-4-[2-(4-bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl)- $N^4$ -methyl-quinoline-2,4-diamine dihydrochloride.

[0275] Using the procedure for the step G of example 1, the title compound was obtained. ESI MS m/e 537, M (free) + H+; 1H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1.62-2.06 (m, 8 H), 2.96 (d, J = 4.4 Hz, 3 H), 3.04-3.39 (m, 5 H), 4.17 (brs, 1 H), 5.90 (brs, 1 H), 7.40 (t, J = 8.2 Hz, 1 H), 7.52 (d, J = 8.7 Hz, 1 H), 7.57-7.85 (m, 3 H), 8.20 (d, J = 8.2 Hz, 1 H), 8.26-8.47 (m, 2 H), 9.23 (brs, 2 H).

## Example 3

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 $N^2$ -{cis-4-[(4-Bromo-2-trifluoromethoxy-benzyl)-amino-methyl]-cyclohexyl}- $N^4$ -methylquinoline-2,4-diamine dihydrochloride

Step A: Synthesis of (cis-4-hydroxymethyl-cyclohexyl)-carbamic acid tert-butyl ester.

[0276] A suspension of cis-4-amino-cyclohexanecarboxylic acid (244 g, 1.70 mol) in MeOH (2.45 L) was cooled to -8 °C . Thionyl chloride (45.0 mL, 617 mmol) was added dropwise. The resulting solution was stirred at ambient temperature for 4.5 hr and concentrated to give a white solid. To a suspension of the above solid in CHCl<sub>3</sub> (3.00 L) were added triethylamine (261 mL, 1.87 mol) and (Boc)<sub>2</sub>O (409 g, 1.87 mol) successively. The reaction mixture was stirred at ambient temperature for 5 hr and poured into water. The aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (silica gel, CHCl<sub>3</sub> only to 10% MeOH in CHCl<sub>3</sub>) to give a colorless oil (531 g). To a suspension cooled at -4 °C of lithium aluminum hydride (78.3 g, 2.06 mol) in Et<sub>2</sub>O (7.9 L) was added a solution of the above oil (530.9 g) in Et<sub>2</sub>O (5.3 L) below 0 °C. The resulting suspension was stirred at ambient temperature for 2 hr. The reaction mixture was cooled on an ice-bath, quenched with cold water, and filtrated through a pad of celite. The filtrate was dried over MgSO<sub>4</sub>, filtrated, and concentrated. The precipitate was suspended in hexane (300 mL), filtrated, washed with hexane, and dried under reduced pressure to give (cis-4-hydroxymethyl-cyclohexyl)-carbamic acid tert-butyl ester (301 g, 77%) as a white solid. ESI MS m/e 252, M + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.16-1.36 (m, 2 H), 1.45 (s, 9 H), 1.52-1.77 (m, 7 H), 3.51 (d, J = 6.2 Hz, 2 H), 3.75 (brs, 1 H), 4.30-4.82 (m, 1 H).

## Step B: Synthesis of [cis-4-(benzyloxycarbonylamino-methyl)-cyclohexyl]-carbamic acid tert-butyl ester.

[0277] To a solution of (*cis*-4-hydroxymethyl-cyclohexyl)-carbamic acid *tert*-butyl ester (17.7 g, 77.2 mmol) in THF (245 mL) were added triphenylphosphine (20.2 g, 77.0 mmol) and phthalimide (11.4 g, 77.5 mmol) successively. The resulting suspension was cooled on an ice-bath and 40% diethyl azodicarboxylate in toluene (33.6 mL, 74.1 mmol) was added over 1 hr. The reaction mixture was stirred at ambient temperature for 2.5 days, concentrated, and purified by flash chromatography (silica gel, 33% EtOAc in hexane) to give a white solid. To a suspension of the above solid (27.5 g) in EtOH (275 mL) was added hydrazine hydrate (5.76 g, 115 mmol). The mixture was stirred at reflux for 2.25 hr, cooled, and concentrated. The precipitate was dissolved in 10% aqueous sodium hydroxide (350 mL). The aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, and concentrated. To a solution of the above residue in CHCl<sub>3</sub> (275 mL) was added triethylamine (8.54 g, 84.4 mmol). The resulting solution was cooled to 0 °C and ZCI (14.4 g, 84.4 mmol) was added below 5 °C. The reaction mixture was stirred at ambient temperature for 16 hr and poured into saturated aqueous NaHCO<sub>3</sub>. The aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (silica gel, 2% MeOH in CHCl<sub>3</sub>) to give [*cis*-4-(benzyloxyearbonylamino-methyl)-cyclohexyll-carbamic acid *tert*-butyl ester (25.3 g, 91 %) as a colorless oil.

Step C: Synthesis of (cis-4-amino-cyclohexylmethyl)-carbamic acid benzyl ester.

[0278] To a solution of [cis-4-(benzyloxycarbonylamino-methyl)-cyclohexyl]-carbamic acid tert-butyl ester (12.9 g, 35.6 mmol) in EtOAc (129 mL) was added 4 M hydrogen chloride in EtOAc (129 mL). The reaction mixture was stirred at ambient temperature for 3 hr, filtrated, washed with EtOAc, and dried under reduced pressure. The solid was dissolved in saturated aqueous NaHCO<sub>3</sub>. The aqueous layer was extracted with CHCl<sub>3</sub> (five times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and dried under reduced pressure to give (cis-4-aminocyclohexylmethyl)-carbamic acid benzyl ester (8.88 g, 95 %) as a colorless oil.

ESI MS m/e 263, M + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.36-1.98 (m, 9 H), 2.96-3.32 (m, 3 H), 5.12 (brs, 3 H), 7.36

J = 6.4 Hz, 2 H), 3.72 (brs, 1 H), 4.42-4.76 (m, 1 H), 4.76-4.92 (m, 1 H), 5.09 (s, 2 H), 7.27-7.38 (m, 5 H).

(s, 5 H).

Step D: Synthesis of [cis-4-(4-methylamino-quinolin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester.

- [0279] A mixture of (2-chloro-quinolin-4-yl)-methyl-amine obtained in step B of example 1 (2.00 g, 10.4 mmol) and (cis-4-amino-cyclohexylmethyl)-carbamic acid benzyl ester (3.27 g, 12.5 mmol) in butanol (3 mL) was stirred at 130 °C for 16 hr in a sealed tube. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub>, and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (silica, 10% MeOH in CHCl<sub>3</sub>) to give [cis-4-(4-methylamino-quinolin-2-ylamino)-cyclohexylmethyl]-carbamic acid-benzyl ester (2.16 g, 49%) as a white solid. ESI MS m/e 419, M + H+ 1H NMR (300 MHz, CDCl<sub>3</sub>) \(\delta\) 1.42-1.99 (m, 9 H), 3.05 (d, J = 4.7 Hz, 3 H), 3.08-3.16 (m, 2 H), 3.81 (brs,1 H), 5.07 (s, 2 H), 5.18-5.28 (m, 1 H), 5.34 (s, 1 H), 7.07-7.18 (m, 1H), 7.22-7.45 (m, 6 H), 7.56-7.70 (m, 1 H), 8.16 (d, J = 8.4 Hz, 1 H), 8.23 (d, J = 7.6 Hz, 1 H), 12.76 (brs, 1H).
- 15 Step E: Synthesis of №-{cls-4-[(4-bromo-2-trifluoromethoxy-benzyl)-amino-methyl]-cyclohexyl}-N<sup>4</sup>-methyl-quinoline-2,4-diamine dihydrochloride.

[0280] To a solution of [cis-4-(4-methylamino-quinolin-2-ylamino)-cyclohexylmethyl]-carbamic acid-benzyl ester (2.02 g, 4.83 mmol) in MeOH (20 mL) was added 10% Pd/C (202 mg). The mixture was stirred at 50°C under hydrogen atmosphere for 23.5 hr. The reaction mixture was filtrated through a pad of celite and concentrated. To a solution of the residue (500 mg) in methanol (5 mL) were added 4-bromo-2-trifluoromethoxy-benzaldehyde obtained in step F of example 1 (497 mg, 1.85 mmol), acetic acid (111 mg, 1.85 mmol), and NaBH<sub>3</sub>CN (166 mg, 2.64 mmol). The reaction mixture was stirred at ambient temperature for 23 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 50% EtOAc in hexane) and flash chromatography (silica gel, 2% to 50% MeOH in CHCl<sub>3</sub>) to give a colorless oil. To a solution of the above oil in EtOAc (2 mL) was added 4 M hydrogen chloride in EtoAc (5 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. A suspension of the residue in Et<sub>2</sub>O (12 mL) was stirred at ambient tempareture for 1 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried under reduced pressure to give N²-{cis-4-[(4-bro-mo-2-trifluoromethoxy-benzyl)aminomethyl]-cyclohexyl}-N⁴-methyl-quinoline-2,4-diamine dihydrochloride (147 mg, 14%) as a white solid.

ESI MS m/e 537, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.34-2.15 (m, 9 H), 2.63-3.08 (m, 5 H), 3.41-3.88 (m, 1 H), 4.28 (s, 2 H), 7.00-7.62 (m, 6 H), 7.65-8.38 (m, 3 H), 10.01 (brs, 2 H), 11.76 (brs, 1 H).

#### 35 Example 4

 $N^4$ -Methyl- $N^2$ -{cis-4-[(2-trifluoromethoxy-benzyl)-amino-methyl]-cyclohexyl) -quinoline-2,4-diamine dihydrochloride

40 Step A: Synthesis of №-methyl-№-{cis-4-[(2-trifluoromethoxy-benzyl)-amino-methyl]-cyclohexyl}-quinoline-2,4-diamine dihydrochloride.

[0281] To a solution of  $N^2$ -{cis-4-[(4-bromo-2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}- $N^4$ -methyl-quino-line-2,4-diamine obtained in step E of example 3 (250 mg, 0.465 mmol) in EtOH (2.5 mL) was added 10% Pd/C (75 mg). The mixture was stirred at ambient temperature under hydrogen atmosphere for 15 hr. The reaction mixture was filtrated through a pad of celite and purified by flash chromatography (NH-silica gel, 50% EtOAc in hexane) to give a colorless oil. To a solution of the above oil in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (5 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended with Et<sub>2</sub>O (10 mL) and stirred at ambient temperature for 1 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O and dried under reduced pressure to give  $N^4$ -methyl- $N^2$ -{cis-4-{(2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}-quinoline-2,4-diamine dihydrochloride (114 mg, 46%) as a white solid.

ESI MS m/e 459, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.46-2.09 (m, 9 H), 2.84 (brs, 3 H), 2.92 (brs, 2 H), 3.60-3.82 (m, 1 H), 4.32 (s, 2 H), 7.05-7.49 (m, 6 H), 7.88 (d, J= 7.8 Hz, 1 H), 8.11-8.35 (m, 2 H), 9.91 (brs, 2 H), 11.83 (s, 1 H).

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## Example 5

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*№*-[*cis*-4-(4-Bromo-2-trifluoromethoxy-benzyl)-amino-cyclohexyl]-*№*,*№*-dimethyl-quinoline-2,4-diamine dihydrochloride

Step A: Synthesis of (2-chloro-quinolin-4-yl)-dimethyl-amine.

[0282] To a solution of 2,4-dichloro-quinoline (177 g, 894 mmol) in THF (2.1 L) was added 50% aqueous  $Me_2NH$  (234 mL, 2-23 mol). The mixture was stirred at ambient temperature for 68 hr. To the mixture was added 50% aqueous  $Me_2NH$  (47 mL, 448 mmol) and stirred at ambient temperature for 3 hr. The solution was poured into saturated aqueous  $NaHCO_3$  and the aqueous layer was extracted with  $CHCl_3$  (three times). The combined organic layer was dried over  $MgSO_4$ , filtrated, concentrated, and purified by flash chromatography (NH-silica, 1 % to 3% EtOAc in hexane) to give (2-chloro-quinolin-4-yl)-dimethyl-amine (75.9 g, 41 %) as a pale yellow oil and (4-chloro-quinolin-2-yl)-dimethyl-amine (28.0 g, 15%) as a pale yellow oil.

(2-chloro-quinolin-4-yl)-dimethyl-amine; ESI MS m/e 207, M + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  3.06 (s, 6 H), 6.71 (s, 1 H), 7.45 (ddd, J = 8.4, 7.0, 1.2 Hz, 1 H), 7.63 (ddd, J = 8.4, 6.9, 1.5 Hz, 1 H), 7.91-7.93 (m, 1 H), 7.97-8.03 (m, 1 H). (4-chloro-quinolin-2-yl)-dimethyl-amine; ESI MS m/e 229, M + Na+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  3.18 (s, 6 H), 6.97 (brs, 1 H), 7.18-7.31 (m, 1 H), 7.49-7.63 (m, 1 H), 7.66-7.72 (m, 1 H), 7.95-8.00 (m, 1 H).

Step B: Synthesis of N2-(cis-4-amino-cyclohexyl)-N4, N4-dimethyl-quinoline-2,4-diamine.

[0283] Using the procedure for the step E of example 1, the title compound was obtained. FAB MS m/e 285, M + H+;  $^{1}$ H NMR (200 MHz, CDCl<sub>3</sub>)  $\delta$  1.12-2.00 (m, 9 H), 2.81-2.98 (m, 1 H), 2.93 (s, 6 H), 4.09 (brs, 1 H), 4.75 (d, J = 7.9 Hz, 1 H), 6.03 (s, 1 H), 7.14 (ddd, J = 8.2, 6.7, 1.3 Hz, 1 H), 7.45 (ddd, J = 8.4, 6.8, 1.5Hz, 1 H), 7.62 (m, 1 H), 7.84 (dd, J = 8.4, 1.3 Hz, 1 H).

Step C: Synthesis of  $N^2$ -[cis-4-(4-bromo-2-trifluoromethoxy-benzyl)-amino-cyclohexyl]- $N^4$ ,  $N^4$ -dimethyl-quinoline-2,4-diamine dihydrochloride.

[0284] Using the procedure for the step G of example 1, the title compound was obtained. ESI MS m/e 537, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.73-2.36 (m, 10 H), 3.05-3.31 (m, 2 H), 3.20 (s, 6 H), 4.32 (s, 2 H), 7.30-7.62 (m, 5 H), 7.86 (d, J = 8.6 Hz, 1 H), 8.21 (d, J = 8.4 Hz, 1 H), 8.53-8.64 (m, 1 H), 13.04 (brs, 1 H).

35 Example 6

 $N^2$ -{cis-4-[2-(4-Bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl}- $N^4$ ,  $N^4$ -dimethylquinoline-2,4-diamine dihydrochloride

40 Step A: Synthesis of N²-{cis-4-[2-(4-bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl}-N⁴,N⁴-dimethyl-quinoline-2,4-diamine dihydrochloride.

[0285] Using the procedure for the step G of example 1, the title compound was obtained. ESI MS m/e 551, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.69-2.40 (m, 10 H), 3.11-3.46 (m, 10 H), 7.26-7.49 (m, 5 H), 7.59 (t, J = 7.3 Hz, 1 H), 7.86 (d, J = 7.5 Hz, 1 H), 8.53-8.70 (m, 1 H), 9.75-10.14 (m, 2 H), 13.05 (brs, 1 H).

Example 7

 $N^2$ -{cis-4-[(4-Bromo-2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}- $N^4$ ,  $N^4$ -dimethylquinoline-2,4-diamine dihydrochloride

Step A: Synthesis of [cis-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester.

[0286] A mixture of (2-chloro-quinolin-4-yl)-dimethyl-amine obtained in step A of example 5 (23.6 g, 114 mmol) and (cis-4-amino-cyclohexylmethyl)-carbamic acid benzyl ester obtained in step C of example 3 (36.0 g, 137 mmol) in butanol (31 mL) was stirred at reflux for 14 days. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub>, and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>,

filtrated, concentrated, and purified by flash chromatography (NH-silica, 14% to 66% EtOAc in hexane) to give [cis-4-(4-dimethylaminoquinolin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester (19.3 g, 39%) as a pale yellow solid.

ESI MS m/e 433, M + H<sup>+</sup>; <sup>1</sup>H NMR (200 MHz, CDCl<sub>3</sub>)  $\delta$  1.12-1.97 (m, 9 H), 2.94 (s, 6 H), 3.13 (t, J = 6.4 Hz, 2 H), 4.06-4.26 (m, 1 H), 4.62-4.94 (m, 2 H), 5.11 (s, 2 H), 6.04 (s, 1 H), 7.14 (ddd, J = 8.4, 7.0, 1.3 Hz, 1 H), 7.29-7.40 (m, 5 H), 7.45 (ddd, J = 8.4, 6.8, 1.5 Hz, 1 H), 7.57-7.64 (m, 1 H), 7.84 (dd, J = 8.4, 1.3 Hz, 1 H).

Step B: Synthesis of N-(cis-4-aminomethyl-cyclohexyl)-N4,N4-dimethyl-quinoline-2,4-diamine.

[0287] To a solution of [cis-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester (19.3 g, 44.6 mmol) in MeOH (200 mL) was added 5% Pd/C (1.93 g). The mixture was stirred at ambient temperature under hydrogen atmosphere for 6 days. The reaction mixture was filtrated through a pad of celite and concentrated. To a solution of the residue in methanol (200 mL) was added 10% Pd/C (1.93 g). The mixture was stirred at ambient temperature under hydrogen atmosphere for 1 day. The reaction mixture was filtrated through a pad of celite, concentrated, and purified by flash chromatography (silica gel, 5% to 14% 7 M NH<sub>3</sub>/MeOH in CHCl<sub>3</sub>) to give N²-(cis-4-aminomethyl-cyclohexyl)-N⁴,N⁴-dimethyl-quinoline-2,4-diamine (12.7 g, 95%) as a pale yellow solid. FAB MS m/e 299, M + H+; ¹H NMR (200 MHz, CDCl<sub>3</sub>) δ 1.08-1.99 (m, 11 H), 2.60 (d, J= 6.2 Hz, 2 H), 2.94 (s, 6 H), 4.04-4.22 (m, 1 H), 4.77-4.93 (m, 1 H), 6.06 (s, 1 H), 7.14 (ddd, J= 8.4, 7.0, 1.3 Hz, 1 H), 7.45 (ddd, J= 8.4, 6.8, 1.5 Hz, 1 H), 7.61 (m, 1 H), 7.84 (dd, J= 8.4, 1.3 Hz, 1 H).

Step C: Synthesis of  $N^{2}$ -{cis-4-[(4-bromo-2-trifluoromethoxy-benzyl)-amino-methyl]-cyclohexyl}- $N^{4}$ ,  $N^{4}$ -dimethyl-quinoline-2,4-diamine dihydrochloride.

[0288] Using the procedure for the step G of example 1, the title compound was obtained. ESI MS m/e 551, M (free) +H+;  $^{1}$ HNMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.50-2.20 (m, 9 H), 2.89 (s, 2 H), 3.20 (s, 6 H), 3.75-4.02 (m, 1 H), 4.23 (s, 2 H), 7.22-7.32 (m, 2 H), 7.40-7.46 (m, 1 H), 7.49-7.62 (m, 2 H), 7.83 (d,  $\neq$  8.7 Hz, 1 H), 8.17 (d,  $\neq$  8.4 Hz, 1 H), 8.53-8.69 (m, 1 H), 10.05 (brs, 2 H), 13.00 (brs, 1 H).

#### Example 8

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 $N^4$ ,  $N^4$ -Dimethyl- $N^2$ -{cis-4-[(2-trifluoromethoxy-benzyl)-amino-methyl]-cyclohexyl}-quinoline-2,4-diamine dihydrochloride

Step A: Synthesis of  $N^4$ ,  $N^4$ -dimethyl- $N^2$ -{cis-4-[(2-trifluoromethoxy-benzyl)-amino-methyl]-cyclohexyl-quinoline-2,4-diamine dihydrochloride.

[0289] Using the procedure for the step G of example 1, the title compound was obtained. ESI MS m/e 473, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.54-2.20 (m, 9 H), 2.87 (brs, 2 H), 3.19 (s, 6 H), 3.70-4.03 (m, 1 H), 4.28 (brs, 2 H), 7.15-7.67 (m, 6 H), 7.81 (d, J = 8.4 Hz, 1 H), 8.17 (d, J = 7.3 Hz, 1 H), 8.63 (brs, 1 H), 9.92 (brs, 1 H), 13.13 (s, 1 H).

## Example 9

 $N^2$ -[cis-4-(4-Bromo-2-trifluoromethoxy-benzyi)amino-cyclohexyl]- $N^4$ -methyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine dihydrochloride

Step A: Synthesis of 5,6,7,8-tetrahydro-quinazoline-2,4-diol.

[0290] To a solution of 2-oxo-cyclohexanecarboxylic acid ethyl ester (61.5 g, 361 mmol) in EtOH (61.5 mL) was added urea (73.8 g, 1.23 mol). The mixture was stirred at reflux for 10.5 days and stirred at ambient temperature for 30 min. The precipitate was filtrated, washed with acetone, and dried. A suspension of the above solid in  $H_2O$  (100 mL) stirred on an ice-bath for 1 hr. The precipitate was filtrated, washed with hexane, and dried under reduced pressure to give 5,6,7,8-tetrahydro-quinazoline-2,4-diol (21.0 g, 35%) as a pale yellow solid.

CI MS m/e 167, M + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1.48-1.71 (m, 4 H), 2.09-2.19 (m, 2 H), 2.24-2.34 (m, 2 H), 10.41-10.98 (m, 2 H).

Step B: Synthesis of 2,4-dichloro-5,6,7,8-tetrahydro-quinazoline.

[0291] Using the procedure for the step A of example 1, the title compound was obtained. ESI MS m/e 203, M+; 1H

NMR (300 MHz, CDCl<sub>3</sub>) δ 1.83-1.94 (m, 4 H), 2.67-2.79 (m, 2 H), 2.84-2.95 (m, 2 H).

Step C: Synthesis of (2-chloro-5,6,7,8-tetrahydro-quinazolin-4-yl)-methyl-amine.

- [0292] To a solution of 2,4-dichloro-5,6,7,8-tetrahydro-quinazolin (8.70 g, 42.8 mmol) in THF (87 mL) was added 40% aqueous MeNH<sub>2</sub> (8.32 g, 107 mmol). The mixture was stirred at ambient temperature for 8 hr. The solution was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (NH-silica, 50% EtOAc in hexane) to give (2-chloro-5,6,7,8-tetrahydro-quinazolin-4-yl)-methyl-amine (7.04 g, 83%) as a white solid.
- <sup>10</sup> ESI MS m/e 220, M + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.74-1.92 (m, 4 H), 2.26 (t, J= 5.5 Hz, 2 H), 2.67 (t, J= 5.6 Hz, 2 H), 3.05 (d, J= 5.0 Hz, 3 H), 4.81 (s, 1 H).
  - Step D: Synthesis of N<sup>2</sup>-(cis-4-amino-cyclohexyl)-N<sup>4</sup>-methyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine.
- [0293] Using the procedure for the step E of example 1, the title compound was obtained. ESI MS m/e 276, M + H+;  $^{1}$ H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1.33-1.76 (m, 12 H), 2.11-2.21 (m, 2 H), 2.31-2.40 (m, 2 H), 2.70-2.77 (m, 2 H), 2.78 (d,  $\checkmark$  4.5 Hz, 3 H), 3.71-3.83 (m, 1 H), 5.50-5.63 (m, 1 H), 6.10-6.22 (m, 1 H).
- Step E: Synthesis of N<sup>2</sup>-[cis-4-(4-bromo-2-trifluoromethoxy-benzyl)-amino-cyclohexyl]-N<sup>4</sup>-methyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine dihydrochloride.

[0294] Using the procedure for the step G of example 1, the title compound was obtained. ESI MS m/e 528, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.66-2.24 (m, 12 H), 2.41-2.56 (m, 4 H), 3.00 (d,  $_{2}$ Hz, 3 H), 3.04 (brs, 1 H), 4.03 (brs, 1 H), 4.30 (brs, 2 H), 7.45-7.48 (m, 1 H), 7.52 (dd,  $_{2}$ Hz, 1 H), 7.74 (brs, 1 H), 8.14 (d,  $_{2}$ Hz, 1 H), 11.84 (brs, 1 H).

## Example 10

N<sup>2</sup>-{cis-4-[2-(4-Bromo-2-trifluoromethoxy-phenyl}-ethylamino]-cyclohexyil}-N⁴-methyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine dihydrochloride

Step A: Synthesis of N<sup>2</sup>-{cis-4-[2-(4-bromo-2-triftuoromethoxy-phenyl)-ethylamino]-cyclohexyl}-N<sup>4</sup>-methyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine dihydrochloride.

[0295] Using the procedure for the step G of example 1, the title compound was obtained.
 ESI MS m/e 542, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.57-2.25 (m, 12 H), 2.35-2.60 (m, 4 H), 2.94-3.28 (m, 6 H), 3.32-3.45 (m, 2 H), 4.13 (brs, 1 H), 7.30-7.51 (m, 4 H), 7.72 (d, J= 6.2 Hz, 1 H), 9.86 (brs, 2 H) 11.90 (s, 1 H).

## Example 11

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 $N^2$ -{cis-4-[(4-Bromo-2-trifluoromethoxy-benzyl)amino-methyl]-cyetohexyl}- $N^4$ -methyl-5,6,7,8-tetrahydroquinazoline-2,4-dlamine dihydrochloride

Step A: Synthesis of [cis-4-(4-methylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino) cyclohexylmethyl]carbamic acid benzyl ester.

[0296] A mixture of (2-chloro-5,6,7,8-tetrahydro-quinazolin-4-yl)-methyl-amine obtained in step C of example 9 (2.00 g, 10.1 mmol) and (cis-4-amino-cyclohexylmethyl)-carbamic acid benzyl ester obtained in step C of example 3 (3.19 g, 12.2 mmol) in butanol (3 mL) was stirred at 130 °C for 16 hr in a sealed tube. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub>, and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (silica gel, 10% MeOH in CHCl<sub>3</sub>) to give [cis-4-(4-methylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester (1.38 g, 32%) as a pale yellow oil.

ESI MS m/e 424, M + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.31-2.02 (m, 13 H), 2.22-2.34 (m, 2 H), 2.52-2.64 (m, 2 H), 3.05 (d, J = 4.8 Hz, 3 H), 3.11 (t, J = 6.1 Hz, 2 H), 5.05-5.23 (m, 1 H), 5.08 (s, 2 H), 6.34-6.47 (m, 1 H), 7.23-7.42 (m, 5 H), 7.99 (d, J = 7.3 Hz, 1 H), 12.34 (brs, 1 H).

Step B: Synthesis of  $N^2$ -{cis-4-[(4-bromo-2-trifluoromethoxy-benzyl)-amino-methyl]-cyclohexyl}- $N^4$ -methyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine dihydrochloride.

[0297] Using the procedure for the step E of example 3, the title compound was obtained. ESI MS m/e 542, M (free) + H+;  $^1$ H NMR (200 MHz, CDCl<sub>3</sub>)  $\delta$  1.50-2.19 (m, 13 H), 2.58-2.61 (m, 2 H), 2.72-2.91 (m, 2 H), 2.83-2.97 (m, 2 H), 3.24 (s, 6 H), 4.15-4.20 (m, 1 H), 4.22-4.38 (m, 2 H), 7.43-7.50 (m, 1 H), 7.56-7.61 (m, 1H), 8.18-8.29 (m, 2 H), 10.06 (brs, 2 H), 12.30 (brs, 1 H).

## Example 12

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 $N^4$ -Methyl- $N^2$ -{cis-4-[(2-trifluoromethoxy-benzyl)-amino-methyl]-cyclohexyl}-5,6,7,8-tetrahydro-quinazoline-2,4-diamine dihydrochloride

Step A: Synthesis of M-methyl-M-{cis-4-[(2-trifluoromethoxy-benzyn-amino-methyl]-cyclohexyl)-5,6,7,8-tetrahydro-quinazoline-2,4-diamine dihydrochloride.

[0298] Using the procedure for the step G of example 1, the title compound was obtained. ESI MS m/e 464, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1.28-2.04 (m, 15 H), 2.14-2.30 (m, 2 H), 2.83-2.95 (m, 2 H), 2.91 (d, J = 4.5 Hz, 3 H), 4.13 (brs, 1 H), 4.22 (brs, 2 H), 7.43-7.62 (m, 3 H), 7.91 (dd, J = 7.5, 1.6 Hz, 1 H), 8.09 (d, J = 6.7 Hz, 2 H), 9.37 (brs, 2 H), 12.30-12.70 (m, 1 H).

## Example 13

 $N^2$ -[cis-4-(4-Bromo-2-trifluoromethoxy-benzyl)-amino-cyclohexyl]- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine dihydrochloride

Step A: Synthesis of (2-chloro-5,6,7,8-tetrahydro-quinazolin-4-yl)-dimethyl-amine.

[0299] To a solution of 2,4-dichloro-5,6,7,8-tetrahydro-quinazolin (7.00 g, 34.5 mmol) in THF (70 mL) was added 50% aqueous MeNH<sub>2</sub> (7.77 g, 86.2 mmol). The mixture was stirred at ambient temperature for 2.25 hr. The solution was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (NH-silica, 20% EtOAc in hexane) to give (2-chloro-5,6,7,8-tetrahydro-quinazolin-4-yl)-dimethyl-amine (6.08 g, 83%) as a white solid.

35 ESI MS m/e 234, M + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.62-1.90 (m, 4 H), 2.59 (t, J= 6.0 Hz, 2 H), 2.76 (t, J= 6.6 Hz, 2 H), 3.06 (s, 6 H).

Step B: Synthesis of Nº-(cis-4-amino-cyclohexyl)-Nº4,Nº4-dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine.

[0300] Using the procedure for the step E of example 1, the title compound was obtained.
FAB MS m/e 290, M + H+; <sup>1</sup>H NMR (200 MHz, CDCl<sub>3</sub>) δ 0.95-1.94 (m, 14 H), 2.49 (t, J= 5.9 Hz, 2 H), 2.61 (t, J= 7.0 Hz, 2 H), 2.72-2.94 (m, 1 H), 2.94 (s, 6 H), 3.89-4.11 (m, 1 H), 4.73 (d, J= 7.5 Hz, 1 H).

Step C: Synthesis of  $N^2$ -[cis-4-(4-bromo-2-tritiuoromethoxy-benzyl)-amino-cyclohexyl]- $N^4$ ,  $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine-dihydrochloride.

[0301] Using the procedure for the step G of example 1, the title compound was obtained. ESI MS m/e 542, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.57-2.32 (m, 12 H), 2.52-2.60 (m, 2 H), 2.63-2.72 (m, 2 H), 3.11-3.24 (m, 7 H), 4.12-4.23 (m, 1 H), 4.28 (s, 2 H), 7.41 (d, J= 10.4 Hz, 1 H), 7.49 (dd, J=8.2, 1.9 Hz, 1 H), 8.19 (d, J=8.4 Hz, 1 H), 8.25 (d, J=8.1 Hz, 1 H), 10.02 (brs, 1 H), 12.43 (brs, 1 H).

#### Example 14

 $N^2$ -{cis-4-[2-(4-Bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl}- $N^4$ , $N^4$ -dimethyl-5, 6,7,8-tetrahydroquinazoline-2,4-diamine dihydrochloride

Step A: Synthesis of  $N^2$ -{cis-4-[2-(4-bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl}- $N^4$ ,  $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine-dihydrochloride.

[0302] Using the procedure for the step G of example 1, the title compound was obtained. ESI MS m/e 556, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.57-2.32 (m, 12 H), 2.56 (t, J= 5.8 Hz, 2 H), 2.69 (t, J= 6.2 Hz, 2 H), 3.14-3.41 (m, 9 H), 4.13-4.25 (m, 1 H), 7.35-7.44 (m, 2 H), 7.49-7.55. (m, 1 H), 8.20 (d, J= 7.8 Hz, 1 H).

## Example 15

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N²-{cis-4-{(4-Bromo-2-trifluoromethoxy-benzyl)-amino-methyl}-cyclohexyl}-N⁴,N⁴-dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-dlamine dlhydrochloride

Step A: Synthesis of [cis-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester.

[0303] Using the procedure for the step A of example 11, the title compound was obtained. ESI MS m/e 438, M + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.18-1.39 (m, 2 H), 1.48-1.94 (m, 11 H), 2.49 (t, J = 5.9 Hz, 2 H), 2.60 (t, J = 6.6 Hz, 2 H), 2.94 (s, 6 H), 3.09 (t, J = 6.1 Hz, 2 H), 4.01-4.13 (m, 1 H), 4.70-4.91 (m, 2 H), 5.09 (s, 2 H), 7.27-7.39 (m, 5 H).

Step B: Synthesis of  $N^2$ -{cis-4-[(4-bromo-2-trifluoromethoxy-benzyl)-amino-methyl]-cyclohexyl}- $N^4$ ,  $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine dihydrochloride.

[0304] Using the procedure for the step E of example 3, the title compound was obtained. ESI MS m/e 556, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.46-2.17 (m, 12 H), 2.55 (t, J= 5.8 Hz, 2 H), 2.69 (t, J= 6.1 Hz, 2 H), 2.79-2.92 (m, 2 H), 3.20 (s, 6 H), 4.08-4.18 (m, 1 H), 4.20-4.31 (m, 2 H), 7.43-7.47 (m, 1 H), 7.53 (dd, J= 8.4, 1.9 Hz, 1 H), 8.16 (d, J= 7.8 Hz, 1 H), 8.22 (d, J= 8.4 Hz, 1 H), 10.02 (brs, 2 H), 12.28 (brs, 1 H).

## Example 16

 $N^4$ ,  $N^4$ -Dimethyl- $N^2$ -{cis-4-[(2-trifluoromethoxy-benzyl)-amino-methyl]-cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine dihydrochloride

Step A: Synthesis of N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-{cis-4-[(2-trifluoromethoxy-benzyl)-amino-methyl]-cyclohexyl}
5,6,7,8-tetrahydro-quinazoline-2,4-diamine dihydrochloride.

[0305] Using the procedure for the step G of example 1, the title compound was obtained. ESI MS m/e 478, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.48-2.15 (m, 13 H), 2.55 (t, J = 5.4 Hz, 2 H), 2.71 (t, J = 6.2 Hz, 2 H), 2.77-2.89 (m, 2 H), 3.19 (s, 6 H), 4.10 (brs, 1 H), 4.26-4.37 (m, 2 H), 7.27-7.34 (m, 1 H), 7.36-7.47 (m, 2 H), 8.15-8.25 (m, 2 H), 9.90 (s, 2 H), 12.52 (s, 1 H).

## Example 17

 $\textit{N}^2\text{-}[\text{cis-4-(4-Bromo-2-trifluoromethoxy-benzyl}]-\textit{amino-cyclohexyl}]-\textit{N}^4, \textit{N}^4\text{-}dimethyl-pyrimidin-2,4-diamine dihydrochloride}$ 

Step A: Synthesis of [cis-4-(4-bromo-2-trifluoromethoxy-benzyl)-amino-cyclohexyl]-carbamic acid tert-butyl ester.

[0306] To a solution of (*cis*-4-amino-cyclohexyl)-carbamic acid tert-butyl ester obtained in step D of example 1 (6.72 g, 31.4 mmol) in CHCl<sub>3</sub> (67 mL) were added 4-bromo-2-trifluoromethoxybenzaldehyde obtained in step F of example 1 (8.44 g, 31.4 mmol), acetic acid (1.88 g, 31.3 mmol), and NaBH(OAc)<sub>3</sub> (9.97 g, 47.0 mmol). The reaction mixture was stirred at ambient temperature for 4 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the

aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (silica gel, 33% EtOAc in hexane) to give [*cis*-4-(4-bromo-2-trif-luoromethoxy-benzyl)-amino-cyclohexyl)-carbamic acid tert-butyl ester (10.28 g, 70%) as a pale yellow oil. ESI MS m/e 467, M + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.16-1.78 (m, 17 H), 2.57-2.70 (m, 1 H), 3.62 (brs, 1 H), 3.78 (s, 2 H), 4.60 (brs, 1 H), 7.34-7.54 (m, 3 H).

## Step B: Synthesis of (2-chloro-pyrimidin-4-yl)-dimethyl-amine.

[0307] To a solution of 2,4-dichloro-pyrimidine (15.0 g, 10.15 mmol) in THF (150 mL) was added 50% aqueous MeNH<sub>2</sub> (22.7 g, 25.2 mmol). The mixture was stirred at ambient temperature for 2 hr. The solution was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (NH-silica, 20% EtOAc in hexane) to give (2-chloro-pyrimidin-4-yl)-dimethyl-amine (8.66 g, 55 %) as a white solid and (4-chloro-pyrimidin-2-yl)-dimethyl-amine (0.87 g, 6%) as a white solid.

(2-chloro-pyrimidin-4-yl)-dimethyl-amine; CI MS m/e 158, M + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  3.12 (s, 6 H), 6.32 (d, J= 6.1 Hz, 1 H), 8.00 (d, J= 6.1 Hz, 1 H). (4-chloro-pyrimidin-2-yl)-dimethyl-amine; ESI MS m/e 157, M+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  3.21 (s, 6 H), 6.50 (d, J= 5.1 Hz, 1 H), 8.18 (d, J= 5.1 Hz, 1 H).

# Step C: Synthesis of N<sup>2</sup>-[cis-4-(4-bromo-2-trifluoromethoxy-benzyl)-amino-cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>,-dimethyl-pyrimidine-2,4-diamine dihydrochloride.

[0308] To a solution of [cis-4-(4-bromo-2-trifluoromethoxy-benzylamino)-cyclohexyl]-carbamic acid tert-butyl ester (3.00 g, 6.42 mmol) in EtOAc (30 mL) was added 4 M hydrogen chloride in EtOAc (60 mL). The reaction mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was alkalized with saturated aqueous NaHCO<sub>3</sub>. The aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, and concentrated. The above material (466 mg, 1.27 mmol) and (2-chloro-pyrimidin-4-yl)-dimethyl-amine (200 mg, 1.27 mmol) in butanol (1 mL) was stirred at 130 °C for 13.5 hr in a sealed tube. The reaction mixture was poured into saturated aqueous NaHCO3 and the aqueous layer was extracted with CHCI3 (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by medium-pressure liquid chromatography (NHsilica, 20% EtOAc in hexane) to give a colorless oil. To a solution of the above oil in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (5 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. A suspension of the residue in Et<sub>2</sub>O (12 mL) was stirred at ambient tempareture for 1 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried under reduced pressure to N<sup>2</sup>-[cis-4-(4-bromo-2-trifluoromethoxy-benzyl)amino-cyclohexyll-N<sup>4</sup>, N<sup>4</sup>-dimethyl-pyrimidine-2,4-diamine dihydrochloride (180 mg, 25%) as a white solid. ESI MS m/e 488, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>2</sub>) δ 1.54-1.72 (m, 2 H), 2.01-2.29 (m, 6 H), 3.02 (brs, 1 H), 3.16 (s, 3 H), 3.24 (s, 3 H), 4.13 (brs, 1 H), 4.30 (s, 2 H), 6.02 (d, J = 7.5 Hz, 1 H), 7.40-7.43 (m, 1 H), 7.50 (dd, J = 8.4, 1.9 Hz, 1 H), 7.99 (d, J= 7.3 Hz, 1 H), 8.26 (d, J= 8.4 Hz, 1 H), 8.57 (d, J= 7.0 Hz, 1 H), 10.25 (s, 2 H).

## 40 Example 18

 $N^2$ -{cis-4-[2-(4-Bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl}- $N^4$ ,  $N^4$ -dimethyl-pyrimldine-2,4-diamine dihydrochloride

## 45 Step A: Synthesis of [cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-carbamic acid tert-butyl ester.

[0309] A mixture of (2-chloro-pyrimidin-4-yl)-dimethyl-amine obtained in step B of example 17 (1.50 g, 9.52 mmol) and (*cis*-4-amino-cyclohexyl)-carbamic acid *tert*-butyl ester obtained in step D of example 1 (2.24 g, 10.5 mmol) in IPA (1.5 mL) was stirred at 130 °C for 22 hr in a sealed tube. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub>, and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by medium-pressure liquid chromatography (NH-silica, 10% EtOAc in hexane) to give [*cis*-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-carbamic acid tert-butyl ester (1.34 g, 42%) as a white solid.

ESI MS m/e 358, M + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.45 (s, 9 H), 1.48 (s, 8 H), 3.03 (s, 6 H), 3.61 (brs, 1 H), 3.89-4.04 (m, 1 H), 4.47-4.63 (m, 1 H), 4.77-4.89 (m, 1 H), 5.80 (d, J = 6.1 Hz, 1 H), 7.84 (d, J = 6.1 Hz, 1 H).

#### Step B: Synthesis of $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ - $N^4$ -dimethyl-pyrimidine-2,4-diamine.

[0310] To a solution of [cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-carbamic acid tert-butyl ester (1.26 g, 3.76 mmol) in EtOAc (15 mL) was added 4 M hydrogen chloride in EtOAc (15 mL). The reaction mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was alkalized with 1 M aqueous NaOH. The aqueous layer was extracted with CHCl<sub>3</sub> (six times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, and concentrated to give  $N^2$ -(cis-4-amino -cyclohexyl)- $N^4$ , $N^4$ -dimethyl-pyrimidine-2,4-diamine(923 mg, quant.) as a pale yellow oil. ESI MS m/e 250, M + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.29-1.51 (m, 2 H), 1.61-1.91 (m, 6 H), 2.80-2.92 (m, 1 H), 3.03 (s, 6 H), 3.96-4.04 (m, 1 H), 4.85-4.98 (m, 1 H), 5.79 (d, J = 6.1 Hz, 1 H), 7.84 (d, J = 6.1 Hz, 1 H).

Step C: Synthesis of  $N^2$ -{cis-4-[2-(4-bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl}- $N^4$ ,  $N^4$ -dimethyl-pyrimidine-2,4-diamine dihydrochloride.

[0311] Using the procedure for the step G of example 1, the title compound was obtained. ESI MS m/e 502, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.62-1.82 (m, 2 H), 1.97-2.44 (m, 6 H), 3.16 (s, 3 H), 3.14-3.31 (m, 1 H), 3.25 (s, 3 H), 3.34-3.46 (m, 2 H), 4.18 (brs, 1 H), 6.02 (d, J = 6.8 Hz, 1 H), 7.34-7.43 (m, 2 H), 7.45-7.52 (m, 1 H), 7.85-7.97 (m, 1 H), 8.49-8.59 (m, 1 H), 9.95 (brs, 2 H), 12.42 (brs, 1H).

## Example 19

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 $N^2$ -{cis-4-[(4-Bromo-2-trifluoromethoxy-benzyl)-amino-methyl]-cyclohexyl}- $N^4$ , $N^4$ -dimethyl-pyrimidine-2,4-diamine dihydrochloride

Step A: Synthesis of [cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester.

[0312] A mixture of (2-chloro-pyrimidin-4-yl)-dimethyl-amine obtained in step B of example 17 (1.50 g, 9.52 mmol) and *cis*-(4-amino-cyclohexylmethyl)-carbamic acid benzyl ester (2.75 g, 10.5 mmol) in IPA (1.5 mL) was stirred at 130 °C for 22 hr in a sealed tube. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub>, and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by medium-pressure liquid chromatography (NH-silica, 10% EtOAc in hexane to EtOAc) to give [*cis*-4-(4-dimethylaniino-pyrimidin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester (816 mg, 22%) as a pale vellow oil.

ESI MS m/e 406, M + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.22-1.92 (m, 9 H), 3.03 (s, 6 H), 3.11 (t, J = 6.2 Hz, 2 H), 4.02-4.15 (m, 1 H), 4.82-4.93 (m, 2 H), 5.10 (s, 2 H), 5.79 (d, J = 6.1 Hz, 1 H), 7.28-7.42 (m, 5 H), 7.83 (d, J = 6.1 Hz, 1 H).

Step B: Synthesis of N<sup>2</sup>-(cis-4-aminomethyl-cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-pyrimidine-2,4-diamine.

[0313] Using the procedure for the step B of example 7, the title compound was obtained. ESI MS m/e 250, M + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.40-1.88 (m, 9 H), 2.87 (d, J = 5.9 Hz, 2 H), 3.03 (s, 6 H), 4.11 (brs, 1 H), 5.63 (brs, 1 H), 5.78 (d, J = 6.2 Hz, 1 H), 7.08 (brs, 2 H), 7.82 (d, J = 6.2 Hz, 1 H).

Step C: Synthesis of  $N^2$ -{cis-4-[(4-bromo-2-trifluoromethoxy-benzyl)-amino-methyl]-cyclohexyl}- $N^4$ ,  $N^4$ -dimethyl-pyrimidine-2,4-diamine dihydrochloride.

[0314] Using the procedure for the step G of example 1, the title compound was obtained. ESI MS m/e 502, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.52-2. (m, 9 H), 2.85 (d, J= 5.8 Hz, 2 H), 3.16 (s, 3 H), 3.24 (s, 3 H), 4.15-4.30 (m, 3 H), 6.00 (d, J= 7.6 Hz, 1 H), 7.43-7.47 (m, 1 H), 7.53 (dd, J= 8.3, 1.9 Hz, 1 H), 7.66 (d, J= 7.5 Hz, 1 H), 8.20 (d, J= 8.4 Hz, 1 H), 8.53 (d, J= 7.5 Hz, 1 H), 10.07 (brs, 2 H).

## **Example 20-672**

[0315] To a solution of poly(4-vinylpyridine) (75  $\mu$ L) in CH<sub>2</sub>Cl<sub>2</sub> (200  $\mu$ L) were added the amines (30  $\mu$ mol) as shown below in CH<sub>2</sub>Cl<sub>2</sub> (200  $\mu$ L) and acid chloride (60  $\mu$ mol) in CH<sub>2</sub>Cl<sub>2</sub> (200  $\mu$ L) at ambient temperature. After stirring at the same temperature for 19 hr, the reaction mixture was filtrated and concentrated by a stream of dry N<sub>2</sub>. To the residue were added dry CH<sub>2</sub>Cl<sub>2</sub> (700  $\mu$ L) and PSA (300  $\mu$ L). After the stirring at ambient temperature for 14 hr, the reaction mixture was purified by silica gel chromatography (NH-silica, 50% EtOAc in hexane to EtOAc only) to give the desired product. The product was determined by ESI-MS or APCI-MS.

[0316] Wherein the amines are selected from  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-quinoline-2,4-diamine obtained in step B of example 5,  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-quinoline-2,4-diamine obtained in step B of example 7,  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine obtained in step B of example 13,  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine obtained in intermediate of step B of example 15,  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-pyrimidine-2,4-diamine obtained in step B of example 18, or  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-pyrimidine-2,4-diamine obtained in step B of example 19.

## Example 673-1084

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[0317] To a solution of 1-cyclohexyl-3-methylpolystyrene-carbodiimide (150  $\mu$ L) in CH<sub>2</sub>Cl<sub>2</sub> (400  $\mu$ L) were added the amines (30  $\mu$ mol) as shown below in CH<sub>2</sub>Cl<sub>2</sub> (200  $\mu$ L) and carboxylic acid (60  $\mu$ mol) in CH<sub>2</sub>Cl<sub>2</sub> (200  $\mu$ L) at ambient temperature. After stirring at the same temperature for 20 hr, the reaction mixture was filtrated through NH-silica gel, concentrated by a stream of dry N<sub>2</sub>, and purified by silica gel chromatography (silica gel, 2% to 7% 2 M NH<sub>3</sub>/MeOH in CHCl<sub>3</sub>) to give the desired product. The product was determined by ESI-MS or APCI-MS.

[0318] Wherein the amines are selected from  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ ,  $N^4$ -dimethyl-quinoline-2,4-diamine obtained in step B of example 5,  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ ,  $N^4$ -dimethyl-quinoline-2,4-diamine obtained in step B of example 7,  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ ,  $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine obtained in step B of example 13,  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ ,  $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine obtained in intermediate of step B of example 15,  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ ,  $N^4$ -dimethyl-pyrimidine-2,4-diamine obtained in step B of example 18, or  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ ,  $N^4$ -dimethyl-pyrimidine-2,4-diamine obtained in step B of example 19.

## Example 1085-1446

#### -method A-

[0319] To a solution of the amines (36  $\mu$ mol) as shown below in MeOH (200  $\mu$ L) were added aromatic aldehyde (30  $\mu$ mol) in MeOH (200  $\mu$ L) and AcOH (90  $\mu$ mol) at ambient temperature. The reaction mixture was stirred at the same temperature for 1 hr. To the mixture was added NaBH<sub>3</sub>CN (120  $\mu$ mol) in MeOH (200  $\mu$ L). After stirring at the same temperature for 20 hr, the reaction mixture was concentrated by a stream of dry N<sub>2</sub>. The residue was partitionated between CHCl<sub>3</sub> and 2 M aqueous sodium hydroxide. The aqueous layer was extracted with CHCl<sub>3</sub> (500  $\mu$ L) and EtOAc (300  $\mu$ L). The combined organic layers were dried over MgSO<sub>4</sub>, concentrated by a stream of dry N<sub>2</sub>, and purified by silica gel chromatography (silica gel, 2% to 7% 2 M NH<sub>3</sub>/MeOH in CHCl<sub>3</sub>) to give the desired product. The product was determined by ESI-MS or APCI-MS.

#### -method B-

[0320] To a solution of the amines (36  $\mu$ mol) as shown below in MeOH (200  $\mu$ L) were added aliphatic aldehyde (30  $\mu$ mol) in MeOH (200  $\mu$ L), AcOH (90  $\mu$ mol), and NaBH<sub>3</sub>CN (120  $\mu$ mol) in MeOH (200  $\mu$ L) at ambient temperature. After stirring at the same temperature for 20 hr, the reaction mixture was concentrated by a stream of dry N<sub>2</sub>. The residue was partitionated between CHCl<sub>3</sub> and 2 M aqueous sodium hydroxide. The aqueous layer was extracted with CHCl<sub>3</sub> (500  $\mu$ L) and EtOAc (300  $\mu$ L). The combined organic layers were dried over MgSO<sub>4</sub>, concentrated by a stream of dry N<sub>2</sub>, and purified by silica gel chromatography (silica gel, 2% to 7% 2 M NH<sub>3</sub>/MeOH in CHCl<sub>3</sub>) to give the desired product. The product was determined by ESI-MS or APCI-MS.

[0321] Wherein the amines are selected from  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-quinoline-2,4-diamine obtained in step B of example 5,  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-quinoline-2,4-diamine obtained in step B of example 7,  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine obtained in step B of example 13, $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine obtained in intermediate of step B of example 15,  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-pyrimidine-2,4-diamine obtained in step B of example 18, or  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-pyrimidine-2,4-diamine obtained in step B of example 19.

## Example 1457-1462,1478-1480,1491-1497, and 1510-1512

[0322] To a solution of the amide product in THF (200  $\mu$ I) was added 1 M borane-THF complex in THF (300  $\mu$ I, 300  $\mu$ moI). The mixture was stirred at 80 °C for 1 hr, and concentrated by a stream of dry N<sub>2</sub>. To the residue were added 1 M aqueous HCI (300  $\mu$ I) and THF (200  $\mu$ I). The mixture was stirred at 80 °C for 1 hr and concentrated by a stream

of dry  $N_2$ . To the residue was partitionated between CHCl<sub>3</sub> and 2 M aqueous sodium hydroxide. The aqueous layer was extracted with CHCl<sub>3</sub> (300  $\mu$ L, twice) and EtOAc (300  $\mu$ L). The combined organic layers were dried over MgSO<sub>4</sub>, concentrated by a stream of dry  $N_2$ , and the purified by silica gel chromatography (silica gel, 2% to 7% 2 M NH<sub>3</sub>/MeOH in CHCl<sub>3</sub>) to give the desired product. The product was determined by ESI-MS or APCI-MS.

## Example 1447-1456, 1463-1477, 1481-1490, 1498-1509, and 1513-1538

[0323] To a suspension of Dess-Martin periodinane (63  $\mu$ mol) in CH<sub>2</sub>Cl<sub>2</sub> (200  $\mu$ L) was added alcohol (35  $\mu$ mol) in CH<sub>2</sub>Cl<sub>2</sub> (200  $\mu$ L) at ambient temperature, and the reaction mixture was stirred at the same temperature for 18 hr. To the reaction mixture were added amines (36  $\mu$ mol) as shown below in MeOH (200  $\mu$ L) and AcOH (90  $\mu$ L). The mixture was stirred at the same temperature for 1 hr, and then NaBH<sub>3</sub>CN (120  $\mu$ mol) in MeOH (200  $\mu$ L) was added. After stirring at the same temperature for 17 hr, the reaction mixture was concentrated by a stream of dry N<sub>2</sub>. The residue was partitionated between CHCl<sub>3</sub> and 2 M aqueous sodium hydroxide. The aqueous layer was extracted with CHCl<sub>3</sub> (500 mL) and EtOAc (300  $\mu$ L). The combined organic layers were dried over MgSO<sub>4</sub>, concentrated by a stream of dry N<sub>2</sub>, and purified by silica gel chromatography (silica gel, 2% to 7% 2 M NH<sub>3</sub>/MeOH in CHCl<sub>3</sub>) to give the desired product. The product was determined by ESI-MS or APCI-MS.

[0324] Wherein the amines are selected from  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-quinoline-2,4-diamine obtained in step B of example 5,  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-quinoline-2,4-diamine obtained in step B of example 7,  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine obtained in step B of example 13,  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine obtained in intermediate of step B of example 15,  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-pyrimidine-2,4-diamine obtained in step B of example 18, or  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-pyrimidine-2,4-diamine obtained in step B of example 19.

#### Example 1539-1658

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[0325] To a solution of poly(4-vinylpyridine) (75  $\mu$ L) in CH<sub>2</sub>Cl<sub>2</sub> (200  $\mu$ L) were added the amines (30  $\mu$ mol) as shown below in CH<sub>2</sub>Cl<sub>2</sub> (200  $\mu$ L) and chloroformate (60  $\mu$ mol) in CH<sub>2</sub>Cl<sub>2</sub> (200  $\mu$ L) at ambient temperature. After stirring at the same temperature for 17 hr, the reaction mixture was filtrated and concentrated by a stream of dry N<sub>2</sub>. To the residue were added CH<sub>2</sub>Cl<sub>2</sub> (700  $\mu$ L) and PSA (300  $\mu$ L). After the stirring at ambient temperature for 19 hr, the reaction mixture was filtrated and purified by silica gel chromatography (NH-silica gel, 20% EtOAc in hexane to EtOAc only, and silica gel, 2% to 7% 2 M NH<sub>3</sub>/MeOH in CHCl<sub>3</sub>) to give the desired product. The product was determined by ESI-MS or APCI-MS.

[0326] Wherein the amines are selected from  $N^2$ -(cis-4-amino-cyclohexyl- $N^4$ , $N^4$ -dimethyl-quinoline-2,4-diamine obtained in step B of example 5,  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-quinoline-2,4-diamine obtained in step B of example 7,  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine obtained in step B of example 13,  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine obtained in intermediate of step B of example 15,  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-pyrimidine-2,4-diamine obtained in step B of example 18, or  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-pyrimidine-2,4-diamine obtained in step B of example 19.

## Example 1659-2496

[0327] To a solution of amines (30  $\mu$ mol) as shown below in DMSO (300  $\mu$ L) were added isocyanate or isothiocyanate (60  $\mu$ mol) in DMSO (200  $\mu$ L) at ambient temperature. The mixture was stirred at the same temperature for 22 hr. To the reaction mixture were added 2 M MeNH<sub>2</sub> in THF (30  $\mu$ L, 60  $\mu$ mol) or D-gulcamine (60  $\mu$ mol) in DMSO (200  $\mu$ L) at ambient temperature. After stirring at the same temperature for 20 hr, the reaction mixture was filtrated through a SCX, concentrated by a stream of dry N<sub>2</sub>, and purified by silica gel chromatography (silica gel, 2% to 10% 2 M NH<sub>3</sub>/MeOH in CHCl<sub>3</sub>) and silica gel chromatography (NH-silica, 33% to 50% EtOAc in hexane) to give the desired product. The product was determined by ESI-MS or APCI-MS.

[0328] Wherein the amines are selected from  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-quinoline-2,4-diamine obtained in step B of example 5,  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-quinoline-2,4-diamine obtained in step B of example 7,  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine obtained in step B of example 13,  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine obtained in intermediate of step B of example 15,  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-pyrimidine-2,4-diamine obtained in step B of example 18, or  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-pyrimidine-2,4-diamine obtained in step B of example 19.

	Ex. No.	compound name	MS	class
5	20	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3-methoxybenzamide	419 (M + H)	2
	21	3-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)benzamide	467 (M + H)	1
10	22	4-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)benzamide	467 (M + H)	2
	23	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2,1,3-benzoxadiazole-5-carboxamide	431 (M + H)	1
15	24	3-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)benzamide	423 (M + H)	1
	25	4-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)benzamide	423 (M + H)	1
20	26	(2E)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3-phenylacrylamide	415 (M + H)	3
20	27	4-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-3-nitrobenzamide	468 (M + H)	1
	28	2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)acetamide	437 (M + H)	3
?5	29	3-cyano-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)benzamide	414 (M + H)	2
	30	3,5-dichloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)benzamide	457 (M + H)	2
30	31	3,4-dichloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)benzamide	457 (M + H)	1
	32	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2,2-diphenylacetamide	479 (M + H)	2
35	33	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3,4-difluorobenzamide	425 (M + H)	1
	34	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3,5-difluorobenzamide	425 (M + H)	2
10	35	2-(2,5-dimethoxyphenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)acetamide	463 (M + H)	3
	36	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(ethylthio)nicotinamide	450 (M + H)	3
15	37	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 4-fluorobenzamide	407 (M + H)	1
	38	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3-fluoro-5-(trifluoromethyl)benzamide	475 (M + H)	2
50	39	2,4-dichloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-5-fluorobenzamide	475 (M + H)	3
	40	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) hexanamide	383 (M + H)	3
55	41	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 4-iodobenzamide	515 (M + H)	3

	Ex. No.	compound name	MS	class
5	42	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(methylthio)nicotinamide	436 (M + H)	3
	43	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 4-methyl-3-nitrobenzamide	448 (M + H)	2
10	44	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl)amino}cyclohexyl)- 3-nitrobenzamide	434 (M + H)	1
	45	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-phenylacetamide	403 (M + H)	3
15	46	(2R)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-phenylcyclopropanecarboxamide	429 (M + H)	3
	47	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 1,3-benzodioxole-5-carboxamide	433 (M + H)	3
20	48	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-phenoxybutanamide	447 (M + H)	1
	49	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-phenoxypropanamide	433 (M + H)	1
25	50	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3-methylbenzamide	403 (M + H)	1
	51	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 4-methylbenzamide	403 (M + H)	3
30	52	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) thiophene-2-carboxamide	395 (M + H)	3
	53	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(2-thienyl)acetamide	409 (M + H)	3
35	54	N-(cis-4-{{4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3-(trifluoromethoxy)benzamide	473 (M + H)	2
	55	benzyl (cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) carbamate	419 (M + H)	3
40	56	4-nitrobenzyl (cis-4-{[4-(dimethylamino)quinolin-2-yl]amino) cyclohexyl)carbamate	464 (M + H)	3
	57	4-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-3-methylbenzamide	481 (M + H)	1
45	58	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3-iodobenzamide	515 (M + H)	2
	59	3-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-2-fluorobenzamide	441 (M + H)	3
50	60	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2,3-difluoro-4-methylbenzamide	439 (M + H)	3
	61	2-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-4-fluorobenzamide	441 (M + H)	3
	62	3-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-2,4-difluorobenzamide	459 (M + H)	2
55	63	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(phenylthio)acetamide	435 (M + H)	3

	Ex. No.	compound name	MS	class
5	64	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-fluoro-3-(trifluoromethyl)benzamide	475 (M + H)	3
	65	N-(cis-4-({[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-fluoro-5-(trifluoromethyl)benzamide	475(M + H)	3
10	66	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-phenylbutanamide	431 (M + H)	3
	67	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(3-methoxyphenyl)acetamide	433(M + H)	3
15	68	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(4-fluorophenyl)acetamide	421 (M + H)	3
	69	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(4-methoxyphenyl)acetamide	433 (M + H)	3
20	70	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amin}cyclohexyl)- 5-methyl-2-(trifluoromethyl)-3-furamide	461 (M + H	3
	71	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2,5-dimethyl-3-furamide	407 (M + H)	1
25	72	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-ethoxybenzamide	433 (M + H)	3
	73	3-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-4-fluorobenzamide	441 (M + H)	1
30	74	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3-fluoro-4-methylbenzamide	421 (M + H)	2
	75	2-cyclopentyl-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino] cyclohexyl)acetamide	395 (M + H)	3
35	76	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3,5-dimethoxybenzamide	449 (M + H)	1
	77	4-cyano-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)benzamide	414 (M + H)	3
40	78	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3,5-bis(trifluoromethyl)benzamide	525 (M + H)	2
	79	(2E)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3-(4-nitrophenyl)acrylamide	460 (M + H)	3
45	80	2-(2-bromophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)acetamide	481 M + H)	3
	81	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 4-fluoro-3-methylbenzamide	421 (M + H)	1
50	82	2-[(difluoromethyl)thio]-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)benzamide	471 (M + H)	3
	83	2,5-dichloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)thiophene-3-carboxamide	463 (M + H)	2
	84	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(propylthio)nicotinamide	464 (M + H)	3
55	85	1 -benzyl-3-tert-butyl-N-(cis-4-[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl}-1H-pyrazole-5-carboxamide	525 (M + H)	3

	Ex. No.	compound name	MS	class
5	86	3-tert-butyl-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-1-methyl-1 H-pyrazole-5-carboxamide	449 (M + H)	3
	87	(2E)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-methyl-3-phenylacrylamide	429 (M + H)	3
10	88	5-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)nicotinamide	468 (M + H)	3
	89	N-(cis-4-[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(1-naphthyl)acetamide	453 (M + H)	3
15	90	1-tert-butyl-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-5-methyl-1H-pyrazole-3-carboxamide	449 (M + H)	3
	91	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 1-benzothiophene-3-carboxamide	445 (M + H)	3
20	92	2-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) amino]-2-oxo-1-phenylethyl acetate	461 (M + H)	3
	93	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) benzamide	389 (M + H)	3
25	94	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 1-benzothiophene-2-carboxamide	445 (M + H)	3
	95	2-(benzyloxy)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)acetamide	433 (M + H)	3
30	96	2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)acetamide	453 (M + H)	1
	97	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) cyclohexanecarboxamide	395 (M + H)	3
35	98	3-(2-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)-5-methylisoxazole-4-carboxamide	504 (M + H)	1
	99	1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl) amino}cyclohexyl)cyclopentanecarboxamide	491 (M + H)	2
40	100	3-(2-chloro-6-fluorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-methylisoxazole-4-carboxamide	522 (M + H)	1
	101	3-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-4-(isopropylsulfonyl)thiophene-2-carboxamide	535 (M + H)	3
45	102	2-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-4-nitrobenzamide	468 (M + H)	3
	103	N ( <i>cis</i> 1-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 1,3-dimethyl-1H-pyrazole-5-carboxamide	407 (M + H)	3
50	104	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3,4-dimethoxybenzamide	449 (M + H)	3
	105	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]aminolcyclohexyl)- 3-fluorobenzamide	407 (M + H)	2
	106	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 4-fluoro-3-(trifluoromethyl)benzamide	475 (M + H)	1
55	107	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 5-methyl-2-phenyl-2H-1,2,3-triazole-4-carboxamide	470 (M + H)	2

	Ex. No.	compound name	MS	class
5	108	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(4-methoxyphenoxy)-5-nitrobenzamide	556 (M + H)	1
	109	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 1-naphthamide	439 (M + H)	3
10	110	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclonexyl)- 2-naphthamide	439 (M + H)	3
	111	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 5-nitro-2-furamide	424 (M + H)	1
15	112	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-phenoxyacetamide	419 (M+H)	1
	113	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(2-nitrophenoxy)acetamide	464 (M + H)	3
20	114	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) quinoxaline-2-carboxamide	441 (M + H)	2
	115	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3,4,5-trimethoxybenzamide	479 (M + H)	3
25	116	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3-(trifluoromethyl)benzamide	457 (M + H)	3
	117	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 4-(trifluoromethyl)benzamide	457 (M + H)	3
30	118	N-(cis-4-[{4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(trifluoromethoxy)benzamide	473 (M + H)	3
	119	4,5-dimethoxy-2-nitrobenzyl (cis-4-{[4-(dimethylamino)quinolin- 2-yl]amino}cyclohexyl)carbamate	524 (M + H)	3
35	120	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 4-phenoxybutanamide	447 (M + H)	3
	121	2-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-5-methoxybenzamide	497 (M + H)	3
40	122	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(pentafluorophenoxy)acetamide	509 (M + H)	3
	123	2-(3,4-dimethoxyphenyl)-N-(cis-4-{[4-(dimethylamino)quinolin- 2-yl]amino}cyclohexyl)acetamide	463 (M + H)	3
45	124	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2,3,4-trifluorobenzamide	443 (M + H)	3
•	125	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) cyclopentanecarboxamide	381 (M + H)	3
50	126	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2,4-difluorobenzamide	425 (M+ H)	3
	127	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3-phenylpropanamide	417 (M + H)	3
55	128	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2,3,4,5-tetrafluorobenzamide	461 (M + H)	3
	129	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-ethoxy-1-naphthamide	483 (M + H)	3

	Ex. No.	compound name	MS	class
5	130	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2,3,4,5,6-pentafluorobenzamide	479 (M + H)	3
	131	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 4-[(trifluoromethyl)thio]benzamide	489 (M + H)	3
10	132	3,4,5-trichloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)thiophene-2-carboxamide	497 (M + H)	3
	133	2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)acetamide	453 (M + H)	1
15	134	3-(2,6-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)-5-methylisoxazole-4-carboxamide	538 (M + H)	1
	135	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-phenoxynicotinamide	482 (M + H)	1
20	136	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(phenylthio)nicotinamide	498 (M + H)	3
	137	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(4-methylphenoxy)nicotinamide	496 (M + H)	1
25	138	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 4-[(dipropylamino)sulfonyl]benzamide	552 (M +H)	3
	139	2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)-2-methylpropanamide	481 (M + H)	3
30	140	5-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)-2-(trifluoromethyl)-3-furamide	557 (M + H)	3
	141	2-(2,3-dihydro-1-benzofuran-5-yl)-N-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)-1,3-thiazole-4-carboxamide	514 (M + H)	3
35	142	3-tert-butyl-1-(2,4-dichlorobenzyl)-N-(cis-4-{[4-(dimethylamino} quinolin-2-yl]amino)cyclohexyl)-1H-pyrazole-5-carboxamide	593 (M + H)	3
	143	6-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-2H-chromene-3-carboxamide	477 (M + H)	3
40	144	3-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-4-(trifluoromethoxy)benzamide	507 (M + H)	3
	145	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-[(4-methyl-2-oxo-2H-chromen-8-yl)oxy]acetamide	501 (M + H)	3
45	146	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(2-thienyl)-1,3-thiazole-4-carboxamide	478 (M + H)	1
	147	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-3-methoxybenzamide	433 (M + H)	3
50	148	3-bromo-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]benzamide	481 (M + H)	3
55	149	4-bromo-N-{(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]benzamide	481 (M + H)	3
55	150	N-[(cis-4-({[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2,1,3-benzoxadiazole-5-carboxamide	445 (M + H)	3
55	151	3-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]benzamide	437 (M + H)	3

	Ex. No.	compound name	MS	class
5	152	4-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]benzamide	437 (M + H)	3
	153	(2E)-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-3-phenylacrylamide	429 (M + H)	3
10	154	4-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]-3-nitrobenzamide	482 (M + H)	3
	155	2-(4-chlorophenyl)-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)methyl]acetamide	451 (M + H)	3
15	156	3-cyano-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]benzamide	428 (M + H)	3
	157	3,5-dichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]benzamide	471 (M + H)	3
20	158	3,4-dichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]benzamide	471 (M + H)	3
	159	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2,2-diphenylacetamide	493 (M + H)	2
25	160	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-3,4-difluorobenzamide	439 (M + H)	3
	161	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-3,5-difluorobenzamide	439 (M + H)	3
30	162	2-(2,5-dimethoxyphenyl)-N-[(cis-4-{[4-(dimethylamino)quinolin- 2-yl]amino}cyclohexyl)methyl]acetamide	477 (M + H)	3
	163	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-(ethylthio)nicotinamide	464 (M + H)	3
35	164	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-4-fluorobenzamide	421 (M + H)	3
	165	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-3-fluoro-5-(trifluoromethyl)benzamide	489 (M + H)	3
40	166	2,4-dichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]-5-fluorobenzamide	489 (M + H)	3
	167	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]hexanamide	397 (M + H)	3
45	168	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl)-4-iodobenzamide	529 (M + H)	3
	169	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-(methylthio)nicotinamide	450 (M + H)	3
50	170	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-4-methyl-3-nitrobenzamide	462 (M + H)	3
	171	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-3-nitrobenzamide	448 (M + H)	3
55	172	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-phenylacetamide	417 (M + H)	3
55	173	(2R)-N-[(cis-4-{[4-(dimethylamino}quinolin-2-yl]amino}cyclohexyl) methyl]-2-phenylcyclopropanecarboxamide	443 (M + H)	3

	Ex. No.	compound name	MS	class
5	174	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-1,3-benzodioxole-5-carboxamide	447 (M + H)	3
	175	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-phenoxybutanamide	461 (M + H)	3
10	176	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-phenoxypropanamide	447 (M + H)	3
	177	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-3-methylbenzamide	417 (M + H)	3
15	178	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl)-4-methyl]benzamide	417 (M + H)	3
	179	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]thiophene-2-carboxamide	409 (M + H)	3
20	180	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-(2-thienyl)acetamide	423 (M + H)	3
	181	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl)-3-(trifluoromethoxy)benzamide	487 (M + H)	3
25	182	[4-(4-Dimethylamino-quinolin-2-ylamino)-cyclohexylmethyl]- carbamic acid benzyl ester	433 (M + H)	3
	183	[4-(4-Dimethylamino-quinolin-2-ylamino)-cyclohexylmethyl]- carbamic acid 4-nitro-benzyl ester	478 (M + H)	3
30	184	4-bromo-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexylmethyl]-3-methyl]benzamide	495 (M + H)	3
	185	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-3-iodobenzamide	529 (M + H)	3
35	186	3-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]-2-fluorobenzamide	455 (M + H)	3
	187	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2,3-difluoro-4-methylbenzamide	453 (M + H)	3
40	188	2-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]-4-fluorobenzamide	455 (M + H)	3
	189	3-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]ammo} cyclohexyl)methyl]-2,4-difluorobenzamide	473 (M + H)	3
45	190	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-(phenylthio)acetamide	449 (M + H)	3
	191	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-fluoro-3-(trifluoromethyl)benzamide	489 (M + H)	3
50	192	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- methyl]-2-fluoro-5-(trifluoromethyl)benzamide	489 (M + H)	3
	193	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-phenylbutanamide	445 (M + H)	3
55	194	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-(3-methoxyphenyl)acetamide	447 (M + H)	3
30	195	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-(4-fluorophenyl)acetamide	435 (M + H)	3

	Ex. No.	compound name	MS	class
5	196	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-(4-methoxyphenyl)acetamide	447 (M + H)	3
	197	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-5-methyl-2-(trifluoromethyl)-3-furamide	475 (M + H)	3
10	198	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2,5-dimethyl-3-furamide	421 (M + H)	3
	199	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-ethoxybenzamide	447 (M + H)	3
15	200	3-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]-4-fluorobenzamide	455 (M + H)	3
	201	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-3-fluoro-4-methylbenzamide	435 (M + H)	3
20	202	2-cyclopentyl-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]acetamide	409 (M + H)	3
	203	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-3,5-dimethoxybenzamide	463 (M + H)	3
25	204	4-cyano-N-5[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]benzamide	428 (M + H)	3
	205	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-3,5-bis(trifluoromethyl)benzamide	539 (M + H)	3
30	206	(2E)-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-3-(4-nitrophenyl)acrylamide	474 (M + H)	2
	207	2-(2-bromophenyl)-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)methyl]acetamide	495 (M + H)	3
35	208	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-4-fluoro-3-methylbenzamide	435 (M + H)	3
	209	2-[(difluoromethyl)thio]-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)methyl]benzamide	485 (M + H)	3
40	210	2,5-dichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]thiophene-3-carboxamide	477 (M + H)	3
	211	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-(propylthio)nicotinamide	478 (M + H)	3
45	212	1-benzyl-3-tert-butyl-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)methyl]-1H-pyrazole-5-carboxamide	539 (M + H)	3
	213	3-tert-butyl-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]-1-methyl-1H-pyrazole-5-carboxamide	463 (M + H)	3
50	214	(2E)-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-methyl-3-phenylacrylamide	443 (M + H)	3
-	215	5-bromo-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]nicotinamide	482 (M + H)	3
55	216	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-(1-naphthyl)acetamide	467 (M + H)	3
55	217	1-tert-butyl-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]-5-methyl-1H-pyrazole-3-carboxamide	463 (M + H)	3

	Ex. No.	compound name	MS	class
5	218	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-1-benzothiophene-3-carboxamide	459 (M + H)	3
	219	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]biphenyl-4-carboxamide	479 (M + H)	3
10	220	2-bromo-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]benzamide	481 (M + H)	3
	221	2,6-dichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyelohexyl)methyl]benzamide	471 (M + H)	2
15	222	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-iodobenzamide	529 (M + H)	3
	223	N-[(cis-4-{[4-(dimethylarnino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-methylbenzamide	417 (M + H)	3
20	224	2,3-dichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]benzamide	471 (M + H)	3
	225	2-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]-5-fluorobenzamide	455 (M + H)	3
25	226	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-9-oxo-9H-fluorene-4-carboxamide	505 (M + H)	3
	227	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2,3,6-trifluorobenzamide	457 (M + H)	3
30	228	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2,3-difluorobenzamide	439 (M + H)	3
	229	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2,6-difluorobenzamide	439 (M + H)	3
35	230	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-2-fluoro-6-(trifluoromethyl)benzamide	489 (M + H)	3
	231	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2,4,6-trimethylbenzamide	445 (M + H)	1
40	232	2-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]-6-fluorobenzamide	455 (M + H)	3
	233	2,4,6-trichloro-N-{(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]benzamide	505 (M + H)	1
45	234	(2E)-3-(2-chlorophenyl)-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]acrylamide	463 (M + H)	2
	235	6-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]-2-fluoro-3-methylbenzamide	469 (M + H)	3
50	236	2-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]-3,6-difluorobenzamide	473 (M + H)	3
	237	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2,3-dimethylbenzamide	431 (M + H)	3
55	238	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3-methoxybenzamide	370 (M + H)	2
<i></i>	239	3-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)benzamide	418 (M + H)	1

	Ex. No.	compound name	MS	class
5	240	4-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)benzamide	418 (M + H)	3
	241	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2,1,3-benzoxadiazole-5-carboxamide	382 (M + H)	1
10	242	3-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)benzamide	374 (M + H)	1
	243	4-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)benzamide	374 (M + H)	2
15	244	(2E)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-3-phenylacrylamide	366 (M + H)	3
	245	4-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-3-nitrobenzamide	419 (M + H)	1
20	246	2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)acetamide	388 (M + H)	3
	247	3-cyano-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)benzamide	365 (M + H)	3
25	248	3,5-dichloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)benzamide	408 (M + H)	1
	249	3,4-dichloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)benzamide	408 (M + H)	1
30	250	N-(cis-4{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2,2-diphenylacetamide	430 (M + H)	2
	251	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino)cyclohexyl)- 3,4-difluorobenzamide	376 (M + H)	1
35	252	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3,5-difluorobenzamide	376 (M + H)	2
	253	2-(2,5-dimethoxyphenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)acetamide	414 (M + H)	3
40	254	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(ethylthio)nicotinamide	401 (M + H)	3
	255	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 4-fluorobenzamide	358 (M + H)	3
45	256	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3-fluoro-5-(trifluoromethyl)benzamide	426 (M + H)	2
	257	2,4-dichloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-5-fluorobenzamide	426 (M + H)	3
50	258	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) hexanamide	334 (M + H)	3
50	259	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 4-iodobenzamide	466 (M + H)	3
<i></i>	260	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(methylthio)nicotinamide	387 (M + H)	3
55	261	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 4-methyl-3-nitrobenzamide	399 (M + H)	2

	Ex. No.	compound name	MS	class
5	262	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3-nitrobenzamide	385 (M + H)	1
	263	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-phenylacetamide	354 (M + H)	3
10	264	(2R)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-2-phenylcyclopropanecarboxamide	380 (M + H)	3
	265	N-(cis-4-{(4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 1,3-benzodioxole-5-carboxamide	384 (M + H)	3
15	266	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-phenoxybutanamide	398 (M + H)	2
	267	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-phenoxypropanamide	384 (M + H)	3
20	268	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3-methylbenzamide	354 (M + H)	2
	269	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 4-methylbenzamide	354 (M + H)	3
25	270	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) thiophene-2-carboxamide	346 (M+H)	3
	271	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(2-thienyl)acetamide	360 (M + H)	3
30	272	N-(cis-4-{[4-(dimethylanzino)pyrimidin-2-yl]amino}cyclohexyl)- 3-(trifluoromethoxy)benzamide	424 (M + H)	1
	273	[4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-carbamic acid benzyl ester	370 (M + H)	3
35	274	[(4 (4 Dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-carbamic acid 4-nitro-benzyl ester	415 (M + H)	3
	275	4-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-3-methylbenzamide	432 (M + H)	1
40	276	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino)cyclohexyl)- 3-iodobenzamide	466 (M + H)	1
	277	3-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-2-fluorobenzamide	392 (M + H)	3
45	278	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl)- 2,3-difluoro-4-methylbenzamide	390 (M + H)	3
	279	2-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-4-fluorobenzamide	392 (M + H)	3
50	280	3-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-2,4-difluorobenzamide	410 (M + H)	3
30	281	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(phenylthio)acetamide	386 (M + H)	3
<b>E</b> E	282	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-fluoro-3-(trifluoromethyl)benzamide	426 (M + H)	3
55	283	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-fluoro-5-(trifluoromethyl)benzamide	426 (M + H)	3

	Ex. No.	compound name	MS	class
5	284	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-phenylbutanamide	382 (M + H)	3
	285	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(3-methoxyphenyl)acetamide	384 (M + H)	3
10	286	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(4-fluorophenyl)acetamide	372 (M + H)	3
	287	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(4-methoxyphenyl)acetamide	384 (M + H)	3
15	288	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 5-methyl-2-(trifluoromethyl)-3-furamide	412 (M + H)	3
	289	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2,5-dimethyl-3-furamide	358 (M + H)	2
20	290	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-ethoxybenzamide	384 (M + H)	3
	291	3-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-4-fluorobenzamide	392 (M + H)	1
25	292	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3-fluoro-4-methylbenzamide	372 (M + H)	3
	293	2-cyclopentyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)acetamide	346 (M + H)	3
30	294	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3,5-dimethoxybenzamide	400 (M + H)	1
	295	4-cyano-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)benzamide	365 (M + H)	3
35	296	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3,5-bis(trifluoromethyl)benzamide	476 (M + H)	1
	297	(2E)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-3-(4-nitrophenyl)acrylamide	411 (M + H)	3
40	298	2-(2-bromophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)acetamide	432 (M + H)	3
	299	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 4-fluoro-3-methylbenzamide	372 (M + H)	1
45	300	2-[(difluoromethyl)thio]-N-(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)benzamide	422 (M + H)	3
	301	2,5-dichloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)thiophene-3-carboxamide	414 (M + H)	2
50	302	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(propylthio)nicotinamide	415 (M + H)	3
į	303	1-benzyl-3-tert-butyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)-1H-pyrazole-5-carboxamide	476 (M + H)	2
55	304	3-tert-butyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-1-methyl-1H-pyrazole-5-carboxamide	400 (M + H)	3
	305	(2E)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-2-methyl-3-phenylacrylamide	380 (M + H)	3

	Ex. No.	compound name	MS	class
5	306	5-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)nicotinamide	419 (M + H)	3
	307	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(1-naphthyl)acetamide	404 (M + H)	2
10	308	1-tert-butyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-5-methyl-1H-pyrazole-3-carboxamide	400 (M + H)	3
	309	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 1-benzothiophene-3-carboxamide	396 (M + H)	3
15	310	2-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) amino]-2-oxo-1-phenylethyl acetate	412 (M + H)	3
	311	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) benzamide	340 (M + H)	3
20	312	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 1-benzothiophene-2-carboxamide	396 (M + H)	3
	313	2-(benzyloxy)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)acetamide	384 (M + H)	3
25	314	2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)acetamide	404 (M + H)	1
	315	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) cyclohexanecarboxamide	346 (M + H)	3
30	316	3-(2-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)-5-methylisoxazole-4-carboxamide	455(M + H)	3
	317	1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)cyclopentanecarboxamide	442 (M + H)	2
35	318	3-(2-chloro-6-fluorophenyl)-N-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)-5-methylisoxazole-4-carboxamide	473 (M + H)	2
	319	3-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-4-(isopropylsulfonyl)thiophene-2-carboxamide	486 (M + H)	3
40	320	2-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-4-nitrobenzamide	419 (M + H)	3
	321	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 1,3-dimethyl-1H-pyrazole-5-carboxamide	358 (M + H)	3
45	322	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3,4-dimethoxybenzamide	400 (M + H)	3
	323	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3-fluorobenzamide	358 (M + H)	3
50	324	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 4-fluoro-3-(trifluoromethyl)benzamide	426 (M + H)	1
	325	N-(cis-4-{(4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 5-methyl-2-phenyl-2H-1,2,3-triazole-4-carboxamide	421 (M + H)	1
55	326	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(4-methoxyphenoxy)-5-nitrobenzamide	507 (M + H)	1
	327	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 1-naphthamide	390 (M + H)	3

	Ex. No.	compound name	MS	class
5	328	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-naphthamide	390 (M + H)	3
	329	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 5-nitro-2-furamide	375 (M + H)	3
10 ,	330	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-phenoxyacetamide	370 (M + H)	2
	331	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(2-nitrophenoxy)acetamide	415 (M + H)	3
15	332	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) quinoxaline-2-carboxamide	392 (M + H)	1
	333	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3,4,5-trimethoxybenzamide	430 (M + H)	3
20	334	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3-(trifluoromethyl)benzamide	408 (M + H)	2
	335	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 4-(trifluoromethyl)benzamide	408 (M + H)	3
25	336	N-(cis-4-{(4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(trifluoromethoxy)benzamide	424 (M + H)	3
,	337	4,5-dimethoxy-2-nitrobenzyl (cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)carbamate	475 (M + H)	3
30	338	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 4-phenoxybutanamide	398 (M + H)	3
	339	2-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-5-methoxybenzamide	448 (M + H)	3
35	340	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(pentafluorophenoxy)acetamide	460 (M + H)	2
	341	2-(3,4-dimethoxyphenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)acetamide	414 (M + H)	3
40	342	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2,3,4-trifluorobenzamide	394 (M + H)	3
	343	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) cyclopentanecarboxamide	332 (M + H)	3
45	344	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2,4-difluorobenzamide	376 (M + H)	3
	345	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3-phenylpropanamide	368 (M + H)	3
50	346	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2,3,4,5-tetrafluorobenzamide	412 (M + H)	3
	347	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-ethoxy-1-naphthamide	434 (M + H)	3
EE	348	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2,3,4,5,6-pentafluorobenzamide	430 (M + H)	3
55	349	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 4-[(trifluoromethyl)thio]benzamide	440 (M + H)	3

	Ex. No.	compound name	MS	class
5	350	3,4,5-trichloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)thiophene-2-carboxamide	448 (M + H)	3
	351	2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)acetamide	404 (M + H)	1
10	352	3-(2,6-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)-5-methylisoxazole-4-carboxamide	489 (M + H)	1
	353	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-phenoxynicotinamide	433 (M + H)	2
15	354	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(phenylthio)nicotinamide	449 (M + H)	3
	355	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(4-methylphenoxy)nicotinamide	447 (M + H)	1
20	356	N-(cis-4-{(4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 4-[(dipropylamino)sulfonyl]benzamide	503 (M + H)	1
	357	2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)-2-methylpropanamide	432 (M + H)	2
25	358	5-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl) amino}cyclohexyl)-2-(trifluoromethyl)-3-furamide	508 (M + H)	3
	359	2-(2,3-dihydro-1-benzofuran-5-yl)-N-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)-1,3-thiazole-4-carboxamide	465 (M + H)	1
30	360	3-tert-butyl-1-(2,4-dichlorobenzyl)-N-(cis-4-([4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)-1H-pyrazole-5-carboxamide	544 (M + H)	2
	361	6-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-2H-chromene-3-carboxamide	428 (M + H)	2
35	362	3-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-4-(trifluoromethoxy)benzamide	458 (M + H)	3
	363	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-[(4-methyl-2-oxo-2H-ehromen-8-yl)oxy]acetamide	452 (M + H)	3
40	364	N-(cis-4-([4-(dimethylamino)pyrimidin-2-yl]amino)cyclohexyl)- 2-(2-thienyl)-1,3-thiazole-4-carboxamide	429 (M + H)	1
	365	N-((cis-4-([4-(dimethylamino)pyrimidin-2-yl]amino cyclohexyl) methyl)-3-methoxybenzamide	384 (M + H)	3
45	366	3-bromo-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	432(M + H)	3
	367	4-bromo-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	432 (M + H)	3
50	368	N-[(cis-4-( {[4-(dimethylamino)pyrimidin-2-yl]amino)cyclohexyl) methyl]-2,1,3-benzoxadiazole-5-carboxamide	396 (M + H)	3
50	369	3-chloro-N-[(cis-4-3-(4-(dimethylamino)pyrimidin-2-yl]amino) cyclohexyl)methyl)benzamide	388 (M + H)	3
	370	4-chloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	388 (M + H)	2
55	371	(2E)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]-3-phenylacrylamide	380 (M + H)	2

	Ex. No.	compound name	MS	class
5	372	4-chloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]-3-nitrobenzamide	433 (M + H)	2
	373	2-(4-chlorophenyl)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino)cyclohexyl)methyl]acetamide	402 (M + H)	2
10	374	3-cyano-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	379 (M + H)	3
	375	3,5-dichloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	422 (M + H)	2
15	376	3,4-dichloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino cyclohexyl)methyl]benzamide	422 (M + H)	2
	377	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2,2-diphenylacetamide	444 (M + H)	1
20	378	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-3,4-difluorobenzamide	390 (M + H)	3
	379	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-3,5-difluorobenzamide	390 (M + H)	3
25	380	-(2,5-dimethoxyphenyl)-N-[(cis-4-{[4-(dimethylamino)-pyrimidin- 2-yl]amino}cyclohexyl)methyl]acetamide	428 (M + H)	3
	381	-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2-(ethylthio)nicotinamide	415 (M + H)	3
30	382	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-4-fluorobenzamide	372 (M + H)	3
	383	-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- methyl]-3-fluoro-5-(trifluoromethyl)benzamide	440 (M + H)	3
35	384	2,4-dichloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]-5-fluorobenzamide	440 (M + H)	2
	385	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]hexanamide	348 (M + H)	3
40	386	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-4-iodobenzamide	480 (M + H)	3
	387	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]aminocyclohexyl) methyl]-2-(methylthio)nicotinamide	401 (M + H)	3
45	388	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-4-methyl-3-nitrobenzamide	413 (M + H)	3
	389	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-3-nitrobenzamide	399 (M + H)	3
50	390	N-[(cis-4-{[4-(dimethylamino)Pyrimidin-2-yl]amino}cyclohexyl) methyl]-2-phenylacetamide	368 (M + H)	3
	391	(2R)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]jamino} cyclohexyl)methyl]-2-phenylcyclopropanecarboxamide	394 (M + H)	3
55	392	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-1,3-benzodioxole-5-carboxamide	398 (M + H)	3
33	393	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2-phenoxybutanamide	412 (M + H)	2

	Ex. No.	compound name	MS	class
5	394	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2-phenoxypropanamide	398 (M + H)	3
	395	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-3-methylbenzamide	368 (M + H)	3
10	396	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-4-methylbenzamide	368 (M + H)	3
	397	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]thiophene-2-carboxamide	360 (M + H)	3
15	398	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2-(2-thienyl)acetamide	374 (M + H)	3
	399	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-3-(trifluoromethoxy)benzamide	438 (M + H)	3
20	400	benzyl [(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]carbamate	384 (M + H)	3
	401	4-nitrobenzyl [(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]carbamate	429 (M + H)	3
25	402	4-bromo-N-[(cis-4-{(4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]-3-methylbenzamide	446 (M + H)	3
	403	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-3-iodobenzamide	480 (M + H)	3
30	404	3-chloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]-2-fluorobenzamide	406 (M + H)	3
	405	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl)amino}cyclohexyl) methyl]-2,3-difluoro-4-methylbenzamide	404 (M + H)	3
<i>3</i> 5	406	2-chloro-N-[(cis-4-{(4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]-4-fluorobenzamide	406 (M + H)	3
55	407	3-chloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]-2,4-difluorobenzamide	424 (M + H)	3
10	408	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2-(phenylthio)acetamide	400 (M + H)	3
40	409	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]-2-fluoro-3-(trifluoromethyl)benzamide	440 (M + H)	3
	410	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]-2-fluoro-5-(trifluoromethyl)benzamide	440 (M + H)	3
45	411	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2-phenylbutanamide	396 (M + H)	1
	412	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2-(3-methoxyphenyl)acetamide	398 (M + H)	2
50	413	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2-(4-fluorophenyl)acetamide	386 (M + H)	3
	414	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2-(4-methoxyphenyl)acetamide	398 (M + H)	2
55	415	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]-5-methyl-2-(trifluoromethyl)-3-furamide	426 (M + H)	3

	Ex. No.	compound name	MS	class
5	416	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2,5-dimethyl-3-furamide	372 (M + H)	3
	417	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2-ethoxybenzamide	398 (M + H)	3
10	418	3-chloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]-4-fluorobenzamide	406 (M + H)	3
	419	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-3-fluoro-4-methylbenzamide	386 (M + H)	3
15	420	2-cyclopentyl-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]acetamide	360 (M + H)	3
	421	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-3,5-dimethoxybenzamide	414 (M + H)	3
20	422	4-cyano-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	379 (M + H)	3
	423	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclobexyl) methyl]-3,5-bis(trifluoromethyl)benzamide	490 (M + H)	2
25	424	(2E)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]-3-(4-nitrophenyl)acrylamide	425 (M + H)	1
	425	2-(2-bromophenyl)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)methyl]acetamide	446 (M + H)	2
30	426	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-4-fluoro-3-methylbenzamide	386 (M + H)	3
	427	2-[(difluoromethyl)thio]-N-[(cis-4-{[4-(dimethylamino)-pyrimidin- 2-yl]amino)cyclohexyl)methyl]benzamide	436 (M + H)	3
35	428	2,5-dichloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]thiophene-3-carboxamide	428 (M + H)	3
	429	N-((cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2-(propylthio)nicotinamide	429 (M + H)	2
40	430	1-benzyl-3-tert-butyl-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)methyl]-1 H-pyrazole-5 -carboxamide	490 (M + H)	3
	431	3-tert-butyl-N-[(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]amino} cyclohexyl)methyl]-1-methyl-1H-pyrazole-5-carboxamide	414 (M + H)	3
45	432	(2E)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino) cyclohexyl)methyl]-2-methyl-3-phenylacrylamide	394 (M + H)	3
	433	5-bromo-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]nicotinamide	433 (M + H)	3
50	434	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2-(1-naphthyl)acetamide	418 (M + H)	1
	435	1-tert-butyl-N-[(cis-4-{(4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]-5-methyl-1H-pyrazole-3-carboxamide	414 (M + H)	3
55	436	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-1-benzothiophene-3-carboxamide	410 (M + H)	3
33	437	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]biphenyl-4-carboxamide	430 (M + H)	3

	Ex. No.	compound name	MS	class
<b>5</b>	438	2-bromo-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	432 (M + H)	3
	439	2,6-dichloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	422 (M + H)	3
10	440	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2-iodobenzamide	480 (M + H)	3
	441	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2-methylbenzamide	368 (M + H)	3
15	442	2,3-dichloro-N-{(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	422 (M + H)	3
	443	2-chloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]-5-fluorobenzamide	406 M + H	3
20	444	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-9-oxo-9H-fluorene-4-carboxamide	456 (M + H)	2
	445	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2,3,6-trifluorobenzamide	408 (M + H)	3
25	446	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl)-2,3-difluorobenzamide	390 (M + H)	3
	447	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2,6-difluorobenzamide	390 (M + H)	3
30	448	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]-2-fluoro-6-(trifluoromethyl)benzamide	440 (M + H)	3
	449	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl)amino}cyclohexyl) methyl)-2,4,6-trimethylbenzamide	396 (M + H)	2
35	450	2-chloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]-6-fluorobenzamide	406 (M + H)	3
	451	2,4,6-trichloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	456 (M + H)	2
40	452	(2E)-3-(2-chlorophenyl)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]acrylamide	414 (M + H)	2
	453	6-chloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]-2-fluoro-3-methylbenzamide	420 (M + H)	3
45	454	2-chloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]-3,6-difluorobenzamide	424 (M + H)	3
	455	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2,3-dimethylbenzamide	382 (M + H)	3
50	456	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3-methoxybenzamide	424 (M + H)	1
	457	3-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide	472 (M + H)	1
55	458	4-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide	472 (M + H)	2
55	459	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2,1,3-benzoxadiazole-5-carboxamide	436 (M + H)	1

	Ex. No.	compound name	MS	class
5	460	3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide	428 (M + H)	1
	461	4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide	428 (M + H)	1
10	462	(2E)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin- 2-yl]amino}cyclohexyl)-3-phenylacrylamide	420 (M + H)	3
	463	4-chloro-N-(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)- 3-nitrobenzamide	473 (M + H)	1
15	464	2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)acetamide	442 (M + H)	1
	465	3-cyano-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide	419 (M + H)	1
20	466	3,5-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl)amino}cyclohexyl)benzamide	462 (M + H)	1
	467	3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide	462 (M + H)	1
25	468	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2,2-diphenylacetamide	484 (M + H)	1
	469	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3,4-difluorobenzamide	430 (M + H)	1
30	470	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3,5-difluorobenzamide	430 (M + H)	1
	471	2-(2,5-dimethoxyphenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)acetamide	468 (M + H)	3
35	472	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(ethylthio)nicotinamide	455 (M + H)	3
	473	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-4-fluorobenzamide	412 (M + H)	1
40	474	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3-fluoro-5-(trifluoromethyl)benzamide	480 (M + H)	1
	475	2,4-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-5-fluorobenzamide	480 (M + H)	3
45	476	N-(cis-4-[[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)hexanamide	388 (M + H)	2
	477	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-4-iodobenzamide	520 (M + H)	3
50	478	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(methylthio)nicotinamide	441 (M + H)	3
	479	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-4-methyl-3-nitrobenzamide	453 (M + H)	1
55	480	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3-nitrobenzamide	439 (M + H)	1

	Ex. No.	compound name	MS	class
5	481	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-phenylacetamide	408 (M + H)	3
	482	(2R)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-phenylcyclopropanecarboxamide	434 (M + H)	2
10	483	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-l] amino}cyclohexyl)-1,3-benzodioxole-5-carboxamide	438 (M + H)	3
	484	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-phenoxybutanamide	452 (M + H)	1
15	485	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-phenoxypropanamide	438 (M + H)	1
	486	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3-methylbenzamide	408 (M + H)	1
20	487	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-4-methylbenzamide	408 (M + H)	2
	488	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] aminocyclohexyl)thiophene-2-carboxamide	400 (M + H)	3
25	489	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(2-thienyl)acetamide	414 (M + H)	3
	490	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)3-(trifluoromethoxy)benzamide	478 (M + H)	2
30	491	[4-(4-Dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)- yclohexyl]-carbamic acid benzyl ester	424 (M + H)	3
	492	[4-(4-Dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)- cyclohexyl]-carbamic acid 4-nitro-benzyl ester	469 (M + H)	3
35	493	4-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-3-methylbenzamide	486 (M + H)	2
	494	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3-iodobenzamide	520 (M + H)	1
40	495	3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-fluorobenzamide	446 (M + H)	3
	496	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2,3-difluoro-4-methylbenzamide	444 (M + H)	3
45	497	2-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino cyclohexyl)-4-fluorobenzamide	446 (M + H)	2
50	498	3-chloro-N-(cis-4-([4-(dimethylamino)-5,6,7,8-tetrahydro- quinazolin-2-yl]amino}cydohexyl)-2,4-difluorobenzamide	464 (M + H)	3
	499	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(phenylthio)acetamide	440 (M + H)	3
55	500	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-fluoro-3-(trifluoromethyl)benzamide	480 (M + H)	3
	501	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-fluoro-5-(trifluoromethyl)benzamide	480 (M + H)	3

	Ex. No.	compound name	MS	class
5	502	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-phenylbutanamide	436 (M + H)	3
	503	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(3-methoxyphenyl)acetamide	438 (M + H)	2
10	504	N-(cis-4-{(4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(4-fluorophenyl)acetamide	426 (M + H)	1
	505	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(4-methoxyphenyl)acetamide	438 (M + H)	2
15	506	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-5-methyl-2-(trifluoromethyl)-3-furamide	466 (M + H)	2
	507	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2,5-dimethyl-3-furamide	412 (M + H)	1
20	508	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-ethoxybenzamide	438 (M + H)	3
	509	3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-4-fluorobenzamide	446 (M + H)	1
25	510	N-(cis-4-{[4-(dimethylaniino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino)cyclohexyl)-3-fluoro-4-methylbenzamide	426 (M+H)	2
	511	2-cyclopentyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)acetamide	400 (M + H)	3
30	512	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino ) cyclohexyl)-3,5-dimethoxybenzamide	454 (M + H)	. 1
	513	4-cyano-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide	419 (M + H)	3
35	514	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide	530 (M + H)	1
	515	(2E)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin- 2-yl]amino}cyclohexyl)-3-(4-nitrophenyl)acrylamide	465 (M + H)	3
40	516	2-(2-bromophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8 tetrahydroquinazolin-2-yl]amino}cyclohexyl)acetamide	486 (M + H)	3
	517	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-4-fluoro-3-methylbenzamide	426 (M + H)	1
45	518	2-[(difluoromethyl)thio]-N-(cis-4-)[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide	476 (M + H)	3
	519	2,5-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiophene-3-carboxamide	468 (M + H)	1
50	520	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(propylthio)nicotinamide	469 (M + H)	2
55	521	1-benzyl-3-tert-butyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-1H-pyrazole-5-carboxamide	530 (M + H)	2

	Ex. No.	compound name	MS	class
5	522	3-tert-butyl-N-(cis-4-{{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-1-methyl-1 H-pyrazole-5-carboxamide	454 (M + H)	3
	523	(2E)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-methyl-3-phenylacrylamide	434 (M + H)	3
10	524	5-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)nicotinamide	473 (M + H)	1
	525	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino)cyclohexyl)-2-(1-naphthyl)acetamide	458 (M + H)	3
15	526	1-tert-butyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)cyclohexyl)-5-methyl-1H-pyrazole-3-carboxamide	454 (M + H)	3
20	527	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino)cyclohexyl)-1-benzothiophene-3-carboxamide	450 (M + H)	3
	528	2-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino)cyclohexyl)amino]-2-oxo-1-phenylethyl acetate	466 (M + H)	1
25	529	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino)cyclohexyl)benzamide	394 (M + H)	2
	530	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-1-benzothiophene-2-carboxamide	450 (M + H)	3
30	531	2-(benzyloxy)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)acetamide	438 (M + H)	2
30	532	2-(4-chlorophenoxyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)acetamide	458 (M + H)	2
	533	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)cyclohexanecarboxamide	400 (M + H)	3
35	534	3-(2-chloroghenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-5-methylisoxazole-4-carboxamide	509 (M + H)	2
40	535	1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl) cyclopentanecarboxamide	496 (M + H)	2
45	536	3-(2-chloro-6-fluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-5-methylisoxazole-4-carboxamide	527 (M + H)	1
	537	3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-4-(isopropylsulfonyl)thiophene-2-carboxamide	540 (M + H)	3
50	538	2-chloro-N-(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)- 4-nitrobenzamide	473 (M + H)	3
	539	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-1,3-dimethy]-1H-pyrazole-5-carboxamide	412 (M + H)	2
55	540	N-(cis-4-{[4-(dimethylamino}-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3,4-dimethoxybenzamide	454 (M + H)	3

	Ex. No.	compound name	MS	class
5	541	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3-fluorobenzamide	412 (M + H)	1
	542	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-4-fluoro-3-(thfluoromethyl)benzamide	480 (M + H)	1
10	543	N-(cis-4-[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-5-methyl-2-phenyl-2H-1,2,3-triazole-4-carboxamide	475 (M + H)	2
	544	N-(cis-4-)[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(4-methoxyphenoxy)-5-nitrobenzamide	561 (M + H)	1
15	545	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-1-naphthamide	444 (M + H)	3
	546	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-naphthamide	444 (M + H)	3
20	547	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-5-nitro-2-furamide	429 (M + H)	1
	548	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-phenoxyacetamide	424 (M + H)	1
25	549	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(2-nitrophenoxy)acetamide	469 (M + H)	3
	550	N-(cis-4-([4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)quinoxaline-2-carboxamide	446 (M + H)	1
30	551	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3,4,5-trimethoxybenzamide	484 (M + H)	3
	552	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3-(thifluoromethyl)benzamide	462 (M + H)	1
35	553	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-4-(trifluoromethyl)benzamide	462 (M + H)	3
	554	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(trifluoromethoxy)benzamide	478 (M + H)	3
40	555	4,5-dimethoxy-2-nitrobenzyl (cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino cyclohexyl)carbamate	529 (M + H)	3
	556	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-4-phenoxybutanamide	452 (M + H)	3
45	557	2-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro-quinazolin-2-yl]amino}cyclohexyl)-5-methoxybenzamide	502 (M + H)	3
	558	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(pentafluorophenoxy)acetamide	514 (M + H)	3
50	559	2-(3,4-dimethoxyphenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)acetamide	468 (M + H)	3
	560	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2,3,4-trifluorobenzamide	448 (M + H)	3
55	561	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)cyclopentanecarboxamide	386 (M + H)	3
	562	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2,4-difluorobenzamide	430 (M + H)	3

	Ex. No.	compound name	MS	class
5	563	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin- 2-yljamino}cyclohexyl)-3-phenylpropanamide	422 (M + H)	3
	564	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2,3,4,5-tetrafluorobenzamide	466 (M + H)	3
10	565	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-ethoxy-1-naphthamide	488 (M + H)	3
	566	N-(cis-4-1{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2,3,4,5,6-pentafluorobenzamide	484 (M + H)	3
15	567	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-4-[(trifluoromethyl)thio]benzamide	494 (M + H)	3
	568	3,4,5-trichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro-quinazolin-2-yl]amino}cyclohexyl)thiophene-2-carboxamide	502 (M + H)	3
20	569	2-(3-chlorophenoxy)-N-(cis-4-)[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)acetamide	458 (M + H)	1
	570	3-(2,6-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-5-methylisoxazole-4-carboxamide	543 (M + H)	1
25	571	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyelohexyl)-2-phenoxynicotinamide	487 (M + H)	2
	572	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino)cyclohexyl)-2-(phenylthio)nicotinamide	503 (M + H)	3
30	573	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(4-methylphenoxy)nicotinamide	501 (M + H)	1
	574	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-4-[(dipropylamino)sulfonyl]benzamide	557 (M + H)	3
35	575	2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-methylpropanamide	486 (M + H)	3
40	576	5-(4-chlorophenyl)-N-(cis-4-{(4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-(trifluoromethyl)-3-furamide	562 (M + H)	3
	577	3-tert-butyl-1-(2,4-dichlorobenzyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-1H-pyrazole-5-carboxamide	598 (M + H)	3
45	578	6-chloro-N-(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino]cyclohexyl)-2H- chromene-3-carboxamide	482 (M + H)	3
50	579	3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro-quinazolin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy)-benzamide	512 (M + H)	3
	580	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-[(4-methyl-2-oxo-2H-chromen-8-yl)oxy] acetamide	506 (M + H)	3
55	581	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(2-thienyl)-1,3-thiazole-4-carboxamide	483 (M + H)	2

	Ex. No.	compound name	MS	class
5	582	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-3-methoxybenzamide	438 (M + H)	3
	583	3-bromo-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] benzamide	486 (M + H)	2
10	584	4-bromo-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] benzamide	486 (M + H)	3
15	585	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2,1,3-benzoxadiazole-5-carboxamide	450 (M + H)	3
	586	3-chloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] benzamide	442 (M + H)	3
20	587	4-chloro-N-[(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] benzamide	442 (M + H)	3
	588	(2E)-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]-3-phenylacrylamide	434 (M + H)	3
25	589	4-chloro-N-[(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]- 3-nitrobenzamide	487 (M + H)	3
30	590	2-(4-chlorophenyl)-N-[(cis-4-([4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino]cyclohexyl)methyl] acetamide	456 (M + H)	3
35	591	3-cyano-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)cyclohexyl)methyl] benzamide	433 (M + H)	3
33	592	3,5-dichloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] benzamide	476 (M + H)	3
40	593	3,4-dichloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] benzamide	476 (M + H)	3
	594	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2,2-diphenylacetamide	498 (M + H)	3
45	595	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino]cyclohexyl)methyl]-3,4-difluorobenzamide	444 (M + H)	3
	596	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-3,5-difluorobenzamide	444 (M + H)	3
50	597	2-(2,5-dimethoxyphenyl)-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] acetamide	482 (M + H)	3
55	598	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-(ethylthio)nicotinamide	469 (M + H)	1
	599	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-4-fluorobenzamide	426 (M + H)	3

	Ex. No.	compound name	MS	class
5	600	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-3-fluoro-5-(trifluoromethyl)benzamide	494 (M + H)	3
	601	2,4-dichloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]-5-fluorobenzamide	494 (M + H)	3
10	602	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino]cyclohexyl)methyl]hexanamide	402 (M + H)	3
	603	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-4-iodobenzamide	534 (M + H)	3
15	604	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-(methylthio)nicotinamide	455 (M + H)	3
	605	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-4-methyl-3-nitrobenzamide	467 (M + H)	3
20	606	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino]cyclohexyl)methyl]-3-nitrobenzamide	453 (M + H)	3
	607	N-((cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}yclohexyt)methyl]-2-phenylacetamide	422 (M + H)	3
25	608	(2R)-N-[(cis-4-3 {[4-(dimethymino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]-2-phenylcyclopropane-carboxamide	448 (M + H)	3
30	609	N-[(cis-4-([4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino]cyclohexyl)methyl]-1,3-benzodioxole-5-carboxamide	452 (M + H)	3
	610	N-[(cis-4-[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino]cyclohexyl)methyl]-2-phenoxybutanamide	466 (M + H)	3
35	611	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-phenoxypropanamide	452 (M + H)	3
33	612	N-((cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino]cyclohexyl)methyl]-3-methylbenzamide	422 (M + H)	3
	613	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazlin-2-yl] amino}cyclohexyl)methyl]-4-methylbenzamide	422 (M + H)	3
40	614	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]thiophene-2-carboxamide	414 (M + H)	3
	615	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-(2-thienyl)acetamide	428 (M + H)	3
45	616	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-3-(trifluoromethoxy)benzamide	492 (M + H)	3
	617	benzyl[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin- 2-yl]amino}cyclohexyl)methyl]carbamate	438 (M + H)	3
50	618	4-nitrobenzyl[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] carbamate	483 (M + H)	3
55	619	4-bromo-N-[(cis-4-({[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]-3-methylbenzamide	500 (M + H)	3

	Ex. No.	compound name	MS	class
5	620	N-{(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-3-iodobenzamide	534 (M + H)	3
	621	3-chloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro- quinazolin-2-yl]amino}cyclohexyl)methyl]-2-fluorobenzamide	460 (M + H)	3
10	622	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino cyclohexyl)methyl]-2,3-difluoro-4-methylbenzamide	458 (M + H)	3
	623	2-chloro-N-[(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]- 4-fluorobenzamide	460 (M + H)	3
15	624	3-chloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]-2,4-difluorobenzamide	478 (M + H)	3
20	625	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-(phenylthio)acetamide	454 (M + H)	3
	626	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-fluoro-3-(trifluoromethyl)benzamide	494 (M + H)	3
25	627	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-fluoro-5-(trifluoromethyl)benzamide	494 (M + H)	3
	628	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-phenylbutanamide	450 (M + H)	3
30	629	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-(3-methoxyphenyl)acetamide	452 (M + H)	3
30	630	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-(4-fluorophenyl)acetamide	440 (M + H)	3
35	631	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-(4-methoxyphenyl)acetamide	452 (M + H)	1
••	632	N-[(cis-4-[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-5-methyl-2-(trifluoromethyl)-3-furamide	480 (M + H)	1
40	633	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2,5-dimethyl-3-furamide	426 (M + H)	3
40	634	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-ethoxybenzamide	452 (M + H)	3
45	635	3-chloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]-4-fluorobenzamide	460 (M + H)	3
	636	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino cyclohexyl)methyl]-3-fluoro-4-methylbenzamide	440 (M + H)	3
50	637	2-cyclopentyl-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] acetamide	414 (M + H)	3
	638	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-3,5-dimethoxybenzamide	468 (M + H)	3
55	639	4-cyano-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] benzamide	433 (M + H)	3

	Ex. No.	compound name	MS	class
5	640	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cydohexyl)methyl]-3,5-bis(trifluoromethyl)benzamide	544 (M + H)	3
	641	(2E)-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino]cyclohexyl)methyl]-3-(4-nitrophenyl)acrylamide	479 (M + H)	2
10	642	2-(2-bromophenyl)-N-[(cis-4-{(4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] acetamide	500 (M + H)	3
	643	N-[(cis-4-[[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino]cyclohexyl)methyl]-4-fluoro-3-methylbenzamide	440 (M + H)	2
15	644	2-[(difluoromethyl)thio]-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] benzamide	490 (M + H)	3
20	645	2,5-dichloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] thiophene-3-carboxamide	482 (M + H)	3
	646	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-(propylthio) nicotinamide	483 (M + H)	1
25	647	1-benzyl-3-tert-butyl-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-1 tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]-1H-pyrazole-5-carboxamide	544 (M + H)	3
30	648	3-tert-butyl-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino]cyclohexyl)methyl]-1-methyl-1H-pyrazole-5-carboxamide	468 (M + H)	3
	649	(2E)-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]-2-methyl-3-phenylacrylamide	448 (M + H)	3
35	650	5-bromo-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro-quinazolin-2-yl]amino}cyclohexyl)-methyl]nicotinamide	487 (M + H)	3
	651	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-(1-naphthyl)acetamide	472 (M + H)	3
40	652	1-tert-butyl-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]-5-methyl-H-pyrazole-3-carboxamide	468 (M + H)	3
	653	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyelohexyl)methyl]-1-benzothiophene-3-carboxamide	464 (M + H)	3
45	654	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]biphenyl-4-carboxamide	484 (M + H)	3
	655	2-bromo-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] benzamide	486 (M + H)	3
50	656	2,6-dichloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] benzamide	476 (M + H)	2
55	657	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-iodobenzamide	534 (M + H)	3
	658	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-methylbenzamide	422 (M + H)	3

	Ex. No.	compound name	MS	class
5	659	2,3-dichloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] benzamide	476 (M + H)	3
	660	2-chloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro-quinazolin-2-yl]amino}cyclohexyl)methyl]-5-fluorobenzamide	460 (M + H)	3
10	661	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino)cyclohexyl)methyl]-9-oxo-9H-fluorene-4-carboxamide	510 (M + H)	3
	662	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2,3,6-trifluorobenzamide	462 (M + H)	3
15	663	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino]cyclohexyl)methyl]-2,3-difluorobenzamide	444 (M + H)	3
	664	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2,6-difluorobenzamide	444 (M + H)	3
20	665	N-[(cis-4-{{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-fluoro-6-(trifluoromethyl)-benzamide	494 (M + H)	3
	666	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2,4,6-trimethylbenzamide	450 (M + H)	2
25	667	2-chloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro-quinazolin-2-yl]amino}cyclohexyl)methyl]-6-fluorobenzamide	460 (M + H)	2
30	668	2,4,6-trichloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] benzamide	510 (M + H)	1
30	669	(2E)-3-(2-chlorophenyl)-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] acrylamide	468 (M + H)	3
35	670	6-chloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro-quinazolin-2-yl]amino)cyclohexyl)methyl]-2-fluoro-3-methylbenzamide	474 (M + H)	3
	671	2-chloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro-quinazolin-2-yl]amino}cyclohexyl)methyl]-3,6-difluorobenzamide	478 (M + H)	3
40	672	N [(cis 4{[4 (dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyelohexyl)methyl]-2,3-dimethylbenzamide	436 (M + H)	3
	673	5-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)thiophene-2-carboxamide	473 (M + H)	2
45	674	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(2,3,6-trichlorophenyl)acetamide	505 (M + H)	3
	675	2-(2-chloro-4-fluorophenyl)-N-(cis-4-{[4(dimethylamino)quinolin- 2-yl]amino}cyclohexyl)acetamide	455 (M + H)	3
50	676	5-(4-chloro-2-nitrophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-furamide	534 (M + H)	2
	677	5-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)thiophene-2-carboxamide	429 (M + H)	2
55	678	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2,3-diphenylpropanamide	493 (M + H)	3

	Ex. No.	compound name	MS	class
5	679	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3-(2-hydroxyphenyl)propanamide	433 (M + H)	3
	680	N-(cis-4-{[4-(dimethylamino)quinotin-2-yl]amino)cyclohexyl)- 5-iodo-2-furamide	505 (M + H)	1
10	681	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino]cyclohexyl)- 2-(2-iodophenyl)acetamide	529 (M + H)	2
	682	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(5-methoxy-2-methyl-1H-indol-3-yl)acetamide	486 (M + H)	2
15	683	(2E)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3-(3-nitrophenyl)acrylamide	460 (M + H)	2
	684	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3-oxoindane-1-carboxamide	443 (M + H)	3
20	685	2-benzyl-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)benzamide	479 (M + H)	3
	686	2,2-bis(4-chlorophenyl)-N-(cis-4-1[4-(dimethylamino)quinolin- 2-yl]amino}cyclohexyl)acetamide	547 (M + H)	2
25	687	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)- 5-(4-methyl-2-nitrophenyl)-2-furamide	514 (M + H)	3
	688	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 5-nitrothiophene-2-carboxamide	440 (M + H)	1
30	689	N-(cis-4-{[4-(dimethylamino}quinolin-2-yl]amino cyclohexyl)- 3-methyl-4-nitrobenzamide	448 (M + H)	1
	690	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3-methoxy-4-nitrobenzamide	464 (M + H)	1
35	691	1-benzyl-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-1H-indole-3-carboxamide	518 (M + H)	3
	692	3-acetyl-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)benzamide	431 (M + H)	3
40	693	(2R)-2-benzoyl-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)cyclohexanecarboxamide	499 (M + H)	3
	694	5-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-2-furamide	457 M + H)	1
45	695	3-cyclohexyl-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)propanamide	423 (M + H)	3
	696	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)- 2-[(4-methylpyrimidin-2-yl)thio]acetamide	451 (M + H)	3
50	697	5(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)-2-furamide	489 (M + H)	3
	698	3-(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)propanamide	485 (M + H)	3
6F	699	2-(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)acetamide	471 (M + H)	3
55	700	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino) cyclohexyl)- 2-(4-hydroxy-3,5-dimethoxyphenyl)acetamide	479 (M + H)	3

	Ex. No.	. compound name	MS	class
5	701	4,5-dibromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)thiophene-2-carboxamide	551 (M + H)	2
	702	2-(3,5-dimethoxyphenyl)-N-(cis-4-{[4-(dimethylamino)quinolin- 2-yl]amino}cyclohexyl)acetamide	463 (M + H)	3
10	703	2-(3,5-di-tert-butyl-4-hydroxyphenyl)-N-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)acetamide	531 (M + H)	3
	704	N-2-,N-6-dibenzoyl-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)lysinamide	621 (M + H)	3
15	705	3-(dimethylamino)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl] anuno}cyclohexyl)benzamide	432 (M + H)	3
	706	4,5-dibromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-2-furamide	535 (M + H)	1
20	707	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 4-(4-fluorophenyl)-4-oxobutanamide	463 (M + H)	3
	708	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(2-fluorobiphenyl-4-ył)propanamide	511 (M + H)	3
25	709	tert-butyl {(1S)-1-[(1-benzyl-1H-imidazol-4-yl)methyl]-2-[(cis-4-{ [4-(dimethylamino)guinolin-2-yl]amino}cyclohexyl)amino]- 2-oxoethyl}carbamate	612 (M + H)	3
	710	N-(cis-4-1[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)-2- (M [4-(1-oxo-1,3-dihydro-2H-isoindol-2-yl)phenyl]propanamide	548 (M + H)	3
30	711	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)- 2-(1H-indol-3-yl)acetamide	442 (M + H)	1
	712	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(5-methyl-2-phenyl-1,3-thiazol-4-yl)acetamide	500 (M + H)	3
35	713	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)- 2-(6-methoxy-3-oxo-2,3-dihydro-1H-inden-1-yl)acetamide	487 (M + H)	3
	714	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2- {1-[(4-methoxybenzyl)thio]cyclohexyl}acetamide	561 (M + H)	3
40	715	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(7-methoxy-2-oxo-2H-chromen-4-yl)acetamide	501 (M + H)	3
	716	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(1H-indol-3-yl)-4-oxo-4-phenylbutanamid	560 (M + H)	2
45	717	4-(4-bromophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl) amino}cyclohexyl)-2-(1H-indol-3-yl)-4-oxobutanamide	638 (M + H)	3
	718	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3,5-dimethyl-2-[({[4(trifluoromethoxy)phenyl]amino}-carbonyl) amino]benzamide	635 (M + H)	3
50	719	3,5-dichloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-2-[(3-phenylprop-2-ynoyl)amino]benzamide	600 ( <b>M</b> + H)	3
	720	4-(4-tert-butylphenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)-2-(7-ethy]-1H-indol-3-yl)-4-oxobutanamide	644 (M + H)	3
55	721	4-(4-tert-butylphenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)-2-(1-methyl-1H-indol-3-yl)-4-oxobutanamide	630 (M + H)	3

	Ex. No.	compound name	MS	class
5	722	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(1-methyl-1H-indol-3-yl)-4-(4-methylphenyl)-4-oxobutanamide	588 (M + H)	3
	723	N-(2,4-dichlorophenyl)-2-{2-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)amino]-2-oxoethyl)benzamide	590 (M + H)	3
10	724	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-methyl-1-(3-morpholin-4-ylpropyl)-5-phenyl-1H-pyrrole- 3-carboxamide	595 (M + H)	3
	725	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 4-(4-nitrophenyl)butanamide	476 (M + H)	3
15	726	(2E)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3-(2-nitrophenyl)acrylamide	460 (M + H)	3
	727	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl)amino}cyclohexyl)- 2-(3-phenoxyphenyl)acetamide	495 (M + H)	3
20	728	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(4-phenoxyphenyl)acetamide	495 (M + H)	3
	729	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(2-phenyl-1H-indol-3-yl)acetamide	518 (M + H)	2
25	730	N2-benzoyl-N5-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-N1,N1-dipropylglutamamide	601 (M + H)	3
	731	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3-phenoxybenzamide	481 (M + H)	3
30	732	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(2-phenylethyl)benzamide	493 (M + H)	3
	733	3-benzoyl-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)benzamide	493 (M + H)	3
35	734	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(ethylthio)-2,2-diphenylacetamide	539 (M + H)	3
	735	2-[(2-cyanophenyl)thio]-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)benzamide	522 (M + H)	3
40	736	2-[4-(benzyloxy)-3-methoxyphenyl]-N-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)acetamide	539 (M + H)	3
	737	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-[(1R)-1-(1-naphthyl)ethyl]phthalamide	586 (M + H)	3
45	738	(2S)-2-(3-benzoylphenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)propanamide	521 (M + H)	3
	739	N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N,N-bis[(1S)-1-phenylethyl]phthalamide	640 (M + H)	3
50	740	(2S)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(2-fluorobiphenyl-4-yl)propanamide	511 (M + H)	3
	741	2-[(4-chlorobenzyl)thio]-4-(4-chlorophenyl)-N-(cis-4-{ [4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 4-oxobutanamide	635 (M + H)	3
55	742	2-[(4-chlorobenzyl)thio]-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)-4-(4-methylphenyl)-4-oxobutanamide	615 (M + H)	3

	Ex. No.	compound name	MS	class
5	743	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-{ (1E)-5-fluoro-2-methyl-1-[4-(methylsulfinyl)benzylidene]-1H- inden-3-yl}acetamide	623 (M + H)	3
	744	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-[4-(2-thienylcarbonyl)phenyl]propanamide	527 (M + H)	3
10	745	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 4-oxo-4-(2-thienyl)butanamide	451 (M + H)	3
	746	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 4-(2-thienyl)butanamide	437 (M + H)	3
15	747	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(2,4,6-trichlorophenoxy)acetamide	521 (M + H)	2
	748	2-[5-(benzyloxy)-1H-indol-3-yl]-N-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)acetamide	548(M + H)	3
20	749	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(1-naphthoyl)benzamide	543 (M + H)	3
	750	3-(benzyloxy)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-4-methoxybenzamide	525 (M + H)	2
25	751	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-methyl-1,5-diphenyl-1H-pyrrole-3-carboxamide	544 (M + H)	3
•	752	1-{2-[(2-chloro-6-fluorobenzyl)thio]ethyl-N-(cis-4-{ [4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-methyl- 5-phenyl-1H-pyrrole-3-carboxamide	670 (M + H)	3
30	753	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) anthracene-9-carboxamide	489 (M + H)	3
0.5	754	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)- 2-phenoxybenzamide	481 (M + H)	2
35	755	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) biphenyl-2-carboxamide	465 (M + H)	3
	756	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3,3-diphenylpropanamide 3,3-diphenylpropanamide	493 (M + H)	3
40	757	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)- 2-phenylquinoline-4-carboxamide phenylquinoline- 4-carboxamide	516 (M + H)	2
45	758	N-(cis-4-([4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl) -N'-[(1S)-1-phenylethyl]phthalamide	536 (M + H)	3
	759	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(4-methylbenzoyl)benzamide	507 (M + H)	3
50	760	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-(phenoxymethyl)benzamide	495 (M + H)	3
	761	2-[4-(4-chlorophenyl)-2-phenyl-1,3-thiazol-5-yl]-N-(cis-4-{ [4-dimethylamino)quinolin-2-yl]amino}cyclohexyl)acetamide	596 (M + H)	3
55	762	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 1-[(4-methylphenyl)sulfonyl]-1H-pyrrole-3-carboxamide	532 (M + H)	3
	763	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 5-(3-nitrophenyl)-2-furamide	500 (M + H)	1

	Ex. No.	compound name	MS	class
5	764	3-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-4-(methylsulfonyl)thiophene-2-carboxamide	507 (M + H)	3
	765	3-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-4-(isopropylsulfonyl)-5-(methylthio)thiophene- 2-carboxamide	581 (M + H)	3
10	766	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3-iodo-4-(isopropylsulfonyl)-5-(methylthio)thiophene- 2-carboxamide	673 (M + H)	3
15	767	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)- 5-nitrothiophene-3-carboxamide	440 (M + H)	1
	768	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 1-methyl-4-nitro-1H-pyrrole-2-carboxamide	437 (M +H)	1
20	769	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 1-(phenylsulfonyl)-1H-indole-3-carboxamide	568 (M + H)	3
	770	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 4-nitrobenzamide	434 (M + H)	1
25	771	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-methoxy-4-nitrobenzamide	464 (M + H)	2
	772	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3-fluoro-4-(trifluoromethyl)benzamide	475 (M + H)	1
	773	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-fluoro-4-nitrobenzamide	452 (M + H)	3
30	774	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 3,5-dimethyl-4-nitrobenzamide	462 (M + H)	2
	775	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)- 2-mesityl-2-oxoacetamide	459 (M + H)·	2
35	776	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) quinolin-3-carboxamide	440 (M + H)	3
	777	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 2-methoxy-2-phenylacetamide	433 (M + H)	3
40	778	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 1,2,3,4-tetrahydronaphthalene-2-carboxamide	443 (M + H)	3
	779	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 1,3-benzothiazole-6-carboxamide	446 (M + H)	3
45	780	5-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-2-hydroxybenzamide	439 (M + H)	2
	781	2-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-5-(methylthio)benzamide	469 (M + H)	3
50	782	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- 7-methoxy-1-benzofuran-2-carboxamide	459 (M + H)	3
	783	2-amino-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-3-methylbenzamide	418 (M + H)	3
55	784	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)- 4-hydroxy-3,5-dimethoxybenzamide	465 (M + H)	3

	Ex. No.	compound name	MS	class
5	785	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) quinoline-4-carboxamide	440 (M + H)	3
	786	2-(allylthio)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)nicotinamide yl]amino}cyclohexyl)nicotinamide	462 (M + H)	3
10	787	3,5-di-tert-butyl-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)-4-hydroxybenzamide	517 (M + H)	3
	788	5-bromo-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]thiophene-2-carboxamide	487 (M + H)	3
15	789	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-2-(2,3,6-trichlorophenyl)acetamide	519 (M + H)	1
	790	2-(2-chtoro-4-nuorophenyl)-N-[(cis-4-{[4-(dimethylamino)-quinolin-2-yl]amino}cyclohexyl)-methyl]acetamide	469 (M+H)	3
20	791	5-(4-chloro-2-nitrophenyl)-N-[(cis-4-{[4-(dimethylamino)-quinolin- 2-yl]amino}cyclohexyl)methyl]-2-furamide	548 (M+H)	3
	792	5-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]thiophene-2-carboxamide	443 (M+H)	3
25	793	N-[(cis-4-([4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl}-2,3-diphenylpropanamide	507 (M + H)	3
	794	N-[(cis-4-)[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl)-3-(2-hydroxyphenyl)propanamide	447 (M + H)	3
30	795	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-5-iodo-2-furamide	519 (M + H)	3
	796	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl} methyl]-2-(2-iodophenyl)acetamide	543 (M + H)	3
35	797	(2E)-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-3-(3-nitrophenyl)acrylamide	474 (M + H)	2
	798	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-3-oxoindane-1-carboxamide	457 (M + H)	3
40	799	2-benzyl-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino) cyclohexyl)methyl]benzamide	493 (M+H)	3
	800	2,2-bis(4-chlorophenyl)-N-[(cis-4-{[4-(dimethylamino)quinolin- 2-yl]amino}cyclohexyl)methyl]acetamide	561 (M + H)	3
45	801	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-5-(4-methyl-2-nitrophenyl)-2-furamide	528 (M + H)	3
	802	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-5-nitrothiophene-2-carboxamide	454 (M + H)	3
50	803	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-3-methyl-4-nitrobenzamide	462 (M + H)	3
	804	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-3-methoxy-4-nitrobenzamide	478 (M+H)	3
55	805	1-benzyl-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]-1H-indole-3-carboxamide	532 (M+H)	3
<b>33</b>	806	2-cyclohex-1-en-1-yl-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl] ammo)cyclohexyl)methyl]acetamide	421 (M + H)	3

	Ex. No.	compound name	MS	class
5	807	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-4-(4-ethoxyphenyl)-2-(3-methyl-5-oxo-1-phenyl- 4,5-dihydro-1H-pyrazol-4-yl)-4-oxobutanamide	675 (M + H)	3
	808	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-2-[2-(trifluoromethoxy)phenyl]acetamide	501 (M + H)	3
10	809	4-(benzyloxy)-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]-3,5-dimethylbenzamide	537 (M + H)	3
	810	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl) methyl]-9H-xanthene-9-carboxamide	507 (M + H)	3
15	811	2-(1-benzothien-3-yl)-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)methyl]acetamide	473 (M + H)	3
	812	5-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)thiophene-2-carboxamide	424 (M + H)	3
20	813	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(2,3,6-trichlorophenyl)acetamide	456 (M + H)	3
	814	2-(2-chloro-4-fluorophenyl)-N-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)acetamide	406 (M + H)	3
25	815	5-(4-chloro-2-nitrophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl)amino}cyclohexyl)-2-furamide	485 (M + H)	1
	816	5-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)thiophene-2-carboxamide	380 (M + H)	3
30	817	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2,3-diphenylpropanamide	444 (M + H)	3
	818	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3-(2-hydroxyphenyl)propanamide	384 (M + H)	3
35	819	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 5-iodo-2-furamide	456 (M + H)	2
	820	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(2-iodophenyl)acetamide	480 (M + H)	3
40	821	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino)cyclohexyl)- 2-(5-methoxy-2-methyl-1H-indol-3-yl)acetamide	437 (M +H)	3
	822	(2E)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-3-(3-nitrophenyl)acrylamide	411 (M + H)	3
45	823	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3-oxoindane-1-carboxamide	394 (M + H)	3
	824	2-benzyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)benzamide	430 (M + H)	3
50	825	2,2-bis(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)acetamide	498 (M + H)	3
	826	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 5-(4-methyl-2-nitrophenyl)-2-furamide	465 (M + H)	2
55	827	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 5-nitrothiophene-2-carboxamide	391 (M + H)	2
	828	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3-methyl-4-nitrobenzamide	399 (M + H)	2

	Ex. No.	compound name	MS	class
5	829	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3-methoxy-4-nitrobenzamide	415 (M + H)	1
	830	1-benzyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-1H-indole-3-carboxamide	469 (M + H)	2
10	831	3-acetyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)benzamide	382 (M + H)	2
	832	(2R)-2-benzoyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)cyclohexanecarboxamide	450 (M + H)	3
15	833	5-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-2-furamide	408 (M + H)	1
	834	3-cyclohexyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)propanamide	374 (M + H)	3
20	835	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-[(4-methylpyrimidin-2-yl)thio]acetamide	402 (M + H)	3
	836	5-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)-2-furamide	440 (M + H)	1
25	837	3-(3,4-dichlorophenyl)-N-(cis-4-{4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)propanamide	436 (M + H)	3
	838	2-(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)acetamide	422 (M + H)	3
30	839	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(4-hydroxy-3,5-dimethoxyphenyl)acetamide	430 (M + H)	3
	840	4,5-dibromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)thiophene-2-carboxamide	501 (M + H)	2
35	841	2-(3,5-dimethoxyphenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)acetamide	414 (M + H)	3
	842	2-(3,5-di-tert-butyl-4-hydroxyphenyl)-N-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)acetamide	482 (M + H)	1
40	843	N2,N6-dibenzoyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)lysinamide	572 (M + H)	2
	844	3-(dimethylamino)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)benzamide	383 (M + H)	2
45	845	4,5-dibromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-2-furamide	486 (M + H)	1
	846	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 4-(4-fluorophenyl)-4-oxobutanamide	414 (M + H)	3
50	847	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(2-fluorobiphenyl-4-yl)propanamide	462 (M + H)	3
50	848	1-benzyl-Nalpha-(tert-butoxycarbonyl)-N-(cis-4-{ [4-(dimethylamino)pyrimidin-2-yl]amino) cyclohexyl)-L- histidinamide	563 (M + H)	3
55	849	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-[4-(1-oxo-1,3-dihydro-2H-isoindol-2-yl)phenyl]propanamide	499 (M + H)	3
	850	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 393 (M + H) 2-(1H-indol-3-yl)acetamide	393 (M+H)	2

	Ex. No.	compound name	MS	class
5	851	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(5-methyl-2-phenyl-1,3-thiazol-4-yl)acetamide	451 (M + H)	2
	852	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(6-methoxy-3-oxo-2,3-dihydro-1H-inden-1-yl)acetamide	438 (M + H)	3
10	853	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2- {1-[(4-methoxybenzyl)thio]cyclohexyl acetamide	512 (M + H)	3
	854	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(7-methoxy-2-oxo-2H-chromen-4-yl)acetamide	452 (M + H)	3
15	855	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(1H-indol-3-yl)-4-oxo-4-phenylbutanamide	511 (M + H)	1
	856	4-(4-bromophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)-2-(1H-indol-3-yl)-4-oxobutanamide	589 (M + H)	2
20	857	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3,5-dimethyl-2-[({[4(trifluoromethoxy)phenyl]amino}carbonyl)- amino]benzamide	586 (M + H)	3
	858	3,5-dichloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-2-[(3-phenylprop-2-ynoyl)amino]benzamide	551 (M + H)	2
25	859	3-[2-(4-bromophenyl)-6,6-dimethyl-4-oxo-4,5,6,7-tetrahydro-1H-indol-1-yl]-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)benzamide	655 (M + H)	3
30	860	4-(4-tert-butylphenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)-2-(7-ethyl-1H-indol-3-yl)-4-oxobutanamide	595 (M + H)	3
	861	4-(4-tert-butylphenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)-2-(1-methyl-1H-indol-3-yl)-4-oxobutanamide	581 (M + H)	3
35	862	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(1-methyl-1H-indol-3-yl)-4-(4-methylphenyl)-4-oxobutanamide	539 (M + H)	1
	863	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl)- 2-methyl-1-(3-morpholin-4-ylpropyl)-5-phenyl-1H-pyrrole- 3-carboxamide	546 (M + H)	2
40	864	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 4-(4-nitrophenyl)butanamide	427 (M + H)	2
	865	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-[(3-nitropyridin-2-yl)thio]acetamide	432 (M + H)	-
45	866	(2E)-N-(cis-4-1[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-3-(2-nitrophenyl)acrylamide	411 (M + H)	3
	867	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(3-phenoxyphenyl)acetamide	446 (M + H)	3
50	868	N-(cis-4-1[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(4-phenoxyphenyl)acetamide	446 (M +H)	3
	869	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(2-phenyl-1H-indol-3-yl)acetamide	469 (M + H)	1
55	870	N2-benzoyl-N5-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino)} cyclohexyl)-N 1,N 1-dipropylglutamamide	552 (M + H)	2
	871	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3-phenoxybenzamide	432 (M + H)	2

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5	872	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(2-phenylethyl)benzamide	444 (M + H)	3
	873	3-benzoyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)benzamide	444 (M + H)	2
10	874	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(ethylthio)-2,2-diphenylacetamide	490 (M + H)	1
	875	2-[(2-cyanoghenyl)thio]-N-(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)benzamide	473 (M + H)	3
15	876	2-[4-(benzyloxy)-3-methoxyphenyl]-N-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)acetamide	490 (M + H)	3
	877	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) N'-[(1R)-1-(1-naphthyl)ethyl)phthalamide	537 (M +H)	2
20	878	(2S)-2-(3-benzoylphenyl)-N-(cis-4-{[4-cyclohexyl)propanamide (dimethylamino)pyrimidin-2-yl]amino cyclohexyl)propanamide	472 (M + H)	2
	879	N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N, N-bis[(1S)-1-phenylethyl]phthalamide	591 (M + H)	1
25	880	(2S)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-2-(2-fluorobiphenyl-4-yl)propanamide	462 (M + H)	3
	881	2-[(4-chlorobenzyl)thio]-4-(4-chlorophenyl)-N-(cis-4-{ [4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 4-oxobutanamide	586 (M + H)	3
30	882	2-[(4-chlorobenzyl)thio]-N-(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)-4-(4-methylphenyl)-4-oxobutanamide	566 (M + H)	3
25	883	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-{ (1E)-5-fluoro-2-methyl-1-[4-(methylsulfinyl)benzylidene]-1H- inden-3-yl}acetamide	574 (M + H)	2
35	884	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-[4-(2-thienylcarbonyl)phenyl]propanamide	478 (M + H)	2
40	885	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 4-oxo-4-(2-thienyl)butanamide	402 (M + H)	3
40	886	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 4-(2-thienyl)butanamide	388 (M + H)	3
	887	2-[5-(benzyloxy)-1H-indol-3-yl]-N-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)acetamide	499 (M + H)	3
45	888	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(1-naphthoyl)benzamide	494 (M + H)	3
	889	3-(benzyloxy)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-4-methoxybenzamide	476 (M + H)	1
50	890	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-methyl-1,5-diphenyl-1H-pyrrole-3-carboxamide	495 (M + H)	1
55	891	1-{2-[(2-chloro-6-fluorobenzyl)thio]ethyl}-N-(cis-4-{ [4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-methyl- 5-phenyl-1H-pyrrole-3-carboxamide	621 (M + H)	1
	892	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) anthracene-9-carboxamide	440 (M + H)	3

	Ex. No.	compound name	MS	class
5	893	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-phenoxybenzamide	432 (M + H)	2
	894	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) biphenyl-2-carboxamide	416 (M + H)	3
10	895	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino)cyclohexyl)- 3,3-diphenylpropanamide	444 (M + H)	3
	896	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-phenylquinoline-4-carboxamide	467 (M + H)	2
15	897	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-[(1S)-1-phenylethyl]phthalamide	487 (M + H)	3
	898	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-(4-methylbenzoyl)benzamide	458 (M + H)	3
20	899	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino)cyclohexyl)- 2-(phenoxymethyl)benzamide	446 (M + H)	3
	900	2-[4-(4-chlorophenyl)-2-phenyl-1,3-thiazol-5-yl]-N-(cis-4-{ [4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)acetamide	547 (M + H)	1
25	901	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 1-[(4-methylphenyl)sulfonyl]-1H-pyrrole-3-carboxamide	483 (M + H)	2
	902	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 5-(3-nitrophenyl)-2-furamide	451 (M + H)	2
30	903	3-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-4-(methylsulfonyl)thiophene-2-carboxamide	458 (M + H)	3
	904	3-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-4-(isopropylsulfonyl)-5-(methylthio)thiophene- 2-carboxamide	532 (M + H)	2
35	905	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3-iodo-4-(isopropylsulfonyl)-5-(methylthio)thiophene- 2-carboxamide	624 (M + H)	2
	906	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 5-nitrothiophene-3-carboxamide	391 (M + H)	1
40	907	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 1-methyl-4-nitro-1H-pyrrole-2-carboxamide	388 (M + H)	1
	908	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-1 -(phenylsulfonyl)-1 H-indole-3-carboxamide	519 (M + H)	3
45	909	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 4-nitrobenzamide	385 (M + H)	2
	910	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-methoxy-4-nitrobenzamide	415 (M + H)	3
50	911	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3-fluoro-4-(trifluoromethyl)benzamide	426 (M + H)	3
	912	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-fluoro-4-nitrobenzamide	403 (M + H)	3
55	913	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 3,5-dimethyl-4-nitrobenzamide	413 (M + H)	2

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5	914	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 2-mesityl-2-oxoacetamide	410 (M + H)	2
	915	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) quinoline-3-carboxamide	391 (M + H)	3
10	916	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl)amino}cyclohexyl)- 2-methoxy-2-phenylacetamide	384 (M + H)	3
	917	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 1,2,3,4-tetrahydronaphthalene-2-carboxamide	394 (M + H)	3
15	918	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 1,3-benzothiazole-6-carboxamide	397 (M + H)	3
	919	5-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-2-hydroxybenzamide	390 (M + H)	3
20	920	2-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-5-(methylthio)benzamide	420 (M + H)	3
	921	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 7-methoxy-1-benzofuran-2-carboxamide	410 (M+H)	3
25	922	2-amino-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)-3-methylbenzamide	369 (M + H)	3
	923	2-(allylthio)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)nicotinamide	413 (M + H)	3
30	924	3,5-di-tert-butyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)-4-hydroxybenzamide	468 (M + H)	1
	925	5-bromo-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl)thiophene-2-carboxamide	438 (M + H)	3
35	926	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2-(2,3,6-trichlorophenyl)acetamide	470 (M + H)	1
	927	2-(2-chloro-4-fluorophenyl)-N-[(cis-4-{[4-(dimethylamino)- pyrimidin-2-yl]amino}-cyclohexyl)methyl]acetamide	420 (M + H)	3
40	928	5-(4-chloro-2-nitrophenyl)-N-[(cis-4-{[4-(dimethylamino)- pyrimidin-2-yl]amino}-cyclohexyl)methyl]-2-furamide	499 (M + H)	3
	929	5-chloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]thiophene-2-carboxamide	394 (M + H)	3
45	930	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-2,3-diphenylpropanamide	458 (M + H)	2
	931	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-3-(2-hydroxyphenyl)propanamide	398 (M + H)	3
50	932	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-5-iodo-2-furamide	470 (M + H)	2
	933	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- methyl]-2-(5-methoxy-2-methyl-1H-indol-3-yl)acetamide	451 (M + H)	3
EE	934	(2E)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]-3-(3-nitrophenyl)acrylamide	425 (M + H)	1
55	935	N-((cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-3-oxoindane-1-carboxamide	408 (M + H)	1

	Ex. No.	compound name	MS	class
5	936	2-benzyl-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	444 (M + H)	2
	937	2,2-bis(4-chlorophenyl)-N-[(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)methyl]acetamide	512 ( + H)	1
10	938	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]-5-(4-methyl-2-nitrophenyl)-2-furamide	479 (M + H)	3
	939	N-[(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl) methyl]-5-nitrothiophene-2-carboxamide	405 (M + H)	3
15	940	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-3-methyl-4-nitrobenzamide	413 (M + H)	1
	941	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]aminolcyclohexyl) methyl]-3-methoxy-4-nitrobenzamide	429 (M + H)	1
20	942	1-benzyl-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]-1H-indole-3-carboxamide	483 (M + H)	3
	943	2-cyclohex-1-en-1-yl-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)methyl]acetamide	372 (M + H)	3
25	944	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-4-(4-ethoxyphenyl)-2-(3-methyl-5-oxo-1-phenyl- 4,5-dihydro-1H-pyrazol-4-yl)-4-oxobutanamide	626 (M + H)	3
	945	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl) methyl]-2-[2-(trifluoromethoxy)phenyl]-acetamide	452 (M + H)	1
30	946	4-(benzyloxy)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]-3,5-dimethylbenzamide	488 (M + H)	3
	947	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-9H-xanthene-9-carboxamide	458 (M + H)	1
35	948	2-(1-benzothien-3-yl)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)methyl]acetamide	424 (M + H)	1
	949	5-bromo-N-(cis-4-([4-(dimethylamino)-5,6,7,8-tetrahydro-quinazolin-2-yl]amino)cyclohexyl)-thiophene-2-carboxamide	478 (M + H)	2
40	950	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(2,3,6-trichlorophenyl)acetamide	510 (M + H)	2
	951	2-(2-chloro-4-fluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)acetamide	460 (M + H)	1
45	952	5-(4-chloro-2-nitrophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-furamide	539 (M + H)	1
	953	5-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-thiophene-2-carboxamide	434 (M + H)	1
50	954	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2,3-diphenylpropanamide	498 (M + H)	2
	955	N-(cis-4-{[4-(dimethylanimo)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3-(2-hydroxyphenyl)propanamide	438 (M + H)	2
55	956	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-5-iodo-2-furamide	510 (M + H)	1

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5	957	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(2-iodophenyl)acetamide	534 (M + H)	2
	958	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(5-methoxy-2-methyl-1H-indol-3-yl) acetamide	491 (M + H)	2
10	959	(2E)-N-(cis-4-[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin- 2-yl]amino]cyclohexyl)-3-(3-nitrophenyl)acrylamide	465 (M + H)	3
	960	N-(cis-4-([4-{(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3-oxoindane-1-carboxamide	448 (M + H)	2
15	961	2-benzyl-N-(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide	484 (M+H)	2
	962	2,2-bis(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)acetamide	552 (M+H)	1
20	963	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cydohexyl)-5-(4-methyl-2-nitrophenyl)-2-furamide	519 (M + H)	2
	964	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-5-nitrothiophene-2-carboxamide	445 (M + H)	1
25	965	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3-methyl-4-nitrobenzamide	453 (M + H)	1
	966	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3-methoxy-4-nitrobenzamide	469 (M + H)	1
30	967	1-benzyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro- quinazolin-2-yl]amino}cyclohexyl)-1H-indole-3-carboxamide	523 (M + H)	3
	968	3-acetyl-N-(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide	436 (M+H)	1
35	969	(2R)-2-benzoyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-cyclohexanecarboxamide	504 (M + H)	3
	970	5-bromo-N-(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-furamide	462 (M + H)	1
40	971	3-cyclohexyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)propanamide	428 (M + H)	3
	972	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-[(4-methylpyrimidin-2-yl)thio]acetamide	456 (M + H)	2
45	973	5-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-furamide	494 (M + H)	1
	974	3-(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)propanamide	490 (M + H)	3
50	975	2-(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)acetamide	476 (M + H)	1
	976	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(4-hydroxy-3,5-dimethoxyphenyl)acetamide	484 (M + H)	1
55	977	4,5-dibromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiophene-2-carboxamide	556 (M + H)	2

	Ex. No.	compound name	MS	class
5	978	2-(3,5-dimethoxyphenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)acetamide	468 (M + H)	3
	979	2-(3,5-di-tert-butyl-4-hydroxyphenyl)-N-(cis-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)acetamide	536 (M + H)	3
10	980	N2,N6-dibenzoyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)lysinamide	626 (M + H)	2
	981	3-(dimethylamino)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide	437 (M + H)	2
15	982	4,5-dibromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-furamide	540 (M + H)	1
	983	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-4-(4-fluorophenyl)-4-oxobutanamide	468 (M + H)	2
20	984	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(2-fluorobiphenyl-4-yl)propanamide	516 (M + H)	2
	985	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-[4-(1-oxo-1,3-dihydro-2H-isoindol-2-yl) phenyl]propanamide	553 (M + H)	2
25	986	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(1H-indol-3-yl)acetamide	447 (M + H)	1
30	987	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(5-methyl-2-phenyl-1,3-thiazol-4-yl) acetamide	505 (M + H)	3
	988	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(6-methoxy-3-oxo-2,3-dihydro-1H-inden-1 -yl)acetamide	492 (M + H)	3
35	989	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-{1-[(4-methoxybenzyl)thio]-cyclohexyl} acetamide	566 (M + H)	3
40	990	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(7-methoxy-2-oxo-2H-chromen-4-yl) acetamide	506 (M + H)	1
	991	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(1H-indol-3-yl)-4-oxo-4-phenylbutanamide	565 (M + H)	2
45	992	4-(4-bromophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-(1H-indol-3-yl)-4-oxobutanamide	643 (M + H)	3
	993	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3,5-dimethyl-2-[({[4-(trifluoromethoxy)phenyl] amino}carbonyl)amino]benzamide	640 (M + H)	1
50	994	3,5-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-[(3-phenylprop-2-ynoyl)amino]benzamide	605 (M + H)	1
55	995	3-[2-(4-bromophenyl)-6,6-dimethyl-4-oxo-4,5,6,7-tetrahydro-1H-indol-1-yl]-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide	709 (M + H)	3

	Ex. No.	compound name	MS	class
5	996	4-(4-tert-butylphenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-(7-ethyl-1H-indol-3-yl)-4-oxobutanamide	649 (M + H)	1
10	997	4-(4-tert-butylphenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-(1-methyl-1H-indol-3-yl)-4-oxobutanamide	635 (M + H)	3
	998	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(1-methyl-1H-indol-3-yl)-4-(4-methylphenyl)-4-oxobutanamide	593 (M + H)	2
15	999	N-(2,4-dichlorophenyl)-2-{2-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino]-2-oxoethyl}benzamide	595 (M + H)	3
20	1000	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-methyl-1-(3-morpholin-4-ylpropyl)-5-phenyl- 1H-pyrrole-3-carboxamide	600 (M + H)	1
	1001	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-4-(4-nitrophenyl)butanamide	481 (M + H)	1
25	1002	(2E) N (cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-3-(2-nitrophenyl)acrylamide	465 (M + H)	3
	1003	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(3-phenoxyphenyl)acetamide	500 (M + H)	2
30	1004	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(4-phenoxyphenyl)acetamide	500 (M + H)	2
	1005	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(2-phenyl-1H-indol-3-yl)acetamide	523 (M + H)	1
35	1006	N2-benzoyl-N5-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl) -N1,N1-dipropylglutamamide	606 (M + H)	1
	1007	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3-phenoxybenzamide	486 (M + H)	1
40	1008	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(2-phenylethyl)benzamide	498 (M+H)	3
	1009	3-benzoyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide	498 (M + H)	3
45	1010	N-(cis-4-[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(ethylthio)-2,2-diphenylacetamide	544 (M + H)	2
	1011	2-[(2-cyanophenyl)thio]-N-(cis-4-[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide	527 (M + H)	3
50	1012	2-[4-(benzyloxy)-3-methoxyphenyl]-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)acetamide	544 (M + H)	3
	1013	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] aminol}cyclohexyl)-N'-[(1R)-1-(1-naphthyl)ethyl]phthalamide	591 (M + H)	3
55	1014	(2S)-2-(3-benzoylphenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)propanamide	526 (M + H)	3

	Ex. No.	compound name	MS	class
5	1015	N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N,N-bis[(1S)-1-phenylethyl]phthalamide	645 (M + H)	1
	1016	(2S)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-(2-fluorobiphenyl-4-yl)propanamide	516 (M + H)	2
10	1017	2-[(4-chlorobenzyl)thio]-4-(4-chlorophenyl)-N-(cis-4-{ [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)-4-oxobutanamide	640 (M + H)	3
15	1018	2-[(4-chlorobenzyl)thio]-N-(cis-4-{[4-(dimethylamino )-5,6, 7, 8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-4-(4-methylphenyl)-4-oxobutanamide	620 (M + H)	2
	1019	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-{(1E)-5-fluoro-2-methyl-1-[4-(methylsulfinyl) benzylidene]-1H-inden-3-yl }acetamide	628 (M + H)	1
20	1020	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-[4-(2-thienylcarbonyl)phenyl]propanamide	532 (M + H)	2
	1021	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-4-oxo-4-(2-thienyl)butanamide	456 (M + H)	3
25	1022	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-4-(2-thienyl)butanamide	442 (M + H)	3
	1023	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(2,4,6-trichlorophenoxy)acetamide	526 (M + H)	3
30	1024	2 [5-(benzyloxy)-1H-indol-3-yl]-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)acetamide	553 (M + H)	3
	1025	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(1 -naphthoyl)benzamide	548 (M + H)	3
35	1026	3-(benzyloxy)-N-(cis-4-{[4-{dimethylamino}-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-4-methoxybenzamide	530 (M + H)	1
40	1027	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino]cyclohexyl)-2-methyl-1,5-diphenyl-1H-pyrrole- 3-carboxamide	549 (M + H)	2
	1028	1-{2-[(2-chloro-6-fluorobenzyl)thio]ethyl]-N-(cis-4-{{4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-methyl-5-phenyl-1H-pyrrole-3-carboxamide	675 (M + H)	2
45	1029	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)anthracene-9-carboxamide	494 (M + H)	3
	1030	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-phenoxybenzamide	486 (M + H)	1
50	1031	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)biphenyl-2-carboxamide	470 (M + H)	3
	1032	N-(cis-4-{[4(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3,3-diphenylpropanamide	498 (M + H)	3
55	1033	N-(cis-4-[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-phenylquinoline-4-carboxamide	521 (M + H)	2

	Ex. No.	compound name	MS	class
5	1034	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-{(1S)-1-phenylethyl]phthalamide	541 (M + H)	3
	1035	N-(cis-4-[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(4-methylbenzoyl)benzamide	512 (M + H)	3
10	1036	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-(phenoxymethyl)benzamide	500 (M + H)	3
	1037	2-[4-(4-chlorophenyl)-2-phenyl-1,3-thiazol-5-yl]-N-(cis-4-{ [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)acetamide	601 (M + H)	3
15	1038	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-1-[(4-methylphenyl)sulfonyl]-1H-pyrrole-3-carboxamide	537 (M + H)	3
20	1039	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-5-(3-nitrophenyl)-2-furamide	505 (M + H)	2
	1040	3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro- quinazolin-2-yl]amino}cyclohexyl)-4-(methylsulfonyl)thiophene- 2-carboxamide	512 (M + H)	3
25	1041	3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-4-(isopropylsulfonyl)-5-(methylthio)thiophene-2-carboxamide	586 (M + H)	3
30	1042	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3-iodo-4-(isopropylsulfonyl)-5-(methylthio) thiophene-2-carboxamide	678 (M + H)	3
	1043	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-5-nitrothiophene-3-carboxamide	445 (M + H)	1
35	1044	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-1-methyl-4-nitro-1H-pyrrole-2-carboxamide	442 (M + H)	1
	1045	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-1-(phenylsulfonyl)-1H-indole-3-carboxamide	573 (M + H)	3
40	1046	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-4-nitrobenzamide	439 (M + H)	3
	1047	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-methoxy-4-nitrobenzamide	469 (M + H)	2
45	1048	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3-fluoro-4-(trifluoromethyl)benzamide	480 (M + H)	3
	1049	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-fluoro-4-nitrobenzamide	457 (M + H)	3
50	1050	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-3,5-dimethyl-4-nitrobenzamide	467 (M + H)	3
	1051	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-mesityl-2-oxoacetamide	464 (M + H)	3
55	1052	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-2-methoxy-2-phenylacetamide	438 (M + H)	2
	1053	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-1,2,3,4-tetrahydronaphthalene-2-carboxamide	448 (M + H)	3

	Ex. No.	compound name	MS	class
5	1054	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-1,3-benzothiazole-6-carboxamide	451 (M + H)	3
	1055	5-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro-quinazolin-2-yl]amino}cyclohexyl)-2-hydroxybenzamide	444 (M + H)	1
10	1056	2-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro- quinazolin-2-yl]amino)cyclohexyl)-5-(methylthio)-benzamide	474 (M + H)	3
	1057	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-7-methoxy-1-benzofuran-2-carboxamide	464 (M + H)	3
15	1058	2-amino-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-3-methylbenzamide	423 (M + H)	3
	1059	2-(allylthio)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)nicotinamide	467 (M + H)	3
20	1060	3,5-di-tert-butyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-4-hydroxybenzamide	522 (M + H)	3
25	1061	5-bromo-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] thiophene-2-carboxamide	492 (M + H)	3
	1062	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-(2,3,6-trichlorophenyl)acetamide	524 (M + H)	2
30	1063	2-(2-chloro-4-fluorophenyl)-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]-acetamide	474 (M + H)	3
35	1064	5-(4-chloro-2-nitrophenyl)-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]-2-furamide	553 (M + H)	3
	1065	5-chloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro- quinazolin-2-yl]amino}cyclohexyl)methyl]thiophene- 2-carboxamide	448 (M + H)	3
40	1066	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino]cyclohexyl)methyl]-2,3-diphenylpropanamide	512 (M + H)	3
	1067	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-3-(2-hydroxyphenyl)propanamide	452 (M + H)	3
45	1068	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-5-iodo-2-furamide	524 (M + H)	3
	1069	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-(2-iodophenyl)acetamide	548 (M + H)	3
50	1070	(2E)-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]-3-(3-nitrophenyl)acrylamide	479 (M + H)	2
	1071	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-3-oxoindane-1-carboxamide	462 (M + H)	3
55	1072	2-benzyl-N-[(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] benzamide	498 (M + H)	3

	Ex. No.	compound name	MS	class
5	1073	2,2-bis(4-chlorophenyl)-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] acetamide	566 (M + H)	3
	1074	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-5-(4-methyl-2-nitrophenyl)-2-furamide	533 (M + H)	3
10	1075	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-5-nitrothiophene-2-carboxamide	459 (M + H)	3
	1076	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-3-methyl-4-nitrobenzamide	467 (M + H)	3
15	1077	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-3-methoxy-4-nitrobenzamide	483 (M + H)	3
20	1078	1-benzyl-N-[(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]-1H- indole-3-carboxamide	537 (M + H)	3
	1079	2-cyclohex-1-en-1-yl-N-[(cis-4-[[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] acetamide	426 (M + H)	3
25	1080	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-4-(4-ethoxyphenyl)-2-(3-methyl-5-oxo- 1-phenyl-4,5-dihydro-1H-pyrazol-4-yl)-4-oxobutanamide	680 (M + H)	3
30	1081	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-2-[2-(trifluoromethoxy)phenyl]-acetamide	506 (M + H)	3
	1082	4-(benzyloxy)-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]-3,5-dimethylbenzamide	542 (M + H)	3
35	1083	N-[(cis-4-{(4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino]cyclohexyl)methyl]-9H-xanthene-9-carboxamide	512 (M + H)	3
	1084	2-(1-benzothien-3-yl)-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] acetamide	478 (M + H)	3
40	1085	N2-{cis-4-[(2,6-dimethoxybenzyl)amino]cyclohexyl } -N4,N4-dimethylquinoline-2,4-diamine	435 (M + H)	3
	1086	N2-{cis-4-[(2-ethoxybenzyl)amino]cyclohexyl} -N4,N4-dimethylquinoline-2,4-diamine	419 (M + H)	3
45	1087	N2-{cis-4-[(1H-indol-3-ylmethyl)amino]cyclohexyl} -N4,N4-dimethylquinoline-2,4-diamine	414 (M + H)	3
	1088	N2-{cis-4-[(2,5-dimethoxybenzyl)amino]cyclohexyl} -N4,N4-dimethylquinoline-2,4-diamine	435 (M + H)	3
50	1089	N2-(cis-4-{[(4-methoxy-1-naphthyl)methyl]amino}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	455 (M + H)	3
	1090	N2-(cis-4-{[(5-methoxy-1H-indol-3-yl)methyl]amino}-cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	444 (M + H)	3
55	1091	N2-(cis-4-{[(2-methoxy-1-naphthyl)methyl]amino}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	455 (M + H)	3

	Ex. No.	compound name	MS	class
5	1092	4-bromo-2-{[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)amino}methyl}-6-methoxyphenol	499 (M + H)	3
	1093	N2-(cis-4-{[(5-bromo-1H-indol-3-yl)methyl]amino}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	492 (M + H)	3
10	1094	N2-{cis-4-[(2,4-dimethoxybenzyl)amino]cyclohexyl} -N4,N4-dimethylquinoline-2,4-diamine	435 (M + H)	3
	1095	N4,N4-dimethyl-N2-{cis-4-[(2,3,4-trimethoxybenzyl)amino] cyclohexyl}quinoline-2,4-diamine	465 (M + H)	3
15	1096	4-{[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) amino]methyl}-2,6-dimethoxyphenol	451 (M + H)	3
	1097	N2-{cis-4-[(3-ethoxy-4-methoxybenzyl)amino]cyclohexyl} -N4,N4-dimethylquinoline-2,4-diamine	449 (M + H)	3
20	1098	N4,N4-dimethyl-N2-{cis-4-[({3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl}methyl)amino]cyclohexyl}quinoline-2,4-diamine	509 (M + H)	3
	1099	N4,N4-dimethyl-N2-{cis-4-[(3,4,5-trimethoxybenzyl)amino] cyclohexyl}quinoline-2,4-diamine	465 (M + H)	3
25	1100	N4,N4-dimethyl-N2-{cis-4-[(pentamethylbenzyl)amino] cyclohexyl}quinoline-2,4-diamine	445 (M + H)	3
	1101	N2-{cis-4-[(3,5-dimethoxybenzyl)amino]cyclohexyl} -N4,N4-dimethylquinoline-2,4-diamine	435 (M + H)	3
30	1102	4-{[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) amino]methyl}-2-iodo-6-methoxyphenol	547 (M + H)	3
	1103	4-{[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) amino]methyl}-2,6-dimethylphenol	419 (M + H)	3
35	1104	N2-{cis-4-[(3-methoxybenzyl)amino]cyclohexyl} -N4,N4-dimethylquinoline-2,4-diamine	405 (M + H)	3
	1105	N2-{cis-4-[(3-bromo-4-fluorobenzyl)amino]cyclohexyl} -N4,N4-dimethylquinoline-2,4-diamine	471 (M + H)	3
40	1106	N4,N4-dimethyl-N2-{cis-4-[(3-phenylbutyl)amino]cyclohexyl} quinoline-2,4-diamine	417 (M + H)	3
	1107	3-{[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino]cyclohexyl)- amino}methyl}-6-methyl-4H-chromen-4-one	457 (M + H)	3
45	1108	3-{[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- amino]methyl}-6,8-dimethyl-4H-chromen-4-one	471 (M + H)	3
	1109	N2-(cis-4-{[(2,5-dimethyl-1-phenyl-1H-pyrrol-3-yl)methyl]amino} cyclohexyl)-N4,N4-dimethylquinoline-2,4-diamine	468 (M + H)	3
50	1110	N4,N4-dimethyl-N2-{cis-4-[(2-phenylpropyl)amino]cyclohexyl} quinoline-2,4-diamine	403 (M + H)	3
-	1111	N2-(cis-4-{[(2E)-2-benzylideneheptyl]amino}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	471 (M + H)	3
<i>ee</i>	1112	N2-(cis-4-{[(2E)-3-(2-methoxyphenyl)prop-2-en-1-yl]amino} cyclohexyl)-N4,N4-dimethylquinoline-2,4-diamine	431 (M + H)	3
55	1113	6-chloro-3-{[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)amino]methyl-4H-chromen-4-one	477 (M + H)	3

	Ex. No.	compound name	MS	class
5	1114	N2-[cis-4-({[5-(4-fluorophenyl)pyridin-3-yl]methyl}amino)- cyclohexyl]-N4,N4-dimethylquinoline-2,4-diamine	470 (M + H)	3
	1115	ethyl 4,6-dichloro-3-{[(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)amino]methyl}-1H-indole-2-carboxylate	552 (M - H)	1
10	1116	methyl 2-[(5-{[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)amino]methyl}imidazo[2,1-b][1,3]thiazol-6-yl)thio] benzoate	587 (M + H)	3
	1117	N2-[cis-4-({[3-(4-fluorophenyl)-1H-pyrazol-4-yl]methyl}amino)-cyclohexyl]-N4,N4-dimethylquinoline-2,4-diamine	459 (M + H)	3
15	1118	N4,N4-dimethyl-N2-(cis-4-{(4-(methylthio)benzyl]amino} cyclohexyl)quinoline-2,4-diamine	421 (M + H)	3
	1119	N4,N4-dimethyl-N2-{cis-4-[(1-naphthylmethyl)amino]cyclohexyl} quinoline-2,4-diamine	425 (M + H)	3
20	1120	4-{[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) amino]methyl}-2-methoxyphenol	421 (M + H)	3
	1121	N2-{cis-4-[(3-chloro-4-fluorobenzyl)amino]cyclohexyl} -N4,N4-dimethylquinoline-2,4-diamine	427 (M + H)	3
25	1122	N2-(cis-4-{[(2,6-dimethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	449 (M + H)	22
	1123	N2-(cis-4-{[(2-ethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	433 (M + H)	2
30	1124	N2-(cis-4-{[(1H-indol-3-ylmethyl)amino]methyl}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	428 (M + H)	3
	1125	N2-(cis-4-{[(2,5-dimethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	449 (M + H)	3
35	1126	N2-[cis-4-({[(4-methoxy-1-naphthyl)methyl]amino}methyl)-cyclohexyl]-N4,N4-dimethylquinoline-2,4-diamine	469 (M + H)	2
	1127	N2-[cis-4-({[(5-methoxy-1H-indol-3-yl)methyl]amino}-methyl) cyclohexyl]-N4,N4-dimethylquinoline-2,4-diamine	458 (M + H)	3
40	1128	N2-[cis-4-({[(2-methoxy-1-naphthyl)methyl]amino}methyl)- cyclohexyl]-N4,N4-dimethylquinoline-2,4-diamine	469 (M + H)	3
	1129	4-bromo-2-({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]amino}methyl)-6-methoxyphenol	513 (M + H)	2
45	1130	N2-[cis-4-({[(5-bromo-1H-indol-3-yl)methyl]amino}methyl)-cyclohexyl]-N4,N4-dimethylquinoline-2,4-diamine	506 (M + H)	2
	1131	N2-(cis-4-{[2,4-dimethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	449 (M + H)	3
50	1132	N4,N4-dimethyl-N2-(cis-4-{(2,3,4-trimethoxybenzyl)amino]-methyl}cyclohexyl)-quinoline-2,4-diamine	479 (M + H)	3
	1133	4-({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)- methyl]amino}methyl)-2,6-dimethoxyphenol	465 (M + H)	3
55	1134	N2-(cis-4-{[(3-ethoxy-4-methoxybenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethylquinoline-2,4-diamine	463 (M + H)	3

	Ex. No.	compound name	MS	class
5	1135	N4,N4-dimethyl-N2-(cis-4-{[({3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl}methyl)amino]methyl}cyclohexyl)-quinoline-2,4-diamine	523 (M + H)	3
	1136	N4,N4-dimethyl-N2-(cis-4-{[(3,4,5-trimethoxybenzyl)amino]-methyl]cyclohexyl)-quinoline-2,4-diamine	479 (M + H)	3
10	1137	N4,N4-dimethyl-N2-(cis-4-{[(pentamethylbenzyl)amino]-methyl} cyclohexyl)-quinoline-2,4-diamine	459 (M + H)	3
	1138	N2-(cis-4-{[(3,5-dimethoxybenzyl)amino]methyl]cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	449 (M + H)	3
15	1139	4-({[(cis-4-[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]amino}methyl]-2-iodo-6-methoxyphenol	561 (M + H)	3
	1140	4({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]amino}methyl)-2,6-dimethylphenol	433 (M + H)	3
20	1141	N2-(cis-4-{[(4-methoxybenzyl)amino]methyl]cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	419 (M + H)	3
	1142	N2-(cis-4-{[(2,3-dihydro-1,4-benzodioxin-6-ylmethyl)amino]-methyl}cyclohexyl)-N4,N4-dimethylquinoline-2,4-diamine	447 (M + H)	3
25	1143	N2-(cis-4-{[(3-bromobenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	467 (M + H)	3
	1144	N2-(cis-4-{[(5-bromo-2,4-dimethoxybenzyl)amino]methyl} cyclohexyl)-N4,N4-dimethylquinoline-2,4-diamine	527 (M + H)	2
30	1145	N2-(cis-4-{[(5-bromo-2-methoxybenzyl)amino]methyl}- cyclohexyl)-N4,N4-dimethylquinoline-2,4-diamine	497 (M + H)	3
	1146	3-chloro-4-({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]amino}methyl)phenol	439 (M + H)	3
35	1147	2-({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]amino}methyl)benzonitrile	414 (M + H)	3
	1148	N2-(cis-4-{[(3-chlorobenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	423 (M + H)	?
40	1149	N2-(cis-4-{[(4-chlorobenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	423 (M + H)	3
	1150	N2-(cis-4-({[4-(diethylamino)benzyl]amino}methyl)cyclohexyl] -N4,N4-dimethylquinoline-2,4-diamine	460 (M + H)	3
45	1151	N2-[cis-4-({[4-(dimethylamino)benzyl]amino}methyl)-cyclohexyl] -N4,N4-dimethylquinoline-2,4-diamine	432 (M + H)	3
	1152	N2-[cis-4-({[(9-ethyl-9H-carbazol-3-yl)methyl]amino}methyl)-cyclohexyl]-N4,N4-dimethylquinoline-2,4-diamine	506 (M + H)	3
50	1153	N2-[cis-4-({[2-fluoro-5-(trifluoromethyl)benzyl]amino}methyl)-cyclohexyl]-N4,N4-dimethylquinoline-2,4-diamine	475 (M + H)	3
	1154	4({[(cis-4-{{4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]amino methyl)phenol	405 (M + H)	3
55	1155	[5-({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]amino}methyl)-2-furyl]methanol	409 (M + H)	3
	1156	N2-(cis-4-{[(4-isopropoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	447 (M + H)	3

	Ex. No.	compound name	MS	class
5	1157	N2-[cis-4-({[(5-ethyl-2-thienyl)methyl]amino}methyl)-cyclohexyl] -N4,N4-dimethylquinoline-2,4-diamine	423 (M + H)	3
	1158	N2-(cis-4-{[(3,3-diphenylprop-2-en-1-yl)amino]methyl}- cyclohexyl)-N4,N4-dimethylquinoline-2,4-diamine	491 (M + H)	1
10	1159	4({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]amino}methyl)-2-ethoxyphenol	449 (M + H)	3
	1160	N2-{cis-4-[({(4-(dimethylamino)-1-naphthyl]methyl}amino)-methyl]cyclohexyl}-N4,N4-dimethylquinoline-2,4-diamine	482 (M + H)	3
15	1161	N4,N4-dimethyl-N2-(cis-4-{[(2,4,6-trimethoxybenzyl)-amino] methyl}cyclohexyl)quinoline-2,4-diamine	479 (M + H)	2
	1162	2-bromo-4-chloro-6-({[(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)methyl]amino}methyl)phenol	517 (M + H)	3
20	1163	3-({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]amino}methyl)benzonitrile	414 (M + H)	3
	1164	N2-(cis-4-{[(2-fluoro-5-methoxybenzyl)amino]methyl}-cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	437 (M + H)	3
25	1165	N4,N4-dimethyl-N2-{cis-4-[({2-[(trifluoromethyl)thio]benzyl}-amino)methyl]cyclohexyl}quinoline-2,4-diamine	489 (M + H)	3
	1166	N2-(cis-4-{[(5-bromo-2-ethoxybenzyl)amino]methyl}-cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	511 (M + H)	3
30	1167	N2-(cis-4-{[(2,4-dimethoxy-3-methylbenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethylquinoline-2,4-diamine	463 (M + H)	3
	1168	N4,N4-dimethyl-N2-[cis-4-({[2-(trifluoromethoxy)benzyl]-amino} methyl)cyclohexyl]-quinoline-2,4-diamine	473 (M + H)	3
35	1169	N2-(cis-4-{[(2,5-diethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	477 (M + H)	2
	1170	N2-(cis-4-{[(2,4-diethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	477 (M + H)	2
40	1171	N2-(cis-4-{[(3,5-dibromo-2-methoxybenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethylquinoline-2,4-diamine	575 (M + H)	2
	1172	N2-(cis-4-({[2-(difluoromethoxy)benzyl]amino}methyl)-cyclohexyl] -N4,N4-dimethylquinoline-2,4-diamine	455 (M + H)	3
45	1173	N2-(cis-4-{[(5-fluoro-2-methoxybenzyl)amino]methyl}-cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	437 (M + H)	3
	1174	N4,N4-dimethyl-N2-(cis-4-{[(2,4,5-triethoxybenzyl)amino]methyl} cyclohexyl)quinoline-2,4-diamine	521 (M + H)	2
50	1175	N4,N4-dimethyl-N2-(cis-4-{[(2,4,5-trimethoxybenzyl)amino]-methyl}cyclohexyl)-quinoline-2,4-diamine	479 (M + H)	2
50	1176	N2-(cis-4-{[(2,3-dimethoxybenzyl)amino]methyl]cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	449 (M + H)	3
	1177	N2-[cis-4-({[2-(allyloxy)benzyl]amino}methyl]cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	445 (M + H)	2
55	1178	N2-(cis-4-{[(1-benzothien-3-ylmethyl)amino]methyl}-cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	445 (M + H)	3

	Ex. No.	compound name	MS	class
5	1179	N4,N4-dimethyl-N2-{cis-4-({[(1-methyl-1H-indol-3-yl)methyl] amino}methyl)cyclohexyl]quinoline-2,4-diamine	442 (M + H)	3
	1180	N4,N4-dimethyl-N2-[cis-4-({[(5-methyl-2-thienyl)methyl]amino} methyl)cyclohexyl]quinoline-2,4-diamine	409 (M + H)	3
10	1181	N2-(cis-4-{[(mesitylmethyl)amino]methyl}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	431 (M + H)	3
	1182	N2-(cis-4-{[(1,3-benzodioxol-5-ylmethyl)amino]methyl}- cyclohexyl)-N4,N4-dimethylquinoline-2,4-diamine	433 (M + H)	3
15	1183	N4,N4-dimethyl-N2-(cis-4-{[(3-thienylmethyl)amino]methyl} cyclohexyl)quinoline-2,4-diamine	395 (M + H)	3
	1184	N4,N4-dimethyl-N2-(cis-4-{[(3-methylbenzyl)amino]methyl} cyclohexyl)quinoline-2,4-diamine	403 (M + H)	3
20	1185	N4,N4-dimethyl-N2-(cis-4-{[(2-methylbenzyl)amino]methyl} cyclohexyl)quinoline-2,4-diamine	403 (M + H)	3
	1186	N4,N4-dimethyl-N2-(cis-4-{[(4-methylbenzyl)amino]methyl} cyclohexyl)quinoline-2,4-diamine	403 (M + H)	3
25	1187	N2-(cis-4-{[(3,5-dichlorobenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	457 (M + H)	3
	1188	N2-[cis-4-({[(7-methoxy-1,3-benzodioxol-5-yl)methyl]amino}-methyl)cyclohexyl]-N4,N4-dimethylquinoline-2,4-diamine	463 (M + H)	2
30	1189	N2-(cis-4-{[(3-bromo-4,5-dimethoxybenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethylquinoline-2,4-diamine	527 (M + H)	3
	1190	N2-(cis-4-{[(4-methoxy-3-methylbenzyl)amino]methyl}- cyclohexyl)-N4,N4-dimethylquinoline-2,4-diamine	433 (M + H)	3
35	1191	N2-(cis-4-{[(2-bromo-4,5-dimethoxybenzyl)amino]methyl}- cyclohexyl)-N4,N4-dimethylquinoline-2,4-diamine	527 (M + H)	3
	1192	N4,N4-dimethyl-N2-[cis-4-({[(2-methyl-5-phenyl-3-furyl)methyl] amino}methyl)cyclohexyl]quinoline-2,4-diamine	469 (M + H)	3
40	1193	N2-(cis-4-{[(3,4-dimethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	449 (M + H)	3
	1194	4-({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]amino}methyl)-2-methylphenol	419 (M + H)	3
45	1195	N2-(cis-4-{[(4-methoxy-2,5-dimethylbenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethylquinoline-2,4-diamine	447 (M + H)	3
	1196	2-({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]amino}methyl)-6-methoxyphenol	435 (M +H)	3
50	1197	N2-[cis-4-({[3-chloro-2-fluoro-5-(trifluoromethyl)benzyl]-amino} methyl)cyclohexyl]-N4,N4-dimethylquinoline-2,4-diamine	509 (M + H)	3
	1198	N2-[cis-4-({[3-fluoro-5-(trifluoromethyl)benzyl]amino}-methyl) cyclohexyl]-N4,N4-dimethylquinoline-2,4-diamine	475 (M + H)	3
55	1199	4-({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]amino}methyl)-2-fluoro-6-methoxyphenol	453 (M + H)	3
33	1200	N2-(cis-4-{[(2-fluoro-4,5-dimethoxybenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethylquinoline-2,4-diamine	467 (M + H)	3

	Ex. No.	compound name	MS	class
5	1201	N2-(cis-4-{[(2-ethylbenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	417 (M + H)	3
	1202	3-[[4-({(cis-4-{(4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl) methyl]amino}methyl)phenyl)(methyl)amino]-propanenitrile	471 (M + H)	3
10	1203	N2-{cis-4-[({4-[(4-bromobenzyl)oxy]benzyl)amino}methyl]-cyclohexyl}-N4,N4-dimethylquinoline-2,4-diamine	573 (M + H)	3
	1204	N2-(cis-4-{[(3,5-dibromo-2-ethoxybenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethylquinoline-2,4-diamine	589 (M + H)	3
15	1205	N2-{cis-4-[(2,6-dimethoxybenzyl)amino]cyclohexyl} -N4,N4-dimethylpyrimidine-2,4-diamine	386 (M + H)	3
	1206	N2-{cis-4-[(2-ethoxybenzyl)amino]cyclohexyl] -N4,N4-dimethylpyrimidine-2,4-diamine	370 (M + H)	3
20	1207	N2-{cis-4-[(1H-indol-3-ylmethyl)amino]cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	365 (M + H)	3
	1208	N2-(cis-4-{2,5-dimethoxybenzyl)amino]cyclohexyl} -N4,N4-dimethylpyrimidine-2,4-diamine	386 (M + H)	3
25	1209	N2-(cis-4-{[(4-methoxy-1-naphthyl)methyl]amino}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	406 (M + H)	3
	1210	N2-(cis-4-{[(5-methoxy-1H-indol-3-yl)methyl]amino}-cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	395 (M + H)	3
30	1211	N2-(cis-4-{[(2-methoxy-1-naphthyl)methyl]amino}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	406 (M + H)	3
	1212	4-bromo-2-{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)amino]methyl}-6-methoxyphenol	450 (M + H)	3
35	1213	N2-(cis-4-{[(5-bromo-1H-indol-3-yl)methyl]amino}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	443 (M + H)	2
	1214	N2-{cis-4-[(2,4-dimethoxybenzyl)amino]cyclohexyl} -N4,N4-dimethylpyrimidine-2,4-diamine	386 (M + H)	3
40	1215	N4,N4-dimethyl-N2-{cis-4-{(2,3,4-trimethoxybenzyl)amino} cyclohexyl}pyrimidine-2,4-diamine	416 (M + H)	3
	1216	4-{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) amino]methyl}-2,6-dimethoxyphenol	402 (M + H)	3
45	1217	N2-{cis-4-[(3-ethoxy-4-methoxybenzyl)amino]cyclohexyl} -N4,N4-dimethylpyrimidine-2,4-diamine	400 (M + H)	3
	1218	N4,N4-dimethyl-N2-{cis-4-[({3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl}methyl)amino]cyclohexyl}pyrimidine-2,4-diamine	460 (M + H)	3
50	1219	N4,N4-dimethyl-N2-{cis-4-[(3,4,5-trimethoxybenzyl)amino] cyclohexyl}pyrimidine-2,4-diamine	416 (M + H)	3
30	1220	N4,N4-dimethyl-N2-{cis-4-[(pentamethylbenzyl)amino] cyclohexyl}pyrimidine-2,4-diamine	396 (M + H)	3
	1221	N2-{cis-4-[(3,5-dimethoxybenzyl)amino]cyclohexyl} -N4,N4-dimethylpyrimidine-2,4-diamine	386 (M + H)	3
55	1222	4{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) amino]methyl}-2-iodo-6-methoxyphenol	498 (M + H)	3

	Ex. No.	compound name	MS	class
5	1223	4-{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) amino]methyl}-2,6-dimethylphenol	370 (M + H)	3
	1224	N2-{cis-4-[(3-methoxybenzyl)amino]cyclohexyl} -N4,N4-dimethylpyrimidine-2,4-diamine	356 (M + H)	3
10	1225	N2-{cis-4-[(3-bromo-4-fluorobenzyl)amino]cyclohexyl} -N4,N4-dimethylpyrimidine-2,4-diamine	422 (M + H)	3
	1226	N4,N4-dimethyl-N2-{cis-4-[(3-phenylbutyl)amino]cyclohexyl} pyrimidine-2,4-diamine	368 (M + H)	3
15	1227	3-{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)- amino]methyl}-6-methyl-4H-chromen-4-one	408 (M + H)	3
	1228	6-chloro-3-{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}- cyclohexyl)amino]methyl}-7-methyl-4H-chromen-4-one	442 (M + H)	3
20	1229	3-{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)- amino]methyl}-6,8-dimethyl-4H-chromen-4-one	422 (M + H)	3
	1230	N2-(cis-4-{[(2,5-dimethyl-1-phenyl-1H-pyrrol-3-yl)methyl]-amino} cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine	419 (M + H)	3
25	1231	N4,N4-dimethyl-N2-{cis-4-[(2-phenylpropyl)amino]cyclohexyl} pyrimidine-2,4-diamine	354 (M + H)	3
	1232	N2-(cis-4-{[(2E)-2-benzylideneheptyl]amino}cyclohexyl) -N4,N4-dimethylpyrinlidine-2,4-diamine	422 (M + H)	3
30	1233	N2-(cis-4-{[(2E)-3-(2-methoxyphenyl)prop-2-en-1-yl]amino} cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine	382 (M + H)	3
	1234	6-chloro-3-{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)amino]methyl}-4H-chromen-4-one	428 (M + H)	3
35	1235	N2-(cis-4-({[5-(4-fluorophenyl)pyridin-3-yl]methyl}-amino) cyclohexyl}-N4,N4-dimethylpyrimidine-2,4-diamine	421 (M + H)	2
	1236	ethyl 4,6-dichloro-3-{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)amino]methyl}-1H-indole-2-carboxylate	503 (M - H)	1
40	1237	methyl 2-[(5-{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)amino]methyl}imidazo[2,1-b][1,3]thiazol-6-yl)thio] benzoate	538 (M + H)	3
	1238	N2-[cis-4-({[3-(4-fluorophenyl)-1H-pyrazol-4-yl]methyl}-amino) cyclohexyl]-N4,N4-dimethylpyrimidine-2,4-diamine	410 (M + H)	3
45	1239	N4,N4-dimethyl-N2-(cis-4-{[4-(methylthio)benzyl]amino} cyclohexyl)pyrimidine-2,4-diamine	372 (M + H)	3
	1240	N4,N4-dimethyl-N2-{cis-4-{(1-naphthylmethyl)amino]cyclohexyl} pyrimidine-2,4-diamine	376 (M + H)	3
50	1241	4-{[(cis-4-[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) amino]methyl}-2-methoxyphenol	372 (M + H)	3
	1242	N2-{cis-4-[(3-chloro-4-fluorobenzyl)amino]cyclohexyl} -N4,N4-dimethylpyrimidine-2,4-diamine	378 (M + H)	3
55	1243	N2-(cis-4-{[(2,6-dimethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	400 (M + H)	2
	1244	N2-(cis-4-{[(2-ethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	384 (M + H)	2

	Ex. No.	compound name	MS	class
5	1245	N2-(cis-4-{[(1H-indol-3-ylmethyl)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	379 (M + H)	3
	1246	N2-(cis-4-{[(2,5-dimethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	400 (M + H)	3
10	1247	N2-[cis-4-({[(4-methoxy-1-naphthyl)methyl]amino}methyl)- cyclohexyl]-N4,N4-dimethylpyrimidine-2,4-diamine	420 (M + H)	1
	1248	N2-[cis-4-({[(5-methoxy-1H-indol-3-yl)methyl]amino)}-methyl) cyclohexyl]-N4,N4-dimethylpyrimidine-2,4-diamine	407 (M - H)	2
15	1249	N2-[cis-4-({[(2-methoxy-1-naphthyl)methyl]amino}methyl)- cyclohexyl]-N4,N4-dimethylpyrimidine-2,4-diamine	420 (M + H)	1
	1250	4-bromo-2-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]amino}methyl)-6-methoxyphenol	462 (M - H)	1
20	1251	N2-[cis-4-({[(5-bromo-1H-indol-3-yl)methyl]amino}methyl)- cyclohexyl]-N4,N4-dimethylpyrimidine-2,4-diamine	455 (M - H)	1
	1252	N2-(cis-4-{[(2,4-dimethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	400 (M + H)	2
25	1253	N4,N4-dimethyl-N2-(cis-4-{[(2,3,4-trimethoxybenzyl)-amino] methyl}cyclohexyl)-pyrimidine-2,4-diamine	430 (M+H)	1
	1254	4({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl) methyl]amino}methyl)-2,6-dimethoxyphenol	414 (M - H)	3
30	1255	N2-(cis-4-{[(3-ethoxy-4-methoxybenzyl)amino]methyl}- cyclohexyl)-N4,N4-dimethyl,pyrimidine-2,4-diamine	414 (M + H)	1
	1256	N4,N4-dimethyl-N2-(cis-4-{[(3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl}methyl)amino]methyl}cyclohexyl)-pyrimidine-2,4-diamine	474 (M + H)	1
35	1257	N4,N4-dimethyl-N2-(cis-4-{[(3,4,5-trimethoxybenzyl)-amino] methyl}cyclohexyl)-pyrimidine-2,4-diamine	430 (M + H)	2
	1258	N4,N4-dimethyl-N2-(cis-4-{[(pentamethylbenzyl)-amino]methyl} cyclohexyl)-pyrimidine-2,4-diamine	410 (M + H)	3
40	1259	N2-(cis-4-{[(3,5-dimethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	400 (M + H)	3
	1260	4-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl) methyl]amino}methyl)-2-iodo-6-methoxyphenol	512 (M + H)	1
45	1261	4-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]amino}methyl)-2,6-dimethylphenol	382 (M - H)	1
	1262	N2-(cis-4-{[(4-methoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	370 (M + H)	3
50	1263	N2-(cis-4-{[(2,3-dihydro-1,4-benzodioxin-6-ylmethyl)amino]- methyl}cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine	398 (M + H)	3
	1264	N2-(cis-4-{[(3-bromobenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	418 (M + H)	3
55	1265	N2-(cis-4-{[(5-bromo-2,4-dimethoxybenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine	478 (M + H)	1
	1266	N2-(cis-4-{[(5-bromo-2-methoxybenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine	448 (M + H)	1

	Ex. No.	compound name	MS	class
5	1267	3-chloro-4-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]amino}methyl)phenol	388 (M - H)	3
	1268	2-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]amino}methyl)benzonitrile	365 (M + H)	3
10	1269	N2-(cis-4-{[(3-chlorobenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	374 (M + H)	3
	1270	N2-(cis-4-{[(4-chlorobenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	374 (M + H)	3
15	1271	N2-[cis-4-({[4-(diethylamino)benzyl]amino}methyl)cyclohexyl] -N4,N4-dimethylpyrimidine-2,4-diamine	411 (M + H)	2
	1272	N2-[cis-4-({[4-(dimethylamino)benzyl]amino}methyl)-cyclohexyl] -N4,N4-dimethylpyrimidine-2,4-diamine	383 (M + H)	3
20	1273	N2-[cis-4-({[(9-ethyl-9H-carbazol-3-yl)methyl)amino}-methyl] cyclohexyl]-N4,N4-dimethylpyrimidine-2,4-diamine	457 (M + H)	1
	1274	N2-[cis-4-({[2-fluoro-5-(trifluoromethyl)benzyl]amino}-methyl) cyclohexyl]-N4,N4-dimethylpyrimidine-2,4-diamine	426 (M + H)	3
25	1275	4-({{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]aminocyclohexyl) methyl]amino}methyl)phenol	354 (M - H)	3
	1276	[5-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]aminocyclohexyl) methyl]amino}methyl)-2-furyl]methanol	360 (M + H)	3
30	1277	N2-(cis-4-{[(4-isopropoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	398 (M + H)	2
	1278	N2-[cis-4-({[(5-ethyl-2-thienyl)methyl]amino}methyl)-cyclohexyl) -N4,N4-dimethylpyrirnidine-2,4-diamine	374 (M + H)	3
35	1279	N2-(cis-4-{[(3,3-diphenylprop-2-en-1-yl)amino]methyl}- cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine	442 (M + H)	1
	1280	4({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]amino}methyl)-2-ethoxyphenol	400 (M + H)	2
40	1281	N2-{cis-4-[({[4-(dimethylamino)-1-naphthyl]methyl}amino)-methyl]cyclohexyl}-N4,N4-dimethylpyrimidine-2,4-diamine	433 (M + H)	2
	1282	N4,N4-dimethyl-N2-(cis-4-{[(2,4,6-trimethoxybenzyl)-amino] methyl}cyclohexyl)-pyrimidine-2,4-diamine	430 (M + H)	1
45	1283	2-bromo-4-chloro-6-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)methyl]amino}methyl)phenol	468 (M + H)	3
	1284	3 ({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]amino}methyl)benzonitrile	365 (M + H)	3
50	1285	N2-(cis-4-{[(2-fluoro-5-methoxybenzyl)amino]methyl}-cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	388 (M + H)	3
50	1286	N4,N4-dimethyl-N2-{cis-4-[({2-((trifluoromethyl)thio]benzyl}-amino)methyl]cyclohexyl}pyrimidine-2,4-diamine	440 (M + H)	3
	1287	N2-(cis-4-{[(5-bromo-2-ethoxybenzyl)amino]methyl}-cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	462 (M + H)	1
55	1288	N2-(cis-4-{[(2,4-dimethoxy-3-methylbenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine	414 (M + H)	1

	Ex. No.	compound name	MS	class
5	1289	N4,N4-dimethyl-N2-[cis-4-({[2-(trifluoromethoxy)benzyl]-amino} methyl)cyclohexyl]pyrimidine-2,4-diamine	424 (M + H)	3
	1290	N2-(cis-4-{[(2,5-diethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	428 (M + H)	1
10	1291	N2-(cis-4-{[(2,4-diethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	428 (M + H)	2
	1292	N2-(cis-4-{[(3,5-dibromo-2-methoxybenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine	526 (M + H)	1
15	1293	N2-[cis-4-({[2-(difluoromethoxy)benzyl]amino}methyl)-cyclohexyl] -N4,N4-dimethylpyrimidine-2,4-diamine	406 (M + H)	3
	1294	N2-(cis-4-{[(5-fluoro-2-methoxybenzyl)amino]methyl}-cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	388 (M + H)	3
20	1295	N4,N4-dimethyl-N2-(cis-4-{[(2,4,5-triethoxybenzyl)-amino]methyl} cyclohexyl)-pyrimidine-2,4-diamine	472 (M + H)	1
	1296	N4,N4-dimethyl-N2-(cis-4-{[(2,4,5-trimethoxybenzyl)-amino] methyl]cyclohexyl)-pyrimidine-2,4-diamine	430 (M + H)	2
25	1297	N2-(cis-4-{[(2,3-dimethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dinnethylpyrimidine-2,4-diamine	400 (M + H)	3
	1298	N2-[cis-4-({[2-(allyloxy)benzyl]amino}methyl)cyclohexyl] -N4,N4-dimethylpyrimidine-2,4-diamine	396 (M + H)	1
30	1299	N2-(cis-4-{[(1-benzothien-3-ylmethyl)amino]methyl}-cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	396 (M + H)	3
	1300	N4,N4-dimethyl-N2-(cis-4-({[(1-methyl-1H-indol-3-yl)methyl] amino}methyl)cyclohexyl]pyrimidine-2,4-diamine	393 (M + H)	2
35	1301	N4,N4-dimethyl-N2-[cis-4-({[(5-methyl-2-thienyl)methyl]amino} methyl)cyclohexyl]pyrimidine-2,4-diamine	360 (M + H)	3
	1302	N2-(cis-4-{[(mesitylmethyl)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	382 (M + H)	3
40	1303	N2-(cis-4-{[(1,3-benzodioxol-5-ylmethyl)amino]methyl}-cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine	384 (M + H)	3
	1304	N4,N4-dimethyl-N2-(cis-4-{[(3-thienylmethyl)amino]methyl} cyclohexyl)pyrimidine-2,4-diamine	346 (M + H)	3
45	1305	N4,N4-dimethyl-N2-(cis-4-{{(3-methylbenzyl)amino]methyl} cyclohexyl)pyrimidine-2,4-diamine	354 (M + H)	3
	1306	N4,N4-dimethyl-N2-(cis-4-{[(2-methylbenzyl)amino}methyl} cyclohexyl)pyrimidine-2,4-diamine	354 (M + H)	3
50	1307	N4,N4-dimethyl-N2-(cis-4-{[(4-methylbenzyl)amino]methyl} cyclohexyl)pyrimidine-2,4-diamine	354 (M + H)	3
50	1308	N2-(cis-4-{[(3,5-dichlorobenzyl)amino]methy}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	408 (M + H)	3
F. F.	1309	N2-[cis-4-({[(7-methoxy-1,3-benzodioxol-5-yl)methyl]amino}-methyl)cyclohexyl]-N4,N4-dimethylpyrimidine-2,4-diamine	414 (M +H)	1
55	1310	N2-(cis-4-{[(3-bromo-4,5-dimethoxybenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine	478 (M + H)	1

	Ex. No.	compound name	MS	class
5	1311	N2-(cis-4-{[(4-methoxy-3-methylbenzyl)amino]methyl}- cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine	384 (M + H)	2
•	1312	N2-{cis-4-{[(2-bromo-4,5-dimethoxybenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine	478 (M + H)	2
10	1313	N4,N4-dimethyl-N2-[cis-4-({[(2-methyl-5-phenyl-3-furyl)methyl] amino}methyl)cyclohexyl]pyrimidine-2,4-diamine	420 (M + H)	3
	1314	N2-(cis-4-{[(3,4-dimethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	400 (M + H)	2
15	1315	4-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]amino}methyl)-2-methylphenol	368 (M - H)	3
	1316	N2-(cis-4-{[(4-methoxy-2,5-dimethylbenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine	398 (M + H)	2
20	1317	2-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]amino}methyl)-6-methoxyphenol	386 (M + H)	3
	1318	N2-[cis-4-({[3-chloro-2-fluoro-5-(trifluoromethyl)benzyl]amino}-methyl)cyclohexyl]-N4,N4-dimethylpyrimidine-2,4-diamine	460 (M + H)	3
25	1319	N2-[cis-4-({[3-fluoro-5-(trifluoromethyl)benzyl]amino}-methyl) cyclohexyl]-N4,N4-dimethylpyrimidine-2,4-diamine	426 (M + H)	3
	1320	4-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl) methyl]amino}methyl)-2-fluoro-6-methoxyphenol	402 (M - H)	3
30	1321	N2-(cis-4-{[(2-fluoro-4,5-dimethoxybenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine	418 (M + H)	3
	1322	N2-(cis-4-{[(2-ethylbenzył)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	368 (M + H)	3
35	1323	3-[[4-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-methyl]amino}methyl)phenyl](methyl)amino}-propanenitrile	422 (M + H)	2
	1324	N2-{cis-4-[({4-[(4-bromobenzyl)oxy]benzyl}amino)methyl]-cyclohexyl}-N4,N4-dimethylpyrimidine-2,4-diamine	524 (M + H)	2
40	1325	N2-(cis-4-{[(3,5-dibromo-2-ethoxybenzyl)amino]methyl}-cyclohexyl}-N4,N4-dimethylpyrimidine-2,4-diamine	540 (M + H)	2
	1326	N2-{cis-4-[(2,6-dimethoxybenzyl)amino]cyclohexyl} -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	440 (M + H)	3
45	1327	N2-{cis-4-[(2-ethoxybenzyl)amino]cyclohexyl}-N4,N4-dimethyl- 5,6,7,8-tetrahydroquinazoline-2,4-diamine	424 (M + H)	3
	1328	N2-{cis-4-[(1H-indol-3-ylmethyl)amino]cyclohexyl} -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	419 (M + H)	3
50	1329	N2-{cis-4-[(2,5-dimethoxybenzyl)amino]cyclohexyl} -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	440 (M + H)	3
	1330	N2-(cis-4-{[(4-methoxy-1-naphthyl)methyl]amino}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	460 (M + H)	3
55	1331	N2-(cis-4-{[(5-methoxy-1H-indol-3-yl)methyl]amino}-cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	449 (M + H)	1
	1332	N2-(cis-4-{[(2-methoxy-1-naphthyl)methyl]amino}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	460 (M + H)	3

	Ex. No.	compound name	MS	class
5	1333	4-bromo-2-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro-quinazolin-2-yl]amino}cyclohexyl)amino]methyl]-6-methoxyphenol	504 (M + H)	3
	1334	N2-(cis-4-{[(5-bromo-1H-indol-3-yl)methyl]amino}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	497 (M + H)	3
10	1335	N2-{cis-4-[(2,4-dimethoxybenzyl)amino]cyclohexyl} -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	440 (M + H)	3
	1336	N4,N4-dimethyl-N2-{cis-4-[(2,3,4-trimethoxybenzyl)amino]-cyclohexyl}-5,6,7,8-tetrahydroquinazoline-2,4-diamine	470 (M + H)	3
15	1337	4-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)amino]methyl }-2,6-dimethoxyphenol	456 (M + H)	2
	1338	N2-{cis-4-[(3-ethoxy-4-methoxybenzyl)amino]cyclohexyl} -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	454 (M + H)	3
20	1339	N4,N4-dimethyl-N2-{cis-4-[(3-[4-(trifluoromethyl)phenyl]-1H- pyrazol-4-yl}methyl)amino]cyclohexyl}- 5,6,7,8-tetrahydroquinazoline-2,4-diamine	514 (M + H)	3
25	1340	N4,N4-dimethyl-N2-{cis-4-[(3,4,5-trimethoxybenzyl)amino]- cyclohexyl}-5,6,7,8-tetrahydroquinazoline-2,4-diamine	470 (M + H)	3
	1341	N4,N4-dimethyl-N2-{cis-4-[(pentamethylbenzyl)amino]- cyclohexyl}-5,6,7,8-tetrahydroquinazoline-2,4-diamine	450 (M + H)	2
30	1342	N2-{cis-4-[(3,5-dimethoxybenzyl)amino]cyclohexyl} -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	440 (M + H)	2
o o	1343	4-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)amino]methyl}-2-iodo-6-methoxyphenol	552 (M + H)	2
35	1344	4-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)amino]methyl}-2,6-dimethylphenol	424 (M + H)	3
33	1345	N2-{cis-4-[(3-methoxybenzyl)amino]cyclohexyl}-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	410 (M + H)	3
	1346	N2-{cis-4-[(3-bromo-4-fluorobenzyl)amino]cyclohexyl} -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	476 (M + H)	3
40	1347	N4,N4-dimethyl-N2-{cis-4-[(3-phenylbutyl)amino]cyclohexyl}-5,6,7,8-tetrahydroquinazoline-2,4-diamine	422 (M + H)	3
	1348	3-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)amino]methyl}-6-methyl-4H-chromen-4-one	462 (M + H)	3
45	1349	6-chloro-3-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino] methyl}-7-methyl-4H-chromen-4-one	496 (M + H)	3
50	1350	3-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)amino]methyl}-6,8-dimethyl-4H-chromen-4-one	476 (M + H)	2
55	1351	N2-(cis-4-{[2,5-dimethyl-1-phenyl-1H-pyrrol-3-yl)methyl]amino} cyclohexyl)-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline- 2,4-diamine	473 (M + H)	3
	1352	N4,N4-dimethyl-N2-{cis-4-[(2-phenylpropyl)amino]cyclohexyl}-5,6,7,8-tetrahydroquinazoline-2,4-diamine	408 (M + H)	3

	Ex. No.	compound name	MS	class
5	1353	N2-(cis-4-{[(2E)-2-benzylideneheptyl]amino}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	476 (M + H)	3
	1354	N2-(cis-4-{[(2E)-3-(2-methoxyphenyl)prop-2-en-1-yl]amino} cyclohexyl)-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline- 2,4-diamine	436 (M + H)	3
10	1355	6-chloro-3-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino] methyl}-4H-chromen-4-one	482 (M + H)	3
15	1356	N2-[cis-4-({[5-(4-fluorophenyl)pyridin-3-yl]methyl}-amino) cyclohexyl]-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline- 2,4-diamine	475 (M + H)	3
	1357	ethyl 4,6-dichloro-3-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino] methyl}-1H-indole-2-carboxylate	559 (M + H)	1
20	1358	methyl 2-[(5-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino]-methyl}imidazo-[2,1-b][1,3]thiazol-6-yl)thio]benzoate	592 (M + H)	3
25	1359	N2-[cis-4-({[3-(4-fluorophenyl)-1H-pyrazol-4-yl]methyl}amino) cyclohexyl]-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline- 2,4-diamine	464 (M + H)	1
	1360	N4,N4-dimethyl-N2-(cis-4-{[4-(methylthio)benzyl]amino}-cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine	426 (M + H)	3
30	1361	N4,N4-dimethyl-N2-{cis-4-{(1-naphthylmethyl)amino}-cyclohexyl}-5,6,7,8-tetrahydroquinazoline-2,4-diamine	430 (M + H)	3
	1362	4-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino]cyclohexyl)amino]methyl-2-methoxyphenol	426 (M + H)	3
35	1363	N2-{cis-4-[(3-chloro-4-fluorobenzyl)amino]cyclohexyl} -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	432 (M + H)	3
	1364	N2-(cis-4-{[(2,6-dimethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	454 (M + H)	1
40	1365	N2-(cis-4-{[(2-ethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	438 (M + H)	2
	1366	N2-(cis-4-{[(1H-indol-3-ylmethyl)amino]methyl}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	433 (M + H)	2
45	1367	N2-(cis-4-{[(2,5-dimethoxybenzyl)amino]methyl]cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	454 (M + H)	2
	1368	N2-[cis-4-({[(4-methoxy-1-naphthyl)methyl]amino]methyl)-cyclohexyl]-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	474 (M + H)	2
50	1369	N2-[cis-4-({[(5-methoxy-1H-indol-3-yl)methyl]amino}-methyl) cyclohexyl]-N4,N4-dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine	463 (M + H)	1
55	1370	N2-[cis-4-({[(2-methoxy-1-naphthyl)methyl]amino}methyl)-cyclohexyl]-N4,N4-dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine	474 (M + H)	3

	Ex. No.	compound name	MS	class
5	1371	4-bromo-2-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl amino}methyl)-6-methoxyphenol	518 (M + H)	2
10	1372	N2-[cis-4-({[(5-bromo-1H-indol-3-yl)methyl]amino}methyl)- cyclohexyl]-N4,N4-dimethyl-5,6,7,8-tetrahydro-quinazoline- 2,4-diamine	511 (M + H)	1
	1373	N2-(cis-4-{[(2,4-dimethoxybenzyl)amino]methyl}cyclohexyl) N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	454 (M + H)	3
15	1374	N4,N4-dimethyl-N2-(cis-4-{[(2,3,4-trimethoxybenzyl)-amino] methyl]cyclohexyl)-5,6,7,8-tetrahydro-quinazoline-2,4-diamine	484 (M + H)	3
	1375	4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]amino}methyl)-2,6-dimethoxyphenol	470 (M + H)	3
20	1376	N2-(cis-4-{[(3-ethoxy-4-methoxybenzyl)amino]methyl}- cyclohexyl)-N4,N4-dimethyl-5,6,7,8-tetrahydro-quinazoline- 2,4-diamine	468 (M + H)	1
	1377	N4,N4-dimethyl-N2-(cis-4-{[({3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl}methyl)amino]methyl}cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine	528 (M+H)	2
25	1378	N4,N4-dimethyl-N2-(cis-4-{[(3,4,5-trimethoxybenzyl)amino] methyl}cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine	484 (M + H)	2
	1379	N4,N4-dimethyl-N2-(cis-4-{[(pentamethylbenzyl)amino]-methyl} cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine	464 (M + H)	3
30	1380	N2-(cis-4-{[(3,5-dimethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	454 (M + H)	2
	1381	4-(\(\(\)(\(\)(\)(\)(\)(\)(\)(\)(\)(\)(\)(	566 (M + H)	1
35	1382	4{([(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]amino}methyl)-2,6-dimethylphenol	438 (M + H)	2
	1383	N2-(cis-4-{[(4-methoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	424 (M + H)	3
40	1384	N2-(cis-4-{[(2,3-dihydro- 1,4-benzodioxin-6-ylmethyl)amino] methyl}cyclohexyl)-N4,N4-dimethyl- 5,6,7,8-tetrahydroquinazoline-2,4-diamine	452 (M + H)	3
45	1385	N2-(cis-4-{[(3-bromobenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	472 (M + H)	3
	1386	N2-(cis-4-{[(5-bromo-2,4-dimethoxybenzyl)amino]methyl)-cyclohexyl)-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	532 (M + H)	3
50	1387	N2-(cis-4-{[(5-bromo-2-methoxybenzyl)amino]methyl}- cyclohexyl)-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline- 2,4-diamine	502 (M + H)	3
55	1388	3-chloro-4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] amino}-methyl)phenol	444 (M + H)	2
	1389	2({[(cis-4-{[4-(dimethylamino}-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]amino}methyl)benzonitrile	419 (M + H)	3

	Ex. No.	compound name	MS	class
5	1390	N2-(cis-4-{[(3-chlorobenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	428 (M + H)	3
	1391	N2-(cis-4-{[(4-chlorobenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	428 (M + H)	3
10	1392	N2-[cis-4-({[4-(diethylamino)benzyl]amino}methyl)cyclohexyl] -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	465 (M + H)	2
	1393	N2-[cis-4-({[4-(dimethylamino)benzyl]amino}methyl)-cyclohexyl] -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	437 (M + H)	3
15	1394	N2-[cis-4-{{[(9-ethyl-9H-carbazol-3-yl)methyl]amino}-methyl) cyclohexyl]-N4,N4-dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine	511 (M + H)	3
20	1395	N2-[cis-4-({[2-fluoro-5-(trifluoromethyl)benzyl]amino}-methyl) cyclohexyl]-N4,N4-dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine	480 (M + H)	3
	1396	4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]amino}methyl)phenol	410 (M + H)	3
25	1397	[5({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)rnethyl]amino}methyl)-2-furyl]methanol	414 (M + H)	3
	1398	N2-(cis-4-{[(4-isopropoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	452 (M + H)	3
30	1399	N2-[cis-4-({[(5-ethyl-2-thienyl)methyl]amino}methyl)-cyclohexyl] -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	428 (M + H)	3
	1400	N2-(cis-4-{[(3,3-diphenylprop-2-en-1-yl)amino]methyl}- cyclohexyl)-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline- 2,4-diamine	496 (M + H)	1
35	1401	4([[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]amino}methyl)-2-ethoxyphenol	454 (M + H)	2
	1402	N2-{cis-4-[({[4-(dimethylamino)-1-naphthyl]methyl]amino)-methyl]cyclohexyl}-N4,N4-dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine	487 (M + H)	2
40	1403	N4,N4-dimethyl-N2-(cis-4-{[(2,4,6-trimethoxybenzyl)-amino] methyl}cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine	484 (M + H)	1
45	1404	2-bromo-4-chloro-6-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]-amino}methyl)phenol	522 (M + H)	2
	1405	3-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]amino}methyl)benzonitrile	419 (M + H)	3
50	1406	N2-(cis-4-{[(2-fluoro-5-methoxybenzyl)amino]methyl}-cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	442 (M + H)	3
	1407	N4,N4-dimethyl-N2-{cis-4-[({2-[(trifluoromethyl)thio]-benzyl} amino)methyl]cyclohexyl}-5,6,7,8-tetrahydro-quinazoline-2,4-diamine	494 (M + H)	3
55	1408	N2-(cis-4-{[(5-bromo-2-ethoxybenzyl)amino]methyl}-cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	516 (M + H)	3

	Ex. No.	compound name	MS	class
	1409	N2-(cis-4-{[(2,4-dimethoxy-3-methylbenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	468 (M + H)	3
	1410	N4,N4-dimethyl-N2-[cis-4-({[2-(trifluoromethoxy)benzyl]-amino} methyl)cyclohexyl]-5,6,7,8-tetrahydroquinazoline-2,4-diamine	478 (M + H)	3
0	1411	N2-(cis-4-{[(2,5-diethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	482 (M + H)	1
	1412	N2-(cis-4-{[(2,4-diethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	482 (M + H)	1
5	1413	N2-(cis-4-{[(3,5-dibromo-2-methoxybenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	580 (M + H)	1
0	1414	N2-[cis-4-({[2-(difluoromethoxy)benzyl]amino}methyl)-cyclohexyl] -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	460 (M + H)	3
	1415	N2-(cis-4-{[(5-fluoro-2-methoxybenzyl)amino]methyl}-cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	442 (M + H)	3
5	1416	N4,N4-dimethyl-N2-(cis-4-{[(2,4,5-triethoxybenzyl)amino]-methyl} cyclohexyl}-5,6,7,8-tetrahydroquinazoline-2,4-diamine	526 (M + H)	1
	1417	N4,N4-dimethyl-N2-(cis-4-{[(2,4,5-trimethoxybenzyl)amino]-methyl}cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine	484 (M + H)	1
	1418	N2-(cis-4-{[(2,3-dimethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	454 (M + H)	3
0	1419	N2-[cis-4-({[2-(allyloxy)benzyl]amino}methyl)cyclohexyl] -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	450 (M + H)	3
	1420	N2-(cis-4-{[(1-benzothien-3-ylmethyl)amino]methyl}-cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	450 (M + H)	3
5	1421	N4,N4-dimethyl-N2-[cis-4-({[(1-methyl-1H-indol-3-yl)methyl] amino}methyl)cyclohexyl]-5,6,7,8-tetrahydroquinazoline- 2,4-diamine	447 (M + H)	3
o [	1422	N4,N4-dimethyl-N2-[cis-4-({[(5-methyl-2-thienyl)methyl]-amino} methyl)cyclohexyl]-5,6,7,8-tetrahydroquinazoline-2,4-diamine	414 (M + H)	3
	1423	N2-(cis-4-{[(mesitylmethyl)amino]methyl}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	436 (M + H)	3
5	1424	N2-(cis-4-{[(1,3-benzodioxol-5-ylmethyl)amino]methyl} cyclohexyl)-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	438 (M + H)	3
	1425	N4,N4-dimethyl-N2-(cis-4-{[(3-thienylmethyl)amino]methyl} cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine	400 (M + H)	3
0	1426	N4,N4-dimethyl-N2-(cis-4-{[(3-methylbenzyl)amino]methyl} cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine	408 (M + H)	3
	1427	N4,N4-dimethyl-N2-(cis-4-{[(2-methylbenzyl)amino]methyl} cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine	408 (M + H)	3
5	1428	N4,N4-dimethyl-N2-(cis-4-{[(4-methylbenzyl)amino]methyl} cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine	408 (M + H)	3

	Ex. No.	compound name	MS	class
5	1429	N2-(cis-4-{[(3,5-dichlorobenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	462 (M + H)	3
	1430	N2-[cis-4-({[(7-methoxy-1,3-benzodioxol-5-yl)methyl]amino} methyl)cyclohexyl]-N4,N4-dimethyl- 5,6,7,8-tetrahydroquinazoline-2,4-diamine	468 (M + H)	2
10	1431	N2-(cis-4-{([(3-bromo-4,5-dimethoxybenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	532 (M + H)	3
15	1432	N2-(cis-4-{[(4-methoxy-3-methylbenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	438 (M + H)	3
	1433	N2-(cis-4-{[(2-bromo-4,5-dimethoxybenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	532 (M + H)	3
20	1434	N4,N4-dimethyl-N2-[cis-4-({[(2-methyl-5-phenyl-3-furyl)methyl] amino}methyl)cyclohexyl]-5,6,7,8-tetrahydroquinazoline- 2,4-diamine	474 (M + H)	3
25	1435	N2-(cis-4-{[(3,4-dimethoxybenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	454 (M + H)	3
	1436	4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]amino}methyl)-2-methylphenol	424 (M + H)	2
30	1437	N2-(cis-4-{{[(4-methoxy-2,5-dimethylbenzyl)amino]methyl} cyclohexyl)-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	452 (M + H)	2
	1438	2-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]amino}methyl)-6-methoxyphenol	440 (M + H)	3
35	1439	N2-[cis-4-({[3-chloro-2-fluoro-5-(trifluoromethyl)benzyl]-amino} methyl)cyclohexyl]-N4,N4-dimethyl- 5,6,7,8-tetrahydroquinazoline-2,4-diamine	514 (M + H)	3
40	1440	N2-[cis-4-({[3-fluoro-5-(trifluoromethyl)benzyl]amino}-methyl) cyclohexyl]-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	480 (M + H)	3
	1441	4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]amino}methyl)-2-fluoro-6-methoxyphenol	458 (M + H)	2
45	1442	N2-(cis-4-{[(2-fluoro-4,5-dimethoxybenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	472 (M + H)	3
50	1443	N2-(cis-4-{[(2-ethylbenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	422 (M + H)	3
	1444	3-[[4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]amino}methyl)phenyl](methyl) amino]propanenitrile	476 (M + H)	3
55	1445	N2-{cis-4-[({4-[(4-bromobenzyl)oxy]benzyl}amino)methyl]- cyclohexyl}-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline- 2,4-diamine	578 (M + H)	3

	Ex. No.	compound name	MS	class
5	1446	N2-(cis-4-{[(3,5-dibromo-2-ethoxybenzyl)amino]methyl}-cyclohexyl)-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	594 (M + H)	3
	1447	N2-(cis-4-{[2-(4-bromophenyl)ethyl]amino}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	467 (M + H)	3
10	1448	N2-(cis-4-{[2-(3-chlorophenyl)ethyl]amino}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	423 (M + H)	-
	1449	N2-(cis-4-{[2-(2-chlorophenoxy)ethyl]amino}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	439 (M + H)	3
15	1450	N2-(cis-4-[(2-methoxy-2-phenylethyl)amino]cyclohexyl} -N4,N4-dimethylquinoline-2,4-diamine	419 (M + H)	3
	1451	N4,N4-Dimethyl-N2-[4-(pentamethylphenylmethyl-amino)-cyclohexyl]quinoline-2,4-diamine	445 (M + H)	3
20	1452	N2-{cis-4-[(3-ethoxybenzyl)amino]cyclohexyl} -N4,N4-dimethylquinoline-2,4-diamine	419 (M + H)	3
	1453	N2-(cis-4-{[(2S)-2,3-bis(benzyloxy)propyl]amino}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	539 (M + H)	3
25	1454	N2-(cis-4-{[(3-methoxy-2-naphthyl)methyl]amino}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	455 (M + H)	3
	1455	3-[{2-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) amino]ethyl}(phenyl)amino]propanenitrile	457 (M + H)	2
30	1456	N-{(1S)-1-benzyl-2-[(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)amino]ethyl}-4-methylbenzenesulfonamide	572 (M + H)	3
	1457	(2-{[4-(4-Dimethylamino-quinolin-2-ylamino)-cyclohexylamino] methyl}-cyclohexyl)-phenyl-methanol	487 (M + H)	3
35	1458	N2-(cis-4-{[2-(3,5-dimethoxyphenyl)ethyl]amino}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	449 (M + H)	3
	1459	N4,N4-dimethyl-N2-(cis-4-{[2-(2-phenyl-1H-indol-3-yl)ethyl] amino}cyclohexyl)quinoline-2,4-diamine	504 (M + H)	2
40	1460	N2-(cis-4-{[2,2-bis(4-chlorophenyl)ethyl]amino}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	533 (M + H)	3
	1461	(3-{(1S)-2-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)amino]-1-methylethyl}phenyl)-(phenyl)methanol	509 (M + H)	3
45	1462	N2-[cis-4-({[1-(diphenylmethyl)azetidin-3-yl]methyl}amino)- cyclohexyl]-N4,N4-dimethylquinoline-2,4-diamine	520 (M + H)	1
	1463	N2-[cis-4-({[2-(4-bromophenyl)ethyl]amino}methyl)cyclohexyl] -N4,N4-dimethylquinoline-2,4-diamine	481 (M + H)	3
50	1464	N2-[cis-4-({[4-(4-methoxyphenyl)butyl]amino}methyl)-cyclohexyl] -N4,N4-dimethylquinoline-2,4-diamine	461 (M + H)	3
	1465	N4,N4-dimethyl-N2-(cis-4-{[(6-phenylhexyl)amino]methyl} . cyclohexyl)quinoline-2,4-diamine	459 (M + H)	3
55	1466	N2-(cis-4-{[(2-mesitylethyl)amino]methyl}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	445 (M + H)	3
	1467	N4,N4-dimethyl-N2-(cis-4-{[(8-phenyloctyl)amino]methyl} cyclohexyl)quinoline-2,4-diamine	487(M + H)	3

	Ex. No.	compound name	MS	class
5	1468	N2-[cis-4-({[2-(4-tert-butylphenyl)ethyl]amino}methyl)-cyclohexyl] -N4,N4-dimethylquinoline-2,4-diamine	459 (M + H)	3
	1469	N4,N4-dimethyl-N2-(cis-4-{[(5-phenylpent-4-yn-1-yl)amino} methyl}cyclohexyl)quinoline-2,4-diamine	441 (M + H)	3
10	1470	N2-[cis-4-({[2-(2-methoxyphenyl)ethyl]amino)methyl)-cyclohexyl] -N4,N4-dimethylquinoline-2,4-diamine	433 (M + H)	3
	1471	N4,N4-dimethyl-N2-(cis-4-{[(3-phenoxypropyl)amino]methyl} cyclohexyl)quinoline-2,4-diamine	433 (M + H)	3
15	1472	N4,N4-dimethyl-N2-(cis-4-{[(2,3,5,6-tetrafluorobenzyl)amino] methyl}cyclohexyl)quinoline-2,4-diamine	461 (M + H)	3
	1473	N2-(cis-4-{[(2,5-dichlorobenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	457 (M + H)	3
20	474	N2-(cis-4-{[(5-chloro-2-methoxybenzyl)amino]methyl}-cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	453 (M + H)	3
	1475	N2-(cis-4-{[(4-chloro-2-methoxybenzyl)amino]methyl}-cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	453 (M + H)	3
25	1476	N2-(cis-4-{[(3-iodo-4-methylbenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylquinoline-2,4-diamine	529 (M + H)	3
	1477	N2-[cis-4-({[(2S)-2-(dibenzylamino)propyl]amino}methyl)- cyclohexyl]-N4,N4-dimethylquinoline-2,4-diamine	536 (M + H)	3
30	1478	N4,N4-dimethyl-N2-[cis-4-({[(1-phenyl-5-propyl-1H-pyrazol-4-yl) methyl]amino}methyl)cyclohexyl]quinoline-2,4-diamine	497 (M + H)	1
	1479	N2-{cis-4-[({[1-(4-chlorophenyl)-5-propyl-1H-pyrazol-4-yl]methyl} amino)methyl]cyclohexyl}-N4,N4-dimethylquinoline-2,4-diamine	531 (M + H)	1
35	1480	N4,N4-dimethyl-N2-[cis-4-({[4-(4-nitrophenyl)butyl]-amino} methyl)cyclohexyl]quinoline-2,4-diamine	476 (M + H)	3
	1481	N2-(cis-4-{[2-(4-bromophenyl)ethyl]amino}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	472 (M + H)	3
40	1482	N2-(cis-4-{[2-(3-chlorophenyl)ethyl]amino}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	428 (M + H)	3
	1483	N2-{cis-4-[(2-methoxy-2-phenylethyl)amino]cyclohexyl} -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	424 (M + H)	3
45	1484	N2-[4-(2-Methoxy-2-phenyl-ethylamino)-cyclohexyl] -N4,N4-dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine	424 (M + H)	3
	1485	N4,N4-Dimethyl-N2-[4-(pentamethylphenylmethyl-amino)-cyclohexyl]-5,6,7,8-tetrahydro-quinazoline-2,4-diamine	450 (M + H)	2
50	1486	N2-{cis-4-[(3-ethoxybenzyl)amino]cyclohexyl}-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	424 (M + H)	3
	1487	N2-(cis-4-{[(2S)-2,3-bis(benzyloxy)propyl]amino}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	544 (M + H)	3
66	1488	N2-(cis-4-{[(3-methoxy-2-naphthyl)methyl]amino}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	460 (M + H)	3
55	1489	3-[{2-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino]ethyl}(3-methylphenyl)-amino]propanenitrile	476 (M + H)	2

	Ex. No.	compound name	MS	class
5	1490	3-[{2-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin- 2-yl]amino}cyclohexyl)amino]ethyl}(phenyl)amino]-propanenitrile	462 (M + H)	1
	1491	N-{(1S)-1-benzyl-2-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino]ethyl}-4-methylbenzenesulfonamide	577 (M + H)	1
10	1492	(2-{[4-(4-Dimethylamino-5,6,7,8-tetrahydro-quinazolin- 2-ylamino)-cyclohexylamino]-methyl}-cyclohexyl)-phenyl- methanol	490 (M + H)	3
15	1493	N2-(cis-4-{[2-(3,5-dimethoxyphenyl)ethyl]amino}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	454 (M + H)	2
	1494	N4,N4-dimethyl-N2-(cis-4-{[2-(2-phenyl-1H-indol-3-yl)ethyl] amino}cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine	509 (M + H)	3
20	1495	N2-(cis-4-{[2,2-bis(4-chlorophenyl)ethyl]amino}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	538 (M + H)	3
	1496	(3-{(1S)-2-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino]-1-methylethyl }phenyl)(phenyl)methanol	512 (M + H)	3
25	1497	N2-[cis-4-({[1-(diphenylmethyl)azetidin-3-yl]methyl}amino)- cyclohexyl]-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline- 2,4-diamine	525 (M + H)	1
	1498	N2-[cis-4-({[2-(4-bromophenyl)ethyl]amino}methyl)cyclohexyl] -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	486 (M + H)	3
30	1499	N2-[cis-4-({[4-(4-methoxyphenyl)butyl]amino}methyl)-cyclohexyl] -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	466 (M + H)	3
	1500	N4,N4-dimethyl-N2-(cis-4-{[(6-phenylhexyl)amino]methyl}-cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine	464 (M + H)	3
35	1501	N2-(cis-4-{[(2-mesitylethyl)amino]methyl}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	450 (M + H)	3
	1502	N4,N4-dimethyl-N2-(cis-4-{[(8-phenyloctyl)amino]methyl}-cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine	492 (M + H)	3
40	1503	N2-[cis-4-({[2-(4-tert-butylphenyl)ethyl]amino}methyl)-cyclohexyl] -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	464 (M + H)	3
	1504	N2-[cis-4-({[2-(2-methoxyphenyl)ethyl]amino}methyl)-cyclohexyl] -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	438 (M + H)	3
45	1505	N4,N4-dimethyl-N2-(cis-4-{[(3-phenoxypropyl)amino]-methyl} cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine	438 (M + H)	3
	1506	N2-(cis-4-{[(5-chloro-2-methoxybenzyl)amino]methyl}-cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	458 (M + H)	3
50	1507	N2-(cis-4-{[(4-chloro-2-methoxybenzyl)amino]methyl}-cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	458 (M + H)	3
	1508	N2-(cis-4-{[(3-iodo-4-methylbenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	534 (M + H)	3
55	1509	N2-[cis-4-({[(2S)-2-(dibenzylamino)propyl]amino}methyl)-cyclohexyl]-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	541 (M + H)	3

	Ex. No.	compound name	MS	class
5	1510	N4,N4-dimethyl-N2-[cis-4-({[(1-phenyl-5-propyl-1H-pyrazol-4-yl) methyl]amino}methyl)cyclohexyl]-5,6,7,8-tetrahydroquinazoline-2,4-diamine	502 (M + H)	1
10	1511	N2-{cis-4-[({[1-(4-chlorophenyl)-5-propyl-1H-pyrazol-4-yl]methyl} amino)methyl]cyclohexyl}-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine	536 (M + H)	1
	1512	N4,N4-dimethyl-N2-[cis-4-({[4-(4-nitrophenyl)butyl]amino}-methyl)cyclohexyl]-5,6,7,8-tetrahydroquinazoline-2,4-diamine	481 (M + H)	3
15	1513	N2-(cis-4-{[2-(4-bromophenyl)ethyl]amino}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	418 (M + H)	3
	1514	N2-(cis-4-{[2-(3-chlorophenyl)ethyl]amino}cyclohexyl) -N4,N4-(dimethylpyrimidine-2,4-diamine	374 M + H)	3
20	1515	N2-(cis-4-{[2-(2-chlorophenoxy)ethyl]amino}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	390 (M + H)	3
20	1516	N2-{cis-4-[(2-methoxy-2-phenylethyl)amino]cyclohexyl} -N4,N4-dimethylpyrimidine-2,4-diamine	370 (M + H)	3
25	1517	N2-[4-(2-Methoxy-2-phenyl-ethylamino)-cyclohexyl] -N4,N4-dimethyl-pyrimidine-2,4-diamine	370 (M + H)	3
	1518	N2-(cis-4-{[2-(4-bromophenoxy)ethyl]amino}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	434 (M + H)	3
30	1519	N4,N4-Dimethyl-N2-[4-(pentamethylphenylmethyl-amino)-cyclohexyl]-pyrimidine-2,4-diamine	396 (M + H)	3
	1520	N2-{cis-4-{(3-ethoxybenzyl)amino]cyclohexyl} -N4,N4-dimethylpyrimidine-2,4-diamine	370 (M + H)	3
35	1521	N2-(cis-4-{[(2S)-2,3-bis(benzyloxy)propyl]amino}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	490 (M + H)	3
	1522	N2-(cis-4-{[(3-methoxy-2-naphthyl)methyl]amino}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	406 (M + H)	3
40	1523	3-[{2-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) amino]ethyl}(3-methylphenyl)-amino]propanenitrile	422 (M + H)	3
40	1524	3-[{2-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) amino]ethyl}(phenyl)amino]propanenitrile	408 (M + H)	3
4.00	1525	N2-[cis-4-({[4-(4-methoxyphenyl)butyl]amino}methyl)-cyclohexyl] -N4,N4-dimethylpyrimidine-2,4-diamine	412 (M + H)	3
45	1526	N4,N4-dimethyl-N2-(cis-4-{[(6-phenylhexyl)amino]methyl} cyclohexyl)pyrimidine-2,4-diamine	410 (M + H)	3
	1527	N2-(cis-4-{[(2-mesitylethyl)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	396 (M + H)	3
50	1528	N4,N4-dimethyl-N2-(cis-4-{[(8-phenyloctyl)amino]methyl} cyclohexyl)pyrimidine-2,4-diamine	438 (M + H)	3
	1529	N2-[cis-4-({[2-(4-tert-butylphenyl)ethyl]amino}methyl)-cyclohexyl] -N4,N4-dimethylpyrimidine-2,4-diamine	410 (M + H)	3
55	1530	N4,N4-dimethyl-N2-(cis-4-{[(5-phenylpent-4-yn-1-yl)amino] methyl }cyclohexyl)pyrimidine-2,4-diamine	392 (M + H)	3

	Ex. No.	compound name	MS	class
5	1531	N2-[cis-4-{{[2-(2-methoxyphenyl)ethyl]amino}methyl)-cyclohexyl] -N4,N4-dimethylpyrimidine-2,4-diamine	384 (M + H)	3
	1532	N4,N4-dimethyl-N2-(cis-4-{[(3-phenoxypropyl)amino]-methyl} cyclohexyl)pyrimidine-2,4-diamine	384 (M + H)	3
10	1533	N4,N4-dimethyl-N2-(cis-4-{[(2,3,5,6-tetrafluorobenzyl)amino]-methyl}cyclohexyl)pyrimidine-2,4-diamine	412 (M + H)	3
	1534	N2-(cis-4-{[(2,5-dichlorobenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	408 (M + H)	3
15	1535	N2-(cis-4-{[(5-chloro-2-methoxybenzyl)amino]methyl}-cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	404 (M + H)	3
	1536	N2-(cis-4-{[(4-chloro-2-methoxybenzyl)amino]methyl}-cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	404 (M + H)	3
20	1537	N2-(cis-4-{[(3-iodo-4-methylbenzyl)amino]methyl}cyclohexyl) -N4,N4-dimethylpyrimidine-2,4-diamine	480 (M + H)	3
	1538	N2-[cis-4-({[(2S)-2-(dibenzylamino)propyl]amino}methyl)- cyclohexyl]-N4,N4-dimethylpyrimidine-2,4-diamine	487 (M + H)	3
25	1539	2-(benzyloxy)ethyl(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)carbamate	463 (M + H)	3
	1540	2,2-dimethylpropyl(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)} cyclohexyl)carbamate	399 (M + H)	3
30	1541	"[4-(4-Dimethylamino-quinolin-2-ylamino)-cyclohexyl]-carbamic acid 4,5-dimethoxy-2-nitro-benzyl ester	524 (M + H)	2
	1542	3-(trifluoromethyl)phenyl(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)carbamate	473 (M + H)	3
35	1543	4-bromophenyl(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)carbamate	483 (M + H)	3
	1544	2-methoxyphenyl(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)carbamate	435 (M + H)	3
40	1545	2-methoxyethyl(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)carbamate	387 (M + H)	3
	1546	octyl(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) carbamate	441 (M + H)	3
45	1547	ethyl (cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) carbamate	357 (M + H)	3
	1548	[4-(4-Dimethylamino-quinolin-2-ylamino)-cyclohexyl]-carbamic acid 4-nitro-benzyl ester	464 (M + H)	3
50	1549	2-naphthyl(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)carbamate	455 (M + H)	3
	1550	allyl (cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) carbamate	369 (M + H)	3
55	1551	[4-(4-Dimethylamino-quinolin-2-ylamino)-cyclohexyl]- carbamicacid benzyl ester	419 (M + H)	3
55	1552	phenyl (cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) carbamate	405 (M + H)	3

	Ex. No.	compound name	MS	class
5	1553	(1R,2S,5R)-2-isopropyl-5-methylcyclohexyl (cis-4-{ [4-(dimethylamino)quinolin-2-yl]amino)}cyclohexyl)carbamate	467 (M + H)	3
	1554	4-methylphenyl(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)carbamate	419 (M + H)	3
10	1555	methyl(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) carbamate	343 (M + H)	3
	1556	2-chlorobenzyl(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)carbamate	453 (M + H)	3
15	1557	9H-fluoren-9-ylmethyl(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)carbamate	507 (M + H)	3
	1558	2,2,2-trichloroethyl(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)carbamate	459 (M + H)	3
20	1559	2-(benzyloxy)ethyl[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]carbamate	477 (M +H)	3
	1560	2,2-dimethylpropyl[(cis-4-{(4-(dimethylamino)quinolin-2-yl)amino} cyclohexyl)methyl]carbamate	413 (M + H)	3
25	1561	4,5-dimethoxy-2-nitrobenzyl[(cis-4-{[4-(dimethylamino)-quinolin- 2-yl]amino}cyclohexyl)methyl]carbamate	538 (M + H)	3
	1562	3-(trifluoromethyl)phenyl[(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)methyl]carbamate	487 (M + H)	3
30	1563	4-bromophenyl((cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]carbamate	497 (M + H)	3
	1564	2-methoxyphenyl((cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]carbamate	449 (M + H)	3
35	1565	2-methoxyethyl[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl}methyl]carbamate	401 (M + H)	3
	1566	octyl [(cis-4-(4-{[dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]carbamate	455 (M + H)	3
40	1567	ethyl [(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]carbamate	371 (M + H)	3
	1568	4-nitrobenzyl[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]carbamate	478 (M + H)	3
45	1569	2-naphthyl[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]carbamate	469 (M + H)	3
	1570	allyl [(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]carbamate	383 (M + H)	3
50	1571	benzyl [(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl) methyl]carbamate	433 (M + H)	3
	1572	phenyl [(cis-4-{[4-(dimethylamino)quinolin-2-yi]amino}cyclohexyl) methyl]carbamate	419 (M + H)	3
55	1573	(1R,2S,5R)-2-isopropyl-5-methylcyclohexyl [(cis-4-{ [4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]- carbamate	481 (M + H)	3
	1574	4-methylphenyl[(cis-4-{(4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]carbamate	433 (M + H)	3

	Ex. No.	compound name	MS	class
5	1575	methyl [(cis-4-{(4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]carbamate	357 (M + H)	3
	1576	2-chlorobenzyl[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]carbamate	467 (M + H)	3
10	1577	9H-fluoren-9-ylmethyl[(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)methyl]carbamate	521 (M + H)	3
	1578	2,2,2-trichloroethyl[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]carbamate	473 (M + H)	3
15	1579	2-(benzyloxy)ethyl(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)carbamate	468 (M + H)	3
	1580	2,2-dimethylpropyl(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)cyclohexyl)carbamate	404 (M + H)	3
20	1581	[4-(4-Dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)- cyclohexyl]-carbamic acid 4,5-dimethoxy-2-nitro-benzyl ester	529 (M + H)	2
	1582	3-(trifluoromethyl)phenyl(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)carbamate	478 (M + H)	3
25	1583	4-bromophenyl(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)carbamate	488 (M + H)	3
	1584	2-methoxyphenyl(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)carbamate	440 (M + H)	3
30	1585	2-methoxyethyl(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)carbamate	392 (M + H)	3
	1586	octyl (cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)carbamate	446 (M + H)	3
35	1587	ethyl (cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)carbamate	362 (M + H)	3
	1588	4-nitrobenzyl(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)carbamate	469 (M + H)	3
40	1589	2-naphthyl(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)carbamate	460 (M + H)	3
	1590	allyl (cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)carbamate	374 (M + H)	3
45	1591	benzyl (cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin- 2-yl]amino}cyclohexyl)carbamate	424 (M + H)	3
	1592	phenyl (cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin- 2-yl]amino}cyclohexyl)carbamate	410 (M + H)	3
50	1593	(2S,5R)-2-isopropyl-5-methylcyclohexyl (cis-4-{ [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)carbamate	472 (M + H)	3
	1594	4-methylphenyl (cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)carbamate	424 (M + H)	3
55	1595	methyl (cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)carbamate	348 (M + H)	3
	1596	2-chlorobenzyl (cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)carbamate	458 (M + H)	3

	Ex. No.	compound name	MS	class
5	1597	9H-fluoren-9-ylmethyl(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)carbamate	512 (M + H)	3
	1598	2,2,2-trichloroethyl(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)carbamate	464 (M + H)	3
10	1599	2-(benzyloxy)ethyl [(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] carbamate	482 (M + H)	3
15	1600	2,2-dimethylpropyl[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] carbamate	418 (M + H)	3
	1601	4,5-dimethoxy-2-nitrobenzyl[(cis-4-[[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] carbamate	543 (M + H)	3
20	1602	3-(trifluoromethyl)phenyl[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] carbamate	492 (M + H)	3
25	1603	4-bromophenyl[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] carbamate	502 (M + H)	3
	1604	2-methoxyphenyl[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] carbamate	454 (M + H)	3
30	1605	2-methoxyethyl[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] carbamate	406 (M + H)	3
35	1606	octyl [(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin- 2-yl]amino]cyclohexyl)methyl]carbamate	460 (M + H)	3
33	1607	ethyl [(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]carbamate	376 (M + H)	3
10	1608	[4-(4-Dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexylmethyl]-carbamic acid 4-nitro-benzyl ester	483 (M + H)	3
40	1609	2-naphthyl[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] carbamate	474 (M + H)	3
45	1610	allyl [(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]carbamate	388 (M + H)	3
	1611	[4-(4-Dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester	438 (M + H)	3
50	1612	phenyl [(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]carbamate	424 (M + H)	3
	1613	(2S,5R)-2-isopropyl-5-methylcyclohexyl[(cis-4-{ [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)methyl]carbamate	486 (M + H)	3
55	1614	4-methylphenyl[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] carbamate	438 (M + H)	3

	Ex. No.	compound name	MS	class
5	1615	methyl [(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]carbamate	362 (M + H)	3
	1616	2-chlorobenzyl[(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] carbamate	472 (M + H)	3
10	1617	9H-fluoren-9-ylmethyl[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] carbamate	526 (M + H)	3
15	1618	2,2,2 trichloroethyl[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl] carbamate	478 (M + H)	3
	1619	2-(benzyloxy)ethyl(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)carbamate	414 (M + H)	3
20	1620	2,2-dimethylpropyl(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)carbamate	350 (M + H)	3
	1621	[4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-carbamic acid 4,5-dimethoxy-2-nitro-benzyl ester	475 (M + H)	3
25	1622	3-(trifluoromethyl)phenyl(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)carbamate	424 (M + H)	3
	1623	4-bromophenyl(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)carbamate	434 (M + H)	3
30	1624	2-methoxyphenyl(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino)} cyclohexyl)carbamate	386 (M + H)	3
	1625	2-methoxyethyl(cis-4-{(4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)carbamate	338 (M + H)	3
<i>3</i> 5	1626	octyl (cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) carbamate	392 (M + H)	3
	1627	ethyl (cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) carbamate	308 (M + H)	3
40	1628	4-nitrobenzyl (cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)carbamate	415 (M + H)	3
	1629	2-naphthyl(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)carbamate	406 (M + H)	3
45	1630	allyl (cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) carbamate	320 (M + H)	3
	1631	benzyl (cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) carbamate	370 (M + H)	3
50	1632	phenyl (cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) carbamate	356 (M + H)	3
	1633	(2S,5R)-2-isopropyl-5-methylcyclohexyl (cis-4{ [4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)carbamate	418 (M + H)	3
55	1634	4-methylphenyl (cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)carbamate	370 (M + H)	3
	1635	methyl (cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) carbamate	294 (M + H)	3

	Ex. No.	compound name	MS	class
5	1636	2-chlorobenzyl(cis-4-{(4-(dimethylamino)pyrimidin-2-yl]amino cyclohexyl)carbamate	404 (M + H)	3
	1637	9H-fluoren-9-ylmethyl(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)carbamate	458 (M + H)	3
10	1638	2,2,2-trichloroethyl(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)carbamate	410 (M + H)	3
	1639	2-(benzyloxy)ethyl[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)methyl]carbamate	428 (M + H)	3
15	1640	2,2-dimethylpropyl[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)methyl]carbamate	364 (M + H)	3
	1641	4,5-dimethoxy-2-nitrobenzyl[(cis-4-{[4-(dimethylamino)-pyrimidin- 2-yl]amino}cyclohexyl)methyl]carbamate	489 (M + H)	3
20	1642	3-(trifluoromethyl)phenyl[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)methyl]carbamate	438 (M + H)	3
	1643	4-bromophenyl[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]carbamate	448 (M + H)	3
25	1644	2-methoxyphenyl[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]carbamate	400 (M + H)	3
	1645	2-methoxyethyl[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]carbamate	352 (M + H)	3
30	1646	octyl [(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]carbamate	406 (M + H)	3
	1647	ethyl [(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]carbamate	322 (M + H)	3
35	1648	[4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexylmethyl]- carbamic acid 4-nitro-benzyl ester	429 (M + H)	3
	1649	2-naphthyl[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]carbamate	420 (M + H)	3
40	1650	allyl [(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]carbamate	334 (M + H)	3
	1651	[4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexylmethyl]- carbamic acid benzyl ester	384 (M + H)	3
45	1652	phenyl [(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]carbamate	370 (M + H)	3
	1653	(2S,5R)-2-isopropyl-5-methylcyclohexyl [(cis-4-{ [4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]- carbamate	432 (M + H)	3
50	1654	4-methylphenyl [(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]carbamate	384 (M + H)	3
	1655	methyl [(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]carbamate	308 (M + H)	3
55	1656	2-chlorobenzyl [(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]carbamate	418 (M + H)	3
	1657	9H-fluoren-9-ylmethyl [(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)methyl]carbamate	472 (M + H)	3

	Ex. No.	compound name	MS	class
5	1658	2,2,2-trichloroethyl [(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)methyl]carbamate	424 (M + H)	3
	1659	N-(2-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)cyclohexyl)urea	443 (M + H)	3
10	1660	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,6-dimethylphenyl)urea	437 (M + H)	3
	1661	N-(2,4-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	445 (M + H)	3
15	1662	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-ethyl-6-methylphenyl)urea	451 (M + H)	1
	1663	ethyl N-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro-quinazolin-2-yl]amino}cyclohexyl)amino]carbonyl}leucinate	475 (M + H)	3
20	1664	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-fluorophenyl)urea	427 (M + H)	2
	1665	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-{4-(methylthio)phenyl]urea	455 (M + H)	3
25	1666	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[2-(trifluoromethyl)phenyl]urea	477 (M + H)	3
	1667	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-mesitylurea	451 (M + H)	1
30	1668	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-methylphenyl)urea	423 (M + H)	3
	1669	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,4,6-trichlorophenyl)urea	511 (M + H)	2
35	1670	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,4,6-tribromophenyl)urea	642 (M + H)	1
	1671	N-(2,4-dibromo-6-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	583 (M + H)	2
40	1672	N-(2,6-diethylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	465 (M+ H)	1
	1673	N-(2-chloro-6-(trifluoromethyl)phenyl]-N'-(cis-4-[4-(dimethyl amino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino-}cyclohexyl)urea	511 (M + H)	3
45	1674	N-(2-chloro-6-methylphenyl)-N'-(cis-4-[[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	457 (M + H)	3
	1675	N-(2-chlorobenzyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	457 (M + H)	2
50	1676	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-ethyl-6-isopropylphenyl)urea	479 (M + H)	2
	1677	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-ethylphenyl)urea	437 (M + H)	2
55	1678	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-iodophenyl)urea	535 (M + H)	3
33	1679	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-isopropyl-6-methylphenyl)urea	465 (M + H)	2

	Ex. No.	compound name	MS	class
5	1680	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-isopropylphenyl)urea	451 (M + H)	3
	1681	N-{cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-methyl-3-nitrophenyl)urea	468 (M + H)	3
10	1682	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-propylphenyl)urea	451 (M + H)	3
	1683	N-(2-tert-butyl-6-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	479 (M + H)	2
15	1684	N-(2-tert-butylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	465 (M+H)	3
	1685	N-(3-chloro-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	457 (M + H)	3
20	1686	N-(4-bromo-2,6-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	523 (M + H)	3
	1687	N-[4-chloro-2-(trifluoromethyl)phenyl]-N'-(cis-4-{[4-(dimethyl-amino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	511 (M + H)	3
25	1688	N-(4-cyanophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	434 (M + H)	3
	1689	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(diphenylmethyl)urea	499 (M + H)	1
30	1690	N-(4-bromo-2,6-dimethylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl)amino}cyclohexyl)urea	515 (M + H)	1
	1691	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3-methyl-5-phenylisoxazol-4-yl)urea	490 (M + H)	1
35	1692	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[5-methyl-2-(trifluoromethyl)-3-furyl]-urea	481 (M + H)	3
	1693	N-(2-bromophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino]cyclohexyl)urea	487 (M + H)	3
40	1694	N-biphenyl-2-yl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	485 (M + H)	3
	1695	N-butyl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	389 (M + H)	3
45	1696	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,3-dimethylphenyl)urea	437 (M + H)	3
	1697	ethyl 3-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro-quinazolin-2-yl]amino}cyclohexyl)amino]carbonyl}amino)-benzoate	481 (M + H)	3
50	1698	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[1-(3-isopropenylphenyl)-1-methyl-ethyl]-urea	491 (M + H)	3
	1699	methyl N-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro- quinazolin-2-yl]amino}cyclohexyl)amino]carbonyl}methioninate	479 (M + H)	3
55	1700	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-1-naphthylurea	459 (M + H)	2

	Ex. No.	compound name	MS	class
5	1701	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl} amino}cyclohexyl)-N'-[(2S)-2-phenylcyclopropyl]urea	449 (M + H)	3
	1702	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-phenoxyphenyl)urea	501 (M + H)	3
10	1703	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-pentylurea	403 (M + H)	3
	1704	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[1-(1-naphthyl)ethyl]urea	487 (M + H)	1
<b>15</b> .	1705	methyl N-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro- quinazolin-2-yl]amino}cyclohexyl)amino]carbonyl }- phenylalaninate	495 (M + H)	3
	1706	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(1-phenylethyl)urea	437 (M + H)	3
20	1707	1[4-(4-Dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)- cyclohexyl]-3-(1-phenyl-ethyl)-urea	437 (M + H)	3
	1708	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,3,5,6-tetrachlorophenyl)urea	545 (M + H)	3
25	1709	N-(2,4-dibromophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	565 (M + H)	2
	1710	N-(2,4-dichlorobenzyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	491 (M + H)	2
30	1711	N-(2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	469 (M + H)	2
	1712	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-ethoxyphenyl)urea	453 (M + H)	2
35	1713	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-fluorobenzyl)urea	441 (M + H)	2
	1714	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-methyl-4-nitrophenyl)urea	468 (M + H)	З
40	1715	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-methyl-5-nitrophenyl)urea	468 (M + H)	3
	1716	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-methylbenzyl)urea	437 (M + H)	3
45	1717	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-nitrophenyl)urea	454 (M + H)	3
	1718	N-1,3-benzodioxol-5-yl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	453 (M + H)	3
50	1719	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3,4,5-trimethoxyphenyl)urea	499 (M + H)	1
	1720	N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	469 (M + H)	2
55	1721	N-(3-chloro-4-methoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	473 (M + H)	3

	Ex. No.	compound name	MS	class
5	1722	N-[4-bromo-2-(trifluoromethyl)phenyl]-N'-(cis-4-{ [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)urea	555 (M + H)	3
	1723	N-(4-bromobenzyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	501 (M + H)	3
10	1724	N-(4-chloro-2-methylphenyl)-N'-(cis-4-[[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	457 (M + H)	2
	1725	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-fluorobenzyl)urea	441 (M + H)	2
15	1726	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-methoxy-2-methylphenyl)urea	453 (M + H)	2
	1727	N-(5-chloro-2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	503 (M + H)	1
20	1728	N-[1-(4-bromophenyl)ethyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	515 (M + H)	2
	1729	N-(4-bromo-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	501 (M + H)	2
25	1730	ethyl N-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro-quinazolin-2-yl]amino}cyclohexyl)amino]carbonyl}-phenylalaninate	509 (M + H)	3
	1731	N-(2,3-dihydro-1,4-benzodioxin-6-yl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	467 (M + H)	3
30	1732	N-(2,6-dibromo-4-isopropylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	607 (M + H)	3
0.5	1733	N-[3-(cydopentyloxy)-4-methoxyphenyl]-N'-(cis-4-{ [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)urea	523 (M + H)	3
35	1734	N-(3,4-dihydro-2H-1,5-benzodioxepin-7-yl)-N'-(cis-4-{ [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)urea	481 (M + H)	3
40	1735	N-(4-butyl-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	479 (M + H)	3
	1736	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(5-methyl-3-phenylisoxazol-4-yl)urea	490 (M + H)	1
45	1737	N-(4-bromophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	503 (M + H)	3
	1738	N-(4-cyanophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	450 (M + H)	3
50	1739	N-(2,4-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	493 (M + H)	3
	1740	N-(2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	485 (M + H)	1
55	1741	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,6-dimethylphenyl)thiourea	453 (M + H)	3
	1742	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-ethyl-6-isopropylphenyl)thiourea	495 (M + H)	3

	Ex. No.	compound name	MS	class
5	1743	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-methoxyphenyl)thiourea	455 (M + H)	3
	1744	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-1-naphthylthiourea	475 (M + H)	3
10	1745	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3,4,5-trimethoxyphenyl)thiourea	515 (M + H)	1
	1746	N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	485 (M + H)	1
15	1747	N-[4-(dimethylamino)-1-naphthyl]-N'-(cis-4-[[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	518 (M + H)	2
	1748	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-ethylphenyl)thiourea	453 (M + H)	3
20	1749	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-methoxy-4-nitrophenyl)thiourea	500 (M + H)	3
	1750	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-methoxy-5-methylphenyl)thiourea	469 (M + H)	2
25	1751	N-(4-bromo-2-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	537 (M + H)	1
	1752	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-iodophenyl)thiourea	551 (M + H)	2
30	1753	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,4,6-tribromophenyl)thiourea	658 (M + H)	1
	1754	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,4,6-trichlorophenyl)thiourea	527 (M + H)	2
35	1755	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-mesitylthiourea	467 (M + H)	1
	1756	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,4-dimethylphenyl)thiourea	453 (M + H)	2
40	1757	N-(2,6-diethylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	481 (M + H)	1
	1758	N-(2-bromo-4-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	517 (M + H)	3
45	1759	N-(2-chlorobenzyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	473 (M + H)	3
	1760	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-ethyl-6-methylphenyl)thiourea	467 (M + H)	3
50	1761	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-isopropylphenyl)thiourea	467 (M + H)	3
	1762	methyl 3-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino] carbonothioyl]amino)-benzoate	483 (M + H)	3
55	1763	N-(4-bromo-2,6-dimethylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)cyclohexyl)thiourea	531 (M + H)	1
	1764	N-(4-bromo-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	517 (M + H)	1

	Ex. No.	compound name	MS	class
5	1765	N-[4-bromo-2-(trifluoromethyl)phenyl]-N'-(cis-4-{ [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)-thiourea	571 (M + H)	1
	1766	N-(4-chloro-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	473 (M + H)	1
10	1767	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(1 -naphthylmethyl)thiourea	489 (M + H)	3
	1768	N-(2,3-dimethoxybenzyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	499 (M + H)	3
15	1769	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,4,5-trimethylphenyl)thiourea	467 (M + H)	3
	1770	N-biphenyl-2-yl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	501 (M + H)	3
20	1771	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-methyl-4-nitrophenyl)thiourea	482 (M - H)	3
	1772	N-(3-chlorobenzyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	473 (M + H)	3
25	1773	ethyl 3-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)cyclohexyl)amino]carbonothioyl}amino)-benzoate	497 (M + H)	3
30	1774	N-[4-chloro-2-(trifluoromethyl)phenyl]-N'-(cis-4-{ [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)-thiourea	527 (M + H)	2
50	1775	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-fluoro-2-methylphenyl)thiourea	457 (M + H)	2
35	1776	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-methoxy-2-methylphenyl)thiourea	469 (M + H)	2
55	1777	N-(5-chloro-2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-thiourea	519 (M + H)	1
	1778	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[(1R)-1-phenylethyl]thiourea	453 (M + H)	3
40	1779	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,3-dimethylphenyl)thiourea	453 (M + H)	3
	1780	N-(2,4-dibromo-6-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	599 (M + H)	2
45	1781	N-(2,4-dichloro-6-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	507 (M + H)	1
	1782	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-ethoxyphenyl)thiourea	469 (M + H)	2
50	1783	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-isopropyl-6-methylphenyl)thiourea	481 (M + H)	3
55	1784	N-(2,3-dihydro-1,4-benzodioxin-6-yl)-N'-(cis-4-{ [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)thiourea	483 (M + H)	3
	1785	N-1,3-benzodioxol-5-yl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	469 (M + H)	3

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5	1786	N-(3-chloro-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	473 (M + H)	3
	1787	N-[4-bromo-2-(trifluoromethoxy)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-thiourea	587 (M + H)	2
10	1788	N-(4-chloro-2,5-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-thiourea	519 (M + H)	2
	1789	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(5-methyl-3-phenylisoxazol-4-yl)-thiourea	506 (M + H)	3
15	1790	1-Bicyclo[2.2.1]hept-2-yl-3-[4-(4-dimethylamino- 5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexyl]-thiourea	443 (M + H)	3
20	1791	methyl 3-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro-quinazolin-2-yl]amino)cyclohexyl)amino}carbonothioyl]amino) -4-methylthiophene-2-carboxylate	503 (M + H)	3
	1792	methyl 3-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro- quinazolin-2-yl]amino ) cyclohexyl)amino]carbonothioyl}amino)- thiophene-2-carboxylate	489 (M + H)	3
25	1793	N-(4-butyl-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino ) cyclohexyl)thiourea	495 (M + H)	3
	1794	N-(3,5-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	477 (M + H)	3
30	1795	N-(2,3-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)cyclohexyl)urea	477 (M + H)	2
	1796	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-methylphenyl)urea	423 (M + H)	2
35	1797	N-(2,6-diisopropylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)cyclohexyl)urea	493 (M + H)	2
	1798	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,3-dimethyl-6-nitrophenyl)urea	482 (M + H)	3
40	1799	N-(2,6-dibromo-4-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	583 (M + H)	3
	1800	N-(2,6-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	477 (M + H)	3
45	1801	N-(cis-4-{[4-(dimethylarnino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-methoxy-5-methylphenyl)urea	453 (M + H)	3
	1802	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-methyl-6-nitrophenyl)urea	468 (M + H)	3
50	1803	N-(3,4-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	445 (M + H)	3
	1804	N-(3,5-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	445 (M + H)	3
55	1805	N-(3-chloro-4-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	461 (M + H)	3
	1806	N-(3-acetylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	451 (M + H)	3

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5	1807	N-1-adamantyl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	467 (M + H)	3
	1808	N-(4-acetylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	451 (M + H)	3
10	1809	N-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)amino]carbonyl}benzamide	437 (M + H)	3
	1810	N-(tert-butyl)-N'-(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	389 (M + H)	3
15	1811	N-[3,5-bis(trifluoromethyl)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	545 (M + H)	3
	1812	N-benzyl-N'-(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	423 (M + H)	3
20	1813	N-(4-bromophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	487 (M + H)	3
	1814	N-(3-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	443 (M + H)	3
25	1815	N-(4-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	443 (M + H)	3
	1816	N-cyclohexyl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	415 (M + H)	3
30	1817	N-(3-cyanophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	434 (M + H)	3
	1818	N-(3,4-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	477 (M + H)	3
35	1819	N-(2,4-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	477 (M + H)	3
	1820	N-(2,6-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	445 (M + H)	3
40	1821	N-(2,5-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	477 (M + H)	3
	1822	ethyl N-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino]carbonyl}glycinate	419 (M + H)	3
45	1823	ethyl 4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino]carbonyl}amino)-benzoate	481 (M + H)	3
	1824	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-ethylphenyl)urea	437 (M + H)	3
50	1825	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-ethylurea	361 (M + H)	3
50	1826	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-fluoro-3-nitrophenyl)urea	472 (M + H)	3
e	1827	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3-fluorophenyl)urea	427 (M + H)	3
55	1828	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-fluorophenyl)urea	427 (M + H)	3

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5	1829	N-(cis-4-([4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-isopropylphenyl)urea	451 (M + H)	3
	1830	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-isopropylurea	375 (M + H)	3
10	1831	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-methoxyphenyl)urea	439 (M + H)	3
	1832	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-methyl-2-nitrophenyl)urea	468 (M + H)	3
15	1833	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino cyclohexyl)-N'-(2-methoxyphenyi)urea	439 (M + H)	3
	1834	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3-methoxyphenyl)urea	439 (M + H)	3
20	1835	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-methoxybenzyl)urea	453 (M + H)	3
	1836	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3-methylbenzyl)urea	437 (M + H)	3
25	1837	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-propylurea	375 (M + H)	3
	1838	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[3-(trifluoromethyl)phenyl]urea	477 (M + H)	3
30	1839	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[3-(triethoxysilyl)propyl]urea	537 (M + H)	3
	1840	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino cyclohexyl)-N'-(3-methylphenyl)urea	423 (M + H)	3
35	1841	N-(3-chloro-4-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl) urea	457 (M + H)	3
	1842	1-[4-(4-Dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)- cyclohexyl]-3-(1-naphthalen-1-yl-ethyl)-urea	487 (M + H)	3
40	1843	N-[2-(difluoromethoxy)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	475 (M + H)	3
	1844	methyl 2-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro- quinazolin-2-yl]amino}cyclohexyl)amino]carbonyl}amino)- benzoate	467 (M + H)	3
45	1845	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[2-(methylthio)phenyl]urea	455 (M + H)	3
	1846	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,4,5-trichlorophenyl)urea	511 (M + H)	2
50	1847	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,4-dimethylphenyl)urea	437 (M + H)	3
	1848	N-(2,5-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	445 (M + H)	3
55	1849	N-(2,5-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	469 (M + H)	2
	1850	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-,2, 5-dimethylphenyl) urea	437 (M + H)	3

	Ex. No.	compound name	MS	class
5	1851	N-(2-benzylphenyl)-N'-(cis-4-{(4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	499 (M + H)	3
	1852	N-(2-bromo-4,6-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	523 (M + H)	3
10	1853	N-[2-chloro-4-(trifluoromethyl)phenyl]-N'-(cis-4-{ [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)-urea	511 (M + H)	3
	1854	N-(2-chloro-4-nitrophenyl)-N'-(cis-4-{[4-(dimethylamino)-, 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	488 (M + H)	3
15	1855	N-[2-chloro-5-(trifluoromethyl)phenyl]-N'-(cis-4-{ [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)-urea	511 (M + H)	3
20	1856	N-(2-chloro-5-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	457 (M + H)	3
	1857	ethyl 2-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro- quinazolin-2-yl]amino}cyclohexyl)amino]carbonyl}amino)- benzoate	481 (M + H)	3
25	1858	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[2-fluoro-3-(trifluoromethyl)phenyl]-urea	495 (M + H)	3
	1859	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[2-fluoro-5-(trifluoromethyl)phenyl]-urea	495 (M + H)	3
30	1860	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-fluoro-5-methylphenyl)urea	441 (M + H)	3
	1861	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-methoxy-4-nitrophenyl)urea	484 (M + H)	3
35	1862	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-methoxy-5-nitrophenyl)urea	484 (M + H)	3
	1863	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-2-naphthylurea	459 (M + H)	3
40	1864	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-phenoxyphenyl)urea	501 (M + H)	3
	1865	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[3-(methylthio)phenyl]urea	455 (M + H)	3
45	1866	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'- {3-[(trifluoromethyl)thio]phenyl}urea	509 (M + H)	3
	1867	N-(3,4-dichlorobenzyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	491 (M + H)	3
50	1868	N-(3,5-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	469 (M + H)	3
	1869	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yI] amino}cyclohexyl)-N'-(3,5-dimethylphenyl)urea	437 (M + H)	3
55	1870	methyl 3-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino] carbonyl}amino)-benzoate	467 (M + H)	3

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5	1871	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3-ethylphenyl)urea	437 (M + H)	3
	1872	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[3-fluoro-5-(trifluoromethyl)phenyl]-urea	495 (M + H)	3
10	1873	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino)cyclohexyl)-N'-(3-fluorobenzyl)urea	441 (M + H)	3
	1874	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3-nitrophenyl)urea	454 (M + H)	3
15	1875	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3-phenoxyphenyl)urea	501 (M + H)	3
	1876	N-[4-(difluoromethoxy)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	475 (M + H)	3
20	1877	butyl 4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino]carbonyl}amino)-benzoate	509 (M + H)	3
	1878	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[4-(trifluoromethyl)phenyl]urea	477 (M + H)	3
25	1879	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yI] amino}cyclohexyl)-N'-{4-[(trifluoromethyl)thio]phenyl}urea	509 (M + H)	3
	1880	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4,5-dimethyl-2-nitrophenyl)urea	482 (M + H)	3
30	1881	N-[4-(benzyloxy)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	515 (M + H)	3
	1882	N-(4-benzylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	499 (M + H)	3
35	1883	N-(4-bromo-2-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	521 (M + H)	2
	1884	N-(4-bromo-2-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	505 (M + H)	3
40	1885	N-(4-bromo-3-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	501 (M + H)	3
	1886	N-(4-chloro-2-nitrophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	488 (M + H)	3
45	1887	N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(cis-4-{ [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)urea	511 (M + H)	3
	1888	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-ethoxyphenyl)urea	453 (M + H)	3
50	1889	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-fluoro-2-nitrophenyl)urea	472 (M + H)	3
	1890	N-(cis-4{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-{4-fluoro-3-(trifluoromethyl)phenyl]-urea	495 (M + H)	3
55	1891	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[4-(heptyloxy)phenyl]urea	523 (M + H)	3
	1892	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-iodophenyl)urea	535 (M + H)	3

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5	1893	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-methoxy-2-nitrophenyl)urea	484 (M + H)	3
	1894	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-methyl-3-nitrophenyl)urea	468 (M + H)	3
10	1895	N-{cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-methylbenzyl)urea	437 (M + H)	3
	1896	N-(4-butoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	481 (M + H)	3
15	1897	N-(4-butylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	465 (M + H)	3
	1898	N-biphenyl-4-yl-N'-(cis-4-{[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	485 (M + H)	3
20	1899	N-(5-chloro-2-methoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)]cyclohexyl)urea	473 (M + H)	3
	1900	N-(5-chloro-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	457 (M + H)	3
25	1901	N-(5-chloro-2-nitrophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	488 (M + H)	3
	1902	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(5-fluoro-2-methylphenyl)urea	441 (M + H)	3
30	1903	N-(2,3-dihydro-1H-inden-5-yl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	449 (M + H)	3
	1904	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-9H-fluoren-2-ylurea	497 (M + H)	3
35	1905	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-9H-fluoren-9-ylurea	497 (M + H)	3
	1906	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-phenylethyl)urea	437 (M + H)	3
40	1907	N-cyclopentyl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	401 (M + H)	3
	1908	methyl 4-({[(cis-4-{(4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino] carbonyl}amino)-benzoate	467 (M + H)	3
45	1909	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[2-(trifluoromethoxy)phenyl)urea	493 (M + H)	2
	1910	butyl 2-({[(cis-4-([4-(dimethylamino)-5,6,7,8-tetrahydro- quinazolin-2-yl]amino}cyclohexyl)amino]carbonyl}amino)- benzoate	509 (M + H)	3
50	1911	dimethyl 5-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino] carbonyl}amino)-isophthalate	525 (M + H)	3
55	1912	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-(trifluoromethoxy)phenyl]urea	493 (M + H)	3

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5	1913	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl)urea	539 (M + H)	3
	1914	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[2-(2-thienyl)ethyl]urea	443 (M + H)	3
10	1915	N-(2-cyanophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	434 (M + H)	3
	1916	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-2-thienylurea	415 (M + H)	3
15	1917	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-3-thienylurea	415 (M + H)	3
	1918	N-(4-tert-butylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	465 (M + H)	3
20	1919	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(5-phenyl-2-thienyl)urea	491 (M + H)	3
	1920	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(6-fluoro-4H-1,3-benzodioxin-8-yl)urea	485 (M + H)	3
25	1921	benzyl 4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro quinazolin-2-yl]amino}cyclohexyl)amino]carbonyl}amino)- piperidine-1-carboxylate	550 (M + H)	3
30	1922	N-[4-(dimethylamino)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)cyclohexyl)urea	452 (M + H)	3
00	1923	N-(2,6-dichloropyridin-4-yl)-N'-(cis-4-{[4-(dimethylamino}-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea	478 (M + H)	3
25	1924	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3,5-dimethylisoxazol-4-yl)urea	428 (M + H)	3
35	1925	N-(3-acetylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	467 (M + H)	3
	1926	N-(4-acetylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	465 (M - H)	3
40	1927	N-[3,5-bis(trifluoromethyl)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-thiourea	561 (M + H)	3
	1928	N-benzyl-N'-(cis-4-)[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	439 (M + H)	3
45	1929	N-(3-bromophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	503 (M + H)	3
	1930	N-butyl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	405 (M + H)	3
50	1931	N-cyclohexyl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	431 (M + H)	3
	1932	N-cyclopentyl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	417 (M + H)	3
55	1933	N-(3-chlorophenyl)-N'-(cis-4-[4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	459 (M + H)	3

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5	1934	N-(4-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	459 (M + H)	3
	1935	N-(2,5-difluorophenyl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	461 (M + H)	3
10	1936	N-(2,5-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	493 (M + H)	3
	1937	N-(3,4-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	493 (M + H)	3
15	1938	N-(2,6-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	493 (M + H)	3
	1939	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-ethoxyphenyl)thiourea	469 (M + H)	3
20	1940	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-furylmethyl)thiourea	429 (M + H)	3
	1941	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-fluorophenyl)thiourea	443 (M + H)	3
25	1942	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-hexylthiourea	433 (M + H)	3
	1943	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[4-(trans-4-propylcyclohexyl)phenyl]-thiourea	549 (M + H)	3
30	1944	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-isobutylthiourea	405 (M + H)	3
	1945	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-methoxybiphenyl-3-yl)thiourea	531 (M + H)	3
35	1946	N-(1,3-benzodioxol-5-ylmethyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	483 (M + H)	3
	1947	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3-methylphenyl)thiourea	439 (M + H)	3
40	1948	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[4-(methylthio)phenyl]thiourea	471 (M + H)	3
	1949	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-methoxyphenyl)thiourea	453 (M - H)	3
45	1950	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-methylprop-2-en-1-yl)thiourea	403 (M + H)	3
	1951	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-methylthiourea	363 (M + H)	3
50	1952	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3-nitrophenyl)thiourea	470 (M + H)	3
	1953	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-nitrophenyl)thiourea	470 (M + H)	3
55	1954	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(1,1,3,3-tetramethylbutyl)thiourea	461 (M + H)	3
	1955	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-phenylthiourea	425 (M + H)	3

	Ex. No.	compound name	MS	class
5	1956	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-propylthiourea	391 (M + H)	3
	1957	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[3-(trifluoromethyl)phenyl]thiourea	493 (M + H)	3
10	1958	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(tetrahydrofuran-2-ylmethyl)thiourea	433 (M + H)	3
	1959	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-methylphenyl)thiourea	439 (M + H)	3
15	1960	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-methylphenyl)thiourea	439 (M + H)	3
	1961	N-(tert-butyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	405 (M + H)	3
20	1962	N-1-adamantyl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	483 (M + H)	3
	1963	N-(2-bromophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	503 (M + H)	3
25	1964	N-(2-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	459 (M + H)	3
	1965	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-phenylethyl)thiourea	453 (M + H)	3
30	1966	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino)cyclohexyl)-N'-(4-ethylphenyl)thiourea	453 (M + H)	3
	1967	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[2-(methylthio)phenyl]thiourea	471 (M + H)	3
35	1968	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-(trifluoromethoxy)phenyl]thiourea	509 (M + H)	3
	1969	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[2-(trifluoromethyl)phenyl]thiourea	493 (M + H)	3
40	1970	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,3,4-trifluorophenyl)thiourea	479 (M + H)	3
	1971	N-(2,3-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	493 (M + H)	3
45	1972	N-(2,4-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	461 (M + H)	3
	1973	N-(2,5-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	485 (M + H)	3
50	1974	N-(2,6-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	461 (M + H)	3
50	1975	N-(2-chloro-4-nitrophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	504 (M + H)	3
	1976	N-[2-(difluoromethoxy)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	491 (M + H)	3
55	1977	N-(cis-4-{[4-(dimethytamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[2-fluoro-5-(trifluoromethyl)phenyl]thiourea	511 (M + H)	3

	Ex. No.	compound name	MS	class
5	1978	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino }cyclohexyl)-N'-(2-fluorophenyl)thiourea	443 (M + H)	3
	1979	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-iodophenyl)thiourea	551 (M + H)	3
10	1980	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-{3-[(trifluoromethyl)thio]phenyl}thiourea	525 (M + H)	3
	1981	N-(3,5-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	493 (M + H)	3
15	1982	N-(3,5-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	461 (M + H)	3
	1983	N-(3-cyanophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	450 (M + H)	3
20	1984	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3-fluorophenyl)thiourea	443 (M + H)	3
	1985	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3-iodophenyl)thiourea	551 (M + H)	3
25	1986	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3-methoxyphenyl)thiourea	455 (M + H)	3
	1987	N-[4-(difluoromethoxy)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	491 (M + H)	3
30	1988	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl} amino}cyclohexyl)-N'-[4-(trifluoromethoxy)phenyl]thiourea	509 (M + H)	3
	1989	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[4-(trifluoromethyl)phenyl]thiourea	493 (M + H)	3
35	1990	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-{4-[(trifluoromethyl)thio]phenyl}thiourea	525 (M + H)	3
	1991	N-(4-bromo-2-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	520 (M)	3
40	1992	N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(cis-4-{ [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)thiourea	527 (M + H)	3
	1993	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[4-fluoro-3-(trifluoromethyl)phenyl]thiourea	511 (M + H)	3
45	1994	N-(5-chloro-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	473 (M + H)	3
	1995	N-bicyclo[2.2.1]hept-2-yl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	443 (M + H)	3
50	1996	tert-butyl [4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro-quinazolin-2-yl]amino}cyclohexyl)amino]carbonothioyl}amino) phenyl]carbamate	540 (M + H)	3
	1997	N-[2-(3,4-dimethoxyphenyl)ethyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	513 (M + H)	3
55	1998	N-[2-(4-chlorophenyl)ethyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	487 (M + H)	3

	Ex. No.	compound name	MS	class
5	1999	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,3,4,5-tetrachlorophenyl)thiourea	561 (M + H)	3
	2000	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,4,5-trichlorophenyl)thiourea	527 (M + H)	3
10	2001	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,4,6-trifluorophenyl)thiourea	479 (M + H)	3
	2002	N-(2,6-diisopropylphenyl)-N'-(cis-4-([4-(dimethylamino)- 5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	509 (M + H)	3
15	2003	N-[2-chloro-5-(trifluoromethyl)phenyl)-N'-(cis-4-{ [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)thiourea	527 (M + H)	3
	2004	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[3-(methylthio)phenyl]thiourea	471 (M + H)	3
20	2005	N-(3,4-dichlorobenzyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	507 (M + H)	3
	2006	N-(3,5-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	485 (M + H)	3
25	2007	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3,5-dimethylphenyl)thiourea	453 (M + H)	3
	2008	N-[3-(benzyloxy)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	531 (M + H)	3
30	2009	3-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)amino]carbonothioyl}amino)benzoic acid	469 (M + H)	3
	2010	N-(3-chloro-4-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	473 (M + H)	3
35	2011	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3-phenylpropyl)thiourea	467 (M + H)	3
	2012	N-[4-(diethylamino)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	496 (M + H)	3
40	2013	ethyl 4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino]carbonothioyl]amino)benzoate	497 (M + H)	3
	2014	N-{cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[1-(4-fluorophenyl)ethyl]thiourea	471 (M + H)	3
45	2015	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-fluorobenzyl)thiourea	457 (M + H)	3
	2016	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-isopropylphenyl)thiourea	466 (M)	3
50	2017	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2- yl] amino}cyclohexyl)-N'-(4-methoxy-2-nitrophenyl)thiourea	500 (M + H)	3
	2018	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-methoxybenzyl)thiourea	469 (M + H)	3
55	2019	methyl 4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino] carbonothioyl}amino)benzoate	483 (M + H)	3

	Ex. No.	compound name	MS	class
5	2020	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-methyl-2-nitrophenyl)thiourea	484 (M + H)	3
	2021	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-methylbenzyl)thiourea	453 (M + H)	3
10	2022	N-(4-butylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	481 (M + H)	3
	2023	N-(5-chloro-2-methoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	489 (M + H)	3
15	2024	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl}-N'-(1-phenylethyl)thiourea	453 (M + H)	3
	2025	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(diphenylmethyl)thiourea	515 (M + H)	3
20	2026	N-cyclododecyl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	515 (M + H)	3
	2027	N-(cyclohexylmethyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	445 (M + H)	3
25	2028	N-cyclooctyl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	459 (M + H)	3
	2029	N-cyclopropyl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	389 (M + H)	3
30	2030	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,2-diphenylethyl)thiourea	529 (M)	2
	2031	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,3,5,6-tetrachlorophenyl)thiourea	561 (M + H)	3
35	2032	N-(2,4-dichlorobenzyl)-N'-(cis-4-{(4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	507 (M + H)	3
	2033	N-(2,5-dibromophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	581 (M + H)	3
40	2034	N-[2-(2,5-dimethoxyphenyl)ethyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	513 (M + H)	3
	2035	N-(2-chloro-5-nitrophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	504 (M + H)	3
45	2036	N-(2-cyanophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	450 (M + H)	3
	2037	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-fluorobenzyl)thiourea	457 (M + H)	3
50	2038	N-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)amino]carbonothioyl}-2-furamide	443 (M + H)	3
	2039	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-methoxy-5-nitrophenyl)thiourea	500 (M + H)	3
55	2040	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-methylbenzyl)thiourea	453 (M + H)	3
J	2041	N-(3,4-dimethoxybenzyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	499 (M + H)	3

	Ex. No.	compound name	MS	class
5	2042	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3-ethylphenyl)thiourea	453 (M + H)	3
	2043	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3-fluorobenzyl)thiourea	457 (M + H)	3
10	2044	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3-methoxybenzyl)thiourea	469 (M + H)	3
	2045	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl} amino}cyclohexyl)-N'-(3-methylbenzyl)thiourea	453 (M + H)	3
15	2046	N-(4-bromo-3-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	537 (M + H)	3
	2047	N-(4-bromo-3-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	517 (M + H)	3
20	2048	N-(4-decylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	565 (M + H)	3
	2049	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[4-(4-nitrophenoxy)phenyl]thiourea	562 (M + H)	3
25	2050	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'- (4-[(4-nitrophenyl)thio]phenyl}thiourea	578 (M + H)	3
	2051	4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)amino]carbonothioyl}amino)-benzenesulfonamide	502 (M - H)	3
30	2052	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl}-N'-[2-(4-methylphenyl)ethyl]thiourea	467 (M + H)	3
	2053	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl}-N'-(4-phenoxyphenyl)thiourea	517 (M + H)	3
35	2054	N-(2,3-dihydro-1H-inden-5-yl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	465 (M + H)	3
	2055	N-cycloheptyl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	445 (M + H)	3
40	2056	N-(cis-4-)[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-prop-2-yn-1-ylthiourea	387 (M + H)	3
	2057	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[4-(piperidin-1-ylsulfonyl)phenyl]-thiourea	572 (M + H)	3
45	2058	N-(2-cyclohex-1-en-1-ylethyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	457 (M + H)	3
	2059	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2,5-dimethylphenyl) thiourea	453 (M + H)	3
50	2060	N-(2-bromo-4-isopropylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	545 (M + H)	3
	2061	N-(2-bromo-5-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	521 (M + H)	3
55	2062	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-methoxybenzyl)thiourea	469 (M + H)	3
	2063	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3,4-dimethylphenyl)thiourea	453 (M + H)	3

	Ex. No.	compound name	MS	class
5	2064	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-phenylbutyl)thiourea	481 (M + H)	3
	2065	N-(4-tert-butylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	481 (M + H)	3
10	2066	N-(5-chloro-2-fluorophenyl)-N'-(cis-4-([4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	477 (M + H)	3
	2067	N-bicyclo[2.2.1]hept-5-en-2-yl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	441 (M + H)	3
15	2068	N-(cyclopropylmethyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	403 (M + H)	3
	2069	ethyl 2-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexýl)amino]carbonothioyl}amino)-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate	557 (M + H)	3
20	2070	N-(2-bromo-4-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	521 (M + H)°	3
	2071	N-(3-chloro-4-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	477 (M + H)	3
25	2072	N-[4-(dimethylamino)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	468 (M + H)	3
	2073	N-[3-(diethylamino)propyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	462 (M + H)	3
30	2074	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-morpholin-4-ylethyl)thiourea	462 (M + H)	3
05	2075	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(4-phenanthro[9,10-d][1,3]oxazol-2-ylphenyl)thiourea	642 (M + H)	3
35	2076	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-pyridin-3-ylthiourea	426 (M + H)	3
40	2077	N-(4-{(E)-[4-(dimethylamino)phenyl]diazenyl}phenyl)-N'-(cis-4-{ [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)thiourea	572 (M + H)	3
	2078	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3-morpholin-4-ylpropyl)thiourea	476 (M + H)	3
45	2079	N-(4-chlorobenzyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	473 (M + H)	3
	2080	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-{4-[(E)-phenyldiazenyl]phenyl}thiourea	529 (M + H)	3
50	2081	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(2-piperidin-1-ylethyl)thiourea	460 (M + H)	3
	2082	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino)cycllohexyl)-N'-[4-(1H-pyrazol-1-yl)phenyl]thiourea	401 (M + H)	3
55	2083	N-2,1,3-benzothiadiazol-4-yl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	483 (M + H)	3
	2084	N-2,1,3-benzothiadiazol-5-yl-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea	483 (M + H)	3

	Ex. No.	compound name	MS	class
5	2085	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(3,5-dimethylisoxazol-4-yl)thiourea	444 (M·+H)	3
	2086	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-[4-(1,3-oxazol-5-yl)phenyl]thiourea	492 (M + H)	3
10	2087	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(6-morpholin-4-ylpyridin-3-yl)thiourea	511 (M + H)	3
	2088	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)-N'-(6-phenoxypyridin-3-yl) thiourea	518 (M + H)	3
15	2089	N-(2-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)urea	438 (M + H)	2
	2090	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2,6-dimethylphenyl)urea	432 (M + H)	3
20	2091	N-(2,4-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)urea	440 (M + H)	3
	2092	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-ethyl-6-methylphenyl)urea	446 (M + H)	. 2
25	2093	ethyl N-{[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino) cyclohexyl)amino]carbonyl}leucinate	470 (M + H)	3
	2094	N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(4-fluorophenyl)urea	422 (M + H)	3
30	2095	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-[4-(methylthio)phenyl]urea	450 (M + H)	3
	2096	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-[2-(trifluoromethyl)phenyl]urea	472 (M + H)	3
35	2097	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-mesitylurea	446 (M + H)	.1
	2098	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-methylphenyl)urea	418 (M + H)	3
40	2099	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2,4,6-trichlorophenyl)urea	506 (M + H)	2
	.2100	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2,4,6-tribromophenyl)urea	637 (M + H)	. 1
45	2101	N-(2,4-dibromo-6-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)urea	578 (M + H)	2
	2102	N-(2,6-diethylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)urea	460 (M + H)	1
50	2103	N-[2-chloro-6-(trifluoromethyl)phenyl]-N'-(cis-4-{ [4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)urea	506 (M + H)	3
-	2104	N-(2-chloro-6-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)urea	452 (M + H)	3
<i>EE</i>	2105	N-(2-chlorobenzyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)urea	452 (M + H)	2
55	2106	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-ethyl-6-isopropylphenyl)urea	474 (M + H)	2

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5	2107	N-(cis-4-{[4-(dimethylamino)quinolin-2-yf]amino}cyclohexyl) -N'-(2-ethylphenyl)urea	432 (M + H)	3
	2108	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-iodophenyl)urea	530 (M + H)	3
10	2109	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-isopropyl-6-methylphenyl)urea	460 (M + H)	2
	2110	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-isopropylphenyl)urea	446 (M + H)	3
15	2111	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-methyl-3-nitrophenyl)urea	463 (M + H)	3
	2112	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-propylphenyl)urea	446 (M + H)	3
20	2113	N-(2-tert-butyl-6-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino]cyclohexyl)urea	474 (M + H)	1
	2114	N-(2-tert-butylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)urea	460 (M + H)	3
25	2115	N-(3-chloro-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino)}cyclohexyl)urea	452 (M + H)	3
	2116	N-(4-bromo-2,6-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)urea	518 (M + H)	3
30	2117	N-[4-chloro-2-(trifluoromethyl)phenyl]-N'-(cis-4-{ [4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)urea	506 (M + H)	3
	2118	N-(4-cyanophenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)urea	429 (M + H)	3
35	2119	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(diphenylmethyl)urea	494 (M + H)	2
	2120	N-(4-bromo-2,6-dimethylphenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)urea	510 (M + H)	1
40	2121	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(3-methyl-5-phenylisoxazol-4-yl)urea	485 (M + H)	2
	2122	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-[5-methyl-2-(trifluoromethyl)-3-furyl]urea	476 (M + H)	3
45	2123	N-(2-bromophenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)urea	482 (M + H)	3
	2124	N-biphenyl-2-yl-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)urea	480 (M + H)	3
50	2125	N-butyl-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)urea	384 (M + H)	3
50	2126	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2,3-dimethylphenyl)urea	432 (M + H)	3
	2127	ethyl 3-({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)amino]carbonyl}amino)benzoate	476 (M + H)	3
55	2128	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-[1-(3-isopropenylphenyl)-1-methylethyl]urea	486 (M + H)	3

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5	2129	methyl N-{[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)amino]carbonyl}methioninate	474 (M + H)	3
	2130	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'- 1-naphthylurea	454 (M + H)	1
10	2131	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-[(2S)-2-phenylcyclopropyl]urea	444 (M + H)	3
	2132	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino) cyclohexyl) -N'-(4-phenoxyphenyl)urea	496 (M + H)	3
15	2133	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'- pentylurea	398 (M + H)	3
	2134	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-[1-(1-naphthyl)ethyl]urea	482 (M + H)	1
20	2135	methyl N-{[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)amino]carbonyl}phenylalaninate	490 (M + H)	2
	2136	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(1-phenylethyl)urea	432 (M + H)	3
25	2137	1-[4-(4-Dimethylamino-quinolin-2-ylamino)-cyclohexyl]- 3-(1-phenyl-ethyl)-urea	432 (M + H)	3
	2138	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2,3,5,6-tetrachlorophenyl)urea	540 (M + H)	3
30	2139	N-(2,4-dibromophenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)urea	560 (M + H)	3
	2140	N-(2,4-dichlorobenzyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)urea	486 (M + H)	3
35	2141	N-(2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin- 2-yl]amino}cyclohexyl)urea	464 (M + H)	3
	2142	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-ethoxyphenyl)urea	448 (M + H)	3
40	2143	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-fluorobenzyl)urea	436 (M + H)	3
	2144	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-methyl-4-nitrophenyl)urea	463 (M + H)	3
45	2145	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-methyl-5-nitrophenyl)urea	463 (M + H)	3
	2146	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-methylbenzyl)urea	432 (M + H)	3
50	2147	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-nitrophenyl)urea	449 (M + H)	3
	2148	N-1,3-benzodioxol-5-yl-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)urea	448 (M + H)	3
55	2149	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(3,4,5-trimethoxyphenyl)urea	494 (M + H)	1
•	2150	N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin- 2-yl]amino}cyclohexyl)urea	464 (M + H)	3

	Ex. No.	compound name	MS	class
5	2151	N-(3-chloro-4-methoxyphenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)urea	468 (M + H)	3
	2152	N-[4-bromo-2-(trifluoromethyl)phenyl]-N'-(cis-4-{ [4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)urea	550 (M + H)	3
10	2153	N-(4-bromobenzyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)urea	496 (M + H)	3
	2154	N-(4-chloro-2-methylphenyl)-N'-(cis-4-[[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)urea	452 (M + H)	3
15	2155	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(4-fluorobenzyl)urea	436 (M + H)	3
	2156	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(4-methoxy-2-methylphenyl)urea	448 (M + H)	3
20	2157	N-(5-chloro-2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)urea	498 (M + H)	1
	2158	N-[1-(4-bromophenyl)ethyl]-N'-(cis-4-{[4-(dimethylamino)quinolin- 2-yl]amino}cyclohexyl)urea	510 (M + H)	3
25	2159	N-(4-bromo-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)urea	496 (M + H)	2
	2160	ethyl N-{[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)amino]carbonyl }phenylalaninate	504 (M + H)	3
30	2161	N-(2,3-dihydro-1,4-benzodioxin-6-yl)-N'-(cis-4-{ [4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)urea	462 (M + H)	3
	2162	N-(2,6-dibromo-4-isopropylphenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)urea	602 (M + H)	3
35	2163	N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N'-(cis-4-{ [4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)urea	518 (M + H)	3
	2164	N-(3,4-dihydro-2H-1,5-benzodioxepin-7-yl)-N'-(cis-4-{ [4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)urea	476 (M + H)	3
40	2165	N-(4-butyl-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)urea	474 (M + H)	3
	2166	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(5-methyl-3-phenylisoxazol-4-yl)urea	485 (M + H)	3
45	2167	N-(4-bromophenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)thiourea	498 (M + H)	3
	2168	N-(4-cyanophenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)thiourea	445 (M + H)	3
50	2169	N-(2,4-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)thiourea	488 (M + H)	3
	2170	N-(2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin- 2-yl]amino}cyclohexyl)thiourea	480 (M + H)	2
55	2171	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2,6-dimethylphenyl)thiourea	448 (M + H)	3
55	2172	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-ethyl-6-isopropylphenyl)thiourea	490 (M + H)	3

	Ex. No.	compound name	MS	class
5	2173	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-methoxyphenyl)thiourea	450 (M + H)	3
	2174	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'- 1-naphthylthiourea	470 (M + H)	3
10	2175	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(3,4,5-trimethoxyphenyl)thiourea	510 (M + H)	1
	2176	N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin- 2-yl]amino}cyclohexyl)thiourea	480 (M + H)	3
15	2177	N-[4-(dimethylamino)-1-naphthyl]-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)thiourea	513 (M + H)	2
	2178	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-ethylphenyl)thiourea	448 (M + H)	3
20	2179	N-(2-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)urea	389 (M + H)	3
	2180	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2,6-dimethylphenyl)urea	383 (M + H)	3
25	2181	N-(2,4-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)urea	391 (M + H)	3
	2182	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-ethyl-6-methylphenyl)urea	397 (M + H)	3
30	2183	ethyl N-{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)amino]carbonyl}leucinate	421 (M + H)	3
	2184	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(4-fluorophenyl)urea	373 (M + H)	3
35	2185	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-[4-(methylthio)phenyl]urea	401 (M + H)	3
	2186	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-[2-(trifluoromethyl)phenyl]urea	445 (M + Na)	3
40	2187	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'- mesitylurea	397 (M + H)	2
	2188	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-methylphenyl)urea	369 (M + H)	3
45	2189	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- N'-(2,4,6-trichlorophenyl)urea	457 (M + H)	1
	2190	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2,4,6-tribromophenyl)urea	588 (M + H)	1
50	2191	N-(2,4-dibromo-6-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)urea	529 (M + H)	1
	2192	N-(2,6-diethylphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2- yl] amino}cyclohexyl)urea	411 (M + H)	3
55	2193	N-[2-chloro-6-(trifluoromethyl)phenyl]-N'-(cis-4-{ [4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)urea	457 (M + H)	3
50	2194	N-(2-chloro-6-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)urea	403 (M + H)	3

	Ex. No.	compound name	MS	class
5	2195	N-(2-chlorobenzyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl}urea	403 (M + H)	3
	2196	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- N'-(2-ethyl-6-isopropylphenyl)urea	447 (M + Na)	3
10	2197	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-ethylphenyl)urea	383 (M + H)	3
	2198	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-iodophenyl)urea	481 (M + H)	3
15	2199	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-isopropyl-6-methylphenyl)urea	411 (M + H)	3
	2200	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-isopropylphenyl)urea	397 (M + H)	-3
20	2201	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- N'-(2-methyl-3-nitrophenyl)urea	414 (M + H)	3
	2202	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-propylphenyl)urea	397 (M + H)	3
25	2203	N-(2-tert-butyl-6-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)urea	425 (M + H)	3
	2204	N-(2-tert-butylphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)urea	411 (M + H)	3
30	2205	N-(3-chloro-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)urea	403 (M + H)	3
	2206	N-(4-bromo-2,6-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)urea	469 (M + H)	3
35	2207	N-[4-chloro-2-(trifluoromethyl)phenyl]-N'-(cis-4-{ [4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)urea	457 (M + H)	3
	2208	N-(4-cyanophenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)urea	380 (M + H)	3
40	2209	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(diphenylmethyl)urea	445 (M + H)	1
	2210	N-(4-bromo-2,6-dimethylphenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)urea	461 (M + H)	1
45	2211	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(3-methyl-5-phenylisoxazol-4-yl)urea	436 (M + H)	3
	2212	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino)cyclohexyl) -N'-[5-methyl-2-(trifluoromethyl)-3-furyl]urea	427 (M + H)	3
50	2213	N-(2-bromophenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)urea	433 (M + H)	3
	2214	N-biphenyl-2-yl-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)urea	431 (M + H)	3
55	2215	N-butyl-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino) cyclohexyl)urea	335 (M + H)	3
<i>5</i> 5	2216	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2,3-dimethylphenyl)urea	383 (M + H)	3

	Ex. No.	compound name	MS	class
5	2217	ethyl 3-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)amino]carbonyl}amino)benzoate	427 (M + H)	3
	2218	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-[1-(3-isopropenylphenyl)-1-methylethyl]urea	437 (M + H)	3
10	2219	methyl N-{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)amino]carbonyl}methioninate	425 (M + H)	3
	2220	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'- 1-naphthylurea	405 (M + H)	3
15	2221	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-[(2S)-2-phenylcyclopropyl]urea	395 (M + H)	3
	2222	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(4-phenoxyphenyl)urea	447 (M + H)	3
20	2223	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'- pentylurea	349 (M + H)	3
	2224	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-[1-(1-naphthyl)ethyl]urea	433 (M + H)	1
25	2225	methyl N-{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino] cyclohexyl)amino]carbonyl}phenylalaninate	441 (M + H)	3
	2226	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(l-phenylethyl)urea	383 (M + H)	3
30	2227	1-[4-(4-Dimethylamino-pyrimidin-2-yl]amino}-cyclohexyl]- 3-(1-phenyl-ethyl)-urea	383 (M + H)	3
	2228	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2,3,5,6-tetrachlorophenyl)urea	491 (M + H)	3
35	2229	N-(2,4-dibromophenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)urea	511 (M + H)	3
	2230	N-(2,4-dichlorobenzyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)urea	437 (M + H)	3
40	2231	N-(2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)urea	415 (M + H)	3
	2232	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-ethoxyphenyl)urea	399 (M + H)	3
45	2233	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-fluorobenzyl)urea	387 (M + H)	3
	2234	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-methyl-4-nitrophenyl)urea	414 (M + H)	3
50	2235	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-methyl-5-nitrophenyl)urea	414 (M + H)	3
50	2236	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-methylbenzyl)urea	383 (M + H)	3
ee	2237	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-nitrophenyl)urea	400 (M + H)	3
55	2238	N-1,3-benzodioxol-5-yl-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)urea	399 (M + H)	3

	Ex. No.	compound name	MS	class
<b>5</b> .	2239	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(3,4,5-trimethoxyphenyl)urea	445 (M + H)	1
	2240	N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)urea	415 (M + H)	3
10	2241	N-(3-chloro-4-methoxyphenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)urea	419 (M + H)	3
	2242	N-[4-bromo-2-(trifluoromethyl)phenyl]-N'-(cis-4-{ [4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)urea	501 (M + H)	3
15	2243	N-(4-bromobenzyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)urea	447 (M + H)	3
	2244	N-(4-chloro-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)urea	403 (M + H)	2
20	2245	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(4-fluorobenzyl)urea	387 (M + H)	3
	2246	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(4-methoxy-2-methylphenyl)urea	399 (M + H)	3
25	2247	N-(5-chloro-2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)urea	449 (M + H)	1
	2248	N-[1-(4-bromophenyl)ethyl]-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)urea	461 (M + H)	3
30	2249	N-(4-bromo-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)urea	447 (M + H)	2
	2250	ethyl N-{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)amino]carbonyl}phenylalaninate	455 (M + H)	3
35	2251	N-(2,3-dihydro-1,4-benzodioxin-6-yl)-N'-(cis-4-{ [4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)urea	413 (M + H)	3
	2252	N-(2,6-dibromo-4-isopropylphenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)urea	553 (M + H)	2
40	2253	N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N'-(cis-4-{ [4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)urea	469 (M + H)	2
	2254	N-(3,4-dihydro-2H-1,5-benzodioxepin-7-yl)-N'-(cis-4-{ [4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)urea	427 (M + H)	3
45	2255	N-(4-butyl-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)urea	425 (M + H)	3
	2256	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- N'-(5-methyl-3-phenylisoxazol-4-yl)urea	436 (M + H)	3
50	2257	N-(4-bromophenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)thiourea	449 (M + H)	3
50	2258	N-(4-cyanophenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)thiourea	396 (M + H)	2
	2259	N-(2,4-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)thiourea	439 (M + H)	3
55	2260	N-(2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)thiourea	431 (M + H)	2

	Ex. No.	compound name	MS	class
5	2261	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2,6-dimethylphenyl)thiourea	399 (M + H)	3
	2262	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-ethyl-6-isopropylphenyl)thiourea	441 (M + H)	3
10	2263	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-methoxyphenyl)thiourea	401 (M + H)	3
	2264	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'- 1-naphthylthiourea	421 (M + H)	3
15	2265	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(3,4,5-trimethoxyphenyl)thiourea	461 (M + H)	1
	2266	N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)thiourea	431 (M + H)	2
20	2267	N-[4-(dimethylamino)-1-naphthyl]-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)thiourea	464 (M + H)	2
	2268	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-ethylphenyl)thiourea	399 (M + H)	3
25	2269	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-methoxy-4-nitrophenyl)thiourea	495 (M + H)	3
	2270	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-methoxy-5-methylphenyl)thiourea	464 (M + H)	3
30	2271	N-(4-bromo-2-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino} quinolin-2-yl]amino)cyclohexyl)thiourea	532 (M + H)	3
	2272	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(4-iodophenyl)thiourea	546 (M + H)	3
35	2273	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2,4,6-tribromophenyl)thiourea	653 (M + H)	1
	2274	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2,4,6-trichlorophenyl)thiourea	522 (M + H)	2
40	2275	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-mesitylthiourea	462 (M + H).	1
	2276	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2,4-dimethylphenyl)thiourea	448 (M + H)	3
45	2277	N-(2,6-diethylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)thiourea	476 (M + H)	1
	2278	N-(2-bromo-4-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)thiourea	512 (M + H)	3
50	2279	N-(2-chlorobenzyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)thiourea	468 (M + H)	3
50	2280	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-ethyl-6-methylphenyl)thiourea	462 (M + H)	3
	2281	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-isopropylphenyl)thiourea	462 (M + H)	3
55	2282	methyl 3-({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)amino]carbonothioyl}amino)benzoate	478 (M + H)	3

	Ex. No.	compound name	MS	class
5	2283	N-(4-bromo-2,6-dimethylphenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)thiourea	526 (M + H)	1
	2284	N-(4-bromo-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)thiourea	512 (M + H)	2
10	2285	N-[4-bromo-2-(trifluoromethyl)phenyl]-N'-(cis-4-{ [4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)thiourea	566 (M + H)	2
	2286	N-(4-chloro-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)thiourea	468 (M + H)	3
15	2287	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(1-naphthylmethyl)thiourea	484 (M + H)	3
	2288	N-(2,3-dimethoxybenzyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)thiourea	494 (M + H)	3
20	2289	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2,4,5-trimethylphenyl)thiourea	462 (M + H)	3
	2290	N-biphenyl-2-yl-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)thiourea	496 (M + H)	3
25	2291	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-methyl-4-nitrophenyl)thiourea	479 (M + H)	3
	2292	N-(3-chlorobenzyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)thiourea	468 (M + H)	3
30	2293	ethyl 3-({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)amino]carbonothioyl}amino)benzoate	492 (M + H)	3
	2294	N [4-chloro-2-(trifluoromethyl)phenyl]-N'-(cis-4-{ [4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)thiourea	522 (M + H)	3
35	2295	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(4-fluoro-2-methylphenyl)thiourea	452 (M + H)	3
	2296	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(4-methoxy-2-methylphenyl)thiourea	464 (M + H)	3
40	2297	N-(5-chloro-2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)thiourea	514 (M + H)	1
	2298	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-[(1R)-1-phenylethyl]thiourea	448 (M + H)	3
45	2299	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2,3-dimethylphenyl)thiourea	448 (M + H)	3
70	2300	N-(2,4-dibromo-6-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)thiourea	594 (M + H)	2
	2301	N-(2,4-dichloro-6-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)thiourea	502 (M + H)	1
50	2302	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl) -N'-(2-ethoxyphenyl)thiourea	464 (M + H)	3
***	2303	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(2-isopropyl-6-methylphenyl)thiourea	476 (M + H)	3
55	2304	N-(2,3-dihydro-1,4-benzodioxin-6-yl)-N'-(cis-4-{ [4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)thiourea	478 (M + H)	3

	Ex. No.	compound name	MS	class
5	2305	N-1,3-benzodioxol-5-yl-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)thiourea	464 (M + H)	3 .
	2306	N-(3-chloro-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)thiourea	468 (M + H)	3
10	2307	N-[4-bromo-2-(trifluoromethoxy)phenyl]-N'-(cis-4-{ [4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)thiourea	582 (M + H)	3
	2308	N-(4-chloro-2,5-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)thiourea	514 (M + H)	3
15	2309	N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) -N'-(5-methyl-3-phenylisoxazol-4-yl)thiourea	501 (M + H)	3
	2310	N-bicyclo[2.2.1]hept-2-yl-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)thiourea	438 (M + H)	3
20	2311	methyl 3-({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)amino]carbonothioyl}amino)-4-methylthiophene-2-carboxylate	498 (M + H)	2
	2312	methyl 3-({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)amino]carbonothioyl)amino)thiophene-2-carboxylate	484 (M + H)	3
25	2313	N-{4-butyl-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)thiourea	490 (M + H)	3
	2314	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-methoxy-4-nitrophenyl)thiourea	446 (M + H)	3
30	2315	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-methoxy-5-methylphenyl)thiourea	413 (M - H)	3
	2316	N-(4-bromo-2-chlorophenyl)-N'-(cis-4-{[4-(dimethylamimo) pyrimidin-2-yl]amino}cyclohexyl)thiourea	483 (M + H)	3
35	2317	N-(cis-4-{([4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(4-iodophenyl)thiourea	497 (M + H)	3
	2318	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2,4,6-tribromophenyl)thiourea	604 (M + H)	1
40	2319	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2,4,6-trichlorophenyl)thiourea	473 (M + H)	3
	2320	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-mesitylthiourea	413 (M + H)	1
45	2321	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2,4-dimethylphenyl)thiourea	399 (M + H)	3
	2322	N-(2,6-diethylphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)thiourea	427 (M + H)	3
50	2323	N-(2-bromo-4-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)thiourea	463 (M + H)	3
	2324	N-(2-chlorobenzyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)thiourea	419 (M + H)	3
55	2325	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-ethyl-6-methylphenyl)thiourea	413 (M + H)	3
	2326	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- N'-(2-isopropylphenyl)thiourea	413 (M + H)	3

	Ex. No.	compound name	MS	class
5	2327	methyl 3-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)amino]carbonothioyl}amino)benzoate	429 (M + H)	3
	2328	N-(4-bromo-2,6-dimethylphenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)thiourea	477 (M + H)	1
10	2329	N-(4-bromo-2-methylphenyl)-N'-(cis-4-{[4-dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)thiourea	463 (M + H)	3
	2330	N-{[4-bromo-2-(trifluoromethyl)phenyl]-N'-(cis- 4-([4-(dimethylamino}pyrimidin-2-yl]amino]cyclohexyl)thiourea	517 (M + H)	3
15	2331	N-(4-chloro-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)thiourea	419 (M + H)	3
	2332	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(1 -naphthylmethyl)thiourea	435 (M + H)	3
20	2333	N-(2,3-dimethoxybenzyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)thiourea	443 (M - H)	3
	2334	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2,4,5-trimethylphenyl)thiourea	413 (M + H)	3
25	2335	N-biphenyl-2-yl-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)thiourea	447 (M + H)	3
	2336	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-methyl-4-nitrophenyl)thiourea	428 (M - H)	3
30	2337	N-(3-chlorobenzyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)thiourea	419 (M + H)	3
	2338	ethyl 3-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)amino]carbonothioyl}amino)benzoate	441 (M - H)	3
35	2339	N-[4-chloro-2-(trifluoromethyl)phenyl]-N'-(cis-4-{[4- (dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)thiourea	473 (M + H)	3
	2340	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- N'-(4-fluoro-2-methylphenyl)thiourea	403 (M + H)	3
40	2341	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(4-methoxy-2-methylphenyl)thiourea	415 (M + H)	3
	2342	N-(5-chloro-2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)thiourea	465 (M + H)	1
45	2343	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- N'-[(1R)-1-phenylethyl]thiourea	397 (M - H)	3
	2344	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2,3-dimethylphenyl)thiourea	399 (M + H)	3
50	2345	N-(2,4-dibromo-6-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)thiourea	545 (M + H)	2
30	2346	N-(2,4-dichloro-6-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)thiourea	453 (M + H)	2
55	2347	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-ethoxyphenyl)thiourea	415 (M + H)	3
55	2348	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(2-isopropyl-6-methylphenyl)thiourea	427 (M + H)	3

	Ex. No.	compound name	MS	class
5 .	2349	N-(2,3-dihydro-1,4-benzodioxin-6-yl)-N'-(cis-4-{ [4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)thiourea	429 (M + H)	3
	2350	N-1,3-benzodioxol-5-yl-N'-(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)thiourea	415 (M + H)	3
10	2351	N-(3-chloro-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)thiourea	419 (M + H)	3
	2352	N-[4-bromo-2-(trifluoromethoxy)phenyl]-N'-(cis-4-{ [4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)thiourea	533 (M + H)	3
15	2353	N-(4-chloro-2,5-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)thiourea	465 (M + H)	3
•	2354	N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) -N'-(5-methyl-3-phenylisoxazol-4-yl)thiourea	452 (M + H)	3
20	2355	N-bicyclo[2.2.1]hept-2-yl-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)thiourea	387 (M-H)	3
	2356	methyl 3-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)amino]carbonothioyl}amino)-4-methylthiophene-2-carboxylate	449 (M + H)	3
25	2357	methyl 3-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)amino]carbonothioyl}amino)thiophene-2-carboxylate	435 (M + H)	3
	2358	N-(4-butyl-2-methylphenyl)-N'-(cis-4-([4-(dimethylamino) pyrimidin-2-yl]amino)cyclohexyl)thiourea	441 (M + H)	3
30	2359	N-(2-chlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin-2ु-भू। amino}cyclohexyl)methyl]urea	452 (M + H)	3
	2360	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-N'-(2,6-dimethylphenyl)urea	446 (M + H)	3
35	2361	N-(2,4-difluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)methyl]urea	454 (M + H)	3
	2362	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-N'-(2-ethyl-6-methylphenyl)urea	460 (M + H)	2
40	2363	ethyl N-({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino} cyclohexyl)methyl]amino}carbonyl)leucinate	484 (M + H)	3
	2364	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-N'-(4-fluorophenyl)urea	436 (M + H)	3
45	2365	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-N'-[4-(methylthio)phenyl]urea	464 (M + H)	3
	2366	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-N'-[2-(trifluoromethyl)phenyl]urea	486 (M + H)	3
50	2367	N-[(cis-4-{ [4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-N'-mesitylurea	460 (M + H)	2
	2368	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-N'-(2-methylphenyl)urea	432 (M + H)	3
55	2369	N [(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-N'-(2,4,6-trichlorophenyl)urea	520 (M + H)	1
	2370	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-N'-(2,4,6-tribromophenyl)urea	651 (M + H)	1

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	Ex. No.	compound name	MS	class
5	2371	N-(2,4-dibromo-6-fluorophenyl)-N'-[(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)methyl]urea	592 (M + H)	1
	2372	N-(2,6-diethylphenyl)-N'-[(cis-4-([4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)methyl]urea	474 (M + H)	2
10	2373	N-[2-chloro-6-(trifluoromethyl)phenyl]-N'-[(cis-4-{ [4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]urea	520 (M + H)	2
	2374	N-(2-chloro-6-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)methyl]urea	466 (M + H)	3
15	2375	N-(2-chlorobenzyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)methyl]urea	466 (M + H)	3
	2376	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-N'-(2-ethyl-6-isopropylphenyl)urea	488 (M + H)	1
20	2377	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-N'-(2-ethylphenyl)urea	446 (M + H)	3
	2378	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-N'-(2-iodophenyl)urea	544 (M + H)	3
25	2379	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-N'-(2-isopropyl-6-methylphenyl)urea	474 (M + H)	2
	2380	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-N'-(2-isopropylphenyl)urea	460 (M + H)	3
30	2381	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-N'-(2-methyl-3-nitrophenyl)urea	477 (M + H)	2
	2382	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-N'-(2-propylphenyl)urea	460 (M + H)	3
35	2383	N-(2-tert-butyl-6-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)methyl]urea	488 (M + H)	1
	2384	N-(2-tert-butylphenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin-2-yl} amino}cyclohexyl)methyl]urea	474 (M + H)	1
40	2385	N-(3-chloro-2-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)methyl]urea	466 (M + H)	3
	2386	N-(4-bromo-2,6-difluorophenyl}-N'-[(cis-4-[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)methyl]urea	532 (M + H)	3
45	2387	N-[4-chloro-2-(trifluoromethyl)phenyl]-N'-[(cis-4-{ [4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]urea	520 (M + H)	3
	2388	N-(4-cyanophenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)methyl]urea	443 (M + H)	3
50	2389	N[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-N'-(diphenylmethyl)urea	508 (M + H)	2
	2390	N-(4-bromo-2,6-dimethylphenyl)-N'-[(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)methyl]urea	524 (M + H)	1
<b>E</b> E	2391	N-[(cis-4-{[4-(dimethylamino}quinolin-2-yl]amino)cyclohexyl)-methyl]-N'-(3-methyl-5-phenylisoxazol-4-yl)urea	499 (M + H)	3
55	2392	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-N'-[5-methyl-2-(trifluoromethyl)-3-furyl]urea	490 (M + H)	3

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	Ex. No.	compound name	MS	class
5	2393	N-(3,5-dichlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin- 2-yl]amino}cyclohexyl)methyl]urea	486 (M + H)	3
	2394	N-(2,3-dichlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin- 2-yl]amino}cyclohexyl)methyl]urea	486 (M + H)	2
10	2395	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-N'-(4-methylphenyl)urea	432 (M + H)	3
	2396	N-{2,6-diisopropylphenyl}-N'-{(cis-4-{[4-(dimethylamino)quinolin- 2-yl]amino}cyclohexyl)methyl]urea	502 (M + H)	1
15	2397	N [(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-N'-(2,3-dimethyl-6-nitrophenyl)urea	491 (M + H)	3
	2398	N-(2,6-dibromo-4-fluorophenyl)-N'-[(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)methyl]urea	592 (M + H)	3
20	2399	N-(2,6-dichlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin- 2-yl]amino}cyclohexyl)methyl]urea	486 (M + H)	3
	2400	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-N'-(2-methoxy-5-methylphenyl)urea	462 (M + H)	3
25	2401	N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl) methyl]-N'-(2-methyl-6-nitrophenyl)urea	477 (M + H)	3
	2402	N-(3,4-difluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)methyl]urea	454 (M + H)	3
30	2403	N-(3,5-difluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino}cyclohexyl)methyl]urea	454 (M + H)	3
	2404	N-(3-chloro-4-fluorophenyl)-N'-[(cis-4-{[4-(dimethylamino) quinolin-2-yl]amino}cyclohexyl)methyl]urea	470 (M + H)	3
35	2405	N-(2-chlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)methyl]urea	403 (M + H)	3
	2406	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-N'-(2,6-dimethylphenyl)urea	397 (M + H)	1
40	2407	N-(2,4-difluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)methyl]urea	405 (M + H)	2
	2408	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-N'-(2-ethyl-6-methylphenyl)urea	411 (M + H)	1
45	2409	ethyl N-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino} cyclohexyl)methyl]amino}carbonyl)leucinate	435 (M + H)	3
	2410	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-N'-(4-fluorophenyl)urea	387 (M + H)	2
50	2411	N-[(cis-4-{[(4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-N'-[4-(methylthio)phenyl]urea	415 (M + H)	3
50	2412	N- [(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino cyclohexyl) methyl]-N'-[2-(trifluoromethyl)phenyl]urea	435 (M - H)	3
er	2413	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-N'-mesitylurea	411 (M + H)	1
55	2414	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-N'-(2-methylphenyl)urea	383 (M + H)	3

	Ex. No.	compound name	MS	class
5	2415	N-[(cis-4-[4-{(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-N'-(2,4,6-trichlorophenyl)urea	471 (M + H)	1
	2416	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-N'-(2,4,6-tribromophenyl)urea	602 (M + H)	1
10	2417	N-(2,4-dibromo-6-fluorophenyl)-N'-[(cis-4-[{4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)methyl]urea	543 (M + H)	1
,	2418	N-(2,6-diethylphenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)methyl]urea	425 (M + H)	1
15	2419	N-[2-chloro-6-(trifluoromethyl)phenyl]-N'-[(cis-4-{ [4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]urea	471 (M + H)	1
	2420	N-(2-chloro-6-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)methyl]urea	417 (M + H)	1
20	2421	N-(2-chlorobenzyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)methyl]urea	417 (M + H)	3
	2422	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-N'-(2-ethyl-6-isopropylphenyl)urea	437 (M-H)	1
25	2423	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-N'-(2-ethylphenyl)urea	397 (M + H)	3
	2424	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-N'-(2-iodophenyl)urea	495 (M+H)	3
30	2425	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- methyl]-N'-(2-isopropyl-6-methylphenyl)urea	425 (M + H)	1
	2426	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-N'-(2-isopropylphenyl)urea	411 (M + H)	3
35	2427	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-N'-(2-methyl-3-nitrophenyl)urea	428 (M+H)	1
	2428	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-N'-(2-propylphenyl)urea	411 (M + H)	2
40	2429	N-(2-tert-butyl-6-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)methyl]urea	439 (M + H)	1
	2430	N-(2-tert-butylphenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)methyl]urea	425 (M + H)	1
45	2431	N-(3-chloro-2-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)methyl]urea	417 (M + H)	1
	2432	N-(4-bromo-2,6-difluorophenyl)-N'-[(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)methyl]urea	483 (M+ H)	1
50	2433	N-[4-chloro-2-(trifluoromethyl)phenyl]-N'-[(cis-4-{ [4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]urea	471 (M + H)	2
50	2434	N-(4-cyanophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)methyl]urea	394 (M + H)	3
55	2435	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-N'-(diphenylmethyl)urea	459 (M+H)	1
<i>55</i>	2436	N-(4-bromo-2,6-dimethylphenyl)-N'-[(cis-4-{[4-dimethylamino) pyrimidin-2-yl]amino cyclohexyl)methyl]urea	475 (M + H)	1

	Ex. No.	compound name	MS	class
5	2437	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl)-methyl]-N'-(3-methyl-5-phenylisoxazol-4-yl)urea	450 (M+H)	1
	2438	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]-N'-[5-methyl-2-(trifluoromethyl)-3-furyl]urea	441 (M + H)	3
10	2439	N-(3,5-dichlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)methyl]urea	437 (M+H)	2
	2440	N-(2,3-dichlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)methyl]urea	437 (M + H)	1
15	2441	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-N'-(4-methylphenyl)urea	383 (M + H)	3
	2442	N-(2,6-diisopropylphenyl)-N'-[(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)methyl]urea	453 (M+ H)	1
20	2443	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- methyl]-N'-(2,3-dimethyl-6-nitrophenyl)urea	442 (M + H)	1
	2444	N-(2,6-dibromo-4-fluorophenyl)-N'-[(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)methyl]urea	543 (M + H)	1
25	2445	N-(2,6-dichlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)methyl]urea	437 (M + H)	1
	2446	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)- 'methyl]-N'-(2-methoxy-5-methylphenyl)urea	413 (M + H)	2
30	2447	N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl) methyl]-N'-(2-methyl-6-nitrophenyl)urea	428 (M + H)	2
	2448	N-(3,4-difluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)methyl]urea	405 (M + H)	1
35	2449	N-(3,5-difluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin- 2-yl]amino}cyclohexyl)methyl]urea	405 (M + H)	1
	2450	N-(3-chloro-4-fluorophenyl)-N'-[(cis-4-{[4-(dimethylamino) pyrimidin-2-yl]amino}cyclohexyl)methyl]urea	421 (M + H)	1
40	2451	N-(2-chlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	457 (M + H)	3
	2452	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-(2,6-dimethylphenyl)urea	451 (M + H)	1
45	2453	N-(2,4-difluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	459 (M + H)	2
	2454	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-(2-ethyl-6-methylphenyl)urea	465 (M + H)	1
50	2455	ethyl N-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydro quinazolin-2-yl]amino}cyclohexyl)methyl]amino}carbonyl)- leucinate	489 (M + H)	2
	2456	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino]cyclohexyl)methyl]-N'-(4-fluorophenyl)urea	441 (M + H)	2
55	2457	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-[4-(methylthio)phenyl]urea	469 (M + H)	3
	2458	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-[2-(trifluoromethyl)phenyl]urea	491 (M + H)	3

	Ex. No.	compound name	MS	class
5	2459	N-[(cis-4-{[4-(dimethylamino}-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-mesitylurea	465 (M + H)	1
	2460	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-(2-methylphenyl)urea	437 (M + H)	3
10	2461	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-(2,4,6-trichlorophenyl)urea	525 (M + H)	1
	2462	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-(2,4,6-tribromophenyl)urea	657 (M + H)	1
15	2463	N-(2,4-dibromo-6-fluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	597 (M + H)	3
	2464	N-(2,6-diethylphenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	479 (M + H)	1
20	2465	N-[2-chloro-6-(trifluoromethyl)phenyl]-N'-[(cis-4-{ [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)-methyl]urea	525 (M + H)	1
	2466	N-(2-chloro-6-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	471 (M + H)	1
25	2467	N-(2-chlorobenzyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	471 (M + H)	3
	2468	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-(2-ethyl-6-isopropylphenyl)urea	493 (M + H)	1
30	2469	N [(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-(2-ethylphenyl)urea	451 (M·+ H)	3
	2470	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-(2-iodophenyl)urea	549 (M + H)	3
35	2471	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-(2-isopropyl-6-methylphenyl)-urea	479 (M + H)	2
	2472	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-(2-isopropylphenyl)urea	465 (M + H)	3
40	2473	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-(2-methyl-3-nitrophenyl)urea	482 (M + H)	3
	2474	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-(2-propylphenyl)urea	465 (M + H)	3
45	2475	N-(2-tert-butyl-6-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	493 (M + H)	1
	2476	N-(2-tert-butylphenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	479 (M + H)	2
50	2477	N-(3-chloro-2-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	471 M + H)	2
	2478	N-(4-bromo-2,6-difluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	537 (M + H)	3
55	2479	N-[4-chloro-2-(trifluoromethyl)phenyl]-N'-[(cis-4-{ [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)-methyl]urea	525 (M + H)	3

# (continued)

	Ex. No.	compound name	MS	class
5	2480	N-(4-cyanophenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	448 (M + H)	3
	2481	N [(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-(diphenylmethyl)urea	513 (M + H)	3
10	2482	N-(4-bromo-2,6-dimethylphenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	529 (M + H)	1
	2483	N-[(cis-4-[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-(3-methyl-5-phenylisoxazol-4-yl) urea	504 (M + H)	3
15	2484	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-[5-methyl-2-(trifluoromethyl)-3-furyl] urea	495 (M + H)	3 .
20	2485	N-(3,5-dichlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	491 (M + H)	3
	2486	N-(2,3-dichlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	491 (M + H)	3
25	2487	N [(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-(4-methylphenyl)urea	437 (M + H)	3
	2488	N-(2,6-diisopropylphenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	507 (M + H)	2
30	2489	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-(2,3-dimethyl-6-nitrophenyl)-urea	496 (M + H)	2
	2490	N-(2,6-dibromo-4-fluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	597 (M + H)	1
ae.	2491	N-(2,6-dichlorophenyl)-N'-((cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	491 (M + H)	1
35	2492	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-(2-methoxy-5-methylphenyl)-urea	467 (M + H)	3
	2493	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl] amino}cyclohexyl)methyl]-N'-(2-methyl-6-nitrophenyl)urea	482 (M + H)	3
40	2494	N-(3,4-difluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	459 (M + H)	3
	2495	N-(3,5-difluorophenyl)-N'-{(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	459 (M + H)	3
45	2496	N-(3-chloro-4-fluorophenyl)-N'-[(cis-4-[[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea	475 (M + H)	3

# Example 2497

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2,3,4-Trifluoro-*N*-{cis-4-[(4-methylquinolin-2-yl)aminolcyclohexyl)-benzamide trifluoroacetate

Step A: Synthesis of cis-(4-tert-butoxycarbonylamino-cyclohexyl)-carbamic acid benzyl ester.

[0329] To a solution of cis-(4-amino-cyclohexyl)-carbamic acid tert-butyl ester (4 g, 0.019 mol) in 50 mL CH<sub>2</sub>Cl<sub>2</sub> was added DIEA (4.9 mL, 0.028 mol). The solution was cooled on an ice bath and CbzCl (2.9 mL, 0.020 mol) was added slowly. The solution was removed from the ice bath and stirring continued for an additional hour. The solvent was evaporated and the material was subjected to chromatography (0-40% ethyl acetate in hexanes) to yield *cis-(4-tert*-

butoxycarbonylamino-cyclohexyl)-carbamic acid benzyl ester (6.2 g, 0.018 mol, 95%) as a white solid. ESI MS m/e 349.0 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.34-7.28 (m, 5 H), 7.12 (d, J = 5.6 Hz, 1 H), 6.62 (brs, 1 H), 4.98 (s, 2 H), 3.39-3.37 (m, 2 H), 1.60-1.45 (m, 8 H), 1.37 (s, 9 H).

5 Step B: Synthesis of cis-(4-amino-cyclohexyl)-carbamic acid benzyl ester.

[0330] To a solution of cis-(4-tert-butoxycarbonylamino-cyclohexyl)-carbamic acid benzyl ester (6.2 g, 0.018 mol) in  $40 \, \text{mL CH}_2\text{Cl}_2$  was added TFA (2.7 mL, 0.36 mol). The solution was stirred at room temperature for 4 hours. The excess solvent was evaporated off and the resulting oil was dissolved in 30 mL CH $_2\text{Cl}_2$ . The organic layer was extracted with 30 mL of a dilute NaOH (aq) / NaHCO $_3$  (aq) solution. The aqueous layer was back extracted twice with CH $_2\text{Cl}_2$  and the organic layers combined, dried over MgSO $_4$ , and concentrated to yield cis-(4-amino-cyclohexyl)-carbamic acid benzyl ester (4.3 g, 97%) as a colorless oil. The oil was carried forward without further purification. ESI MS m/e 249.2 M + H $^+$ .

15 Step C: Synthesis of cis-[4-(4-methyl-quinolin-2-ylamino)-cyclohexyl)-carbamic acid benzyl ester.

[0331] To a solution of cis-(4-amino-cyclohexyl)-carbamic acid benzyl ester (0.5 g, 0.0020 mol) in 1 mL 2-propanol was added 2-chloro-4-methyl-quinoline (0.43 g, 0.0024 mol) and IEA (526 uL, 0.0030 mol). The mixture was heated in a microwave synthesizer at 170 °C for 5 hours. The reaction was repeated 7 more times (4 g total material) and the reaction mixtures were pooled. The solvent was evaporated and the material subjected to chromatography (2-4 % 2M NH $_3$  in MeOH / CH $_2$ Cl $_2$ ) to yield *cis*-[4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-carbamic acid benzyl ester (3.3 g, 53%) as a colorless oil.

ESI MS m/e 390.2 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.71 (d, J = 8 Hz, 1 H), 7.46-7.39 (m, 2 H), 7.37-7.19 (m, 7 H), 6.68 (m, 2 H), 5.01 (s, 2 H), 4.07 (m, 1 H), 3.46 (m, 1 H), 2.44 (s, 3 H), 1.79-1.71 (m, 2 H), 1.70-1.59 (m, 6 H).

Step D: Synthesis of cis-N-(4-methyl-quinolin-2-yi)-cyclohexane-1,4-diamine.

[0332] To a solution of cis-[4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-carbamic acid benzyl ester (3.3 g, 0.0085 mol) in 200 mL EtOH was added 10% Pd/C (330 mg). The reaction mixture was stirred at room temperature under H<sub>2</sub> (g) atmosphere for 3 hours. The H<sub>2</sub>(g) atmosphere was removed and the mixture was through a pad of celite and washed with ethyl acetate. The solvent was concentrated and the material was subjected to chromatography (2-4 % 2M NH<sub>3</sub> in MeOH / CH<sub>2</sub>Cl<sub>2</sub>) to yield *cis-N-(4-methyl-quinolin-2-yl)-cyclohexane-1,4-diamine* (2.0 g, 92%) as a light brown solid.

ESI MS m/e 256.4 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.71 (d, J = 8 Hz, 1 H), 7.46-7.39 (m, 2H), 7.14-7.10 (m, 1H), 6.69-6.68 (m, 2 H), 4.07-4.05 (m, 1 H), 2.81-2.77 (m, 1 H), 2.44 (s, 3 H), 1.78-1.71 (m, 2 H), 1.62-1.40 (m, 6 H).

# Step E: Synthesis of 2,3,4-trifluoro-*N*-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl)-benzamide trifluoroacetate.

40 [0333] To a solution of cis-N-(4-methyl -quinolin-2-yl)-cyclohexane- 1,4-diamine (23 mg, 0.090 mmol) in 0.5 mL DMF was added pyridine (12 uL, 0.15 mmol) and 2,3,4-trifluorobenzoyl chloride (12.8 uL, 0.10 mmol). The reaction mixture was stirred overnight and then 0.5 mL of DMSO was added to the mixture. The compound was then subjected to purification by prep LCMS to yield 2,3,4-trifluoro-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}-benzamide trifluoroacetate (10.1 mg, 21 %) as a white solid.

ESI MS m/e 414.2 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) δ 12.44 (brs, 1 H), 9.27 (brs, 1 H), 8.45 (d, J = 6.4 Hz, 1 H), 7.98-7.93 (m, 2 H), 7.80 (t, J = 7.6 Hz, 1 H), 7.53 (t, J = 8.0 Hz, 1 H), 7.43-7.37 (m, 2 H), 7.01 (s, 1 H), 4.05 (m, 1 H), 3.97 (m, 1 H), 2.69 (s, 3 H), 1.86-1.74 (m, 8 H).

## Example 2498

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3,4-Difluoro-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl)benzamide trifluoroacetate

# StepA: Synthesis of 3,4-difluoro-*N*-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}-benzamide trifluoroacetate

[0334] Using the procedure of step E of example 2497, the title compound was obtained. ESI MS m/e 396.18 M + H+;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.40 (brs, 1 H), 9.25 (brs, 1 H), 8.33 (d, J = 6.0 Hz, 1 H), 7.98-7.90 (m, 3 H), 7.80-7.76 (m, 2 H), 7.58-7.50 (m, 2 H), 7.02 (brs, 1 H), 4.09 (m, 1 H), 3.94 (m, 1 H), 2.61 (s, 1 H), 4.09 (m, 2 H), 7.80-7.76 (m, 2 H), 7.80-7.76 (m, 2 H), 7.80-7.70 (m, 2

3 H), 1.84-1.74 (m, 8 H).

Example 2499

5 4-Cyano-N-{cis-4-[(4-methylquino)in-2-yl)amino]cyclohexyl}benzamide trifluoroacetate

Step A: Synthesis of 4-cyano-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}benzamide trifluoroacetate.

[0335] Using the procedure of step E of example 2497, the title compound was obtained. ESI MS m/e 385.2 M + H+;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.38 (brs, 1 H), 9.27 (brs, 1 H), 8.51 (d, J = 6.0 Hz , 1 H), 8.01-7.95 (m, 6H), 7.80 (t, J = 7.2 Hz , 1 H), 7.54 (t, J = 8.0 Hz , 1 H), 7.02 (brs, 1 H), 4.09 (m, 1 H), 3.96 (m, 1 H), 2.66 (s, 3 H), 1.85-1.75 (m, 8 H).

Example 2500

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3-Fluoro-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl)benzamide trifluoroacetate

Step A: Synthesis of 3-fluoro-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}benzamide trifluoroacetate.

[0336] Using the procedure of step E of example 2497, the title compound was obtained. ESI m/e 378 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.38 (brs, 1 H), 9.25 (brs, 1 H), 8.33 (d, J = 6.0 Hz , 1 H), 7.98-7.91 (m, 2 H), 7.80 (t, J = 7.6 Hz , 1 H), 7.71-7.64 (m, 2 H), 7.55-7.49 (m, 2 H), 7.41-7.36 (m, 1 H), 4.12 (m, 1 H), 4.08 (m, 1 H), 2.77 (s, 3 H), 1.85-1.74 (m, 8 H).

25 Example 2501

3,5-Difluoro-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}benzamide trifluoroacetate

Step A: Synthesis of 3,5-difluoro-*N*{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl]-benzamide trifluoroacetate.

[0337] Using the procedure of step E of example 2497, the title compound was obtained. ESI MS m/e 396 M + H+; H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.40 (brs, 1 H), 9.25 (brs, 1 H), 8.40 (d, J = 6.0 Hz , 1 H), 7.98-7.96 (m, 2 H), 7.80 (t, J = 7.2 Hz, 1 H), 7.59-7.44 (m, 4 H), 7.02 (brs, 1 H), 4.09 (m, 1 H), 3.94 (m, 1 H), 2.68 (s, 3 H), 1.85-1.74 (m, 8 H).

Example 2502

N-(cis-4-[(4-Methylquinolin-2-yl)amino]cyclohexyl}-2-[4-(trifluoromethoxy)phenoxy]-acetamide trifluoroacetate

Step A: Synthesis of N-[cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl)-2-[4-(trifluoromethoxy)phenoxy]-acetamide trifluoroacetate.

- 45 [0338] To a solution of cis-N(4-methyl-quinolin-2-yl)-cyclohexane-1,4-diamine (25.5 mg, 0.1 mmol) in 0.5 mL DMF was added 4-(trifluoromethoxy)phenoxyacetic acid (23.6 mg, 0.1 mmol), DIEA (0.026 mL, 0.15 mmol), and HATU (45.6 mg, 0.12 mmol). The reaction mixture was stirred overnight and then 0.5 mL of DMSO was added to the mixture. The compound was then subjected to purification by prep LCMS to yield N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}-2-[4-(trifluoromethoxy)phenoxy]-acetamide trifluoroacetate (22.3 mg, 38%) as a white solid.
- ESI MS m/e 474.4 M + H+;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.47 (s, 1 H), 9.25 (s, 1 H), 8.00-7.92 (m, 3 H), 7.80 (t, J = 7.2 Hz, 1 H), 7.53 (t, J = 8.0 Hz, 1 H), 7.31 (d, J = 8.8 Hz, 2 H), 7.04-7.01 (m, 3 H), 4.55 (s, 2 H), 4.06 (m, 1 H), 3.84 (m, 1 H), 2.69 (s, 3 H), 1.78-1.68 (m, 8 H).

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#### Example 2503

2-(3,4-Difluorophenyl)-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}acetamide trifluoroacetate

5 Step A: Synthesis of 2-(3,4-difluorophenyl)-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}acetamide trifluoroacetate.

[0339] Using the procedure of step A of example 2502, the title compound was obtained. ESI MS m/e 410 M + H+;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.42 (brs, 1 H), 9.26 (brs, 1 H), 8.09 (d, J = 6.4 Hz, 1 H), 7.98-7.92 (m, 2 H), 7.80 (t, J = 7.6 Hz, 1 H), 7.54 (t, J = 8.8 Hz, 1 H), 7.38-7.27 (m, 2 H), 7.10-7.07 (m, 1 H), 7.01 (brs, 1 H), 4.02 (m, 1 H), 3.94 (m, 1 H), 2.61 (s, 3 H), 1.79-1.69 (m, 8 H).

Example 2504

2-(2-Bromo-4,5-dimethoxyphenyl)-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl)-acetamide trifluoroacetate

Step A: Synthesis of 2-(2-bromo-4,5-dimethoxyphenyl)-N-[cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl) acetamide trifluoroacetate.

[0340] Using the procedure of step A of example 2502, the title compound was obtained. ESI MS m/e 512.2 M + H+;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.45 (brs, 1 H), 9.25 (brs, 1H), 8.00-7.92 (m, 3 H), 7.80 (t, J = 7.6 Hz , 1 H), 7.53 (t, J = 7.6 Hz , 1H), 7.09 (s, 1 H), 7.01 (brs, I H), 6.95 (s, 1H), 4.10 (m, 1H), 3.78 (m, 1H), 3.74 (s, 3 H), 3.72 (s, 3 H), 3.53 (s, 2 H), 2.69 (s, 3 H), 1.78-1.67 (m, 8 H).

Example 2505

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4-(Benzyloxy)-N-{cis4-[(4-methylquinolin-2-yl)amino]cyclohexyl)benzamide trifluoroacetate

30 Step A: Synthesis of 4-(benzyloxy)-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl)-benzamide trifluoroacetate.

[0341] Using the procedure of step A of example 2502, the title compound was obtained. ESI MS m/e 466.2 M + H+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.39 (brs, 1 H), 9.25 (brs, 1 H), 8.06 (d, J= 6.0 Hz , 1 H), 7.98-7.96 (m, 2 H), 7.84-7.76 (m, 3 H), 7.54 (t, J= 8.0 Hz , 1 H), 7.46 (d, J= 7.2 Hz, 2 H), 7.41 (t, J= 7.2 Hz, 2 H), 7.35-7.31 (m, 1 H), 7.08 (d, J= 8.8 Hz, 2 H), 7.02 (brs, 1 H), 5.17 (s, 2 H), 4.09 (m, I H), 3.93 (m, 1 H), 2.66 (s, 3 H), 1.84-1.72 (m, 8 H).

Example 2506

2-(2-Methoxyphenoxy)-N {cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}acetamide trifluoroacetate

Step A: Synthesis of 2-(2-methoxyphenoxy)-*N*-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}acetamide trifluoroacetate.

[0342] Using the procedure of step A of example 2502, the title compound was obtained. ESI MS m/e 420.2 M + H+;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.50 (brs, 1 H), 9.25 (brs, 1 H), 7.98-7.93 (m, 2 H), 7.80-7.76 (m, 2 H), 7.53 (t, J = 5.6 Hz, 1 H), 7.02-6.85 (m, 5 H), 4.50 (s, 2 H), 4.07 (m, 1 H), 3.85 (m, 1 H), 3.79 (s, 3 H), 2.61 (s, 3 H), 1.84-1.69 (m, 8 H).

Example 2507

2-(4-Fluorophenoxy)-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}nicotinamide trifluoroacetate

55 Step A: Synthesis of 2-(4-fluorophenoxy)-N-icis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}nicotinamide trifluoroacetate.

[0343] Using the procedure of step A of example 2502, the title compound was obtained.

ESI MS m/e 471.4 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CD<sub>3</sub>OD)  $\delta$  8.29 (dd, J = 7.6, 2.0 Hz, 1 H), 8.19 (dd, J = 4.8, 2.0 Hz, 1 H), 8.01 (d, J = 8.0 Hz, 1 H), 7.88 (brs, 1 H), 7.80 (t, J = 8.4 Hz, 1 H), 7.57 (t, J = 8.0 Hz, 1 H), 7.25-7.15 (m, 5 H), 6.90 (brs, 1 H), 4.20 (brs, 1 H), 4.07 (brs, 1 H), 2.67 (s, 3H), 2.02-1.81 (m, 8H).

- 5 Example 2508
  - 2- (4-Chlorophenoxy)- N -lcis-4-[ (4-methylquinoJin- 2- y I)amino ]cy clohexy I) nicotinamide trifluoroacetate
- Step A: Synthesis of 2-(4-Chlorophenoxy)-N-f cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}nicotinamide trifluoroacetate.

[0344] Using the procedure of step A of example 2502, the title compound was obtained. ESI MS m/e 487.2 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  13.0 (brs, 1 H), 9.50 (d, J= 6.8 Hz, 1 H), 8.35 (m, 1 H), 8.19 (m, 1 H), 8.07 (d, J= 6.8 Hz, 1 H), 7.93 (d, J = 7.6 Hz, 1 H), 7.75 (t, J = 7.2 Hz, 1 H), 7.50 (m, 3 H), 7.30 (m, 3 H), 7.10 (brs, 1 H), 4.38 (brs, 1 H), 4.01 (brs, 1 H), 2.57 (s, 3 H), 1.83 (m, 8H).

Example 2509

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2,6-Dimethoxy-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}nicotinamide trifluoroacetate

Step A: Synthesis of 2,6-dimethoxy-*N*-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}nicotinamide trifluoroacetate.

[0345] Using the procedure of step A of example 2502, the title compound was obtained. ESI MS m/e 421.2 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  13.1 (brs, 1 H), 9.74 (d, J = 8.0 Hz, 1 H), 8.30 (d, J = 8.4 Hz, 1 H), 8.17 (d, J = 8.4 Hz, 1 H), 7.98 (m, 2 H), 7.60 (m, 1 H), 7.50 (t, J = 7.6 Hz, 1 H), 7.19 9 (brs, 1 H), 4.43 (brs, 1 H), 3.94 (brs, 7H), 2.58 (s, 3H), 1.90 (m, 8 H).

Example 2510

cis-N-[4-Bromo-2-(trifluoromethoxy)benzyl]-N'-(4-methylquinolin-2-yl)cyclohexane-1,4-diamine bis-trifluoroacetate

Step A: Synthesis of cis-N-[4-bromo-2-(trifluoromethoxy)benzyl]-N'-(4-methylquinolin-2-yl)cyclohexane-1,4-diamine bis-trifluoroacetate.

[0346] To a solution of *cis*-N-(4-methyl-quinolin-2-yl)-cyclohexane-1,4-diamine (25.5 mg, 0.1 mmol) in 0.5 mL MeOH was added 4-bromo-2-trifluoromethoxybenzaldehyde (26.9 mg, 0.1 mmol). The reaction mixture was stirred for a half hour and then sodium triacetoxyborohydride (84.8 mg, 0.4 mmol) was added to the reaction. The mixture was stirred overnight and then 0.5 mL of DMSO was added. The compound was then subjected to purification by prep LCMS to yield *cis-N*-[4-bromo-2-(trifluoromethoxy)benzyl]-*N*-(4-methylquinolin-2-yl)cyclohexane-1,4-diamine bis-trifluoroacetate (9.6 mg, 13%) as a white solid.

ESI MS m/e 508.0 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CD<sub>3</sub>OD)  $\delta$  8.04 (d, J = 8.0 Hz, 1H), 7.84 (brs, I H), 7.81 (t, J = 7.2 Hz, 1 H), 7.69-7.63 (m, 3 H), 7.58 (t, J = 8.0 Hz, 1H), 7.16 (brs, 1 H), 4.36 (s, 2 H), 4.26 (m, 1 H), 3.32-3.30 (m, 1 H), 2.71 (s, 2 H), 2.66 (s, 3 H), 2.16-1.93 (m, 8 H).

Example 2511

 $\textbf{\textit{cis-N-}[(5-Bromo-1H-indol-3-yl)} methyl]-\textbf{\textit{N-}(4-methylquinolin-2-yl)} cyclohexane-1, 4-diamine\ bis-trifluoroacetate$ 

Step A: Synthesis of *cis-N*-[(5-bromo-1H-indol-3-yl)methyl]-*N*-(4-methylquinolin-2-yl)cyclohexane-1,4-diamine bis-trifluoroacetate.

[0347] Using the procedure of step A of example 2510, the title compound was obtained.

ESI MS m/e 463.2 M + H+; ¹H NMR (400 MHz, CD<sub>3</sub>OD) δ 8.03 (d, J = 8.0 Hz, 1 H), 7.92 (s, 1 H), 7.87 (brs, 1 H), 7.80-7.76 (t, J = 7.2 Hz, 1 H), 7.57-7.53 (m, 2 H), 7.38 (d, J = 8.8 Hz, 1 H), 7.31 (d, J= 8.4 Hz, 1 H), 7.14 (brs, 1 H), 4.47 (s, 2 H), 4.23 (m, 1 H), 3.37 (m, 1 H), 2.71 (brs, 2 H), 2.65 (s, 3 H), 2.15-1.91 (m, 8 H).

# Example 2512

cis-N-(3,5-Dimethoxybenzyl)-N-(4-methylquinolin-2-yl)cyclohexane-1,4-diamine bis-trifluoroacetate

5 Step A: Synthesis of cis-N-(3,5-dimethoxybenzyl)-N-(4-methylquinolin-2-yl)cyclohexane-1,4-diamine bistrifluoroacetate.

[0348] Using the procedure of step A of example 2510, the title compound was obtained. ESI MS m/e 406.2 M + H+;  $^{1}$ H NMR (400 MHz, CD<sub>3</sub>OD)  $\delta$  8.03 (d, J= 8.0 Hz, 1 H), 7.88 (brs, 1 H), 7.80 (t, J = 7.2 Hz, 1 H), 7.57 (t, J = 8.4 Hz, 1 H), 7.17 (brs, 1 H), 6.71 (s, 2 H), 6.55 (s, 1 H), 4.24 (m, 1 H), 4.21 (s, 2 H), 3.81 (s, 6 H), 3.35 (m, 1 H), 2.70 (brs, 2 H), 2.66 (s, 3 H), 2.14-1.90 (m, 8 H).

#### Example 2513

15 cis-N-(3,5-Dichlorobenzyl)-N-(4-methylquinolin-2-yl)cyclohexane-1,4-diamine bis-trifluoroacetate

Step A: Synthesis of cis-*N*-(3,5-dichlorobenzyl)-*N*-(4-methylquinolin-2-yl)cyclohexane-1,4-diamine bistrifluoroacetate.

20 [0349] Using the procedure of step A of example 2510, the title compound was obtained. ESI MS m/e 414.2 M + H+;  $^{1}$ H NMR (400 MHz, CD<sub>3</sub>OD) δ 8.04 (d, J= 8.4 Hz, 1 H), 7.86 (brs, I H), 7.81 (t, J = 7.2 Hz, 1 H), 7.58-7.54 (m, 4 H), 7.16 (brs, 1 H), 4.30 (s, 2 H), 4.25 (m, 1 H), 3.41 (m, 1 H), 2.76 (brs, 2 H), 2.66 (s, 3 H), 2.12-1.92 (m, 8 H).

# 25 Example 2514

cis-N-(3,4-Difluorobenzyl)-N-(4-methylquinolin-2-yl)cyclohexane-1,4-diamine bis-trifluoroacetate

Step A: Synthesis of cis-N-(3,4-difluorobenzyl)-N'-(4-methylquinolin-2-yl)cyclohexane-1,4-diamine bis-

[0350] Using the procedure of step A of example 2510, the title compound was obtained. ESI MS m/e 382.2 M + H+;  $^{1}$ H NMR (400 MHz, CD<sub>3</sub>OD)  $\delta$  8.03 (d, J = 8.0 Hz, 1 H), 7.86 (brs, 1 H), 7.80 (t, J = 7.2 Hz, 1 H), 7.57-7.51 (m, 2H), 7.39-7.37 (m, 2 H), 7.16 (brs, 1H), 4.29 (s, 2 H), 4.25 (m, 1 H), 3.37 (m, 1H), 2.71 (brs, 2 H), 2.66 (s, 3 H), 2.11-1.95 (m, 8 H).

# Example 2515

N-(3,5-Difluorophenyl)-N'-} cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl} urea trifluoroacetate

Step A: Synthesis of N-(3,5-difluorophenyl)-N'-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}urea trifluoroacetate.

[0351] To a solution of cis-*N*-(4-methyl-quinolin-2-yl)-cyclohexane-1,4-diamine (20 mg, 0.078 mmol) in 0.5 mL of DMSO was added 3,5-difluorophenyl isocyanate (9.3 uL, 0.078 mmol). The reaction mixture was stirred overnight and then 0.5 mL of DMSO was added to the mixture. The compound was then subjected to purification by prep LCMS to yield N-(3,5-difluorophenyl)-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}urea trifluoroacetate (12 mg, 29%) as a white solid.ESI MS m/e 411.2 M + H+; ¹H NMR (400 MHz, CD<sub>3</sub>OD) δ 8.02 (d, J = 8.0 Hz, 1 H), 7.87 (brs, 1 H), 7.80 (t, J = 7.6 Hz, 1 H), 7.56 (t, J = 7.6 Hz, 1 H), 7.07 (s, 1 H), 7.03 (s, 1 H), 6.97 (brs, 1 H), 6.50 (t, J = 9.2 Hz, 1 H), 4.02 (m, 1 H), 3.89 (m, 1 H), 2.68 (brs, 3 H), 2.66 (s, 3 H), 1.99-1.78 (m, 8 H).

## Example 2516

N-(3,5-Bis(trifluoromethyl)phenyl]-N-[cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl} urea trifluoroacetate

5 Step A: Synthesis of N-[3,5-bis(tritluoromethyl)phenyl]-N'-icis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl} urea trifluoroacetate.

[0352] Using the procedure of step A of example 2515, the title compound was obtained. ESI MS m/e 511.2 M + H+;  $^{1}$ H NMR (400 MHz, CD<sub>3</sub>OD)  $\delta$  8.02 (s, 2 H), 8.00 (s, 1 H), 7.87 (brs, 1 H), 7.80 (t, J = 7.2 Hz, 1 H), 7.57 (t, J = 8.0 Hz, 1 H), 7.49 (s, 1 H), 6.98 (brs, 1 H), 4.04 (m, 1 H), 3.91 (m, 1 H), 2.69 (brs, 3 H), 2.66 (s, 3 H), 2.01-1.80 (m, 8 H).

# Example 2517

5 N-(3-Chlorophenyl)-N'-{cis-4-[(4-methylquinolin-2-yl)amlno]cyclohexyl}urea trifluoroacetate

Step A: Synthesis of N-(3-chlorophenyl)-N'-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}urea trifluoroacetate.

[0353] Using the procedure of step A of example 2515, the title compound was obtained.
 ESI MS m/e 409.2 M + H+; ¹H NMR (400 MHz, CD<sub>3</sub>OD) δ 8.00 (d, J = 8.4 Hz, 1 H), 7.87 (brs, 1 H), 7.79 (t, J = 7.6 Hz, 1 H), 7.59 (s, 1 H), 7.56 (t, J = 7.6 Hz, 1 H), 7.21-7.15 (m, 2 H), 6.96 (brs, I H), 6.93-6.91 (m, 1 H), 4.01 (m, 1 H), 3.89 (t, 1 H), 2.66 (brs, 6 H), 1.99-1.78 (m, 8 H).

25 Example 2518

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N-(3,4-Dichlorophenyl)-N-(cis-4-[(4-methylquinolin-2-yl) amino]cyclohexyl}urea trifluoroacetate

Step A: Synthesis of N(3,4-dichlorophenyl)-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl)urea trifluoroacetate.

[0354] Using the procedure of step A of example 2515, the title compound was obtained. ESI MS m/e 443.2 M+H+;  $^{1}$ H NMR (400 MHz, CD<sub>3</sub>OD)  $\delta$  8.00 (d, J = 7.6 Hz, 1 H), 7.87 (brs, I H), 7.79-7.74 (m, 2 H), 7.56 (t, J = 7.6 Hz, 1 H), 7.34 (d, J = 8.4 Hz, 1 H), 7.20 (d, J = 8.4 Hz, 1 H), 6.97 (brs, 1 H), 4.02 (m, 1 H), 3.88 (m, 1 H), 2.66 (brs, 6 H), 1.98-1.78 (m, 8 H).

# Example 2519

 $\textit{N-} (3-Methoxyphenyl)-\textit{N-}\{cis-4-[(4-methylquinolin-2-yl)amino] cyclohexyl\}urea trifluoroacetate$ 

Step A: Synthesis of N (3-methoxyphenyl)-N-{cis-4-[(4-methylquinolin-2-yl)amino] cyclohexyl}urea trifluoroacetate.

[0355] Using the procedure of step A of example 2515, the title compound was obtained.

45 ESI MS m/e 405.4 M + H+;  $^1$ H NMR (400 MHz, CD<sub>3</sub>OD)  $\delta$  8.00 (d, J = 8.0 Hz, 1 H), 7.87 (brs, 1 H), 7.79 (t, J = 7.6 Hz, 1 H), 7.56 (t, J = 8.0 Hz, 1 H), 7.14-7.10 (m, 2 H), 6.96 (brs, 1H), 6.84 (d, J = 8.0 Hz, 1 H), 6.53 (d, J = 8.4 Hz, 1H), 4.01 (m, 1 H), 3.89 (m, 1H), 3.75 (s, 3H), 2.71 (brs, 6 H), 1.99-1.78 (m, 8 H).

## Example 2520

3-Methoxy-N-[cis-4-(quinolin-2-ylamino)cyclohexyl]benzamide trifluoroacetate

Step A: Synthesis of cis-[4-(3-methoxy-benzoylamino)-cyclohexyl]-carbamic acid tert-butyl ester.

[0356] To a solution of cis-(4-amino-cyclohexyl)-carbamic acid tert-butyl ester (2.8 g, 0.013 mol) in 40 mL CH<sub>2</sub>Cl<sub>2</sub> stirring on ice was added DIEA (3.41 mL, 0.020 mol). The solution was cooled on an ice bath and *m*-anisoyl chloride (1.84 mL, 0.013 mol) was added slowly. The solution was removed from the ice bath and stirring continued for an additional hour. The solvent was evaporated and the material was subjected to chromatography (0-40% ethyl acetate).

in hexanes) to yield cis-[4-(3-methoxy-benzoylamino)-cyclohexyl]-carbamic acid tert-butyl ester (4.3 g, 94 %) as a white solid.

ESI MS m/e 349.0 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.03 (d, J= 6.8 Hz, 1 H), 7.42-7.32 (m, 3 H), 7.07 (dd, J = 8.4, 2.4 Hz, 1 H), 6.62 (brs, 1 H), 3.79 (s, 3 H), 3.77 (m, 1 H), 3.41 (m, 1 H), 1.71-1.70 (m, 4 H), 1.52-1.46 (m, 4 H), 1.38 (s, 9H).

# Step B: Synthesis of cis-N-(4-amino-cyclohexyl)-3-methoxy-benzamide.

[0357] To a solution of cis-[4-(3-methoxy-benzoylamino)-cyclohexyl]-carbamic acid *tert*-butyl ester (4.3 g, 0.012 mol) in 50 mL CH<sub>2</sub>Cl<sub>2</sub> was added TFA (1.84 mL, 0.024 mol). The solution was stirred for 4 hours and the solvent evaporated. The resulting oil was re-dissolved in 50 mL CH<sub>2</sub>Cl<sub>2</sub>. The organic layer was extracted with 50 mL of a dilute NaOH (aq) / NaHCO<sub>3</sub> (aq) solution. The aqueous layer was extracted twice more with CH<sub>2</sub>Cl<sub>2</sub> and the organic layers combined, dried over MgSO<sub>4</sub>, and concentrated. The resulting precipitate was crystallized in ether and hexanes to yield cis-*N*-(4-amino-cyclohexyl)-3-methoxy-benzamide (2.4g, 78%) as a white solid.

ESI MS m/e 249.0 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.10 (d, J = 7.2 Hz, 1 H), 7.42-7.32 (m, 3 H), 7.07 (dd, J = 8.0, 2.4 Hz, 1H), 3.79 (brs, 4 H), 2.91 (m, 1 H), 1.80-1.74 (m, 2 H), 1.52-1.46 (m, 6 H), 1.31 (brs, 2 H).

# Step C: Synthesis of 3-methoxy-N-[cis-4-(quinolin-2-ylamino)cyclohexyl]benzamide trifluoroacetate.

[0358] To a solution of *cis-N*-(4-amino-cyclohexyl)-3-methoxy-benzamide (28.4 mg, 0.1 mmol) in 0.5 mL 2-propanol was added 2-chloroquinoline (32.7 mg, 0.2 mmol) and DIEA (34.8 uL, 0.2 mmol). The reaction mixture was heated in a microwave synthesizer at 170 °C for 10 hours. The solvent was removed and the resulting oil dissolved in 1 mL of DMSO. The compound was then subject to purification by prep LCMS to yield 3-methoxy-N-[cis-4-(quinolin-2-ylamino) cyclohexyl]benzamide trifluoroacetate (26 mg, 53%) as a colorless oil.

5 ESI MS m/e 376.2 M + H+;  $^{1}$ H NMR (400 MHz, CD<sub>3</sub>OD)  $\delta$  7.85 (d, J = 9.2 Hz, 1 H), 7.62 (t, J= 8.8 Hz, 2 H), 7.50 (t, J = 7.2 Hz, 1 H), 7.39-7.36 (m, 3 H), 7.19 (t, J = 7.2 Hz, 1 H), 7.10-7.07 (m, 1 H), 6.82 (d, J = 9.2 Hz, 1 H), 4.18 (m, 1 H), 4.02 (m, 1 H), 3.84 (s, 3 H), 1.95-1.22 (m, 1 H).

# Example 2521

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 $3-methoxy-\textit{N-}(\textit{cis-}4-\{[4-(trifluoromethyl)quinolin-2-yl]amino} \\ cyclobexyl) benzamide trifluoroacetate$ 

## Step A: Synthesis of 2-chloro-4-trifluoromethyl-quinoline.

[0359] To a solution of 4-trifluoromethyl-quinolin-2-ol (1.01 g, 0.0047 mol) in 10 mL POCl<sub>3</sub> was added N, N-dimethylaniline (661 uL, 0.0052 mol). The mixture was heated to reflux (125 °C) and stirred for 4 hours until the starting material completely dissolved and the solution turned dark purple in color. The solution was then cooled and poured slowly on ice (30 g; caution highly exothermic) to quench the reaction. The aqueous layer was then extracted three times with CH<sub>2</sub>Cl<sub>2</sub> (25 mL). The organic layer was dried with MgSO<sub>4</sub>, concentrated, and subjected to purification by chromatography (100% CH<sub>2</sub>Cl<sub>2</sub>) to yield 2-chloro-4-trifluoromethyl-quinoline (823 mg, 75%) as a slightly yellow solid. ESI MS m/e 232.0 M + H+; ¹H NMR (400 MHz, DMSO-d<sub>6</sub>) δ 8.15-8.09 (m, 2 H), 8.06 (s, 1 H), 8.01-7.97 (m, 1 H), 7.88-7.85 (m, 1 H).

# Step B: Synthesis of 3-methoxy-*N*-(cis-4-{[4-(trifluoromethyl)quinolin-2-yl]amino}cyclohexyl)benzamide trifluoroacetate.

[0360] To a solution of cis-N-(4-amino-cyclohexyl)-3-methoxy-benzamide (50 mg, 0.20 mmol) in 0.5 mL 2-propanol was added 2-chloro-4-trifluoromethyl-quinoline (56 mg, 0.24 mmol), and DIEA (52.6 uL, 0.30 mmol). The reaction mixture was heated in a microwave synthesizer at 170° C for 5 hours. The solvent was removed and the resulting oil dissolved in 1 mL of DMSO. The compound was then subjected to purification by prep LCMS to yield 3-methoxy-N-(cis-4-{[4-(trifluoromethyl)quinolin-2-yl]amino}cyclohexyl)benzamide trifluoroacetate (71.8 mg, 64%) as a white solid. ESI MS m/e 444.4 M + H+;  $^{1}$ H NMR (400 MHz, DMSO-d6)  $\delta$  8.22 (d, J= 6.4 Hz, 1 H), 7.79-7.77 (m, 2 H), 7.69 (m, 1 H), 7.50 (s, 1 H), 7.44-7.34 (m, 4 H), 7.09 (dd, J= 8.0, 2.4 Hz 1 H), 4.14 (m, 1 H), 3.87 (m, 1 H), 3.80 (s, 3 H), 1.94-1.92 (m, 2 H), 1.82-1.72 (m, 6 H).

## Example 2522

3-Methoxy-N-{cis-4-[(quinolin-2-ylmethyl)amino]cyclohexyl}benzamide trifluoroacetate

5 Step A: Synthesis of 3-methoxy-N-{cis-4-[(quinolin-2-ylmethyl)amino]cyclohexyl}benzamide trifluoroacetate.

[0361] Using the procedure of step A of example 2510, the title compound was obtained. ESI MS m/e 390.2 M + H+;  $^{1}$ H NMR (400 MHz, CD<sub>3</sub>OD)  $\delta$  8.41 (d, J = 8.8 Hz, 1 H), 8.14 (d, J= 8.4 Hz, 1 H), 7.99 (d, J= 8.0 Hz, 1 H), 7.84 (t, J= 7.2 Hz, 1 H), 7.67 (t, J= 7.2 Hz, 1 H), 7.55 (d, J= 8.4 Hz, 1 H), 7.43-7.36 (m, 3 H), 7.12-7.10 (m, 1 H), 4.66 (s, 2 H), 4.13 (m, 1 H), 3.85 (s, 3 H), 3.46 (m, 1 H), 2.16-2.05 (m, 4 H), 2.05-1.96 (m, 2 H), 1.85-1.78 (m, 2 H).

# Example 2523

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5 N-(cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-4-methylbenzamide trifluoroacetate

Step A: Synthesis of 2-chloro-4-dimethylamino-5-methylpyrimidine.

[0362] In 8 mL tetrahydrofuran was dissolved 2,4-dichloro-5-methylpyrimidine (0.5 g, 3.07 mmol) at 0 °C. To the reaction mixture was added dimethylamine (2M in methanol, 3.4 mL, 6.8 mmol) dropwise. The reaction mixture was stirred at 10°C for 1.5 hour: do not increase the reaction temperature. The solution was concentrated and purified by flash chromatography (silica gel, 20% ethyl acetate and 5% methanol in hexanes) to give 2-chloro-4-dimethylamino-5-methylpyrimidine (307 mg, 58%) as a white solid.

ESI MS m/e 172 M+H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.8 (s, 1 H), 3.18 (s, 6 H), 2.23 (s, 3 H).

Step B: Synthesis of cis-[4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-carbamic acid tert-butyl ester.

[0363] To a suspension of 2-chloro-4-dimethylamino-5-methylpyrimidine (250mg, 1.46 mmol) in 2-propanol (2.5 mL) was added cis-(4-amino-cyclohexyl)-carbamic acid tert-butyl ester (340 mg, 1.60mmol) and DIEA (507 μL, 2.91 mmol). The reaction was performed in the Smith synthesizer for 4.5 hours at 175° C. The solution was concentrated and purified by flash chromatography (silica gel, 1% MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give cis-[4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino) cyclohexyl]-carbamic acid *tert*-butyl ester (219 mg, 43 %) as a pale yellow solid.

ESI MS m/e 350.4 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.80 (s, 1 H), 4.6 (brs, 1 H), 3.94 (brs, I H), 3.60 (brs, 1 H), 3.02 (s, 6 H), 2.18 (s, 3 H), 1.85-1.70 (m, 8 H), 1.41 (s, 9 H).

Step C: Synthesis of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-0-amino-cyclohexane.

[0364] To a suspension of cis-[4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino) cyclohexyl]-carbamic acid tert-butyl ester (219 mg, 0.627 mmol) in DCM (3 mL) was added trifluoroacetic acid (2mL). The reaction stirred at room temperature for 2 hours and concentrated. A few drops NaHCO<sub>3</sub> was added, followed by 1M NaOH until the solution was basic. The product was extracted with H<sub>2</sub>O and CH<sub>2</sub>Cl<sub>2</sub> three times. The organic layers were combined, dried over MgSO<sub>4</sub>, filtered and concentrated to give cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-4-aminocyclohexane (115.9 mg, 74 %) as yellow oil.

45 ESI MS m/e 250.2 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.60 (s, 1H), 4.95 (brs, 1H), 3.90 (brs, 1H), 2.98 (s, 6 H), 2.80 (brs, 1 H), 2.48 (brs, 2 H), 2.04 (s, 3 H), 1.78 (m, 2 H), 1.62 (m, 4 H), 1.4 (m, 2 H).

Step D: Synthesis of *N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)-cyclohexyl)-4-methylbenzamide trifluoroacetate.

[0365] To a suspension of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-4-aminocyclohexane (30 mg, 0.12 mmol) was added 4-methyl-benzoyl chloride (15.8  $\mu$ L, 0.12 mmol) and DIEA (5 drops). The reaction was stirred overnight at room temperature under argon gas. The solution was concentrated and the product purified using prep HPLC to give N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-methylbenzamide trifluoroacetate (29.1 mg, 50.4 %) as a white solid.

ESI MS m/e 368 M + H+; <sup>1</sup>H NMR (400 MHz, DMSO- $d_6$ )  $\delta$  8.70 (s, 1 H), 7.68 (d, J = 8.0 Hz, 2 H), 7.24 (d, J = 8.0 Hz, 2 H), 6.72 (s, 1 H), 4.25 (s, 1H), 3.23 (s, 6 H), 2.71 (s, 1 H), 2.34 (s, 3 H), 2.27 (s, 3 H), 1.7-1.88 (m, 8 H).

## Example 2524

N-(cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide hydrochloride

5 Step A: Synthesis of N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)-cyclohexyl)-3,4-difluorobenzamide hydrochloride.

[0366] To a suspension of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-4-aminocyclohaexane (70 mg, 0.28 mmol) in DCM (5 mL) was added 3,4-difluorobenzoyl chloride (50 mg, 0.28 mmol) and DIEA (45 μL, 0.28 mmol). The reaction was stirred overnight and the product was purified by column chromatography (silica gel, DCM/MeOH = 100:0 to 90:10). The purified product was dissolved in DCM (3 mL), and 2M-HCl in ethyl ether (0.3 mL) was added. After stirring 20 min, removal of the volatile solvent gave N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-y)]amino} cyclohexyl)-3,4-difluorobenzamide hydrochloride (26 mg, 23 %) as a white solid. ESI MS m/e 390 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) δ 11.8 (brs, 1 H), 8.23 (brs, 1 H), 7.80 (m, 2 H), 7.63 (m, 1 H),

5 7.51 (s, 1 H), 7.40 (d,  $J = 8.8 \,\text{Hz}$ , 1 H), 3.74 (brs, 2 H), 3.14 (s, 6 H), 2.11 (s, 3 H), 1.73-1.58 (m, 8 H).

# Example 2525

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3-Chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide hydrochloride

Step A: Synthesis of 3-chloro-*N*-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) benzamide hydrochloride.

[0367] Using procedure of step A of example 2524, the title compound was obtained.

ESI MS m/e 388 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) δ 11.7 (brs, 1H), 8.22 (brs, 1 H), 7.72 (m, 2 H), 7.62 (d, J = 8.0 Hz, 1 H), 7.45 (s, 1 H), 7.42 (d, J = 8.0 Hz, 1 H), 7.32 (t, J = 7.6 Hz, 1 H), 3.70 (brs, 2 H), 3.10 (s, 6 H), 2.06 (s, 3 H), 1.68-1.54 (m, 8 H).

## Example 2526

N-(cis-4-[[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide trifluoroacetate

Step A: Synthesis of 2-chloro-4-dimethylamino-6-methylpyrimidine.

[0368] In 100 mL tetrahydrofuran was dissolved 2,4-dichloro-6-methylpyrimidine (10 g, 61.3 mmol) at 0° C. To the reaction mixture was added dimethylamine (2M in methanol, 67.4 mL, 134.8 mmol) dropwise. The reaction mixture was stirred at 10 °C for 2.5 hours. The solution was concentrated and purified by flash chromatography (silica gel, 20% ethyl acetate and 5% methanol in hexanes) to give 2-chloro-4-dimethylamino-6-methylpyrimidine (4.18g, 40 %) as a pale yellow solid.

ESI MS m/e 172 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 6.25 (s, 1 H), 3.2 (s, 6 H), 2.64 (s, 3 H).

Step B: Synthesis of cis-[4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino) cyclohexyl]-carbamic acid tert-butyl ester.

- 45 [0369] To a suspension of 2-chloro-4-dimethylamino-6-methylpyrimidine (15 mg, 0.0874 mmol) in 2-propanol (1.7 mL) was added cis-(4-amino-cyclohexyl)-carbamic acid tert- butyl ester (20.6 mg, 0.096 mmol) and DIEA (30.3 μL, 0.175 mmol). The reaction was performed in the Smith synthesizer for 4.5 hours at 175 °C. The solution was concentrated and purified by flash chromatography (silica gel, 1% MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give cis-[4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino) cyclohexyl]-carbamic acid tert-butyl ester (18.9 mg, 62 %) as a pale yellow solid.
- 50 ESI MS m/e 350.4 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 5.65 (s, 1 H), 4.75 (brs, 1 H), 4.0 (brs, I H), 3.60 (brs, 1 H), 3.05 (s, 6 H), 2.22 (s, 3 H), 1.78 (m, 6 H), 1.59 (m, 2 H), 1.44 (s, 9 H).

Step C: Synthesis of cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)4-aminocyclohexane.

[0370] To a suspension of cis-[4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino) cyclohexyl]-carbamic acid tert-butyl ester (617 mg, 1.77 mmol) in DCM (3 mL) was added trifluoroacetic acid (2mL). The reaction stirred at room temperature for 2 hours and concentrated. A few drops NaHCO<sub>3</sub> was added, followed by 1M NaOH until the solution was basic. The product was extracted with H<sub>2</sub>O and CH<sub>2</sub>Cl<sub>2</sub> three times. The organic layers were combined, dried

over MgSO<sub>4</sub>, filtered and concentrated to give cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-4-aminocyclohexane (318 mg, 72 %) as yellow oil.

ESI MS m/e 250 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  5.52 (s, 1 H), 5.10 (brs, 1 H), 3.88 (brs, 1 H), 3.20 (brs, 2 H), 2.88 (s, 6 H), 2.75 (s, 1 H), 2.04 (s, 3 H), 1.70 (m, 2 H), 1.58 (m, 4 H), 1.38 (m, 2 H).

Step D: Synthesis of *N*-(*cis*-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide trifluoroacetate.

[0371] Using the procedure of step D of example 2523, the title compound was obtained.

ESI MS m/e 368.4 M + H+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) 9.0 (s, 1 H), 7.6 (m, 2 H), 7.22 (s, 1 H), 6.72 (s, 1 H), 5.68 (s, 1 H), 4.2 (s, 1 H), 4.12 (s, 1 H), 3.18 (s, 3 H), 3.08 (s, 3 H), 2.35 (s, 3 H), 2.29 (s, 3 H), 1.85-1.62 (m, 8 H).

Example 2527

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cis-4-{[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[3-(trifluoromethyl) benzyl]cyclohexanecarboxamide

Step A: Synthesis of cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino) cyclohexanecarboxylic acid ethylester

[0372] To a suspension of 2-chloro-4-dimethylamino-6-methylpyrimidine (250 mg, 1.46 mmol) in 2-propanol (1.5 mL) was added cis-4-amino-cyclohexanecarboxylic acid ethyl ester hydrochloride (330 mg, 1.59 mmol) and DIEA (0.60 mL, 3.44 mmol). The reaction was performed in the Smith synthesizer for I hour at 155 °C. The solution was concentrated and purified by flash chromatography (silica gel, 1% MeOH in  $CH_2Cl_2$ ) to give cis-4-(4-dimethylamino-6-methylpyrimidin-2-ylamino) cyclohexanecarboxylic acid ethyl ester (378.9 mg, 84.7%) as a pale yellow solid. ESI MS m/e 307 M + H+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.62 (brs, 1 H), 6.21 (s, 1 H), 4.04 (q, J = 6.4 Hz, 2 H), 3.98 (brs, 1 H), 3.15 (s, 6 H), 2.20 (s, 3 H), 1.58-1.80 (m, 8 H), 1.20 (t, J = 6.0 Hz, 3 H).

Step B: Synthesis of cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino) cyclohexanecarboxylic acid.

[0373] To a suspension of cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino) cyclohexanecarboxylic acid ethyl ester (597.6 mg, 1.95 mmol) in  $H_2O$  (10 mL) and ethanol (0.3 mL) was added KOH (547 mg, 9.75 mmol). The reaction was stirred at 70 °C for 2.5 hours until reaction was homogenous. The reaction was cooled in an ice bath and acidified with concentrated HCI. The product was purified using flash chromatography (silica gel, 0-10% MeOH in  $CH_2Cl_2$ ) to give cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino) cyclohexanecarboxylic acid (302 mg, 55%) as a white solid. ESI MS m/e 279.2 M + H+;  $^1$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.50 (brs, 1 H), 5.79 (s, 1 H), 4.02 (brs, 1 H), 3.19 (brs, 6 H), 2.49 (brs, 1 H), 2.29 (s, 3 H), 2.05 (m, 2 H), 1.81 (m, 2 H), 1.64 (m, 4 H).

Step C: Synthesis of *cis*-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-*N*-[3-(trifluoromethyl)benzyl]-cyclohexanecarboxamide.

[0374] To a suspension of 3-trifluoromethylbenzylamine (14μL, 0.0987 mmol) and *cis-4-(4*-dimethylamino-6-methylpyrimidin-2-ylamino) cyclohexanecarboxylic acid (25mg, 0.0898 mmol) in DCM (5 mL) was added HATU (37.5mg, 0.0987 mmol). The reaction stirred at room temperature under argon for 30 seconds and triethylamine (5 drops) was added. The reaction stirred under argon at room temperature for 16 hours. The reaction was quenched by diluting with 5 mL DCM, followed by washing twice with saturated NaHCO<sub>3</sub> (5mL), twice with 1M HCI (5mL) and once with H<sub>2</sub>O (5mL). The product was purified by filtering through silica gel with 0-10% MeOH in CH<sub>2</sub>Cl<sub>2</sub> to give *cis-*4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[3-(trifluoromethyl)benzyl]-cyclohexanecarboxamide (17.6mg, 45 %) as a white solid.

ESI MS m/e 436 M+H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.01 (brs, 1 H), 7.59 (brs, 1 H), 7.53 (m, 2 H), 7.40 (m, 2 H), 5.76 (s, 1 H), 4.50 (d, J = 6.4 Hz, 2 H), 4.28 (brs, 1 H), 3.19 (s, 6 H), 2.39 (m, 1 H), 2.30 (s, 3 H), 2.0 (m, 2 H), 1.87 (m, 4 H), 1.60 (m, 2 H).

# Example 2528

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cis-4-{(4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-(3-(propionylamino)benzyl]-cyclohexaneearboxamide

Step A: Synthesis of cis-[4-(3-nitrobenzylcarbamoyl)-cyclohexyl]-carbamic acid tert-butyl ester.

[0375] cis-4-(tert-Butoxycarbonylamino)-cyclohexanecarboxylic acid (2.0 g, 8.2 mmol) and 3-nitrobenzyl amine hydrochloride (1.54 g, 8.2 mmol) in DCM (30 mL) was reacted in the presence of HATU (3.5 g, 9.02 mmol) and  $\rm Et_3N$  (4 mL). The reaction was diluted with DCM, washed with 1N-HCl and water, dried over MgSO<sub>4</sub>, and concentrated. From column chromatography (silica gel, DCM/MeOH = 100:0 to 95 to 5), 2.7 g (90 %) of cis-[4-(3-nitrobenzylcarbamoyl)-cyclohexyl]-carbamic acid *tert*-butyl ester was isolated. ESI MS m/e 378 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.11 (brs, 1H), 8.09 (s, 1 H), 7.60 (d, J = 8.0 Hz, 1 H), 7.48 (t,

ESI MS m/e 378 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.11 (brs, 1H), 8.09 (s, 1 H), 7.60 (d, J = 8.0 Hz, 1 H), 7.48 (t, J = 7.6 Hz, 1 H), 6.17 (brs, 1 H), 4.72 (brs, 1 H), 4.53 (d, J = 6.0 Hz, 2 H), 3.74 (brs, 1 H), 2.27 (m, 1 H), 1.80-1.71 (m, 6 H), 1.65-1.59 (m, 2 H), 1.45 (s, 9 H).

# Step B: Synthesis of cis-4-amino-cyclohexanecarboxylic acid 3-nitro-benzamide hydrochloride.

[0376] cis-[4-(3-Nitrobenzylcarbamoyl)-cyclohexyl]-carbamic acid tert-butyl ester (2.5 g, 6.6 mmol) was reacted in TFA/DCM (1.2 = 23 mL) for 2 hr at room temperature. After removal of the solvents, the residue was dissolved in DCM (15 mL), and added 2M-HCl in ethyl ether (2 eq.). After stirring for 20 min at room temperature, the volatile solvent was removed to give cis-4-amino-cyclohexanecarboxylic acid 3-nitro-benzamide hydrochloride (2.0 g, 95 %) as a yellowish white solid.

ESI MS m/e 278 M + H+; <sup>1</sup>H NMR (400 MHz, DMSO- $d_6$ )  $\delta$  8.53 (t, J = 6.0 Hz, 1 H), 8.07 (d, J= 7.6 Hz, 1 H), 8.06 (s, 1 H), 7.84 (brs, 2 H), 7.68 (d, J = 7.6 Hz, 1 H), 7.59 (t, J = 7.6 Hz, 1 H), 4.37 (d, J = 6.4 Hz, 2 H), 3.13 (m, 1 H), 2.40 (m, 1H), 1.89 (m, 2 H), 1.68 (m, 4 H), 1.57 (m, 2 H).

# Step C: Synthesis of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexanecarboxylic acid 3-nitro-benzylamide.

[0377] 2-Chloro-4-dimethylamino-5-methylpyrimidine (0.31 g, 1.8 mmol) and cis-4-amino-cyclohexanecarboxylic acid 3-nitro-benzylamide hydrochloride (0.52 g, I eq.) in IPA (2.5 mL) and DIEA (0.7 mL) was reacted in a Smith synthesizer. The reaction was diluted with DCM, washed with1N-HCl and water, dried over MgSO<sub>4</sub>, and concentrated. The crude compound was purified from column chromatography (silica gel, DCM/MeOH = 100:0 to 90:10) to give 0.23 g (31 %) of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexanecarboxylic acid 3-nitro-benzylamide. ESI MS m/e 413 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.11 (brs, 1H), 8.03 (d, J = 8.0 Hz, 1H), 7.95 (brs, 1H), 7.62 (d, J = 8.0 Hz, 1H), 7.43 (t, J = 7.6 Hz, 1H), 7.28 (s, 1H), 4.51 (d, J = 5.6 Hz, 2 H), 4.33 (m, 1 H), 3.23 (s, 6 H), 2.39 (m, 1 H), 2.22 (s, 3 H), 2.02 (m, 2 H), 1.86 (m, 4 H), 1.60 (m, 2 H).

Step D: Synthesis of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexanecarboxylic acid 3-amino-benzylamide.

[0378] A solution of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexane carboxylic acid 3-amino-benzylamide (0.21 g, 0.5 mmol) and 10 % Pd/C (50 mg) in EtOH (10 mL) was stirred overnight under H<sub>2</sub> atmosphere at room temperature. The reaction was filtered through a pad of celite. After removal of the volatile solvent, the residue was purified from a short pad of silica gel (DCM/MeOH = 100:0 to 80:20) to give 0.18 g (95 %) of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexanecarboxylic acid 3-amino-benzylamide as the desired product. ESI MS m/e 383 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) & 7.29 (s, 1 H), 7.03 (t, J = 7.6 Hz, 1 H), 6.64 (m, 2 H), 6.51 (d, J = 8.0 Hz, 1 H), 4.33 (d, J = 5.6 Hz, 2 H), 4.25 (brs, 1 H), 3.19 (s, 6 H), 2.32 (m, 1 H), 2.19 (s, 3 H), 1.97-1.78 (m, 6 H), 1.62 (m, 2 H).

Step E: Synthesis of *cis-*4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-*N*-[3-(propionylamino)benzyl] cyclohexanecarboxamide.

[0379] cis-4-(4-Dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexanecarboxylic acid 3-amino-benzylamide (30 mg, 0.075 mmol) and propionyl chloride (7 mg, 0.075 mmol) was reacted in the presence of catalytic Et<sub>3</sub>N (7 drops). The product was purified from column chromatography (silica gel, DCM/MeOH = 100:0 to 90:10) to give cis-4-{ [4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[3-(propionylamino)benzyl]cyclohexanecarboxamide (11 mg, 32)

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ESI MS m/e 439 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.63 (brs, 1 H), 7.92 (brs, 1 H), 7.35 (s, 1 H), 7.28 (s, 1 H), 7.21 (t, J= 7.6 Hz, 1 H), 6.92 (d, J = 7.6 Hz, 1 H), 6.46 (brs, 1 H), 4.42 (d, J = 6.0 Hz, 2 H), 4.23 (m, 1 H), 3.22 (s, 6 H), 2.47 (d, J = 7.6 Hz, 2 H), 2.33 (m, 1 H), 2.22 (s, 3 H), 1.95-1.90 (m, 6 H), 1.63 (m, 2 H), 1.22 (t, J = 7.6 Hz, 3 H).

Example 2529

cis-4-1[4-(Dimethylamino)-5-methylpyrimidin-2-yl]aminol-N-[3-(isobutyrylamino)benzyl]-cyclohexanecarboxamide

Step A: Synthesis of cis-4-{(4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[3-(isobutyrylamino)benzyl] cyclohexanecarboxamide.

[0380] Using the procedure of step E of example 2528, the title compound was obtained.

ESI MS m/e 453 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.80 (brs, 1 H), 8.10 (brs, 1 H), 7.93 (brs, 1 H), 7.39 (s, 1 H), 7.23 (s, 1 H), 7.18 (t, J= 7.6 Hz, 1 H), 6.91 (d, J= 7.6 Hz, 1 H), 6.69 (brs, 1 H), 4.40 (d, J = 5.6 Hz, 2 H), 4.22 (m, 1 H), 3.23 (s, 6 H), 2.74 (m, 1 H), 2.33 (m, 1 H), 2.20 (s, 3H), 1.96-1.87 (m, 6 H), 1.60 (m, 2 H), 1.22 (d, J = 6.4 Hz, 6 H).

Example 2530

cis-4-{[4-{Dimethylamino}-5-methylpyrimidin-2-yl]amino}-N-{3-[(3-methylbutanoyl)amino]-benzyl} cyclohexanecarboxamide.

Step A: Synthesis of *cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-*N*-{3-[(3-methylbutanoyl)amino] benzyl}cyclohexanecarboxamide.

[0381] Using the procedure of step E of example 2528, the title compound was obtained. ESI MS m/e 467 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.62 (brs, 1 H), 8.04 (brs, 1 H), 7.91 (d, J = 7.2 Hz, 1 H), 7.35 (s, 1 H), 7.26 (s, 1 H), 7.20 (t, J = 7.6 Hz, 1 H), 6.93 (d, J = 7.6 Hz, 1 H), 6.59 (brs, 1 H), 4.42 (d, J= 5.2 Hz, 2 H), 4.22 (m, 1 H), 3.23 (s, 6 H), 2.33 (m, 1 H), 2.31 (d, J= 7.2 Hz, 2H), 2.23 (m, 1 H),2.21 (s, 3 H), 1.96-1.87 (m, 6 H), 1.62 (m, 2 H), 1.00 (d, J = 6.0 Hz, 6 H).

Example 2531

35 cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-{3-[(2,2-dimethyl-propanoyl)amino]benzyl} cyclohexanecarboxamide

Step A: Synthesis of *cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-(3-[(2,2-dimethylpropanoyl) amino]benzyl}cyclohexanecarboxamide.

[0382] Using the procedure of step E of example 2528, the title compound was obtained. ESI MS m/e 467 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.84 (brs, 1 H), 7.78 (d, J = 7.6 Hz, 1 H), 7.40 (s, 1 H), 7.25 (s, 1 H), 7.22 (t, J = 7.6 Hz, 1 H), 7.00 (d, J = 7.6 Hz, 1 H), 6.85 (brs, 1 H), 4.41 (d, J = 5.6 Hz, 2 H), 4.23 (m, 1 H), 3.23 (s, 6 H), 2.34 (m, 1 H), 2.22 (s, 3 H), 1.99-1.84 (m, 6 H), 1.60 (m, 2 H), 1.33 (s, 9 H).

Example 2532

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cis-N-)3-[(Cyclobutylcarbonyl)amino]benzyl}-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide

Step A: Synthesis of cis-N-{3-[(cyclobutylcarbonyl)amino]benzyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide.

[0383] Using the procedure of step E of example 2528, the title compound was obtained. ESI MS m/e 465 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.50 (brs, 1 H), 8.23 (brs, 1 H), 7.93 (d, J = 6.4 Hz, 1 H), 7.33 (s, 1 H), 7.24 (s, 1 H), 7.20 (t, J = 8.0 Hz, 1 H), 6.92 (d, J= 8.0 Hz, 1 H), 6.76 (brs, 1 H), 4.41 (d, J= 5.6 Hz, 2 H), 4.23 (m, 1 H), 3.33 (m, 1 H), 3.24 (s, 6 H), 2.35 (m, 4 H), 2.21 (s, 3 H), 2.18 (m, 1 H), 2.00-1.87 (m, 8 H), 1.60 (m, 2 H).

## Example 2533

cis-N-{3-[(Cyclopentylcarbonyl)amino]benzyl}-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide

Step A: Synthesis of *cis*-N-{3-[(cyclopentylcarbonyl)amino]benzyl}-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide.

[0384] Using the procedure of step E of example 2528, the title compound was obtained.

ESI MS m/e 479 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.47 (brs, 1 H), 8.10 (brs, 1 H), 7.91 (d, J = 6.4 Hz, 1 H), 7.35 (s, 1 H), 7.26 (s, 1 H), 7.20 (t, J = 8.0 Hz, 1 H), 6.93 (d, J = 7.6 Hz, 1 H), 6.61 (brs, 1 H), 4.42 (d, J = 5.6 Hz, 2 H), 4.22 (m, 1 H), 3.22 (s, 6 H), 2.85 (m, 1 H), 2.33 (m, 1 H), 2.21 (s, 3 H), 2.00-1.88 (m, 10 H), 1.75 (m, 2 H), 1.60 (m, 4 H).

# Example 2534

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cis-N-{3-[(Cyclohexylcarbonyl)amino }benzyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide.

StepA: Synthesis of *cis-N-*{3-[(cyclohexylcarbonyl)amino]benzyl}-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexanecarboxamide.

[0385] Using the procedure of step E of example 2528, the title compound was obtained. ESI MS m/e 493 M + H<sup>+</sup>;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $^{5}$ 8.30 (brs, 1 H), 8.01 (brs, 1 H), 7.86 (d, J = 7.6 Hz, 1 H), 7.36 (s, 1 H), 7.26 (s, 1 H), 7.20 (t, J = 8.0 Hz, 1 H), 6.94 (d, J = 7.6 Hz, 1 H), 6.65 (brs, 1 H), 4.41 (d, J = 5.2 Hz, 2 H), 4.22 (m, 1 H), 3.22 (s, 6 H), 2.35 (m, 2 H), 2.21 (s, 3 H), 196-1.28 (m, 18 H).

# Example 2535

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cis-N-{3-[(Cyclopropylcarbonyl)amino]benzyl}-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide

Step A: Synthesis of *cis-N*-{3-[(cyclopropylcarbonyl)amino]benzyl}-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide.

[0386] Using the procedure of step E of example 2528, the title compound was obtained. ESI MS m/e 451 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $^{3}$  9.10 (brs, 1 H), 7.93 (m, 1 H), 7.36 (s, I H), 7.25 (s, 1 H), 7.19 (t,  $^{2}$  8.0 Hz, 1 H), 6.90 (d,  $^{2}$  7.2 Hz, 1 H), 6.50 (brs, 1 H), 4.43 (d,  $^{2}$  5.0 Hz, 2 H), 4.23 (m, 1 H), 3.23 (s, 6 H), 2.33 (m, 1 H), 2.21 (s, 3 H), 1.96-1.88 (m, 7 H), 1.63 (m, 2 H), 1.03 (m, 2 H), 0.79 (m, 2 H).

# 40 Example 2536

N-[(cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3-[(3-methylbutanoyl)amino] benzamide.

45 Step A: Synthesis of {cis-4-[(3-nitro-benzoylamino)-methyl]-cyclohexyl}-carbamic acid tert-butyl ester.

[0387] A mixture of cis-(4-aminomethyl-cyclohexyl)-carbamic acid tert-butyl ester (1.55 g, 6.8 mmol) and 3-nitrobenzoyl chloride (1.25 g, 6.8 mmol) was stirred overnight at room temperature, diluted with DCM, washed with 1N-HCl and water, and concentrated. The crude product was preliminary purified by a short pad of silica gel with DCM/MeOH (100:0 to 90:10) to give 1.5 g (75 %) of {cis-4-[(3-nitro-benzoylamino)-methyl]-cyclohexyl}-carbamic acid tert-butyl ester. ESI MS m/e 378 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.54 (t, J = 2.0 Hz, 1 H), 8.33 (d, J = 8.0 Hz, 1 H), 8.14 (d, J = 8.0 Hz, 1 H), 7.63 (t, J = 7.6 Hz, 1 H), 6.31 (brs, 1 H), 4.62 (brs, 1 H), 3.73 (brs, 1 H), 3.41 (t, J = 6.4 Hz, 2 H), 1.72-1.57 (m, 7 H), 1.44 (s, 9 H), 1.32 (m, 2 H).

55 Step B: Synthesis of cis-N-(4-amino-cyclohexylmethyl)-3-nitro-benzamide hydrochloride.

[0388] {cis-4-[(3-Nitro-benzoylamino)-methyl]-cyclohexyl}-carbamic acid tert-butyl ester (1.4 g, 3.7 mmol) in DCM/TFA (1:1 = 13 mL) was stirred for 2 h at room temperature. After removal of the volatile solvent, the residue was

dissolved in DCM (10 mL), and 2M-HCl in ether (4 mL) was added. After stirring for 20 min at room temperature, removal of the volatile solvent gave 1.2 g (82 %) of *cis-N*-(4-amino-cyclohexylmethyl)-3-nitro-benzamide hydrochloride as the desired product.

ESI MS m/e 278 M + H+;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.91 (t, J = 5.6 Hz, 1 H), 8.65 (m, 1 H), 8.36 (d, J = 2.0 Hz, 1 H), 8.29 (d, J = 8.0 Hz, 1 H), 7.97 (brs, 2 H), 7.74 (t, J = 8.0 Hz, 1 H), 3.25 (t, J = 6.8 Hz, 2 H), 3.13 (brs, 1 H), 1.77 (m, 1 H), 1.65-1.61 (m, 4 H), 1.51 (m, 4 H).

Step C: Synthesis of cis-N-[4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl]-3-nitrobenzamide.

[0389] A solution of 2-chloro-4-dimethylamino-5-methylpyrimidine (0.25 g, 1.46 mmol) and *cis*-N-(4-amino-cyclohexylmethyl)-3-nitro-benzamide hydrochloride (0.46 g) in IPA (2 mL) and DIEA (0.46 mL) was reacted for I hr 10 min. at 155 °C in a Smith synthesizer. The reaction was diluted with DCM, washed with 1N-HCl and water, dried over MgSO<sub>4</sub>, and concentrated. The crude compound was purified from column chromatography (silica gel, DCM/MeOH = 100:0 to 90:10) to give 0.23 g (38 %) of *cis*-N-[4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl]-3-nitro-benzamide.

ESI MS m/e 413.2 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.7 (t, J= 2.0 Hz, 1 H), 8.34 (d, J= 7.6 Hz, 1 H), 8.28 (d, J = 8.0 Hz, 1 H), 8.20 (brs, 1 H), 7.60 (t, J = 7.6 Hz, 1 H), 7.35 (brs, 1 H), 7.25 (s, 1 H), 4.18 (m, 1 H), 3.48 (t, J = 4.8 Hz, 2 H), 3.24 (s, 6 H), 2.21 (s, 3H), 1.89-1.57 (m, 9 H).

Step D: Synthesis of N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)methyl]-3-[(3-methylbutanoyl)aminolbenzamide.

[0390] A solution of cis-N-[4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl)-3-nitro-benzamide (0.22 g, 0.53 mmol) and 10 % Pd/C (30 mg) in EtOH (15 mL) was stirred overnight under  $H_2$  atmosphere at room temperature. The reaction was filtered through a pad of celite. After removal of the volatile solvent, the residue was purified from a short pad of silica gel (DCM/MeOH = 100:0 to 80:20) to give 0.19 g (95 %) as yellowish oil. 17 mg (0.04 mmol) of this oil was reacted with isovaleryl chlorides (5 mg, 0.04 mmol) using the procedure of step E of example 2528 to give N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3-[(3-methylbutanoyl) amino]benzamide (9 mg, 47 %).

ESI MS m/e  $467.6 \text{ M} + \text{H}^+$ ;  $^1\text{H}$  NMR  $(400 \text{ MHz}, \text{CDCI}_3) \delta 9.11$  (brs,  $^1\text{H}$ ),  $^8.22$  (d,  $^1\text{H}$ ),  $^2\text{Hz}$ ,  $^1\text{H}$ ),  $^8.04$  (s,  $^1\text{H}$ ),  $^7.55$  (d,  $^1\text{Hz}$ ),  $^7.34$  (t,  $^1\text{Hz}$ ),  $^7.36$  Hz,  $^1\text{Hz}$ ),  $^7.30$  (s,  $^1\text{Hz}$ ),  $^7.30$ 

35 Example 2537

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N-[(cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3-(propionylamino) benzamide

40 Step A: Synthesis of N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)methyl]-3-(propionylamino)benzamide.

[0391] Using the procedure of step D of example 2536, the title compound was obtained. ESI MS m/e 439 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $^{3}$  9.10 (brs, 1 H), 8.23 (d, J = 7.2 Hz, 1 H), 8.02 (s, 1 H), 7.55 (d, J= 8.0 Hz, 1 H), 7.35 (t, J= 7.6 Hz, 1 H), 7.29 (s, 1 H), 6.36 (m, 1 H), 4.16 (brs, 1 H), 3.47 (m, 2 H), 3.21 (s, 6 H), 2.50 (q, J= 7.6 Hz, 2 H), 2.21 (s, 3 H), 1.95 (m, 2 H), 1.72-1.61 (m, 7 H), 1.25 (t, J = 7.2 Hz, 3 H).

Example 2538

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50 N-[(cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3-(isobutyrylamino) benzamide

Step A: Synthesis of N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)methyl]-3-(isobutyrylamino)benzamide

[0392] Using the procedure of step D of example 2536, the title compound was obtained. ESI MS m/e 453 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  9.09 (brs, 1 H), 8.23 (d, J = 6.8 Hz, 1 H), 8.07 (s, 1 H), 7.55 (d, J= 7.6 Hz, 1 H), 7.34 (t, J= 7.6 Hz, 1 H), 7.29 (s, 1 H), 6.38 (m, 1 H), 4.16 (brs, 1 H), 3.45 (m, 2 H), 3.21 (s, 6 H), 2.73

(m, 1 H), 2.20 (s, 3 H), 1.95 (m, 2 H), 1.72-1.61 (m, 7 H), 1.26 (d, <math>J = 6.8 Hz, 6 H).

## Example 2539

5 3-[(Cyclopropylearbonyi)amino]-N-[(cis4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]aminolcyclobexyl) methyl]benzamide

Step A: Synthesis of 3-[(cyclopropylcarbonyl)aminol-*N*-[(cis-4-1[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino)cyclohexyl)methyl]benzamide

[0393] Using the procedure of step D of example 2536, the title compound was obtained. ESI MS m/e 451 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  9.60 (brs, 1 H), 8.22 (d, J= 6.8 Hz, 1 H), 8.03 (s, 1 H), 7.56 (brs, 1 H), 7.55 (d, J = 7.6 Hz, 1 H), 7.32 (t, J = 7.6 Hz, 1 H), 7.28 (s, 1 H), 6.41 (m, 1 H), 4.15 (brs, 1 H), 3.45 (m, 2 H), 3.21 (s, 6 H), 2.20 (s, 3 H), 1.95 (m, 2 H), 1.89 (m, 1 H), 1.72~1.61 (m, 7 H), 1.05 (m, 2 H), 0.82 (m, 2 H).

# Example 2540

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3-[(Cyclobutylcarbonyl)amino]-N-{(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl)amino}cyclohexyl) methyl}benzamide

3-[(cyclobutylcarbonyl)amino]-*N*-[(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) methyl]benzamide.

[0394] Using the procedure of step D of example 2536, the title compound was obtained.

ESI MS m/e 465 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.90 (brs, 1 H), 8.21 (d, *J* = 6.8 Hz, 1 H), 8.04 (s, 1 H), 7.54 (d, *J* = 8.0 Hz, 1 H), 7.34 (t, *J* = 7.6 Hz, 1 H), 7.31 (s, 1 H), 6.41 (m, 1 H), 4.14 (brs, 1 H), 3.43 (t, *J* = 5.2 Hz, 2 H), 3.34 (m, 1 H), 3.19 (s, 6 H), 2.41 (m, 2 H), 2.23 (m, 1 H), 2.20 (s, 3 H), 2.02-1.88 (m, 4 H), 1.72-1.55 (m, 8 H).

# Example 2541

3-[(Cyclopentylcarbonyl)amino]-*N*-[(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) methyl]benzamide.

Step A: Synthesis of 3-[(cyclopentylcarbonyl)amino)-N-[(cis-4-3 [4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)methyl]benzamide.

[0395] Using the procedure of step D of example 2536, the title compound was obtained. ESI MS m/e 479 M + H+; H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  9.14 (brs, 1 H), 8.22 (d, J= 7.2 Hz, 1 H), 8.07 (s, 1 H), 7.54 (d, J= 8.0 Hz, 1 H), 7.33 (t, J= 8.0 Hz, 1 H), 7.29 (s, 1 H), 6.43 (m, 1 H), 4.14 (brs, 1 H), 3.44 (t, J= 5.2 Hz, 2 H), 3.19 (s, 6 H), 2.91 (m, 1 H), 2.20 (s, 3 H), 1.98-1.59 (m, 17 H).

## Example 2542

3-[(Cyclohexylcarbonyl)amino)-*N*-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl)amino}cyclohexyl) methyl]benzamide

Step A: Synthesis of 3-[(cyclohexylcarbonyl)amino]-*N*-[(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)methyl]benzamide.

[0396] Using the procedure of step D of example 2536, the title compound was obtained. ESI MS m/e 479 M + H<sup>+</sup>;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.94 (brs, 1 H), 8.17 (d, J= 7.2 Hz, 1 H), 8.05 (s, 1 H), 7.54 (d, J= 8.0 Hz, 1 H), 7.33 (t, J= 8.0 Hz, 1 H), 7.30 (s, 1 H), 6.44 (m, 1 H), 4.13 (brs, 1 H), 3.42 (t, J= 5.2 Hz, 2 H), 3.19 (s, 6 H), 2.42 (m,1 H), 2.20 (s, 3 H), 1.98-1.52 (m, 15 H), 1.29 (m, 4 H).

# 55 Examples 2543-2553

[0397] Compounds 2543 to 2553 were prepared in a similar manner as described in Example 2497 using the appropriate acid chloride and amine intermediate from Step D.

# Examples 2554-2557

[0398] Compounds 2554 to 2557 were prepared in a similar manner as described in Example 2502 using the appropriate carboxylic acid and amine intermediate from Example 2497 Step D.

# Examples 2558-2561

[0399] Compounds 2558 to 2561 were prepared in a similar manner as described in Example 2515 using the appropriate isocyanate and amine intermediate from Example 2497 Step D.

# Examples 2562 and 2563

[0400] Compounds 2562 and 2563 were prepared in a similar manner as described in Example 2523 using the appropriate acid chloride and amine intermediate from Step C.

## Examples 2564-2570

[0401] Compounds 2564 to 2570 were prepared in a similar manner as described in Example 2526 using the appropriate acid chloride and amine intermediate from Step C.

# Examples 2571-2587

[0402] Compounds 2571 to 2587 were prepared in a similar manner as described in Example 2527 using the appropriate benzyl amine and carboxylic acid intermediate from Step B.

	Ex. No. compound name	MS	clas
2543	3-methyl-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl } benzamide	374.2 (M + H)	1
2544	4-methyl-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl) benzamide	374.2 (M+H)	1
2545	4-fluoro-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl} benzamide	378.2 (M + H)	1
2546	N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl} -3-(trifluoromethyl)benzamide	428 (M+H)	3
2547	3-chloro-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl} benzamide	394.2 (M + H)	1
2548	N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}-3,5-bis (trifluoromethyl)benzamide	496.2 (M+H)	1
2549	3-methoxy-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl} benzamide	390.4 (M+H)	1
2550	3-cyano-N-{cis-4-[(4-methylquinolin-2-yl)amino)cyclohexyl) benzamide	385.2 (M + H)	1
2551	2-(4-chlorophenoxy)-N-{cis-4-[(4-methylquinolin-2-yl)amino] cyclohexyl}acetamide	424.2 (M+H)	1
2552	3,4,5-trimethoxy-N-{cis-4-[(4-methylquinolin-2-yl)amino] cyclohexyl }benzamide	450.4 (M + H)	1
2553	3,5-dimethoxy-N-{cis-4-[(4-methylquinolin-2-yl)amino] cyclohexyl} benzamide	420.2 (M + H)	1
2554	2-(3-methoxyphenoxy)-N-{cis-4-[(4-methylquinolin-2-yl)amino] cyclohexyl } acetamide	420.2 (M + H)	1

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		Ex. No. compound name	MS	class
	0555	· · · · · · · · · · · · · · · · · · ·		
5	2555	(2R)-2-(3-chlorophenyl)-2-hydroxy-N-{cis-4-[(4-methylquinolin- 2-yl)amino]cyclohexyl} acetamide	424.2 (M + H)	1
	2556	2-(3-methylphenoxy)-N-{cis-4-[(4-methylquinolin-2-yl)amino] cyclohexyl} acetamide	404.2 (M + H)	1
10	2557	5-bromo-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl]-2- 428 (M furamide	428 (M +H)	1
	2558	N-[4-(benzyloxy)phenyl]-N'-(cis-4-[(4-methylquinolin-2-yl)amino] cyclohexyl} urea	481.2 (M+H)	2
15	2559	N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl} -N'-(4-phenoxyphenyl)urea	467.4 (M + H)	1
	2560	N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl } -N'-(3-phenoxyphenyl)urea	467.4 (M + H)	2
20	2561	N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl} -N'-(2-phenoxyphenyl)urea	467.4 (M + H)	1
	2562	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)-3-methylbenzamide	368.2 (M+H)	1
25	2563	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)-3-methoxybenzamide	384.2 (M + H)	1
	2564	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)-3-methoxybenzamide	384.2 (M + H)	1
30	2565	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)-4-methylbenzamide	368.2 (M + H)	1
	2566	4-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl] amino}cyclohexyl)benzamide	388.2(M+H)	1
35	2567	3-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl] amino]cyclohexyl)benzamide	388.4 (M + H)	1
	2568	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)-3,4-difluorobenzamide	390.2 (M + H)	1
40	2569	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)-4-(trifluoromethoxy)benzamide	438.2 (M + H)	3
	2570	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)-4-fluorobenzamide	372.2 (M + H)	1
45	2571	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} -N-(3-iodobenzyl)cyclohexanecarboxamide	494.2 (M + H)	1
45	2572	cis-N-(2,4-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide	436.2 (M + H)	1
50	2573	cis-N-(2,5-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide	436.2 (M + H)	1
	2574	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(4-methylbenzyl)cyclohexanecarboxamide	382 .2 (M + H)	1
	2575	cis-N-(3,5-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide	436.2 (M + H)	1
55	2576	cis-N-(3,5-dimethoxybenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide	428.2 (M + H)	1

## (continued)

		Ex. No. compound name	MS	class
5	2577	cis-N-(3-chlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin- 2-yl]amino}cyclohexanecarboxamide	402.2 (M + H)	1
	2578	cis-N-[3,5-bis(trifluoromethyl)benzyl]-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide	504.2 (M + H)	1
10	2579	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} -N-(3-methoxybenzyl)cyclohexanecarboxamide	398.2 (M + H)	1
	2580	cis-N-(4-chlorobenzyl)-4-{[4-(dimethylamino)-6-2580 methylpyrimidin-2-yl]amino}cyclohexanecarboxamide	402.2 (M + H)	1
15	2581	cis-N-(3,4-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide	436.2 (M + H)	1
	2582	cis-N-(2,4-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide	404.2 (M + H)	1
20	2583	cis-N-(2,5-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide	404.2 (M + H)	1
	2584	cis-N-(2,3-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino cyclohexanecarboxamide	404.2 (M + H)	1
25	2585	cis-N-(4-bromo-2-fluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide	464.2 (M + H)	1
	2586	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(3-methylbenzyl)cyclohexanecarboxamide	382.4 (M + H)	1
30	2587	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} -N-[2-(trifluoromethoxy)benzyl]cyclohexanecarboxamide	452.2 (M + H)	1

# Example 2588,

# N-(cis-4-[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-3,5-bis(trifluoromethyl)benzamide hydrochloride

## Step A: Synthesis of N-(cis-4-amino-cyclohexyl)-3,5-bistrifluoromethyl-benzamide trifluoroacetate.

[0403] To a solution of *cis*-(4-amino-cyclohexyl)-carbamic acid *tert-butyl* ester (2.18 g, 10 mmol) in anhydrous benzene (25 mL) was slowly added 3,5-bistrifluoromethyl benzoyl chloride (2.8 g, 1 eq.) and followed by Et<sub>3</sub>N (~3mL) at room temperature under N<sub>2</sub> atmosphere: formation of solid salts makes stirring difficult. The reaction was stirred vigorously for an additional 2 h at room temperature, washed with sat.-NaHCO<sub>3</sub> (3x) and water (1x), dried with MgSO<sub>4</sub>, and concentrated to give [*cis*-4-[(3,5-bistrifluoromethyl-benzoylamino)-cyclohexyl]-carbamic acid *tert-butyl* ester (4.5 g, 99 %), which was used for the next reaction without further purification. ESI MS m/e 455 (M + H)+;¹H NMR (400 MHz, CDCl<sub>3</sub>) & 8.16 (s, 2 H), 7.98 (s, 1 H), 6.12 (bs, 1 H), 4.58 (bs, 1 H), 4.11 (m, 1 H), 3.69 (bs, 1 H), 1.95~1.65 (m, 8 H), 1.44 (s, 9 H).

[0404] [cis-4-[(3,5-Bistrifluoromethyl-benzoylamino)-cyclohexyl]-carbamic acid tert-butyl ester (4.5 g, 10 mmol) was dissolved in DCM (20 mL), and TFA (10 mL) was added to the reaction. After 1.5 h stirring at room temperature, removal of the volatile solvent gave the crude N-(cis-4-amino-cyclohexyl)-3,5-bistrifluoromethyl-benzamide trifluoroacetate as a sticky oil. With addition of water ( $\sim$ 50 mL) to the crude product, shaking for 5 to 10 min provided formation of precipitates. The precipitates were filtered, washed with water, and dried. 3.98 (82 %) of N-(cis-4-amino-cyclohexyl)-3,5-bistrifluoromethyl-benzamide trifluoroacetate was isolated as a white powder. ESI MS m/e 355 (M + H)+;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.62 (bd, 1H, J = 4.8 Hz), 8.47 (s, 2 H), 8.29 (s, 1H), 7.84 (bs, 3 H), 3.91 (bs, 1H), 3.16 (bs, 1H), 1.94 (m, 2 H), 1.75~1,66 (m, 6 H).

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# Step B: Synthesis of N-(cis4-1[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-3,5-bis (trifluoromethyl)benzamide hydrochloride.

[0405] A sealed tube containing 2-chloro-4-dimethylamino-5-methylpyrimidine (0.25 g, 1.45 mmol), N-(cis-4-amino-cyclohexyl)-3,5-bistrifluoromethyl-benzamide trifluoroacetate (0.68 g, 1 eq.), DIEA (0.5 mL, 2 eq.), and *tert*-BuOH (2.5 mL) was reacted for 2 h at 180°C in a Smith microwave synthesizer: over 95 % conversion was observed by LC-MS. The reaction was diluted with DCM, washed with diluted-HCl and water, dried, and concentrated. 0.35 g (48 %) of N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,5-bistrifluoromethyl-benzamide was isolated by column chromatography (silica gel; DCM:MeOH = 100:0 to 95:5).

[0406] To a solution of this neutral compound in DCM (10 mL) was added 4M-HCl in dioxane (0.4 mL, 2 eq.). After 30 min stirring at room temperature, removal of the volatile solvent provided N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide hydrochloride as a white powder. ESI MS m/e 490 (M + H)+; <sup>1</sup>H NMR (400 MHz, DMSO- $d_6$ )  $\delta$  12.1 (bs, 1 H), 8.78 (bd, 1 H, J = 5.6 Hz), 8.48 (s, 2 H), 8.28 (s, 1 H), 8.05 (bd, 1 H, J = 6.4 Hz), 7.62 (s, 1 H), 3.91 (bs, 2 H), 3.26 (s, 6 H), 2.23 (s, 3 H), 1.87 (m, 2 H), 1.73 (bs, 6 H).

## Example 2589

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N-[(cis-4-1[4-(Dimethylamino)-5-methylpyrimidin-2-yl] amino) cyclohexyl) methyl]-3,5-bis(trifluoromethyl) benzamide hydrochloride

# Step A: Synthesis of N-(cis-4-amino-cyclohexylmethyl)-3,5-bistrifluoromethyl-benzamide trifluoroacetate.

[0407] To a solution of cis-(4-aminomethyl-cyclohexyl)-carbamic acid tert-butyl ester (1.1 g, 4.8 mmol) in dry benzene (15 mL) was added 3,5-bistrifluoromethyl benzoyl chloride (1.33 g, 1 eg.) and followed by  $\rm Et_3N$  (2 mL) at room temperature under  $\rm N_2$ . The reaction was stirred for an additional 2 h at room temperature, washed with sat.-NaHCO<sub>3</sub> (3x) and water (1x), dried with MgSO<sub>4</sub>, and concentrated. The crude {*cis*-4-[(3,5-bistrifluoromethyl-benzoylamino)-methyl]-cyclohexyl}-carbamic acid tert-butyl ester was used for the next reaction without further purification.

[0408] To a solution of {cis-4-[(3,5-bistrifluoromethyl-benzoylamino)-methyl]-cyclohexyl)-carbamic acid tert-butyl ester (2.1 g, 4.5 mmol) in DCM (10 mL) was added TFA (5 mL) at room temperature. After 1.5 h stirring at ambient temperature, removal of the volatile solvent gave the crude N-(cis-4-amino-cyclohexylmethyl)-3,5-bistrifluoromethyl-benzamide trifluoroacetate as a sticky oil. After addition of water (~40 mL) to the crude product, 5 ~ 10 min shaking provided formation of precipitates. The ppts were filtered, washed with water, and dried. 1.40 (61 %) of N-(cis-4-amino-cyclohexylmethyl)-3,5-bistrifluoromethyl-benzamide trifluoroacetate was isolated as a white powder.

ESI MS m/e 369 (M + H)+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.97 (bs, 1 H), 8.47 (s, 2 H), 8.29 (s, 1 H), 7.78 (bs, 3 H), 3.29 (t, 2 H, J = 6.8 Hz), 3.15 (bs, 1 H), 1.78 (bs, 1 H), 1.66 (m, 4 H), 1.52 (m, 4 H).

# Step B: Synthesis of N [(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3,5-bis (trifluoromethyl)benzamide hydrochloride.

[0409] A sealed tube containing 2-chloro-4-dimethylamino-5-methylpyrimidine (0.21 g, 1.2 mmol), N-(cis-4-amino-cyclohexylmethyl)-3,5-bistrifluoromethyl-benzamide trifluoroacetate (0.6 g, 1 eq.), DIEA (0.45 mL, 2 eq.), and tert-BuOH (2.5 mL) was reacted for 1.6 h at 185 °C in a Smith microwave synthesizer. The reaction was diluted with DCM, washed with diluted HCl and water, dried, and concentrated. The crude product was purified by column chromatography (silica gel; DCM:MeOH = 100:0 to 95:5). 0.3 g (50 %) of N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl]-3,5-bistrifluoromethyl-benzamide was isolated.

**[0410]** To a solution of neutral compound in DCM (10 mL) was added 4M-HCl in dioxane (0.3 mL, 2 eq.). After 30 min stirring at ambient temperature, removal of the volatile solvent provided N-[(cis-4-{[4-(dimethylamino)-5-methyl-pyrimidin-2-yl]amino}cyclohexyl)methyl]-3,5-bis(trifluoromethyl)benzamide hydrochloride as a white powder. ESI MS m/e 504 (M + H)+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  12.5 (bs, 1 H), 8.79 (d, 1 H, J = 8.0 Hz), 8.43 (s, 2 H), 7.93 (s, 1 H), 7.50 (bs, 1 H), 7.15 (d, 1 H, J = 4.4 Hz), 4.23 (bs, 1 H), 3.51 (bs, 2 H), 3.27 (s, 6 H), 2.23 (s, 3 H), 1.89~1.82 (m, 5 H), 1.66~1.60 (m, 4 H).

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# Example 2590

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N-{(cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino )cyclohexyl)methyl]-4-(trifluoromethoxy) benzamide trifluoroacetate

Step A: Synthesis of N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester.

[0411] Six sealed tubes, each containing 2-chloro-4-dimethylamino-5-methyl pyrimidine (0.4 g, 2.3 mmol), cis-(4-amino-cyclohexylmethyl)-carbamic acid benzyl ester (0.61 g, I eq.), DIEA (0.8 mL, 2 eq.), and t-BuOH (2.5 mL), were reacted in a Smith microwave synthesizer for 6500 sec at  $185^{\circ}$ C. Completion of the reaction was confirmed by LC-MS. The combined reaction was diluted with DCM, washed with IN-HCl (2 x) and water (1 x), and dried with anhydrous MgSO<sub>4</sub>. The organic was concentrated and purified by column chromatography (silica gel; hexane: DCM: MeOH = 1: 5:0 to 0:95:5). Removal of the solvent gave 3.2 g (58 %) of *N-[cis-4-(4-*dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester. ESI MS m/z 398 (M + H)+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $^{3}$ 8 8.00 (bs, 1H), 7.36 (m, 6 H), 5.10 (s, 3 H, NH-was overlapped), 4.12 (bs, 1H), 3.24 (s, 6 H), 3.14 (t, 2 H, J = 6.4 Hz), 2.22 (s, 3 H), 1.88~1.50 (m, 9 H).

Step B: Synthesis of N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl] amine.

[0412] The heterogenous mixture of N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester (3.0 g, 7.5 mmol) and 10 % Pd/C (0.12 g) in EtOH (20 mL) was stirred overnight under  $\rm H_2$  atmosphere at room temperature. Cbz of all starting material was cleaved, which was confirmed by ESI MS. The reaction was filtered through a pad of celite, and the organic was concentrated and purified by column chromatography (silica gel, DCM:MeOH = 100:0 to 80:20). 1.5 g (75 %) of *N*-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl] amine was isolated as a yellowish powder. ESI MS m/z 264 (M + H)+;  $^{1}$ H NMR (400 MHz, DMSO-d6) (7.70 (bs, 2 H), 7.60 (s, 1H), 6.05 (d, 1H, J = 6.4 Hz), 3.89 (bs, 1H), 2.96 (s, 6 H), 2.71 (d, 2H, J = 6.8 Hz), 2.08 (s, 3 H), 1.70~1.45 (m, 9H).

Step C: Synthesis of N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-4-(trifluoromethoxy)benzamide trifluoroacetate.

[0413] To a solution of N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl] amine (25 mg, 0.01 mmol) in DCM (1.0 mL) was added 4-trifluoromethoxybenzoyl chloride (21 mg, leq.), and followed by Et3N (30 (L). The reaction was stirred for 4h at room temperature, concentrated, and purified by prep-HPLC. 20 mg (38 %) of N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-4-(trifluoromethoxy)benzamide trifluoroacetate was isolated as a white powder.

ESI MS m/z 452 (M + H)+; 1H NMR (400 MHz, CDCl3) (13.9 (bs, 1 H), 8.36 (bd, 1 H, J = 6.4 Hz), 7.88 (d, 2 H, J = 8.4 Hz), 7.27 (s, 1 H), 7.23 (d, 2 H, J = 8.4 Hz), 7.08 (bs, 1 H), 4.17 (bs, 1 H), 3.42 (t, 2 H, J = 5.6 Hz), 3.28 (s, 6 H),

# Example 2591

2.23 (s, 3 H), 1.91~1.78 (m, 3 H), 1.65~1.55 (m, 6 H).

3,5-Dichloro-*N*-[cis4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino)-methyl)cyclohexyl]benzamide trifluoroacetate

Step A: Synthesis of N-cis4-[(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-methyl]-cyclohexylamine.

[0414] Six sealed tubes, each containing 2-chloro-4-dimethylamino-5-methyl pyrimidine (0.4 g, 2.3 mmol), cis-(4-aminomethyl-cyclohexyl)-carbamic acid tert-butyl ester (0.53 g, 1 eq.), DIEA (0.7 mL, 2 eq.), and t-BuOH (2 mL), were reacted in a Smith microwave synthesizer for 7000 sec at 185°C. ESI MS confirmed that all starting material was consumed. The reactions were combined, diluted with DCM and washed with 1N-HCl (2 x) and water (1 x). The organic was concentrated and carried to the next step without a further purification.

[0415] The crude *N*-{cis-4-[(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-methyl]-cyclohexyl}-carbamic acid tert-butyl ester was dissolved in DCM (15 mL), and TFA (10 mL) was added. After 1.5 h stirring, removal of the volatile solvent gave *N*-cis-4-[(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-methyl]-cyclohexylamine trifluoroacetate as a sticky oil. The sticky oil was treated with sat. NaOH (15 mL), and the basic aqueous layer was extracted with DCM (2 x) to remove nonpolar organic impurity, and the aqueous was concentrated to give a solid residue. The solid residue

was extracted several times with DCM/MeOH (3/1), and removal of the solvent provided neutral *N*-cis-4-[(4-dimethyl-amino-5-methyl-pyrimidin-2-ylamino)-methyl]-cyclohexylamine (1.5 g, 41 %) as a yellowish powder. ESI MS 264 (M + H)+; 1H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.60 (s, 1 H), 5.05 (bs, 1 H), 3.29 (t, 2 H, J = 6.4 Hz), 3.03 (s, 7 H, CH-NH2 was overlapped), 2.54 (bs, 2 H), 2.13 (s, 3 H), 1.72 (bm, 1 H), 1.59~1.45 (m, 8 H).

Step B: Synthesis of 3,5-dichloro-*N*-[*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl] cyclohexyl]benzamide trifluoroacetate.

[0416] To a solution of *N-cis*-4-[(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-methyl]-cyclohexylamine (28 mg, 0.01 mmol) in benzene/DCM (2/1, 1.5 mL) was added 3,5-dichlorobenzoyl chloride (22 mg, leq.), and followed by Et<sub>3</sub>N (30 μL). The reaction was stirred for 3h at room temperature, concentrated, and purified by prep-HPLC. 30 mg (51 %) of 3,5-dichloro-*N*-[*cis*-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]benzamide trifluoroacetate was isolated as a white powder.

ESI MS m/e 436 (M + H)+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  13.7 (bs, 1 H), 8.71 (bs, 1 H), 7.61 (d, 2 H, J = 1.6 Hz), 7.44 (t, 1 H, J = 1.6 Hz), 7.29 (s, 1 H), 6.59 (d, 1 H, J = 6.4 Hz), 4.23 (bm, 1 H), 3.36 (t, 2 H, J = 6.0 Hz), 3.29 (s, 6 H), 2.24 (s, 3 H), 1.81 (m, 3 H), 1.68 (m, 4 H), 1.45 (m, 2 H).

Example 2592

N-[cis-4-({[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino)methyl)cyclohexyl]-3,5-bis(trifluoromethyl) benzamide trifluoroacetate

Step A: Synthesis of N-cis-4-[(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-methyl]-cyclohexylamine.

[0417] Six sealed tubes, each containing 2-chloro-4-dimethylamino-6-methyl pyrimidine (0.4 g, 2.3 mmol), cis-(4-aminomethyl-cyclohexyl)-carbamic acid *tert-butyl* ester (0.53 g, 1 eq.), DIEA (0.7 mL, 2 eq.), and t-BuOH (2 mL), were reacted in a Smith microwave synthesizer for 6500 sec at 185 °C. The reaction was monitored by LC-MS. The combined reaction was diluted with DCM and washed with 1N-HCI (2 x) and water (1 x). The organic was concentrated and performed deprotection without a further purification.

To a solution of *N*-{cis-4-[(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-methyl]-cyclohexyl}-carbamic acid *tert*-butyl ester in DCM (15 mL) was added TFA (10 mL). The reaction was stirred for 1.5 h at room temperature, and removal of the volatile solvent gave *N*-*cis*-4-[(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-methyl]-cyclohexylamine trifluor-oacetate as a sticky oil. The sticky oil was treated with sat. NaOH (15 mL), and the basic aqueous layer was extracted with DCM (2 x), and the aqueous was concentrated to give a solid residue. The solid residue was extracted several times with DCM/MeOH (3/1), and removal of the solvent provided neutral *N*-*cis*-4-[(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-methyl]-cyclohexylamine (2.1 g, 57 %) as a yellowish powder.

ESI MS m/e 264 (M + H)+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  5.91 (bs, 1 H), 5.65 (s, 1 H), 3.33 (t, 2 H, J = 6.4 Hz), 3.06 (s, 6 H), 2.97 (m, 1 H), 2.27 (bs, 2 H), 2.11 (s, 3 H), 1.70 (m, 1 H), 1.59~1.45 (m, 8 H).

40 Step B: Synthesis of N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-methyl)cyclohexyl]-3,5-bis (trifluoromethyl)benzamide trifluoroacetate.

[0418] To a solution of N-cis-4-[(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-methyl]-cyclohexylamine (20 mg, 0.008 mmol) in benzene/DCM (2/I, 1.5 mL) was added 3,5-bistrifluoromethylbenzoyl chloride (21 mg, leq.), and followed by Et<sub>3</sub>N (30 µL). The reaction was stirred for 3h at room temperature, concentrated, and purified by prep-HPLC. 25 mg (53 %) of N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} methyl)cyclohexyl]-3,5-bis(trifluoromethyl) benzamide trifluoroacetate was isolated as a white powder.

ESI MS m/e 504 (M + H)+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  13.9 (bs, 1 H), 8.86 (bs, 1 H), 8.25 (s, 2 H), 7.96 (s, 1 H), 7.30 (d, 1 H, J = 6.4 Hz), 5.74 (s, 1 H), 4.40 (bm, 1 H), 3.42 (t, 2 H, J = 6.0 Hz), 3.26 (s, 3 H), 3.13 (s, 3 H), 2.33 (s, 3 H), 1.91~1.60 (m, 9 H).

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## Example 2593

4-Chloro-*N*-[cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-methyl]benzamide trifluoroacetate

Step A: Synthesis of N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester.

[0419] Six sealed tubes, each containing 2-chloro-4-dimethylamino-6-methyl pyrimidine (0.4 g, 2.3 mmol), *cis*-(4-amino-cyclohexylmethyl)-carbamic acid benzyl ester (0.61 g, I eq.), DIEA (0.8 mL, 2 eq.), and t-BuOH (2.5 mL), were reacted in a Smith microwave synthesizer for 6500 sec at 180 °C. The combined reaction was diluted with DCM, washed with 1N-HCl (2 x) and water (1 x), dried with MgSO4, and concentrated. Purification by column chromatography (silica gel; hexane: DCM: MeOH = 1:5:0 to 0:95:5) gave 4.8 g (86 %) of N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester.

ESI MS m/z 398 (M + H)+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.40 (bs, 1H), 7.38 (m, 5 H), 5.70 (s, 1 H), 5.10 (s, 3 H, NHwas overlapped), 4.17 (bs, 1 H), 3.14 (bs, 6 H), 3.12 (t, 2 H, J = 6.0 Hz), 2.32 (s, 3 H), 1.90~1.50 (m, 9 H).

Step B: Synthesis of N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl] amine.

[0420] The heterogenous solution of N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester (4.5 g, 11.3 mmol) and 10 % Pd/C (0.20 g) in EtOH (25 mL) was stirred overnight under H<sub>2</sub> atmosphere at room temperature. The reaction was filtered through a pad of celite, and the organic was concentrated and purified by column chromatography (silica gel, DCM:MeOH = 100:0 to 80:20). 2.2 g (76 %) of N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl] amine was isolated as a yellowish powder.

ESI MS m/e 264 (M + H)+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.82 (bs, 1 H), 5.72 (s, 1 H), 4.40 (bs, 2 H), 4.15 (bm, 1 H), 3.16 (s, 6 H), 2.84 (d, 2 H, J = 6.8 Hz), 2.32 (s, 3 H), 1.80 (m, 2 H), 1.70~1.45 (m, 7 H).

Step C: Synthesis of 4-chloro-*N*-[(*cis*-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl) methyl] benzamide trifluoroacetate.

[0421] To a solution of N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl] amine (25 mg, 0.01 mmol) in DCM/benzene (3/1, 1.0 mL) was added 4-chlorobenzoyl chloride (17 mg, leq.), and followed by Et<sub>3</sub>N (30  $\mu$ L). The reaction was stirred for 4h at room temperature, concentrated, and purified by prep-HPLC. 25 mg (51 %) of 4-chloro-N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]benzamide trifluoroacetate was isolated as a white powder.

ESI MS m/e 402 (M + H)+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  13.8 (bs, 1 H), 8.60 (bd, 1 H, J = 6.4 Hz), 7.78 (d, 2 H, J = 8.4 Hz), 7.35 (d, 2 H, J = 8.4 Hz), 7.03 (bm, 1 H), 5.71 (s, 1 H), 4.20 (bs, I H), 3.42 (t, 2 H, J = 6.0 Hz), 3.22 (s, 3 H), 3.10 (s, 3 H), 2.31 (s, 3 H), 1.91 $\sim$ 1.78 (m, 3 H), 1.65 $\sim$ 1.55 (m, 6 H).

40 Example 2594

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cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino)-*N*-[(1S)-1-(4-methyl-phenyl)ethyl] cyclohexanecarboxamide hydrochloride

45 Step A: Synthesis of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexanecarboxylic acid ethyl ester.

[0422] A sealed tube containing a suspension of 2-chloro-4-dimethylamino-5-methylpyrimidine (0.28 g, 1.6 mmol), cis-4-amino-cyclohexanecarboxylic acid ethyl ester hydrochloride (0.33 g, I eq.), DIEA (0.65 mL, 2 eq.) in IPA (2 mL) was reacted in a Smith microwave synthesizer for 1 hour at 155° C. The solution was diluted with DCM, washed with 1N-HCl and water, concentrated, and purified by flash chromatography (silica gel, 1 % MeOH in CH<sub>2</sub>Cl<sub>2</sub>) to give *cis-4-(4-*dimethylamino-5-methyl-pyrimidin-2-ylamino) cyclohexanecarboxylic acid ethyl ester (0.3 g, 60 %) as a pale yellow solid.

ESI MS m/e 307 (M + H)+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.66 (s, 1 H), 4.72 (bd, 1 H, J = 6.8 Hz), 4.13 (q, 2 H, J = 6.8 Hz), 3.96 (bs, 1 H), 3.01 (s, 6 H), 2.44 (m, 1 H), 2.13 (s, 3 H), 1.89 (m, 2H), 1.72 (m, 6 H), 1.25 (t, 3 H, J = 6.8 Hz).

# Step B: Synthesis of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexanecarboxylic acid.

[0423] A suspension of cM-4-(4-dimethylamino-5-methy)-pyrimidin-2-y)amino) cyclohexanecarboxylic acid ethyl ester (0.25 g, 0.8 mmol) in 4N-HCl (10 mL) was stirred for 4 h at 85 °C. Progress of the reaction was monitored by LC-MS. The reaction was cooled to room temperature and completely removed the volatile solvent under a vacuum to give *cis-4-(4*-dimethylamino-5-methyl-pyrimidin-2-ylamino) cyclohexanecarboxylic acid (0.2 g, 90 %) as a white powder. ESI MS m/e 279 (M + H)+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.95 (bs, 1 H), 7.43 (s, 1 H), 3.94 (bs, 1 H), 3.28 (bs, 6 H), 2.49 (bs, 1 H), 2.25 (s, 3 H), 2.04 (m, 2 H), 1.82 (m, 2 H), 1.73 (m, 4 H), COOH was not observed.

Step C: Synthesis of cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl) ethyl]cyclohexanecarboxamide hydrochloride.

[0424] To a suspension of (S)-1-(4-methylphenyl)-ethylamine (12 mg, 1 eq.) and cis-4-(4-dimethylamino-5-methylpyrimidin-2-ylamino) cyclohexanecarboxylic acid (24 mg, 0.09 mmol) in DCM (2 mL) was added HATU (36 mg, 1.1 eq.). The reaction stirred for 30 seconds at room temperature under argon, and triethylamine (5 drops) was added. The reaction stirred overnight at room temperature. The reaction was diluted with DCM, washed with saturated NaHCO<sub>3</sub> (2x) and H<sub>2</sub>O (1x), and concentrated. Purification by column chromatography (silica gel; DCM:MeOH = 100:0 to 94:6) gave cis-4-(4-Dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexanecarboxylic acid (S)-(1-p-tolyl-ethyl)-amide (15 mg, 43 %). To a solution of the amide in DCM (1 mL) was added 4M-HCl in dioxane (50  $\mu$ L,). The reaction was stirred for 30 min at room temperature, and removal of the volatile solvent gave *cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)-N-[(1*S*-1-(4-methylphenyl)ethyl]cyclohexanecarboxamide hydrochloride as a white powder. ESI MS m/e 396 (M + H)+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  11.0 (bs, 1 H), 8.14 (d, 1 H, J = 8.4 Hz), 7.68 (bs, 1 H), 7.54 (s, 1 H), 7.14 (d, 2 H, J = 8.0 Hz), 7.07 (d, 2 H, J = 8.0 Hz), 4.84 (m, 1 H), 4.01 (bs, 1 H), 3.24 (s, 6 H), 2.27 (m, 1 H), 2.25 (s, 3 H), 2.22 (s, 3 H), 1.80~1.54 (m, 8 H), 1.29 (d, 3 H, J = 6.8 Hz).

## Example 2595

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2,2-Difluoro-*N*-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)-1,3-benzodioxole-5-carboxamide trifluoroacetate

Step A: Synthesis of 2,2-difluoro-N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)-1,3-benzodioxole-5-carboxamide trifluoroacetate.

[0425] 2-(3,5-Dimethoxy-4-formyl)phenoxy ethyl polystyrene resin (1.0 gram; 0.94mmol/gram) and methylamine (0.0122 mol) in 15 mL of CH<sub>2</sub>Cl<sub>2</sub> was suspended in a fritted synthesis flask. To this suspension was added a solution ofNaBH(OAc)<sub>3</sub> (0.0122 mol) in CH<sub>2</sub>Cl<sub>2</sub> (15 mL). After shaking the mixture overnight in a rotary shaker, the solution was removed by filtration. The resulting resin bound N-methylamine was washed sequentially with CH<sub>2</sub>Cl<sub>2</sub>, DMF, and MeOH. The washing sequence was repeated four times. The resin bound N-methylamine was dried under vacuum for 20 minutes.

[0426] The resin bound Nmethylamine was suspended in DMF (10 mL). To the resin suspension was added 2,4-dichloro-5-methyl-pyrimidine (1.41 mmol) followed by triethylamine (0.393 mL, 2.82 mmol). The reaction mixture was shaken at 40 °C overnight. The solution was removed by filtration and the resin washed sequentially with DMF, CH<sub>2</sub>Cl<sub>2</sub> and MeOH. The wash sequence was repeated four times. The resulting resin bound intermediate was dried under vacuum for 20 minutes

[0427] The resin bound intermediate was divided up into three portions and each portion was transferred into a 5ml Smith synthesizer reaction vessel. The resins (0.282 mmol) were separately suspended in a 1:1 solution of IPA/H<sub>2</sub>O (3 mL). To each suspension was added cis-1,4-diamino-cyclohexane (0.85 mmol) and DIEA (0.295ml; 1.69 mmol). The reactions were heated in a microwave synthesizer at 180°C for 4.5 hours. The resins were pooled together; and the solution removed by filtration. The resin was sequentially washed with IPA, H<sub>2</sub>O, MeOH, CH<sub>2</sub>Cl<sub>2</sub>, and MeOH. The washing sequence was repeated three times. The resulting resin bound intermediate was dried under vacuum for 20 minutes.

[0428] The resin bound intermediate was suspended in DMF (10ml). To the resin suspension was added the 2,2-diflouro-benzo[1,3]dioxole 5-carbonyl chloride (0.94 mmol) and triethylamine (0.256 mL; 1.88 mol). The reaction was shaken in a rotary mixer at room temperature for 45 minutes. The solution was removed by filtration and the resin washed sequentially with DMF, CH<sub>2</sub>Cl<sub>2</sub>, MeOH. The wash sequence repeated three times.

[0429] After drying under vacuum for 20 minutes, the resin was treated with 15 mL of TFA solution (TFA /CH<sub>2</sub>Cl<sub>2</sub> /H<sub>2</sub>O 20:20:1 v/v). The reaction was shaken for 2 hours and the TFA solution was collected after filtration. The TFA was removed by rotary evaporation and the compound subjected to purification by preparative HPLC to give 2,2-dif-

luoro-N-(cis-4-{[5-methyl-4-(methylamino}pyrimidin-2-yl]amino}cyclohexyl)-1,3-benzodioxole-5-carboxamide trifluoro-acetate (8.6 mg, 5%) as a white solid.

ESI MS m/e 420.5 M+H+;  $^{1}$ H NMR (400MHz, CD<sub>3</sub>OD)  $^{3}$  8.21 (d, J= 4 Hz, 1H), 7.75-7.67 (m, 2H), 7.41 (s, 1H), 7.28 (d, J= 8 Hz, 1H), 3.99 (m, 2H), 3.05 (s, 3H), 1.99 (s, 3H), 1.95-1.71 (m, 8H).

Example 2596

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5-Bromo-N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino)cyclohexyl)-2-furamide trifluoroacetate

Step A: Synthesis of 5-bromo-N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino)cyclohexyl)-2-furamide trifluoroacetate.

[0430] Using the procedure of Example 2595, the title compound was obtained. ESI MS m/e 408.2 M+H+;  $^{1}$ H NMR (400MHz, CD<sub>3</sub>OD)  $\delta$  7.41 (s, 1H), 7.10 (s, 1H), 6.60 (s, 1H), 4.08-3.97 (m, 2H), 3.05 (s, 3H), 1.99 (s, 3H), 1.95-1.71 (m, 8H).

Example 2597

3,5-Dibromo-*N*-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)-benzamide trifluoroacetate

Step A: Synthesis of 3,5-dibromo-*N*-(*cis*-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}cyclohexyl) benzamide trifluoroacetate.

[0431] Using the procedure of Example 2595, the title compound was obtained. ESI MS m/e 496.2 M+H+; <sup>1</sup>H NMR (400MHz, CD<sub>3</sub>OD)  $\delta$  8.37 (m, J = 4 Hz, 1H), 8.02-7.91 (m, 3H) 7.41 (s, 1H), 4.12 -3.97 (m, 2H), 3.05 (s, 3H), 1.99 (s, 3H), 1.95-1.71 (m, 8H).

Example 2598

3-Fluoro-N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-(trifluoromethyl)benzamide trifluoroacetate

Step A: Synthesis of 3-fluoro-N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yi]amino}cyclohexyl)5-{trifluoromethyl)benzamide trifluoroacetate.

[0432] Using the procedure of Example 2595, the title compound was obtained. ESI MS m/e 426.4 M+H+;  $^{1}$ H NMR (400MHz, CD<sub>3</sub>OD)  $\delta$  8.02 (m, 1H), 7.98 (d, J = 4 Hz , 1 H) 7.68 (d, J = 4 Hz 1H), 7.43-7.41 (s, 1H) 4.31 -3.81 (m, 2H), 3.05 (s, 3H), 1.87 (s, 3H), 1.87-1.73 (m, 8H).

Example 2599

 $N-(cis-4-[[5-Methyl-4-(methylamino)pyrimidin-2-yi]amino\}$ cyclohexyl)-4-(trifiuoromethoxy)benzamide trifiuoroacetate

Step A: Synthesis of *N*-(*cis*-4-{[5-niethyl-4-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy)benzamide trifluoroacetate.

[0433] Using the procedure of Example 2595, the title compound was obtained.

50 ESI MS m/e 424.3 M+H+; <sup>1</sup>H NMR (400MHz, CD<sub>3</sub>OD) δ 8.34 (d, J = 4 Hz, 1H), 7.85 (d, J = 8 Hz, 1H) 7.72 -7.55 (s, 1H), 7.47-7.31 (m, 3H), 4.31 -3.82 (m, 2H), 3.05 (s, 3H), 1.98 (s, 3H), 1.96-1.72 (m, 8H).

# Example 2600

N-(cis-4-i [5-methyl-4-(methylamino)pyrimidin-2-yl]amino) cyclohexyl)-3,5-bis(trifluoromethyl) benzamide hydrochloride

Step A: Synthesis of N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino)cyclohexyl)-3,5-bis (trifluoromethyl)benzamide hydrochloride.

[0434] To a solution of (2-chloro-5-methyl-pyrimidin-4yl)-methyl-amine (200mg, 1.27 mmol) in 1mL 2-propanol was added *cis-N*-(4-amino-cyclohexyl)-3,5-bis-trifluoromethyl-benzamide (676mg, 1.91mmol) and DIEA (2.54mmol). The mixture was heated in a microwave synthesizer at 180 °C for 2 hours. The solvent was evaporated and the material subjected to chromatography (70 ~ 95% ethyl acetate/ hexanes) The combined compound in CH<sub>2</sub>Cl<sub>2</sub> was added 2 M HCI in diethyl ether (1.5ml, 0.38mmol). The solvents were removed in vacuo to yield *N*-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino)} cyc)ohexy))-3,5-bis(trifluoromethyl)benzamide hydrochloride (385.5mg, 0.75mmol, 59 %) as a white solid

ESI MS 476.2 M+H+;  $^{1}$ H NMR (400 MHz, DMSO-d6)  $\delta$  11.7 (s, 1H), 8.64 (s, 1H), 8.35 (s, 2H), 8.14 (s, 1H), 8.09 (bs, 1H), 8.00 (bs, 1H), 7.45 (s, 1H), 3.83 (bs, 1H), 3.75 (bs, 1H), 3.20 (s, 3H), 2.77-2.76 (d, J = 4 Hz, 3H), 1.76 (m, 2H), 1.58 (m, 6H).

20 Example 2601

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N-(cis-4-{[4-(Ethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide trifluoroacetate

Step A: Synthesis of *N*-(*cis*-4-{[4-(ethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-3,4-difluorobenzamide trifluoroacetate.

[0435] Using the procedure of Example 2595, the title compound was obtained. ESI MS m/e 390.4 M+H+;  $^{1}$ H NMR (400MHz, CD<sub>3</sub>OD)  $\delta$  8.22 (d, J = 4 Hz, 1 H), 7.78 (m, 1 H), 7.68 (m, 1H), 7.42 (s, 1H), 7.38 (m, 1 H), 4.22-3.99 (m, 2H), 3.63-3.56 (quartet, J = 4 Hz, 2H), 1.99 (s, 3H), 1.93-1.81 (m, 8H), 1.29-1.19 (t, J= 8 Hz, 3H).

Example 2602

3,4-Difluoro-N-(cis-4-{[4-(isopropylamino)-5-methylpyrimidin-2yl]amino}cyclohexyl)-benzamide trifluoroacetate

Step A: Synthesis of 3,4-difluoro-*N*-(*cis*-4-{[4-(isopropylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-benzamide trifluoroacetate.

[0436] Using the procedure of Example 2595, the title compound was obtained.

ESI MS m/e 404.4 M+H+; <sup>1</sup>H NMR (400MHz, CD<sub>3</sub>OD) δ 8.10 (m, 1H), 7.80-7.75(m, 1H), 7.68 (m, 1H), 7.42 (s, 1H), 7.39-7.34 (m, 1H), 4.28-4.07 (m, 3H), 2.03 (s, 3H), 1.99-1.79 (m, 8H), 1.31-1.26 (d, J= 12 Hz, 6H).

Example 2603

45 N-(cis-4-{[4-(cyclopropylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide trifluoroacetate

Step A: Synthesis of N-(cis-4-{[4-(cyclopropylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-3,4-difluorobenzamide trifluoroacetate.

[0437] Using the procedure of Example 2595, the title compound was obtained. ESI MS m/e 402.2 M+H+;  $^{1}$ H NMR (400MHz, CD<sub>3</sub>OD)  $^{5}$  7.78-7.73 (m, 1H), 7.69-7.66 (m, 1H), 7.42 (s, 1H), 7.40-7.33 (m, 1H), 4.26-3.88 (m, 2H), 3.02-2.96 (m, 1H), 1.97-1.81 (m, 11H), 0.90-0.85 (m, 2H), 0.72-0.68 (m, 2H).

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# Example 2604

3,4-Difluoro-N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)-benzamide trifluoroacetate

5 Step A: Synthesis of 3,4-difluoro-N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}cyclohexyl) benzamide trifluoroacetate.

[0438] Using the procedure of Example 2595, the title compound was obtained.
ESI MS m/e 376.2 M+H+; <sup>1</sup>H NMR (400MHz, CD<sub>3</sub>OD) δ 7.80-7.75 (m, 1 H), 7.68 (m, 1 H), 7.43-7.35 (m, 2H), 4.31-4.06 (m, 2H), 3.05 (s, 3H), 2.04 (s, 3H), 1.99-1.75 (m, 8H).

# Example 2605

2-(3,4-Dichlorophenoxy)-N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)acetamide trifluoroacetate

Step A: Synthesis of 2-(3,4-dichlorophenoxy)-N-(cis-4-{[5-methyl-4-(methylamino)-pyrimidin-2-yl]amino} cyclohexyl)acetamide trifluoroacetate.

[0439] Using the procedure of Example 2595, the title compound was obtained.
ESI MS m/e 438.3 M+H+; ¹H NMR (400MHz, CD<sub>3</sub>OD) δ 7.45-7.40 (m, 2H), 7.20 (s, 1H), 6.97-6.94 (m, 1H), 4.55 (s, 2H), 3.92-3.34 (s, 2H) 3.04 (s, 3H), 1.98 (s, 3H), 1.53-1.71 (m, 8H).

# Example 2606

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2-(2,3-Dichlorophenoxy)-N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)acetamide trifluoroacetate

Step A: Synthesis of 2-{2,3-dichlorophenoxy)-N-(*cis*-4-{[5-methyl-4-(methylamino)-pyrimidin-2-yl]amino} cyclohexyl)acetamide trifluoroacetate.

[0440] Using the procedure of Example 2595, the title compound was obtained. ESI MS m/e 438.3 M+H+;  $^{1}$ H NMR (400MHz, CD<sub>3</sub>OD)  $_{\delta}$  7.42 (s, 1H), 7.31-6.92 (m, 3H), 4.65 (s, 2H), 4.07-3.95 (m, 2H), 3.05 (s, 3H), 1.98 (s, 3H), 1.93-1.69 (m, 8H).

## Example 2607

N-(cis-4-{[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)benzamide trifluoroacetate

40 Step A: Synthesis of 2,4-dichloro-5,6-dimethyl-pyrimidine.

[0441] To a suspension of 2,4-dihydroxy-5,6-dimethylpyrimidine (6.2 g, 0.044 mol) in  $POCl_3$  (25 mL) was slowly added *N,N*-dimethylaniline (6.18 mL, 0.049 mol). The mixture was then refluxed at 125 °C for 3 hours. After this time, the starting material completely dissolved indicating that the reaction was completed. The reaction mixture was cooled and then poured slowly onto ice to quench the  $POCl_3$  (caution exothermic!). A precipitate formed, which was filtered and washed with ice-cold water. The precipitate was dried under high vacuum overnight to yield 2,4-dichloro-5,6-dimethyl-pyrimidine (7.2 g, 0.041 mol, 92 %) as a yellow solid.

1H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  2.56 (s, 3H), 2.36 (s, 3H).

50 Step B: Synthesis of (2-chloro-5,6-dimethyl-pyrimidin-4-yl)-dimethyl-amine.

[0442] To a solution of 2,4-dichloro-5,6-dimethyl-pyrimidine (0.2 g, 0.0011 mol) in 1 mL 2-propanol was added DIEA (268 uL, 0.0017 mol) and dimethylamine (514 uL, 0.0010 mol). The mixture was then heated in a microwave at 170 °C for 10 minutes. The reaction mixture was cooled and concentrated and the resulting oil was purified by column (0-50% ethyl acetate in hexanes) to yield (2-chloro-5,6-dimethyl-pyrimidin-4-yl)-dimethyl-amine (75 mg, 0.40 mmol, 40%) as a white solid. ESI MS 186.0 M+H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 3.03 (s, 6H), 2.37 (s, 3H), 2.15 (s, 3H).

Step C: Synthesis of cis-[4-(4-dimethylamino-5,6-dimethyl-pyrimidin-2-ylamino)-cyclohexyll-carbamic acid *tert-butyl* ester.

[0443] To a solution of (2-chloro-5,6-dimethyl-pyrimidin-4-yl)-dimethyl-amine (0.5 g, 0.0027 mol) in 2 mL 2-propanol was added DIEA (514 uL, 0.0040 mol) and cis-(4-amino-cyclohexyl)-carbamic acid *tert*-butyl ester (635 mg, 0.0030 mol). The mixture was then heated in a microwave at 170 °C for 1 hour. The reaction mixture was cooled and concentrated and the resulting oil was purified by column (0-100% ethyl acetate in hexanes) to yield cis-[4-(4-dimethylamino-5,6-dimethyl-pyrimidin-2-ylamino)-cyclohexyl]-carbamic acid tert-butyl ester (875 mg, 2.4 mmol, 89 %) as a white solid. ESI MS 364.6 M+H+; 1H NMR (400 MHz, CD<sub>3</sub>OD) δ 3.97 (m, 1H), 3.53 (m, 1H), 2.95 (s, 6H), 2.23 (s, 3H), 2.09 (s, 3H), 1.78-1.55 (m, 8H), 1.48 (s, 9H).

Step D: Synthesis of cis-4-(4-dimethylamino-5,6-dimethyl-pyrimidin-2-ylamino)-1-aminocyclohexane.

[0444] To a solution of cis-[4-(4-dimethylamino-5,6-dimethyl-pyrimidin-2-ylamino)-cyclohexyl]-carbamic acid tert-butyl ester (3.4 g, 0.0094 mol) in 40 mL CH<sub>2</sub>Cl<sub>2</sub> was added TFA (1.4 mL, 0.019 mol). The solution was stirred at room temperature for 4 hours (or until the reaction was complete as judged by TLC). The excess solvent was evaporated off and the resulting oil was dissolved in 30 mL CH<sub>2</sub>Cl<sub>2</sub>. The organic layer was extracted with 30 mL of a dilute NaOH (aq) / NaHCO<sub>3</sub> (aq) solution (the aqueous layer was confirmed to remain basic during the extraction using pH paper indicator). The aqueous layer was back extracted twice with CH<sub>2</sub>Cl<sub>2</sub> and the organic layers combined, dried over MgSO<sub>4</sub>, and concentrated to yield cis-4-(4-dimethylamino-5,6-dimethyl-pyrimidin-2-ylamino)-1-aminocyclohexane (2.2 g, 0.0084 mol, 90%) as a white solid.

ESI MS 264.2 M+H+; <sup>1</sup>H NMR (400 MHz, CD<sub>3</sub>OD)  $\delta$  3.99 (m, 1H), 2.95 (s, 6H), 2.80 (m, 1H), 2.23 (s, 3H), 2.09 (s, 3H), 1.84-1.67 (m, 6H), 1.52-1.49 (m, 2H).

Step E: Synthesis of N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2yl]amino}-cyclohexyl)benzamide trifluoroacetate.

[0445] To a solution of cis-4-(4-dimethylamino-5,6-dimethyl-pyrimidin-2-ylamino)-1-aminocyclohexane (30 mg, 0.11 mmol) in 0.5 mL DMF was added pyridine (13.8 uL, 0.17 mmol) and benzoyl chloride (12.6 uL, 0.11 mmol). The reaction mixture was stirred overnight and then 0.5 mL of DMSO was added to the mixture. The compound was then subject to purification by prep LCMS to yield *N-(cis-4-*[[4-(dimethylamino)-5,6-dimethy pyrimidin-2-yl]amino)cyclohexyl)benzamide trifluoroacetate (27 mg, 0.056 mmol, 52%) as a white solid TFA salt.

ESI MS m/e 368.2 M+H+; <sup>1</sup>H NMR (400 MHz, CD3OD) δ 7.85-7.83 (m, 2H), 7.58-7.54 (m, 1 H), 7.51-7.47 (m, 2H), 4.15 (m, 1H), 4.03 (m, 1H), 3.28 (s, 6H), 2.34 (s, 3H), 2.19 (s, 3H), 2.00-1.80 (m, 8H).

Example 2608

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N-(cis-4-{[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethyl)benzamide trifluoroacetate

Step A: Synthesis of *N*-(*cis*-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2yl]amino}-cyclohexyl)-3-(trifluoromethyl)benzamide trifluoroacetate.

[0446] Using the procedure of Example 2607, the title compound was obtained as a white solid TFA salt.

ESI MS m/e 436.4 M+H+; <sup>1</sup>H NMR (400 MHz, CD<sub>3</sub>OD) δ 8.16 (s, 1H), 8.12 (d, 1H, J = 7.6 Hz), 7.89 (d, 1H, J = 8.0 Hz), 7.71 (t, 1H, J = 8.0 Hz), 4.16 (m, 1H), 4.05 (m, 1 H), 3.28 (s, 6H), 2.34 (s, 3H), 2.20 (s, 3H), 2.00-1.82 (m, 8H).

Example 2609

N-(cis-4-[[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino)cyclohexyl)-2-hydroxynicotinamide trifluoroacetate

Step A: Synthesis of *N*-(*cis*-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2yl]amino}-cyclohexyl)-2-hydroxynicotinamide trifluoroacetate.

[0447] To a solution of *cis*-4-(4-dimethylamino-5,6-dimethyl-pyrimidin-2-ylamino)-1-aminocyclohexane (30 mg, 0.11 mmol) in 0.5 mL DMF was added 2-hydroxynicotinic acid (15 mg, 0.11 mmol), DIEA (29.8 uL, 0.17 mmol), and HATU (52 mg, 0.14 mmol). The reaction mixture was stirred overnight and then 0.5 mL DMSO was added to the mixture. The

compound was then subject to purification by prep LCMS to yield *N*-(cis-4-([4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxy nicotinamide trifluoroacetate (17 mg, 0.034 mmol, 31 %) as a white solid. ESI MS m/e 385.2 M+H+; <sup>1</sup>H NMR (400 MHz, CD<sub>3</sub>OD)  $\delta$  8.53 (dd, 1H, J<sub>1</sub> = 7.2 Hz, J<sub>2</sub> = 2.0 Hz), 7.70 (dd, 1H, J<sub>1</sub> = 6.4 Hz, J<sub>2</sub> = 2.0 Hz), 6.61 (t, 1H, J = 6.8 Hz), 4.17 (m, 1H), 4.01 (m, 1H), 3.28 (s, 6H), 2.33 (s, 3H), 2.19 (s, 3H), 1.98-1.72 (m, 8H).

# Example 2610

5-Bromo-*N*-(*cis*-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-2-furamide trifluoroacetate

Step A: Synthesis of 5-Bromo-*N*-(*cis*-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-2-furamide trifluoroacetate.

[0448] Using a similar procedure of Example 2609, the title compound was obtained as a white solid TFA salt. ESI MS m/e 436.2 M+H+; <sup>1</sup>H NMR (400 MHz, CD<sub>3</sub>OD)  $\delta$  7.15 (d, 1H, J = 3.6 Hz), 6.63 (d, 1H, J = 3.2 Hz), 4.16 (m, 1H), 3.99 (m, 1H), 3.27 (s, 6H), 2.34 (s, 3H), 2.19 (s, 3H), 1.98-1.95 (m, 2H), 1.89-1.76 (m, 6H).

# Example 2611

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 $N^2$ -{cis-4-[(3,5-Dimethoxybenzyl)amino]cyclohexyl}- $N^4$ , $N^4$ ,5,6-tetramethylpyrimidine-2,4-diamine bistrifluoroacetate

Step A: Synthesis of N<sup>2</sup>-{cis-4-{(3,5-dimethoxybenzyl)amino]cyclohexyl} -N<sup>4</sup>,N<sup>4</sup>,5,6-tetramethylpyrimidine-2,4-diamine bis-trifluoroacetate.

[0449] To a solution of cis-4-(4-dimethylamino-5,6-dimethyl-pyrimidin-2-ylamino)-1-aminocyclohexane (26.3 mg, 0.1 mmol) in 0.5 mL MeOH was added 3,5-dimethoxybenzaldehyde (15.0 mg, 0.09 mmol). The mixture was stirred at room temperature for a half an hour and then sodium triacetoxyborohydride (84.8 mg, 0.4 mmol) was added. The mixture was stirred at room temperature overnight and then 0.5 mL of DMSO was added to the mixture. The compound was then subjected to purification by prep LCMS to yield  $N^2$ -{cis-4-[(3,5-dimethoxybenzyl)amino]cyclohexyl}- $N^4$ ,  $N^4$ ,5,6-tetramethylpyrimidine-2,4-diamine bis-trifluoroacetate (24 mg, 0.037 mmol, 42%) as a white solid TFA salt. ESI MS m/e 414.6 M+H+; <sup>1</sup>H NMR (400 MHz, CD<sub>3</sub>OD)  $\delta$  6.71 (d, 2H, J= 2.0 Hz), 6.59 (t, 1H, J= 2.0 Hz), 4.28 (m, 1 H), 4.21 (s, 2H), 3.84 (s, 6H), 3.28 (m, 1 H), 3.27 (s, 6H), 2.34 (s, 3H), 2.19 (s, 3H), 2.10-2.08 (m, 4H), 1.85-1.83 (m, 4H).

# Example 2612

 $N^2$ -{cis-4-[(3-Bromobenzyl)amino]cyclohexyl)- $N^4$ ,  $N^4$ , 5,6-tetramethylpyrimidine-2,4-diamine bistrifluoroacetate

Step A: Synthesis of  $N^2$ -{cis-4-[(3-bromobenzyl)amino]cyclohexyl}- $N^4$ ,  $N^4$ , 5,6-tetramethylpyrimidine-2,4-diamine bis-trifluoroacetate.

[0450] Using the procedure of Example 2611, the title compound was obtained as a white solid TFA salt. ESI MS m/e 432.4 M+H+;  $^{1}$ H NMR (400 MHz, CD<sub>3</sub>OD)  $\delta$  7.78 (s, 1 H), 7.68 (d, 1H, J = 8.0 Hz), 7.54 (d, 1 H, J = 7.6 Hz), 7.45 (t, 1 H, J = 4 Hz), 4.29 (m, 3H), 3.28 (m, 1 H), 3.27 (s, 6H), 2.34 (s, 3H), 2.20 (s, 3H), 2.11-2.09 (m, 4H), 1.87-1.82 (m, 4H).

# Example 2613

 $N-(cis-4-\{[4-(Dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino\}$ cyclohexyl)-N'-(3-methoxyphenyl)urea trifluoroacetate

Step A: Synthesis of N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2yl]amino}-cyclohexyl)-N -(3-methoxyphenyl)urea trifluoroacetate.

[0451] To a solution of cis-4-(4-dimethylamino-5,6-dimethyl-pyrimidin-2-ylamino)-1-aminocyclohexane (26.3 mg, 0.1 mmol) in 0.5 mL DMSO was added 3-methoxyphenyl isocyanate (13.1 uL, 0.1 mmol). The mixture was stirred at room

temperature overnight and then 0.5 mL of DMSO was added to the mixture. The compound was then subject to purification by prep LC MS to yield N-(cis-4-{[4-(dimethylamino)-5,6-dimethyl pyrimidin-2-yl]amino}cyclohexyl)-N'-(3-methoxyphenyl)urea trifluoroacetate (28 mg, 0.053 mmol, 53%) as a white solid.

ESI MS m/e 413.6 M+H+;  $^{1}$ H NMR (400 MHz, CD<sub>3</sub>OD)  $\delta$  7.18 (m, 2H), 6.86 (dd, 1H, J, = 8.0 Hz, J<sub>2</sub> = 2.0 Hz), 6.58 (dd, 1H, J, = 8.4 Hz, J<sub>2</sub> = 2.4 Hz), 4.03 (m, 1H), 3.82 (m, 1H), 3.79 (s, 3H), 3.27 (s, 6H), 2.33 (s, 3H), 2.19 (s, 3H), 1.92-1.73 (m, 8H).

# Example 2614

N-(3,5-Difluorophenyl)-N'-(cis-4-) [4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino)cyclohexyl)urea trifluoroacetate

Step A: Synthesis of *N*-(3,5-difluorophenyl)-N'-(*cis*-4-)[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino) cyclohexyl)urea trifluoroacetate.

[0452] Using the procedure of Example 2613, the title compound was obtained as a white solid TFA salt. ESI MS m/e 419.3 M+H+;  $^{1}$ H NMR (400 MHz, CD<sub>3</sub>OD)  $\delta$  7.08-7.03 (m, 2H), 6.55-6.49 (m, 1 H), 4.04 (m, 1H), 3.81 (m, 1H), 3.28 (s, 6H), 2.33 (s, 3H), 2.20 (s, 3H), 1.93-1.73 (m, 8H).

20 Example 2615

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1-(4-Chlorophenyl)-N-(cis-4-; [4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) cyclobutanecarboxamide trifluoroacetate

25 Step A: Synthesis of 1-(4-chlorophenyl)-N-(cis-4-1[4-(dimethylamino)-5-methylpyrimidin-2-yl)amino} cyclohexyl)cyclobutanecarboxamide trifluoroacetate.

[0453] To a solution of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-1-aminocyclohexane (35 mg, 0.14 mmol), 1-(4-chlorophenyl)-cyclobutanecarboxylic acid (30 mg, 1 eq.) in DCM (2 mL) was added HATU (58 mg, 1.1 eq.) and followed by  $\rm Et_3N$  (40  $\rm \mu L$ , 2 eq.). The reaction was stirred at room temperature for 4 h, and completion of the reaction was confirmed by LCMS. After removal of the volatile solvent, the residue was purified by prep-HPLC to give 32 mg (41 %) of 1-(4-chlorophenyl)-N-(cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)cyclobutanecarboxamide trifluoroacetate as a white solid.

ESI MS m/e 442 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  13.6 (bs, 1 H), 8.38 (d, 1 H, J = 7.2 Hz), 7.32-7.22 (m, 5 H), 5.76 (d, 1 H, J = 8.8 Hz), 4.09 (bs, 1 H), 3.81 (m, 1 H), 3.26 (s, 6 H), 2.77 (m, 2 H), 2.44 (m, 2 H), 2.22 (s, 3 H), 2.02 (m, 1 H), 1.86 (m, 1 H), 1.65~1.50 (m, 8 H).

## Example 2616

2-(4-Chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-methylpropanamide trifluoroacetate

Step A: Synthesis of 2-(4-chlorophenyl)-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)-2-methylpropanamide trifluoroacetate.

[0454] Using the procedure of Example 2615, the title compound was obtained. ESI MS m/e 430 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  13.3 (bs, 1 H), 8.21 (d, 1 H, J = 7.6 Hz), 7.28 (bs, 4 H), 7.22 (m, 1 H), 5.67 (d, 1 H, J = 8.4 Hz), 4.09 (bs, 1 H), 3.85 (m, 1 H), 3.26 (s, 6 H), 2.22 (s, 3 H), 1.71~1.61 (m, 6 H), 1.54 (s, 6 H), 1.50 (m, 2 H).

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# Example 2617

2-[3,5-bis(Trifluoromethyl)phenyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-methylpropanamide trifluoroacetate

Step A: Synthesis of 2-[3,5-bis(trifluoromethyl)phenyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)-2-methylpropanamide trifluoroacetate.

[0455] Using the procedure of Example 2615, the title compound was obtained.

ESI MS m/e 532 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 13.9 (bs, 1H), 8.68 (d, 1H, *J* = 7.6 Hz), 7.78 (s, 2 H), 7.72 (s, 1 H), 7.21 (d, 1H, *J* = 4.4 Hz), 6.14 (d, 1H, *J* = 8.4 Hz), 4.20 (bs, 1H), 3.93 (m, 1H), 3.26 (s, 6 H), 2.22 (s, 3 H), 1.77~1.56 (m, 8 H), 1.61 (s, 6 H).

## Example 2618

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2-[3,5-bis(Trifluoromethyl)phenyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) acetamide trifluoroacetate

Step A: Synthesis of 2-[3,5-bis(trifluoromethyl)phenyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)acetamide trifluoroacetate.

[0456] Using the procedure of Example 2615, the title compound was obtained. ESI MS m/e 504 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $^{3}$  13.8 (bs, 1 H), 8.51 (d, 1 H, J = 7.8 Hz), 7.78 (s, 2 H), 7.73 (s, 1 H), 7.22 (m, 1 H), 5.87 (d, 1 H, J = 8.0 Hz), 4.15 (bs, 1 H), 3.96 (m, 1 H), 3.62 (s, 2 H), 3.28 (s, 6 H), 2.24 (s, 3 H), 1.80~1.65 (m, 8 H).

## Example 2619

1-(4-Chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl) cyclopropanecarboxamide trifluoroacetate

Step A: Synthesis of 1-(4-Chlorophenyl)-N (cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)cyclopropanecarboxamide trifluoroacetate.

35 [0457] To a solution of cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-1-aminocyclohexane (36 mg, 0.14 mmol), 1-(4-chlorophenyl)-cyclopropanecarboxylic acid (31 mg, 1 eq.) in DCM (2 mL) was added HATU (60 mg, 1.1 eq.) and followed by Et<sub>3</sub>N (40 μL, 2 eq.). The reaction was stirred at room temperature for 4 h, and completion of the reaction was confirmed by ESI MS. After removal of the volatile solvent, the residue was purified by prep-HPLC to give 45 mg (72 %) of 1-(4-Chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)cyclopropane carboxamide trifluoroacetate as a white solid.

ESI MS m/e 428 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  13.4 (bs, 1 H), 8.61 (d, 1 H, J = 7.2 Hz), 7.32 (m, 4 H), 5.70 (s, 1 H), 5.46 (d, 1 H, J = 8.0 Hz), 4.04 (bs, 1 H), 3.79 (m, 1 H), 3.21 (s, 3 H), 3.10 (s, 3 H), 2.31 (s, 3 H), 1.68~1.47 (m, 9 H), 1.22 (m, 1 H), 1.00 (m, 2H).

# 45 Example 2620

1-(4-Chlorophenyl)-*N*-(*cis*-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl) cyclobutanecarboxamide trifluoroacetate

50 Step A: Synthesis of 1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)cyclobutanecarboxamide trifluoroacetate.

[0458] Using the procedure of Example 2619, the title compound was obtained.

ESI MS m/e 442 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 13.1 (bs, 1 H), 8.41 (d, 1 H, J = 7.6 Hz), 7.28 (s, 4 H), 5.95 (d, 1 H, J = 8.8 Hz), 5.72 (s, 1 H), 4.14 (bs, 1 H), 3.82 (m, 1 H), 3.21 (s, 3 H), 3.11 (s, 3 H), 2.77 (m, 2 H), 2.44 (m, 2 H), 2.31 (s, 3 H), 2.01 (m, 1 H), 1.83 (m, 1 H), 1.70~1.50 (m, 8 H).

# Example 2621

1-(2,4-Dichlorophenyl)-N-(*cis*-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl) cyclopropanecarboxamide trifluoroacetate

Step A: Synthesis of 1-(2,4-dichlorophenyl)-*N*-(*cis*-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino) cyclohexyl)cyclopropanecarboxamide trifluoroacetate.

[0459] Using the procedure of Example 2619, the title compound was obtained.

ESI MS m/e 462 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 13.4 (bs, 1 H), 8.54 (bs, 1 H), 7.43 (s, 1 H), 7.28 (d, 1 H, J = 8.4 Hz), 7.26 (d, 1 H, J = 8.0 Hz), 5.70 (s, 1 H), 5.39 (d, 1 H, J = 8.0 Hz), 4.06 (bs, 1 H), 3.84 (m, 1 H), 3.20 (s, 3 H), 3.10 (s, 3 H), 2.30 (s, 3 H), 1.69~1.62 (m, 8 H), 1.50 (m, 2 H), 1.01 (m, 2H).

## Example 2622

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2-[3,5-bis(Trifluoromethyl)phenyl]-*N*-(*cis*-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-2-methylpropanamide trifluoroacetate

Step A: Synthesis of 2-[3,5-bis(trifluoromethyl)phenyl]-*N*-(*cis*-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl] amino}cyclohexyl)-2-methylpropanamide trifluoroacetate.

[0460] Using the procedure of Example 2619, the title compound was obtained. ESI MS m/e 532 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $^{3}$  13.8 (bs, 1 H), 8.80 (d, 1 H, J = 8.4 Hz), 7.79 (s, 2 H), 7.72 (s, 1 H), 6.20 (d, 1 H, J = 8.4 Hz), 5.70 (s, 1 H), 4.24 (bs, 1 H), 3.94 (bm, 1 H), 3.22 (s, 3 H), 3.10 (s, 3 H), 2.30 (s, 3 H), 1.79~1.60 (m, 8 H), 1.61 (s, 6 H).

# Example 2623

2-(3,4-dinuorophenyl)-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxyacetamide hydrochloride

Step A: 2-(3,4-difluorophenyl)-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxyacetamide hydrochloride.

35 [0461] To a solution of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-1-aminocyclohexane (43 mg, 0.17 mmol), 3,4-difluoro mandelic acid (34 mg, 1 eq.) in DCM (2 mL) was added HATU (68 mg, 1.1 eq.) and followed by Et<sub>3</sub>N (50 μL, 2 eq.). The reaction was stirred at room temperature for 4 h and quenched. After removal of the volatile solvent, the residue was purified by column chromatography (DCM: MeOH = 100: 0 to 94: 6). 28 mg (39%) of the product was isolated and converted into HCl salt.

ESI MS m/e 420 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.48 (d, 1 H, J = 8.0 Hz), 7.39~7.20 (m, 3 H), 7.04 (m, 1H), 5.05 (s, 1 H), 4.08 (bs, 1 H), 3.89 (bs, 1 H), 3.26 (s, 6 H), 2.22 (s, 3 H), 1.78~1.60 (m, 8 H), two exchangeable protons (-NH- and -OH) were not detected.

# Example 2624

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 $N-(cis-4-\{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino\}$ cyclohexyl)-2-hydroxy-2-[3-(trifluoromethyl) phenyl]acetamide

Step A: Synthesis of *N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-2-hydroxy-2-[3-(trifluoromethyl)phenyl]acetamide.

[0462] Using the procedure of Example 2623, the title compound was obtained. ESI MS m/e 452 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.83 (bs, 1 H), 7.22 (s, 1 H), 7.65 (d, 1 H, J = 8.0 Hz), 7.51 (d, 1 H, J = 8.0 Hz), 7.42 (t, 1 H, J = 8.0 Hz), 7.22 (s, 1 H), 7.00 (d, 1 H, J = 8.0 Hz), 5.10 (s, 1 H), 4.04 (bs, 1 H), 3.89 (bs, 1 H), 3.20 (s, 6 H), 2.18 (s, 3 H), 1.78~1.64 (m, 8 H), one exchangeable proton (-OH) was not detected.

## Example 2625

N-(cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxy-2-(4-methoxyphenyl) acetamide

Step A: Synthesis of N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-2-hydroxy-2-(4-methoxyphenyl)acetamide.

[0463] Using the procedure of Example 2623, the title compound was obtained.

ESI MS m/e 414 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.72 (d, 1 H, J = 6.8 Hz), 7.31 (d, 2 H, J = 8.4 Hz), 7.22 (s, 1 H), 6.83 (d, 2 H, J = 8.4 Hz), 6.78 (d, 1 H, J = 7.6 Hz), 4.98 (s, 1 H), 4.06 (bs, I H), 3.90 (bs, 1 H), 3.76 (s, 3 H), 3.25 (s, 6 H), 2.20 (s, 3 H), 1.78~1.64 (m, 8 H.

# Example 2626

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2-(3-Chlorophenyl)-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxyacetamide

Step A: Synthesis of 2-(3-chlorophenyl)-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)-2-hydroxyacetamide.

[0464] Using the procedure of Example 2623, the title compound was obtained. ESI MS m/e 418 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $^{3}$  8.63 (bs, 1 H), 7.44 (s, 1 H), 7.33 (m, 1 H), 7.21 (m, 2 H), 7.12 (bs, 1 H), 5.03 (s, 1 H), 4.08 (bs, 1 H), 3.88 (bs, 1 H), 3.24 (s, 6 H), 2.19 (s, 3 H), 1.78 $\sim$ 1.63 (m, 8 H).

## Example 2627

2-(2,3-Difluorophenyl)-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxyacetamide

Step A: Synthesis of 2-(2,3-difluorophenyl)-*N*-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)-2-hydroxyacetamide.

[0465] Using the procedure of Example 2623, the title compound was obtained.

ESI MS m/e 420 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.26 (s, 1 H), 7.14 (m, 1H), 7.06 (m, 2 H), 6.73 (d, 1 H, J = 8.0 Hz), 5.32 (s, 1 H), 4.06 (bs, 1 H), 3.93 (bs, 1 H), 3.22 (s, 6 H), 2.20 (s, 3 H), 1.78~1.64 (m, 8 H).

# Example 2628

 N-(cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(trifluoromethyl) benzenesulfonamide hydrochloride

Step A: Synthesis of *N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-2-(trifluoromethyl)benzenesulfonamide hydrochloride.

[0466] To a solution of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-1-aminocyclohexane (45 mg, 0.18 mmol) in IPA (2 mL) was added 2-trifluoromethyl benzenesulfonyl chloride (44 mg, 1 eq.) and followed by DIEA (50  $\mu$ L, 2 eq.). The reaction was stirred at room temperature for 1.5 h under an inert atmosphere, and the progress of the reaction was monitored by ESI MS. The reaction was diluted with DCM (7 mL), washed with saturated NaHCO<sub>3</sub> (1 x 5 mL) and water (1 x 5 mL), and concentrated. The crude product was purified by column chromatography (DCM: MeOH = 100: 0 to 95: 5). 31 mg (38%) of the product was isolated and converted into HCI salt. ESI MS m/e 458 M + H+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.2 (bs, 1 H), 8.13 (m, 2 H), 8.06 (d, 1 H, J = 6.0 Hz), 7.93 (d, 1 H, J = 8.0 Hz), 7.87 (t, 1 H, J = 7.6 Hz), 7.79 (t, I H, J = 7.6 Hz), 7.62 (bs, 1 H), 3.78 (bs, 1 H), 3.22 (s, 6 H), 3.21 (bs, 1 H), 2.20 (s, 3 H), 1.78~1.54 (m, 8 H).

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### Example 2629

4-Chloro-*N*-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-benzenesulfonamide hydrochloride

Step A: Synthesis of 4-chloro-*N*-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) benzenesulfonamide hydrochloride.

[0467] Using the procedure of Example 2628, the title compound was obtained.

ESI MS m/e 424 M + H+; <sup>1</sup>H NMR (400 MHz, DMSO- $d_6$ ) δ 11.9 (bs, 1 H), 7.92 (bs, 1 H), 7.83 (s, 1 H, overlapped with the doublet of 7.81 ppm), 7.81 (d, 2 H, J = 8.4 Hz), 7.64 (d, 2 H, J = 8.4 Hz), 7.58 (bs, 1 H), 3.74 (bs, 1 H), 3.21 (s, 6 H), 3.08 (bs, 1 H), 2.20 (s, 3 H), 1.70~1.44 (m, 8 H).

# Example 2630

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2-Bromo-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-benzenesulfonamide hydrochloride

Step A: Synthesis of 2-bromo-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) benzenesulfonamide hydrochloride.

[0468] Using the procedure of Example 2628, the title compound was obtained. ESI MS m/e 468 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO- $d_6$ )  $\delta$  11.9 (bs, 1 H), 8.00 (d, 1 H, J= 7.2 Hz), 7.92 (bs, 1 H), 7.82 (d, 2 H, J = 7.6 Hz), 7.59–7.48 (m, 3 H), 3.73 (bs, 1 H), 3.21 (s, 6 H), 3.20 (bs, 1 H), 2.20 (s, 3 H), 1.72 (m, 2 H), 1.58 (m, 6 H).

## Example 2631

N-(cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)thiophene-2-sulfonamide hydrochloride

Step A: Synthesis of N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)thiophene-2-sulfonamide hydrochloride.

35 **[0469]** Using the procedure of Example 2628, the title compound was obtained. ESI MS m/e 396 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) δ 12.1 (bs, 1 H), 7.99 (bs, 1 H), 7.92 (bs, 1 H), 7.88 (d, 1 H, J = 4.8 Hz), 7.60 (bs, 1 H), 7.57 (d, 1 H, J = 2.8 Hz), 7.14 (t, 1 H, J = 4.8 Hz), 3.75 (bs, 1 H), 3.22 (s, 6 H), 3.17 (bs, 1 H), 2.20 (s, 3 H), 1.70~1.51 (m, 8 H).

# 40 Example 2632

 $N^4,N^4,5$ -Trimethyl- $N^2$ -(cis-4-{[3-(trifluoromethyl)benzyl]amino}cyclohexyl)pyrimidine-2,4-diamine bistrifluoroacetate

45 Step A: Synthesis of №, №, 5-trimethyl-№-(cis-4-{[3-(trifluoromethyl)benzyl]amino}-cyclohexyl)pyrimidine-2,4-diamine bistrifluoroacetate.

[0470] A solution of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-1-aminocyclohexane (31 mg, 0.12 mmol) and 3-trifluoromethyl benzaldehyde (22 mg, 1 eq.) in MeOH (1.5 mL) was stirred at room temperature for 4 h. NaBH (OAc)<sub>3</sub> (85 mg, ~ 4 eq.) was added into the reaction, and the reaction was stirred overnight. The reaction was quenched with water, extracted with DCM, concentrated, and purified by prep-HPLC. 35 mg (54 %) of N<sup>4</sup>,N<sup>4</sup>,5-trimethyl-N<sup>2</sup>-(cis-4-{[3-(trifluoromethyl)benzyl]amino}cyclohexyl)pyrimidine-2,4-diamine bistrifluoroacetate was isolated as a white powder.

ESI MS m/e 408 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) & 13.7 (bs, 1 H), 9.70 (bs, 2 H), 8.60 (d, 1 H, J = 8.8 Hz), 7.70 (m, 2 H), 7.59 (d, 1 H, J = 8.0 Hz), 7.48 (t, 1 H, J = 8.4 Hz), 4.31 (m, 1 H), 4.23 (s, 2 H), 3.30 (m, 1 H), 3.29 (s, 6 H), 2.25 (s, 3 H), 2.05 (m, 2 H), 1.93 (m, 4 H), 1.64 (m, 2 H).

### Example 2633

 $N^2$ -(cis-4-{[4-(Difluoromethoxy)benzyl]amino) cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>,5-trimethylpyrimidine-2,4-diamine bistrifluoroacetate

Step A: Synthesis of N<sup>2</sup>-(cis-4-{[4-(difluoromethoxy)benzyl]amino}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>,5-trimethylpyrlmidine-2,4-diamine bistrifluoroacetate.

[0471] Using the procedure of Example 2632, the title compound was obtained.

ESI MS m/e 406 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 13.8 (bs, 1 H), 9.60 (bs, I H), 8.60 (d, 1H, *J* = 8.8 Hz), 7.46 (d, 2 H, *J* = 8.8 Hz), 7.24 (s, 1H), 7.07 (d, 2 H, J = 8.8 Hz), 6.48 (t, 1 H, J<sub>F-H</sub> = 73.6 Hz), 4.31 (m, 1 H), 4.15 (s, 2 H), 3.40 (bs, 1 H), 3.29 (s, 6 H), 2.24 (s, 3 H), 2.05 (m, 2 H), 1.90 (m, 4 H), 1.63 (m, 2 H).

## Example 2634

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 $N^2$ -{cis-4-[(3-Bromo-4-methoxybenzyl)amino]cyclohexyl)- $N^4$ , $N^4$ ,5-trimethylpyrimidine-2,4-diamine bistrifluoroacetate

Step A: Synthesis of N<sup>2</sup>-)cis-4-[(3-bromo-4-methoxybenzyl)amino]cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>,5-trimethylpyrimidine-2.4-diamine bistrifluoroacetate.

**[0472]** Using the procedure of Example 2632, the title compound was obtained. ESI MS m/e 448 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  13.8 (bs, 1H), 9.44 (bs, 1H), 8.57 (d, 1 H, J = 8.0 Hz), 7.58 (d, 1 H, J = 2.4 Hz), 7.41 (dd, 1 H, J = 8.8 and 2.0 Hz), 7.24 (s, 1 H), 6.86 (d, 1 H, J = 8.0 Hz), 4.29 (m, 1H), 4.07 (s, 2 H), 3.86 (s, 3 H), 3.28 (s, 6 H), 3.25 (bs, 1 H), 2.24 (s, 3 H), 2.05~1.85 (m, 6 H), 1.64 (m, 2 H).

## Example 2635

N<sup>2</sup>-(3,4-Dichlorophenyl)-N-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N<sup>2</sup>-methylglycinamide bistrifluoroacetate

Step A: Synthesis of 2-bromo-N-[4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-acetamide.

[0473] cis-[4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino) cyclohexyl]-carbamic acid *tert*-butyl ester (3.5 g, 14.0 mmol) was dissolved in 20 mL of methylene chloride, and cooled to 0°C in an ice bath. Bromo-acetyl bromide (1.26 mL, 14.0 mmol) was added dropwise into the stirring solution over the ice bath. The reaction mixture was stirred at room temperature for 10 minutes. Methylene chloride was evaporated off to yield 2-bromo-*N*-[4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-acetamide as a pinkish crude solid. (6.1 g, 95%).

ESI MS m/z 370.1 (M + H+); <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 12.20 (s, 1 H), 8.21 (d, *J* = 7.2 Hz, 1H), 6.85 (d, J = 6.8 Hz, 1H), 4.15 (s, 1H), 3.97-3.89 (m, 3H), 3.31 (s, 6H), 2.27 (s, 3H), 1.93-1.72 (m, 8H).

Step B: Synthesis of  $N^2$ -(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)- $N^2$ -methylglycinamide bistrifluoroacetate.

- 45 [0474] 2-Bromo-N-[4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-acetamide (50 mg, 0.135 mmol) and (3,4-dichloro-phenyl)-methyl-amine (48 mg, 0.270 mmol) were dissolved in 0.8 mL of DMF. The reaction mixture was heated via Smith Synthesizer at 180°C for 50 minutes. The crude was purified by HPLC to give N²-(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N²-methylglycinamide bistrifluoroacetate as a white solid. (12.8 mg, 18%)
- <sup>50</sup> ESI MS m/z 465.3 (M + H<sup>+</sup>); <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.75 (d, J= 6.0 Hz, 1H), 6.80 (d, J= 2.8 Hz, 1 H), 6.67-6.65 (m, 1 H), 6.57 (dd, J = 9.0, 3.0 Hz, 1 H), 4.13 (s, 1 H), 3.98 (s, 1 H), 3.86 (s, 2H), 3.29 (s, 6H), 3.06 (s, 3H), 2.25 (s, 3H), 1.73-1.62 (m, 8H).

## Example 2636

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N-[((1R,3S)-3-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclopentyl)methyl]-2-(4-fluorophenoxy) nicotinamide trifluoroacetate

Step A: Synthesis of (3-{[(2-Chloro-pyridine-3-carbonyl)-amino]-methyl}-cyclopentyl)-carbamic acid tert-butyl ester.

[0475] (3-Aminomethyl-cyclopentyl)-carbamic acid tert-butyl ester (0.050 g, 0.23 mmol), 2-chloronicotinoyl chloride (0.041 g, 0.23 mmol), and diisopropylethylamine (0.061 mL, 0.34 mmol) were combined in dichloromethane (2.00 mL) at ambient temperature and stirred 18 hrs. The mixture was concentrated and purified by flash silica chromatography (5% methanol in ethyl acetate) to give (3-{[(2-chloro-pyridine-3-carbonyl)-amino}-methyl}-cyclopentyl)-carbamic acid tert-butyl ester (0.035 g, 43%) as a solid.

ESI MS m/e 354, M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.47 (dd, Jaa = 1.5 Hz, Jab = 4.7 Hz, 1 H), 8.11 (dd, Jaa = 1.5 Hz, Jab = 7.6 Hz, 1H), 7.35 (dq, Jaa = 1.2 Hz, Jab = 4.8 Hz, Jac = 7.6 Hz, 1H), 6.56 (bs, 1H), 4.59 (bs, 1 H), 3.97 (m, 1H), 3.48 (m, 2 H), 2.27 (m, 2 H), 1.94 (m, 2 H), 1.49 (m, 1 H), 1.44 (s, 9 H), 1.25 (m, 2 H).

## Step B: Synthesis of N-(3-Amino-cyclopentylmethyl)-2-(4-fluoro-phenoxy)-nicotinamide.

[0476] (3-{[(2-Chloro-pyridine-3-carbonyl)-amino]-methyl}-cyclopentyl)-carbamic acid tert-butyl ester (0.23 mmol), 4-fluorophenol (0.026 g, 0.23 mmol), cesium carbonate (0.152 g, 0.46 mmol), and dioxane (2.00 mL) were combined and heated to 180°C for 1 hr. utilizing a SmithSynthesizer microwave apparatus. Trifluoroacetic acid (3.00 mL) was added and the mixture stirred 18 hrs. Then it was concentrated, neutralized with saturated aqueous NaHCO<sub>3</sub>, extracted with dichloromethane, and concentrated to give N-(3-amino-cyclopentylmethyl)-2-(4-fluoro-phenoxy)-nicotinamide as the crude product.

ESI MS m/e 330, M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.52 (dd, Jaa = 1.0 Hz, Jab = 7.6 Hz, 1 H), 8.19 (dd, Jaa = 1.9 Hz, Jab = 3.9 Hz, 1 H), 8.06 (t, J = 5.8 Hz, 1 Hz), 6.91 (t, J = 8.2 Hz, 1 H), 6.77 (dd, Jaa = 3.6 Hz, Jab = 3.2 Hz, 1 H), 3.62 (m, 2 H), 2.26 (m, 2 H), 2.05 (m, 1 H), 1.81 (m, 2 H), 1.62 (m, 1 H), 1.48 (m, 2 H).

Step C: Synthesis of N-[((1R,3S)-3-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]-amino) cyclopentyl) methyl]-2-(4-fluorophenoxy)nicotinamide trifluoroacetate.

[0477] 5-Methyl-4-dimethylamino-2-chloropyrimidine (0.040 g, 0.23 mmol), *N*-(3-amino-cyclopentylmethyl)-2-(4-fluoro-phenoxy)-nicotinamide (0.23 mmol), diisopropyl-ethylamine (0.061 mL, 0.34 mmol), and isopropanol (2.00 mL) were combined and heated to 180°C for 2 hrs. utilizing a SmithSynthesizer microwave apparatus. The mixture was then purified by prep LCMS (gradient: 15-95% acetonitrile-water with 0.05% TFA) to give N-[((1*R*,3*S*)-3-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclopentyl) methyl]-2-(4-fluorophenoxy)nicotinamide trifluoroacetate as a white solid (0.018 g, 13.5% over two steps).

ESI MS m/e 465, M + H+; <sup>1</sup>H NMR (400 MHz, DMSO- $d_6$ )  $\delta$  11.63 (bs, 1 H), 8.44 (t, J = 5.7 Hz, I H), 8.16 (dd, Jaa = 1.9 Hz, Jab = 4.8 Hz, 1 H), 8.04 (dd, Jaa = 1.8 Hz, Jab = 7.4 Hz, 1 H), 7.98 (bs, 1 H), 7.53 (s, 1 H), 7.25-7.19 (m, 2 H), 4.08 (bs, 1 H), 3.22 (s, 6 H), 2.53 (s, 3 H), 2.19 (m, 2 H), 1.95 (m, 1 H), 1.71 (m, 1 H), 1.54 (m, 2 H), 1.22 (m, 2 H).

## Example 2637

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N-[((1R,3S)-3-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclopentyl)methyl]-6-(2-methoxyphenoxy) nicotinamide trifluoroacetate

Step A: Synthesis of (3-{[(6-Chloro-pyridine-3-carbonyl)-amino}-methyl}-cyclopentyl)-carbamic acid tert-butyl ester.

[0478] (3-Aminomethyl-cyclopentyl)-carbamic acid tert-butyl ester (0.050 g, 0.23 mmol), 6-chloronicotinoyl chloride (0.041 g, 0.23 mmol), and diisopropylethylamine (0.061 mL, 0.34 mmol) were combined in dichloromethane (2.00 mL) at ambient temperature and stirred 18 hrs. The mixture was concentrated and purified by flash silica chromatography (5% methanol in ethyl acetate) to give an orange gel.

ESI MS m/e 354, M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.75 (d, J = 2.1 Hz, 1 H), 8.09 (dd, Jaa = 1.8 Hz, Jab = 8.3 Hz, 1 H), 7.41 (d, J = 8.3 Hz, 1 H), 6.48 (bs, 1 H), 4.65 (d, J = 8 Hz, 1 H), 3.92 (m, 1 H), 3.46 (m, 2 H), 2.25 (m, 2 H), 1.98 (m, 2 H), 1.81 (m, 1 H), 1.47 (s, 9 H), 1.18 (m, 2 H).

Step B: Synthesis of N-[((1*R*,3S)-3-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclopentyl)methyl]-6-(2-methoxyphenoxy)nicotinamide trifluoroacetate.

[0479] (3-{[(6-Chloro-pyridine-3-carbonyl)-amino]-methyl}-cyclopentyl)-carbamic acid tert-butyl ester (0.23 mmol), 2-methoxyphenol (0.029 g, 0.23 mmol), cesium carbonate (0.152 g, 0.46 mmol), and dioxane (2.00 mL) were combined and heated to 180°C for 1 hr. utilizing a SmithSynthesizer microwave apparatus. Trifluoroacetic acid (3.00 mL) was added and the mixture stirred 18 hrs. Then it was concentrated, neutralized with saturated aqueous NaHCO<sub>3</sub>, extracted with dichloromethane, and concentrated to give a foam. 5-Methyl-4-dimethylamino-2-chloropyrimidine (0.040 g, 0.23 mmot), diisopropylethylamine (0.061 mL, 0.34 mmol), and isopropanol (2.00 mL) were added and the combined mixture was heated to 180 °C for 2 hrs utilizing a Smith synthesizer microwave apparatus. The mixture was then purified by prep-LCMS (gradient: 15-95% acetonitrile-water with 0.05% TFA) to give N-[((1R,3,S)-3-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclopentyl)methyl]-6-(2-methoxyphenoxy)nicotinamide trifluoroacetate as a white solid (0.011 g, 8.1% over four steps).

ESI MS m/e 477, M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  9.05 (bs, 1H), 8.63 (s, 1H), 8.16 (dd, Jaa = 2.2 Hz, Jab = 8.6 Hz, 1H), 7.58 (bs, 1H), 7.23 (s, 1H), 7.19 (d, J = 6.2 Hz, 1H), 7.16 (dd, Jaa = 1.5 Hz, Jab = 7.7 Hz, 1H), 7.00 (t, J = 8.8 Hz, 1H), 6.91 (d, J = 12 Hz, 1H), 4.25 (bs, 1H), 3.75 (s, 3 H), 3.66 (m, 1 H), 3.29 (s, 6 H), 3.11 (m, 2 H), 2.52 (m, 2 H), 2.23 (s, 3 H), 2.10 (m, 2 H), 1.78 (m, 1H), 1.62 (m, 2H).

#### Example 2638

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N-(cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-2-(3-fluorophenoxy)acetamide

Step A: Synthesis of N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-bromoacetamide.

[0480] To a solution of *cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-1-aminocyclohexane (150 mg, 0.6 mmol) in DCM (10 mL) was added dropwise bromacetylbromide (120 mg, I eq.) at 0 °C under an inert atmosphere. After 5 min stirring, DIEA (0.1 mL, 1 eq.) was added into the reaction. The reaction was stirred for an additional 3 h at below 15 °C, quenched, and purified by column chromatography.

0.12 g (55 %) of the product was isolated.

Step B: Synthesis of *N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-2-(3-fluorophenoxy)acetamide.

[0481] A sealed tube containing a heterogenous solution of N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-bromoacetamide (30 mg, 0.08 mmol), 3-fluorophenol (27 mg, 3 eq.), and Cs<sub>2</sub>CO<sub>3</sub> (30 mg, 1.1 eq.) in dioxane (~0.7 mL) was reacted in a Smith microwave synthesizer for 3000 sec at 180 °C. The reaction was diluted with DCM, washed with sat.-NaHCO<sub>3</sub> (2 x) and water (1 x), concentrated, and purified by column chromatography to give 11 mg (34 %) of the product.

ESI MS m/e 402 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.58 (s, 1 H), 7.26 (m, 1 H), 6.74~6.63 (m, 3 H), 6.51 (d, 1 H, J = 8.0 Hz), 5.15 (bs, 1 H), 4.45 (s, 2 H), 4.01 (m, 1 H), 3.97 (bs, 1 H), 3.05 (s, 6 H), 2.15 (s, 3 H), 1.82~1.61 (m, 8 H).

## Example 2639

2-[(5-Chloropyridin-3-yl)oxy]-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) acetamide

Step A: Synthesis of 2-[(5-chloropyridin-3-yl)oxy]-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)acetamide.

50 [0482] Using the procedure of Example 2638, the title compound was obtained. ESI MS m/e 419 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.25 (m, 2 H), 7.53 (s, 1 H), 7.27 (t, 1 H, J = 2.4 Hz), 6.56 (d, 1 H, J = 7.6 Hz), 5.57 (bs, 1 H), 4.50 (s, 2 H), 4.01 (bs, 2 H), 3.08 (s, 6 H), 2.16 (s, 3 H), 1.83~1.64 (m, 8 H).

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### Example 2640

N-(cis-4-{[4-(Dimethylamino)-5-ethylpyrimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide

5 Step A: Synthesis of 2,4-dichloro-5-ethylpyrimidine.

[0483] To a suspension of 5-ethyluracil (1 g, 7.1 mmol) in  $POCl_3$  (4.5 mL) was slowly added *N,N*-dimethylaniline (1 mL). The reaction was heated at reflux (~120 °C) for 5 h until the starting material was completely dissolved and the entire solution turned a purple color. The mixture was allowed to cool and poured very slowly into ice (~40 g). The resulting ppt was filtered and washed with ice water. The ppt was dissolved with a minimal amount of DCM and poured onto a short column of silica gel, and the product (1.2 g, ~ 100 %) was obtained by column chromatography with DCM. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.42 (s, 1 H), 2.75 (q, 2 H, J = 7.6 Hz), 1.29 (t, 3 H, J = 7.6 Hz).

Step B: Synthesis of *N*-(cis-4-1{[4-(dimethylamino)-5-ethylpyrimidin-2-yl]amino)cyclohexyl)-3,4-difluorobenzamide.

[0484] A solution of 2,4-dichloro-5-ethylpyrimidine (1.2 g, 6.8 mmol), in THF (15 mL) was cooled to 5 °C in an ice bath, and 2M-dimethylamine (7 mL, 2 eq.) was slowly added. The reaction was stirred for 2 h at around 10 °C, and the volatile solvent was removed. The residue was purified by column chromatography (hexane:DCM = 50:50 to 10: 90) to give 0.89 g (70 %) of 2-chloro-4-dimethylamino-5-ethylpyrimidine: ESI MS m/e = 186 M + H $^+$ .

[0485] A sealed tube containing 2-chloro-4-dimethylamino-5-ethylpyrimidine (35 mg, 0.019 mmol), *cis*-(4-amino-cyclohexyl)-3,4-difluoro-benzamide (48 mg, 1 eq.), DIEA (50 mg, 2 eq.), and IPA (1 mL) was reacted in a Smith microwave synthesizer for 2 h at 180 °C. The reaction was diluted with DCM, washed with 1-N HCl and water, concentrated, and purified from column chromatography (DCM:MeOH = 100:0 to 95:5) to give 11 mg (14 %) of the product.

ESI MS m/e 404 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.68 (s, 1 H), 7.61 (m, 1 H), 7.48 (m, 1 H), 7.19 (m, 1 H), 5.99 (d, 1 H, J = 7.2 Hz), 4.38 (d, 1 H, J = 6.0 Hz), 4.20 (m, I H), 4.12 (m, 1 H), 3.10 (s, 6 H), 2.29 (q, 2 H, J = 7.2 Hz), 1.96~1.64 (m, 8 H), 1.18 (t, 3 H, J = 7.6 Hz).

### Example 2641

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 $N=cis-4-(4-[Ethyl(methyl)amino]-5-methylpyrimidin-2-yl\}amino)cyclohexyl]-3,4-difluorobenzamide hydrochloride$ 

Step A: Synthesis of N [cis-4-({4-[ethyl(methyl)amino]-5-methylpyrimidin-2-yl}amino)cyclohexyl]-3,4-difluorobenzamide hydrochloride.

[0486] A solution of 2,4-dichloro-5-methylpyrimidine (2.6 g, 16 mmol) and ethyl methylamine (2.7 mL, 2 eq.) in THF (20 mL) was stirred at < 10 °C for 4 h. After removal of the volatile solvent, the residue was purified by column chromatography. 1.3 g (45 %) of 2-chloro-4-(ethyl-methyl-amino)-5-methylpyrimidine was isolated. ESI MS m/e 186 M + H+.

[0487] A sealed tube containing 2-chloro-4-(ethyl-methyl-amino)-5-methylpyrimidine (80 mg, 0.019 mmol), *cis*-(4-amino-cyclohexyl)-3,4-difluoro-benzamide (100 mg, 1 eg.), DIEA (0.14 mL, 2 eq.), and IPA (1 mL) was reacted in a Smith microwave synthesizer for 2 h at 180 °C. The reaction was diluted with DCM, washed with 1-N HCl and water, concentrated, and purified by-column chromatography (DCM:MeOH = 100:0 to 95:5) to give 35 mg (20 %) of the product, which was converted to HCl salt.

ESI MS m/e 404 M + H<sup>+</sup>;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $^{6}$   $^{1}$   $^$ 

50 Example 2642

N-(cis-4-{[4-(Dimethylamino)-5-(trifluoromethyl)pyrimidin-2-yl]amino}cyclohexy 1)-3,5-bis(trifluoromethyl) benzamide trifluoroacetate

55 Step A: Synthesis of 2-chloro-4-dimethylamino-S-trifluoromethylpyrimidine.

[0488] To a solution of 2,4-dichloro-5-trifluoromethylpyrimidine (1 g, 4.6 mmol) in THF (15 mL) was added 2M-dimethylamine (4.6 mL, 2 eq.) at 0 °C. The reaction was stirred for an additional 1.5 h at < 5 °C, concentrated, and purified

by column chromatography (DCM:hexane:MeOH = 90:10:0 to 95:0:5). 0.49 g (47 %) of 2-chloro-4-dimethylamino-5-trifluoromethylpyrimidine was isolated.

ESI MS m/e 226 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.36 (s, 1 H), 3.21 (s, 6 H).

5 Step B: Synthesis of cis-[4-(4-Dimethylamino-5-trifluoromethyl-pyrimidin-2-ylamino)-cyclohexyl]-carbamic acid tert-butyl ester.

[0489] A sealed tube containing 2-chloro-4-dimethylamino-5-trifluoromethylpyrimidine (0.49 g, 2.0 mmol), *cis*-(4-amino-cyclohexyl)-carbamic acid tert-butyl ester (0.47 g, 1 eq.), DIEA (0.7 mL, 2 eq.) in IPA (2.5 mL) was reacted in a Smith microwave synthesizer for 2 h at 175 °C. The solution was concentrated and purified by column chromatography (DCM:MeOH = 100:0 to 96:4). 0.57 g (65 %) of cis-[4-(4-dimethylamino-5-trifluoromethyl-pyrimidin-2-ylamino)-cyclohexyl]-carbamic acid tert-butyl ester was isolated.

ESI MS m/e 404 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.15 (s, 1 H), 5.10 (bs, 1 H), 4.53 (bs, 1 H), 3.94 (bs, 1 H), 3.61 (bs, 1 H), 3.09 (s, 6 H), 1.78~1.49 (m, 8 H), 1.44 (s, 9 H).

Step C: Synthesis of cis-N-(4-dimethylamino-5-trifluoromethyl-pyrimidin-2-yl)-cyclohexane-1,4-diamine.

[0490] To a solution of cis-[4-(4-dimethylamino-5-trifluoromethyl-pyrimidin-2-ylamino)-cyclohexyl]-carbamic acid tert-butyl ester (0.55g, 1.3 mmol) in DCM (10 mL) was added TFA (7 mL). The reaction was stirred at room temperature for 2 h and concentrated. The residue was neutralized with sat-NaOH, and the aqueous layer was extracted with DCM (3 x). The combined organic layers were washed with water, dried, and concentrated to give 0.25 g (65 %) of cis-N-(4-dimethylamino-5-trifluoromethyl-pyrimidin-2-yl)-cyclohexane-1,4-diamine.

ESI MS m/e 304 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.16 (s, 1 H), 5.42 (bs, 1 H), 3.98 (bs, 1 H), 3.09 (s, 6 H), 2.87 (bs, 1 H), 1.81 (m, 2 H), 1.73 $\sim$ 1.65 (m, 4 H), 1.43 (m, 4 H).

Step D: Synthesis of N-(cis-4-{[4-(dimethylamino)-5-(trifluoromethyl)pyrimidin-2-yl]amino}cyclohexyl)-3,5-bis (trifluoromethyl)benzamide trifluoroacetate.

[0491] To a solution of cis-N-(4-dimethylamino-5-trifluoromethyl-pyrimidin-2-yl)-cyclohexane-1,4-diamine (30 mg, 0.01 mmol) in dry benzene (2 mL) was added 3,5-bistrifluoromethyl benzoyl chloride (27 mg, 1 eq.) and followed by Et<sub>3</sub>N (20 μL, 2.5 eq). The reaction was stirred overnight, concentrated, and purified by prep-HPLC. 32 mg (49 %) of N-(cis-4-{[4-(dimethylamino)-5-(trifluoromethyl)pyrimidin-2-yl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide trifluoroacetate was isolated as a white powder.

ESI MS m/e 544 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  9.35 (d, 1 H, J = 8.0 Hz), 8.47 (s, 1 H), 8.32 (s, 2 H), 8.07 (s, 1 H), 7.61 (d, 1 H, J = 8.4 Hz), 4.31 (bs, 1 H), 4.20 (bs, 1 H), 3.33 (s, 6 H), 1.93~1.79 (m, 8 H.

# Example 2643

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N-(cis-4-{[4-(Dimethylamino)-5-(trifluoromethyl)pyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy) benzamide trifluoroacetate

Step A: Synthesis of N-(cis-4-{[4-(dimethylamino)-5-(trifluoromethyl)pyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy)benzamide trifluoroacetate.

45 [0492] Using the procedure of Example 2642, the title compound was obtained.
ESI MS m/e 492 M + H+; ¹H NMR (400 MHz, CDCl<sub>3</sub>) δ 9.45 (d, 1 H, J = 8.0 Hz), 8.05 (s, 1 H), 7.88 (d, 2 H, J= 8.8 Hz), 7.24 (m, 2 H, overlapped with solvent), 7.04 (d, 1 H, J = 8.4 Hz), 4.27 (bs, 1 H), 4.18 (bs, 1 H), 3.31 (s, 6 H), 1.89~1.77 (m, 8 H).

50 Example 2644

N-(cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{[3-(trifluoromethyl)phenyl] sulfinyl}acetamide hydrochloride

Step A: Synthesis of (3-trifluoromethyl-phenylsulfanyl)-acetic acid ethyl ester.

[0493] A solution of ethyl bromoacetate (0.65g, 3.2 mmol), 3-trifluoromethyl thiophenol (0.88 g, 1.5 eq.), and Et<sub>3</sub>N (1.5 mL) in THF (15 mL) was stirred for 2 h at 62 °C. The mixture was diluted with DCM, washed with sat.-NaHCO<sub>3</sub>

(3x) and water, dried with MgSO<sub>4</sub>, and concentrated. The crude product (0.73 q, 85 %) was used to next reaction without a further purification.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.62 (s, 1 H), 7.55 (d, 1 H, J = 8.0 Hz), 7.46~7.37 (m, 2 H), 4.16 (q, 2 H, J = 7.2 Hz), 3.66 (s, 2 H), 1.22 (t, 3 H, J = 7.2 Hz).

Step B: Synthesis of (3-trifluoromethyl-phenylsulfinyl)-acetic acid ethyl ester.

[0494] To a solution of (3-trifluoromethyl-phenylsulfanyl)-acetic acid ethyl ester (0.5 g, 1.9 mmol) in DCM (10 mL) was added 77 %-MCPBA (0.42 g, I eq.) under Ar atmosphere at 0 °C. The reaction was stirred for an additional 3 h, diluted with DCM, washed with sat-NaHCO3 and water, and concentrated. (3-trifluoromethyl-phenylsulfinyl)-acetic acid ethyl ester (0.34 g, 64 %) and (3-trifluoromethyl-phenylsulfonyl)-acetic acid ethyl ester (0.15 g, 27 %) were isolated by column chromatography (hexane:EtOAc = 95:5 to 80:20).

(3-Trifluoromethyl-phenylsulfinyl)-acetic acid ethyl ester:

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.95 (s, 1H), 7.87 (d, 1H, J = 8.0 Hz), 7.78 (d, 1 H, J = 8.0 Hz), 7.67 (t, 1 H, J = 8.0 Hz), 4.15 (q, 2 H, J = 7.2 Hz), 3.86 (d, 1 H, J = 14.0 Hz), 3.70 (d, 1 H, J = 14.0 Hz), 1.22 (t, 3 H, J = 7.2 Hz).

(3-Trifluoromethyl-phenylsulfonyl)-acetic acid ethyl ester:

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.20 (s, 1 H), 8.14 (d, 1 H, J = 7.6 Hz), 7.94 (d, 1 H, J = 7.6 Hz), 7.74 (t, 1 H, J = 7.6 Hz), 4.15 (s, 2 H), 4.14 (q, 2 H, J = 7.6 Hz), 1.20 (t, 3 H, J = 7.2 Hz).

Step C: Synthesis of (3-trifluoromethyl-phenylsulfinyl)-acetic acid.

[0495] To a heterogenous solution of (3-trifluoromethyl-phenylsulfinyl)-acetic acid ethyl ester (0.2 g, 0.7 mmol) in H<sub>2</sub>O (5 mL)/EtOH (0.5 mL) was added KOH (120 mg, 3 eq.). The reaction was stirred for 2 h at 85 °C, concentrated to about half of the reaction volume, and acidified with conc-HCl at an ice bath. (3-Trifluoromethyl-phenylsulfinyl)-acetic acid (100 mg, 56 %) was filtered and dried.

<sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.05 (s, 1 H), 8.01 (d, 1 H, J = 8.0 Hz), 7.92 (d, 1 H, J = 8.0 Hz), 7.81 (t, 1 H, J = 8.0 Hz), 4.16 (d, 1 H, J = 14.4 Hz), 3.87 (d, 1 H, J = 14.4 Hz).

Step D: Synthesis of N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-2-{ [3-(trifluoromethyl)phenyl]sulfinyl}acetamide hydrochloride.

[0496] To a solution of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-1-aminocyclohexane (60 mg, 0.024 mmol) in DCM (5 mL) was added (3-trifluoromethyl-phenylsulfinyl)-acetic acid (60 mg, 1 eg.), followed by HATU (85 mg, 1.1 eg.), and Et<sub>3</sub>N (30 μL). The reaction was stirred for 16 h at room temperature and concentrated. The residue was purified by column chromatography to give N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-y)]amino}cyctohexyl)-2-{[3-(trif!uoromethy))pheny)]su)finy)} acetamide (52 mg, 45%), which was converted to HCl salt with 4M-HCl in dioxane

ESI MS m/e 484 M + H+; 1H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  11.7 (bs, 1 H), 8.08 (d, 1 H, J = 6.4 Hz), 7.99 (m, 2 H), 7.92 (d, 1 H, J= 8.0 Hz), 7.90 (bs, 1 H), 7.82 (t, 1 H, J= 8.0 Hz), 7.59 (s, 1 H), 3.94 (d, 1 H, J= 12.8 Hz), 3.86 (d, 1 H, J= 12.8 Hz)12.8 Hz), 3.80 (bs, 1 H), 3.68 (bs, 1 H), 3.25 (s, 6 H), 2.23 (s, 3 H), 1.70~1.50 (m, 8 H).

# Example 2645

2-[(3,4-Dichlorophenyl)sulfinyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) acetamide hydrochloride

Step A: Synthesis of 2-[(3,4-dichlorophenyl)sulfinyl]-N-(cis-4-{[4-(dimethyl amino)-5-methylpyrimidin-2-yl] amino)cyclohexyl)acetamide hydrochloride.

[0497] Using the procedure of Example 2644, the title compound was obtained. ESI MS m/e 484 M + H+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) δ 11.9 (bs, 1H), 8.13 (d, 1H, J= 6.8 Hz), 7.98 (bs, 1H), 7.87 (s, 1H), 7.86 (d, 1H, J = 8.8 Hz), 7.65 (d, 1H, J = 8.8 Hz), 7.61 (bs, 1H), 3.93 (d, 1H, J = 12.8 Hz), 3.87 (d, 1H, J = 12.8 Hz)Hz), 3.81 (bs, 1H), 3.64 (bs, 1H), 3.25 (s, 6 H), 2.23 (s, 3 H), 1.70~1.50 (m, 8 H).

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### Example 2646

N-(cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{[3-(trifluoromethyl)phenyl] sulfonyl}acetamide hydrochloride

Step A: Synthesis of N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}- cyclohexyl)-2-{ [3-(trifluoromethyl]phenyl]sulfonyl]acetamide hydrochloride.

[0498] (3-trifluoromethyl-phenylsulfonyl)-acetic acid ethyl ester was obtained from step B in Example 2644. The ester was hydrolyzed to (3-trifluoromethyl-phenylsulfonyl)-acetic acid using the procedure of step C in Example 2644.

1H NMR (400 MHz, *DMSO-d<sub>6</sub>*)  $\delta$  8.22 (d, 1 H, J = 8.0 Hz), 8.21 (s, 1 H), 8.14 (d, 1 H, J = 8.0 Hz), 7.90 (t, 1 H, J = 8.0 Hz), 4.69 (s, 2 H).

[0499] To a solution of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-1-aminocyclohexane (56 mg, 0.023 mmol) in DCM (5 mL) was added (3-trifluoromethyl-phenylsulfonyl)-acetic acid (60 mg, 1 eq.), followed by HATU (85 mg, 1.1 eq.), and  $Et_3N$  (30  $\mu$ L). The reaction was stirred for 16 h at room temperature and concentrated. The residue was purified by column chromatography to give N-(cis-4-[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{[3-(trifluoromethyl)phenyl] sulfonyl}acetamide (50 mg, 45%), which was converted to HCl salt with 4M HCl in dioxane.

ESI MS m/e 500 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  11.6 (bs, 1 H), 8.22 (d, 1 H, J = 6.4 Hz), 8.17~8.12 (m, 3 H), 7.90 (t, 1 H, J = 7.6 Hz), 7.87 (bs, 1 H), 7.57 (s, 1 H), 4.45 (s, 2 H), 3.79 (bs, 1 H), 3.61 (bs, 1 H), 3.25 (s, 6 H), 2.23 (s, 3 H), 1.70~1.47 (m, 8 H).

### Example 2647

5 N-(cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-2-(4-fluorophenoxy)nicotinamide hydrochloride

Step A: Synthesis of 2-chloro-*N*-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-nicotinamide.

[0500] To a solution of *cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-1-aminocyclohexane (0.6 g, 2.4 mmol) in DCM (20 mL) was added 2-chloronicotinoyl chloride (0.44 g, 1.01 eq.) and followed by DIEA (0.4 mL,  $\sim$  1.1 eq.). The reaction was stirred overnight at room temperature, washed with sat-NaHCO<sub>3</sub> (2x) and water (1x), dried with MgSO<sub>4</sub>, and concentrated. The crude residue was purified by column chromatography to give 2-chloro-N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-nicotinamide (0.57 g, 65 %). ESI MS m/e 389 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.72 (bs, 1 H), 8.47 (d, 1 H, J = 5.0 Hz), 7.98 (d, 1 H, J = 7.0

ESI MS m/e 389 M + H+; 'H NMH (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.72 (bs, 1 H), 8.47 (d, 1 H, J = 5.0 Hz), 7.98 (d, 1 H, J = 7.0 Hz), 7.32 (dd, 1 H, J = 8.0 and 5.0 Hz), 7.28 (s, 1 H), 6.88 (d, 1 H, J = 8.0 Hz), 4.18 (m, 2 H), 3.27 (s, 6 H), 2.23 (s, 3 H), 1.90~1.80 (m, 8 H).

Step B: Synthesis of N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]aminol-cyclohexyl)-2-(4-fluorophenoxy)nicotinamide hydrochloride.

[0501] A sealed tube containing 2-chloro-N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-nicotinamide (0.35 g, 0.9 mmol), 4-fluorophenol (0.25 g, 2.5 eq.), Cs<sub>2</sub>CO<sub>3</sub> (0.33 g, 1.1 eq.), and dioxane (3 mL) was reacted in a Smith microwave synthesizer for 1 h at 180 °C. The reaction was diluted with DCM, washed with sat-NaHCO<sub>3</sub> (3x) and water (1x), dried, and concentrated. The residue was purified by column chromatography (DCM: MeOH = 100:0 to 95:5) to give *N*-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-2-(4-fluoro-phenoxy)-nicotinamide (0.33 g, 80 %). The neutral compound was dissolved in DCM (5 mL), and 4M-HCl (0.45 mL, 2.5 eq.) in dioxane was added. After 20 min stirring, removal of the volatile solvent gave *N*- (cis-4-[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-2-(4-fluorophenoxy)nicotinamide hydrochloride.

ESI MS m/e 465 M + H+;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.1 (bs, 1 H), 8.34 (d, 1H, J = 7.2 Hz), 8.15 (dd, 1 H, J = 5.2 and 2.0 Hz), 8.06 (d, 1 H, J = 6.8 Hz), 8.01 (d, 1 H, J = 7.6 Hz), 7.63 (s, 1 H), 7.26 $\sim$ 7.18 (m, 5 H), 3.94 (bs, 1 H), 3.88 (bs, 1 H), 3.25 (s, 6 H), 2.21 (s, 3 H), 1.72 (bs, 8 H).

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### Example 2648

2-(2-Bromophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2 yl]amino}cyclohexyl)nicotinamide hydrochloride

Step A: Synthesis of 2-(2-bromophenoxy)-*N*-(*cis*-4-([4-(dimethylamino)-5-methylpyrimidin-2-yl]amino) cyclohexyl)nicotinamide hydrochloride.

[0502] Using the procedure of Example 2647, the title compound was obtained.

6 ESI MS m/e 525 M + H+; <sup>1</sup>H NMR (400 MHz, DMSO- $d_6$ )  $\delta$  11.8 (bs, 1 H), 8.20 (d, 1 H, J = 7.6 Hz), 8.16~8.11 (m, 2 H), 7.96 (bs, 1 H), 7.70 (dd, 1 H, J = 8.0 and 1.6 Hz), 7.60 (s, 1 H), 7.47~7.38 (m, 2 H), 7.25~7.19 (m, 2 H), 3.97 (bs, 1 H), 3.89 (bs, 1 H), 3.24 (s, 6 H), 2.22 (s, 3 H), 1.74 (bs, 8 H).

## Example 2649

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2-(4-Bromophenoxy)-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide hydrochloride

Step A: Synthesis of 2-(4-bromophenoxy)-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)nicotinamide hydrochloride.

[0503] Using the procedure of Example 2647, the title compound was obtained. ESI MS m/e 525 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO- $d_6$ )  $\delta$  11.9 (bs, 1 H), 8.28 (d, 1 H, J = 7.0 Hz), 8.12 (dd, 1 H, J = 4.4 and 1.6 Hz), 7.97 (d, 1 H, J = 7.6 Hz), 7.91 (bs, 1 H), 7.56 (bs, 1 H), 7.54 (d, 2 H, J = 8.8 Hz), 7.17 (m, 1 H), 7.14 (d, 2 H, J = 8.8 Hz), 3.87 (bs, 1 H), 3.81 (bs, 1 H), 3.19 (s, 6 H), 2.16 (s, 3 H), 1.65 (bs, 8 H).

### Example 2650

2-(4-Chlorophenoxy)-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide hydrochloride

Step A: Synthesis of 2-(4-chlorophenoxy)-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)nicotinamide hydrochloride.

[0504] Using the procedure of Example 2647, the title compound was obtained. ESI MS m/e 481 M + H+; 1H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  11.8 (bs, 1 H), 8.27 (d, I H, J = 6.6 Hz), 8.12 (dd, 1 H, J = 4.8 and 1.6 Hz), 7.97 (dd, 1 H, J = 7.0 and 1.6 Hz), 7.86 (bs, 1 H), 7.55 (s, 1 H), 7.41 (d, 2 H, J = 8.8 Hz), 7.20 (d, 2 H, J = 8.8 Hz), 7.17 (m, 1 H), 3.88 (bs, 1 H), 3.81 (bs, 1 H), 3.19 (s, 6 H), 2.16 (s, 3 H), 1.65 (bs, 8 H).

# 40 Example 2651

 $2-[(5-chloropyridin-3-yl)oxy]- \textit{N-} (cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl) nicotinamide hydrochloride \\$ 

45 Step A: Synthesis of 2-[(5-chloropyridin-3-yl)oxy]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)nicotinamide hydrochloride.

[0505] Using the procedure of Example 2647, the title compound was obtained. ESI MS m/e 482 M + H+; <sup>1</sup>H NMR (400 MHz, DMSO- $d_6$ )  $\delta$  11.6 (bs, 1 H), 8.46 (s, 1 H), 8.31 (d, 1 H, J = 1.6 Hz), 8.01 (bm, 1 H), 7.83 (t, 1 H, J = 2.0 Hz), 7.56 (d, 1 H, J = 5.2 Hz), 7.49 (bm, 1 H), 7.25 (bs, 1 H), 6.07 (bs, 1 H), 5.74 (s, 1 H), 4.51 (bs, 1 H), 4.00 (bs, 1 H), 3.23 (s, 6 H), 2.19 (s, 3 H), 1.90 (m, 2 H), 1.75 (m, 4 H), 1.39 (m, 2 H).

## Example 2652

2-(tert-butylthio)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]-cyclohexyl)nicotinamide hydrochloride

Step A: Synthesis of 2-(*tert*-butylthio)-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl) nicotinamide hydrochloride.

[0506] A sealed tube containing 2-chloro-*N*-[*cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-nicotinamide (70 mg, 0.018 mmol), 2-methyl-2-propanethiol (80 mg, 5 eq.), Cs<sub>2</sub>CO<sub>3</sub> (60 mg, 1.1 eq) in dioxane (0.8 mL) was reacted in a Smith microwave synthesizer for 1.5 h at 180 °C. The reaction was diluted with DCM, washed with sat-NaHCO<sub>3</sub> (3x) and water (1x), dried, and concentrated. The residue was purified by column chromatography (DCM:MeOH = 100:0 to 95:5) to give 2-(*tert*-butylthio)-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide (50 mg, 62 %), which was converted to HCl salt.

ESI MS m/e 443 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO- $d_6$ )  $\delta$  12.2 (bs, 1 H), 8.47 (dd, 1 H, J = 4.8 and 1.6 Hz), 8.40 (d, 1 H, J = 6.0 Hz), 8.00 (bm, 1 H), 7.62 (s, 1 H), 7.56 (dd, 1 H, J = 7.6 and 1.6 Hz), 7.15 (m, 1 H), 3.90 (bs, 2 H), 3.25 (s, 6 H), 2.21 (s, 3 H), 1.80~1.65 (m, 8 H), 1.49 (s, 9 H).

## Example 2653

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N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(propylthio)nicotinamide hydrochloride

Step A: Synthesis of *N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(propylthio) nicotinamide hydrochloride.

[0507] Using the procedure of Example 2652, the title compound was obtained. ESI MS m/e 429 M + H+, <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.4 (bs, 1 H), 8.44 (m, 2 H), 8.04 (d, 1 H, J = 6.8 Hz), 7.63 (d, 2 H, J = 6.4 Hz), 7.12 (m, 1 H), 3.85 (bs, 2 H), 3.24 (s, 6 H), 3.06 (t, 2 H, J = 6.8 Hz), 2.21 (s, 3 H), 1.83 $\sim$ 1.65 (m, 8 H), 1.62 (m, 2 H), 0.95 (t, 3 H, J = 7.2 Hz).

# Example 2654

N-(cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)-2-(isopropylthio)nicotinamide hydrochloride

Step A: Synthesis of *N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-2-(isopropylthio)nicotinamide hydrochloride.

40 **[0508]** Using the procedure of Example 2652, the title compound was obtained. ESI MS m/e 429 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) δ 12.2 (bs, 1 H), 8.46 (dd, 1 H, J = 4.8 and 1.6 Hz), 8.42 (bs, 1 H), 8.02 (d, 1 H, J= 6.4 Hz), 7.62 (m, 2 H), 7.12 (m, 1 H), 3.95 (sept, 1 H, J = 6.4 Hz), 3.83 (bs, 2 H), 3.25 (s, 6 H), 2.21 (s, 3H), 1.82~1.65 (m, 8 H), 1.30 (d, 6 H, J = 6.8 Hz).

45 Example 2655

2-(tert-Butylsulfinyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino) cyclohexyl)nicotinamide

Step A: Synthesis of 2-(tert-butylsulfinyl)-N-(cis-4-{[4-(dimethylamino)-S-methylpyrimidin-2-yl)amino) cyclohexyl)nicotinamide.

[0509] To a solution of N-{cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl}-2-tert-butyl sulfanyl-nicotinamide (30 mg, 0.07 mmol) in DCM (5 mL) was added MCPBA (16 mg, 1.1 eq) at 0 °C. The reaction was stirred for an additional 2 h at < 10 °C with monitoring the progress by ESI MS. The reaction was diluted with DCM, washed with sat.-NaHCO<sub>3</sub> (2x) and water (1x), dried, concentrated, and purified by column chromatography (DCM:MeOH = 100:0 to 94:6). 26 mg (85 %) of 2-(tert-butylsulfinyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide was isolated.

ESI MS m/e 459 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.71 (dd, 1 H, J= 4.8 and 1.6 Hz), 8.54 (d, 1 H, J = 6.8 Hz),

8.20 (d, 1 H, J = 8.0 Hz), 7.61 (s, 1 H), 7.43 (dd, 1 H, J = 8.0 and 4.0 Hz), 5.03 (d, 1 H, J = 6.0 Hz), 4.12 (bs, 1 H), 3.98 (bs, 1 H), 2.99 (s, 6 H), 2.12 (s, 3 H), 1.87 $\sim$ 1.75 (m, 8 H), 1.23 (s, 9 H).

## Example 2656

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2-[(3,4-Difluorophenyl)sulfonyl]-N-(cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl) nicotinamide hydrochloride

Step A: Synthesis of N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]10 2-(3,4-difluorophenyl)-sulfanyl-nicotinamide.

[0510] A sealed tube containing 2-chloro-*N*-[*cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-nicotinamide (100 mg, 0.025 mmol), 3,4-difluorothiophenol (90 mg, 2.5 eq.), Cs<sub>2</sub>CO<sub>3</sub> (150 mg, 2 eq), and dioxane (2 mL) was reacted in a Smith microwave synthesizer for 1.0 h at 180 °C. The reaction was diluted with DCM, washed with sat-NaHCO<sub>3</sub> (3x) and water (1x), dried, and concentrated. The residue was purified by column chromatography (DCM:MeOH = 100:0 to 95:5) to give N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-2-(3,4-dif-luorophenyl)-sulfanyl-nicotinamide (70 mg, 55 %).

ESI MS m/e 499 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.34 (dd, 1H, J = 4.8 and 1.6 Hz), 7.79 (dd, 1H, J = 7.2 and 2.0 Hz), 7.62 (s, 1H), 7.35 (m, 1H), 7.25 (m, 1H), 7.16

(m, 1H), 7.08 (dd, 1 H, J = 7.6 and 4.8 Hz), 6.28 (d, 1H, J = 7.2 Hz), 4.71 (d, 1H, J = 7.2 Hz), 4.18 (m, 1H), 3.97 (m, 1H), 3.02 (s, 6 H), 2.13 (s, 3 H), 1.92~1.85 (m, 4 H), 1.80~1.74 (m, 4 H).

Step B: Synthesis of 2-[(3,4-difluorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)nicotinamide hydrochloride.

[0511] To a solution of N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-2-(3,4-difluorophenyl)-sulfanyl-nicotinamide (45 mg, 0.09 mmol) in DCM (6 mL) was added MCPBA (77 %, 31 mg, 2 eq.) at 0 °C under Ar atmosphere. The reaction was stirred overnight, washed with sat.-NaHCO<sub>3</sub> (2 x) and water, concentrated, and purified by column chromatography (DCM:MeOH = 100:0 to 94:6). 25 mg (53 %) of 2-[(3,4-difluorophenyl)sulfonyl]-N-(cis-4-{ [4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide was isolated and converted to its HCl salt. ESI MS m/e 531 M + H+;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  11.8 (bs, 1 H), 8.70 (m, 2 H), 8.04 (m, 1 H), 7.95 (dd, 1 H, J = 7.6 and 1.6 Hz), 7.89 (m, 1 H), 7.78~7.70 (m, 2 H), 7.60 (s, 1 H), 3.95 (bs, 1 H), 3.87 (bs, 1 H), 3.25 (s, 6 H), 2.22 (s, 3 H), 1.76 (bs, 8 H).

### 35 Example 2657

 $N-(3,4-Difluorophenyl)-N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-N-methylurea trifluoroacetate$ 

Step A: Synthesis of ethyl 3,4-difluorophenylcarbamate.

[0512] 3,4-Difluoroaniline (2.8 mL, 28 mmol) and N,N-diisopropylethylamine (5.4 mL, 31 mmol) were dissolved in 10 mL of anhydrous THF, and cooled to 0°C in an ice bath. Ethyl chloroformate (5.4 mL, 31 mmol) was added slowly into the stirring solution over the ice bath. The solution was allowed to warm up to room temperature and stir for 30 minutes. The solvent was removed via vacuo and the crude solid was purified by column chromatography using ethyl acetate and hexane mixture (3:97) to yield ethyl 3,4-difluorophenylcarbamate as an off-white solid. (5.59 g, 99%) ESI MS m/z 202.1 (M + H+); <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  9.79 (s, 1H), 7.55-7.50 (m, 1H), 7.29-7.22 (m, 1H), 7.16-7.15 (m, 1 H), 4.10 (q, J = 7.2 Hz, 2H), 1.22 (t, J = 7.2 Hz, 3H).

Step B: Synthesis of (3,4-difluoro-phenyl)-methyl-amine.

[0513] Lithium aluminum hydride (22 g, 56 mmol) was placed in a 500 mL round bottom flask. THF (100 mL) was syringed into the flask under argon. The solution was cooled to 0°C in an ice bath. To the ice-cold solution, 3,4-difluor-ophenylcarbamate (5.59 g, 28 mmol) was added slowly into the flask. The solution was refluxed for 3 hours. After cooling the reaction mixture to 0°C, H<sub>2</sub>O (3 mL), 1 N NaOH (3 mL), and then more H<sub>2</sub>O (15 mL) were added for quenching. The precipitate was filtered off and THF was evaporated from the filtrate. The crude was dissolved in 150 mL of ethyl acetate, washed with water, and dried over Na<sub>2</sub>SO<sub>4</sub>. The organic solvent was removed via vacuo to yield (3,4-difluoro-phenyl)-methyl-amine as a light brown oil. (2.86 g, 71 %) ESI MS m/z 144.2 (M + H+); <sup>1</sup>H NMR (400 MHz,

CDCl<sub>3</sub>)  $\delta$  7.04-6.97 (m, 1H), 6.45-6.39 (m, 1H), 6.32-6.28 (m, 1H), 3.69 (b, 1H), 2.86 (s, 3H).

Step C: Synthesis of N-(3,4-difluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methyl-pyrimidin-2-yl]amino} cyclohexyl)-N-methylurea trifluoroacetate.

[0514] cis-[4-(4-dimethlamino-5-methyl-pyrimidin-2-ylamino) cyclohexyl]-carbamic acid *tert*-butyl ester (100 mg, 0.402 mmol) and 1,1 -carbonyldiimidazole (78.1 mg, 0.482 mmol) were dissolved in 1 mL of methylene chloride and allowed to stir at room temperature overnight. To the vial, (3,4-difluoro-phenyl)-methyl-amine (88 mg, 0.603 mmol) was added. The solution was heated via Smith Synthesizer at 130°C for 15 minutes. The solvent was evaporated, and 1 mL of methanol was added to the crude. The crude was purified by HPLC to yield N (3,4-difluorophenyl)-N-(cis-4-{ [4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methylurea trifluoroacetate as a white solid. (47.8 mg, 22%)

ESI MS m/z 419.3 (M + H<sup>+</sup>);  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  14.0 (s, 1 H), 8.62 (d, J = 6.4 Hz, 1 H), 7.29-7.21 (m, 2H), 7.13-7.01 (m, 2H), 4.61 (bs, 1 H), 4.10 (m, 1 H), 3.78 (m, 1 H), 3.46-3.29 (b, 3H), 3.24 (s, 6H), 2.24 (s, 3H), 1.77-1.56 (m, 8H).

### Example 2658

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N- [(cis-4-[4-(Dimethylamino)-6-methylpyrimidin-2-yl] amino j cyclobexyl) methyl]-3,5-bis(trifluoromethyl) benzamide hydrochloride

Step A: Synthesis of N-(cis-4-amino-cyclohexylmethyl)-3,5-bistrifluoromethyl-benzamide trifluoroacetate.

[0515] To a solution of cis-(4-aminomethyl-cyclohexyl)-carbamic acid tert-butyl ester (1.1 g, 4.8 mmol) in dry benzene (15 mL) was added 3,5-bistrifluoromethyl benzoyl chloride (1.33 g, 1 eq.) and followed by  $\rm Et_3N$  (~2 mL) at room temperature under  $\rm N_2$ . The reaction was stirred for an additional 2 h at room temperature, washed with sat.-NaHCO<sub>3</sub> (3x) and water (1x), dried with MgSO<sub>4</sub>, and concentrated. The crude {cis-{4-[(3,5-Bis-trifluoromethy)-benzoylamino)-methy)}-cyclohexyl}-carbamic acid tert-butyl ester was pure enough to use for the next deprotection without a further purification.

{cis-{4-[(3,5-Bis-trifluoromethyl-benzoy)amino)-methy)}-cyclohexy)}-carbamic acid tert-butyl ester (2.1 g, 4.5 mmol) was dissolved in DCM (10 mL), and TFA (5 mL) was added to the reaction. After 1.5 h stirring at room temperature, removal of the volatile solvent gave crude *N-(* 4-amino-cyclohexylmethyl)-3,5-bis-trifluoromethyl-benzamide trifluoroacetate as a sticky oil. Addition of water (~40 mL) to the crude product and shaking well for 5 ~ 10 min provided formation of precipitates, and the ppts were filtered, washed with water, and dried; 1.40 (61 %) of N-(4-amino-cyclohexylmethyl)-3,5-bis-trifluoromethyl-benzamide trifluoroacetate was isolated as a white powder.

ESI MS m/e 369 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.97 (bs, 1 H), 8.47 (s, 2 H), 8.29 (s, 1 H), 7.78 (bs, 3 H), 3.29 (t, 2 H, J = 6.8 Hz), 3.15 (bs, 1 H), 1.78 (bs, 1 H), 1.66 (m, 4 H), 1.52 (m, 4 H).

Step B: Synthesis of N-[(cis4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino)-cyclohexyl)methyl]-3,5-bis (trifluoromethyl)benzamide hydrochloride.

[0516] A sealed tube containing 2-chloro-4-dimethylamino-6-methylpyrimidine (0.21 g, 1.2 mmol), N-(cis-4-amino-cyclohexylmethyl)-3,5-bistrifluoromethyl-benzamide trifluoroacetate (0.6 g, 1 eg.), DIEA (0.45 mL, 2 eq.), and *tert-BuOH* (2.5 mL) was reacted for 1.6 h at 185 °C in a Smith microwave synthesizer. The reaction was diluted with DCM, washed with diluted-HCl and water, dried, and concentrated. The crude product was purified by column chromatography (silica gel; DCM:MeOH = 100:0 to 95:5). 0.3 g (50 %) of N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl) methyl]-3,5-bis(trifluoromethyl)benzamide was isolated and converted to HCl-salt. ESI MS m/e 504 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  12.8 (bs, 1H), 8.72 (d, 1 H, J = 8.0 Hz), 8.39 (s, 2 H), 7.93 (s, 1 H), 7.43 (bs, 1H), 5.70 (s, 1 H), 4.24 (bm, 1 H), 3.49 (t, 2 H, J = 4.4 Hz), 3.22 (s, 3 H), 3.11 (s, 3 H), 2.31 (s, 3 H), 1.91~1.79 (m, 5 H), 1.64~1.56 (m, 4 H).

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### Example 2659

N<sup>2</sup>-[cis-4-({6-[(3,4-Difluorophenyl)sulfinyl)pyrazin-2-yl }amino)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>,5-trimethylpyrimidine-2,4-diamine

Step A: Synthesis of *cis*-[1-(6-chloro-pyrazin-2-ylamino)-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)]-cyclohexane.

[0517] A sealed tube containing *cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-1-aminocyclohexane hydrochloride (0.2 g, 0.7 mmol), 2,6-dichloropyrazine (0.1 g, 1 eq.), DIEA (0.3 mL, 2 eq.), and IPA (2 mL) was reacted for 1.5 h at 170 °C in a Smith microwave synthesizer. The reaction was diluted with DCM, washed with IN-HCl and water, concentrated, and purified by column chromatography (DCM:MeOH = 100:0 to 96:4). 0.15 g (61 %) of *cis*-[1-(6-chloropyrazin-2-ylamino)-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)]-cyclohexane was isolated. ESI MS m/e 362 M + H+; ¹H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.70 (bs, 1 H), 7.76 (s, 1 H), 7.71 (s, 1 H), 7.29 (s, 1 H), 5.32 (bs, 1 H), 4.11 (bs, 1 H), 4.00 (bs, 1 H), 3.27 (s, 6 H), 2.23 (s, 3 H), 1.80 (m, 8 H).

Step B: Synthesis of *cis*-{1-[6-(3,4-difluoro-phenylsulfonyl)-pyrazin-2-ylamino]-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)}-cyclohexane.

[0518] A sealed tube containing cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-1-(6-chloro-pyrazin-2-ylamino)-cyclohexane (0.1 g, 0.27 mmol), 3,4-difluorothiophenol (0.1 g, 2.5 eq.), Cs<sub>2</sub>CO<sub>3</sub> (0.15 g, 2 eq.), and dioxane (2 mL) was reacted for I h at 180 °C in a Smith microwave synthesizer. The reaction was diluted with DCM, washed with sat-NaHCO<sub>3</sub> (3x) and water, concentrated, and purified by column chromatography to give 85 mg (65 %) of cis-{1-[6-(3,4-difluoro-phenylsulfanyl)-pyrazin-2-ylamino]-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)}-cyclohex-

ESI MS m/e 472 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.60 (s, 1 H), 7.48 (s, 1 H), 7.42 (m, 2 H), 7.29 (m, 1 H), 7.15 (m, 1 H), 6.70 (bs, 1 H), 5.15 (d, 1 H, J = 7.6 Hz), 4.03 (bs, 1 H), 3.67 (bm, 1 H), 3.16 (s, 6 H), 2.19 (s, 3 H), 1.81~1.61 (m, 8 H).

Step C: Synthesis of N²-[cis-4- ({6-[(3,4-difluorophenyl)sulfinyl]pyrazin-2-yl] amino)-cyclohexyl]-N⁴,N⁴, 5-trimethylpyrimidine-2,4-diamine.

[0519] To a solution of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-1-[6-(3,4-difluoro-phenylsulfanyl)-pyrazin-2-ylamino]-cyclohexane (35 mg, 0.07 mmol) in DCM (5 mL) was added MCPBA (33 mg, 2 eq.) at room temperature under an Ar atmosphere. The reaction was stirred overnight, washed with sat-NaHCO<sub>3</sub> (2x) and water, concentrated, and purified by column chromatography (DCM:MeOH = 100:0 to 95:5). 12 mg (33 %) of  $N^2$ -[cis-4-({6-[(3,4-difluorophenyl)sulfnyl]pyrazin-2-yl]amino) cyclohexyl]- $N^4$ , $N^4$ ,5-trimethylpyrimidine-2,4-diamine was isolated. ESI MS m/e 488 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.25 (s, 1H), 7.87 (s, 1 H), 7.63 (m, 1 H), 7.57 (s, 1 H), 7.53 (m, 1 H), 7.26 (m, 1 H), 5.36 (bs, 1 H), 5.14 (d, 1 H, J = 6.8 Hz), 4.01 (bs, 1 H), 3.82 (bm, 1 H), 3.06 (s, 6 H), 2.15 (s, 3 H), 1.87~1.60 (m, 8 H).

# Example 2660

cis-N-[1-(4-Bromophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide hydrochloride

Step A: Synthesis of *cis-N*-[1-(4-bromophenyl)ethyl]-4-{[4-(dimethylami\*no)-5 methylpyrimidin-2-yl]amino) cyclohexanecarboxamide hydrochloride.

[0520] To a solution of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexanecarboxylic acid obtained from step B of Example 2594 (24 mg, 0.08 mmol) in DCM (3 mL) was added 1-(4-bromophenyl)-ethylamine (18 mg, 1 eq.), and followed by HATU (36 mg, 1.1 eq.) and Et<sub>3</sub>N (20  $\mu$ L). The reaction was stirred overnight, concentrated, and purified by column chromatography (DCM:MeOH = 100:0 to 95:5). 16 mg (41 %) of cis-N-[1-(4-bromophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide was isolated and converted to HCl salt. ESI MS m/e 460 M + H+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  11.0 (bs, 1 H), 8.20 (d, 1 H, J = 7.6 Hz), 7.66 (bs, 1 H), 7.50 (s, 1 H), 7.43 (d, 2 H, J = 8.4 Hz), 7.18 (d, 2 H, J = 8.4 Hz), 4.79 (m, 1 H), 3.95 (bs, 1 H), 3.19 (s, 6 H), 2.23 (bs, 1 H), 2.16 (s, 3 H), 1.70~1.50 (m, 8 H), 1.24 (d, 3 H, J= 7.2 Hz).

### Example 2661

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N-{(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-3,5-bis(trifluoromethyl)benzamidehydrochloride

Step A: Synthesis of (2-Chloro-5-methyl-pyrimidin-4-yl)-methyl-amine.

[0521] 2,4- Dichloro-5-methylpyrimidine (3.8g, 23.4mmol) in 20ml in  $CH_2Cl_2$  was added 2.0 M methylamine in methyl alcohol (14.05ml, 28.1mmol) at 0 °C. The reaction mixture was stirred overnight and then the excess solvent was evaporated off and the material subjected to chromatography (50% hexanes in ethyl acetate) to yield (2-Chloro-5-methyl-pyrimidin-4-yl)-methyl-amine (968.7mg, 6.17mmol, 26%) as a white solid.

ESI MS 158.0 M+H+;  ${}^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.86 (s, 1H), 7.39 (s, 1 H), 2.93-2.92 (d, J = 4 Hz, 3H), 2.04 (s, 3H).

Step B: Synthesis of N-[(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]-3,5-bis (trifluoromethyl)benzamidehydrochloride.

[0522] To a solution of (2-Chloro-5-methyl-pyrimidin-4-yl)-methyl-amine (200mg, 1.27mmol) in 1 mL 2-propanol was added cis-N-(4-amino-cyclohexylmethyl)-3,5-bis-trifluoromethyl-benzamide in TFA salt (736mg, 1.52mmol) and DIEA (2.54mmol). The mixture was heated in a microwave synthesizer at 180°C for 2 hours. The solvent was evaporated and the material subjected to chromatography (70 ~ 95% ethyl acetate/ hexanes) The combined compound was dissolved in  $CH_2CI_2$  and was added 2 M HCl in diethyl ether (5.6ml, 1.42mmol) to yield N-[(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-3,5-bis(trifluoromethyl)benzamidehydrochloride (443mg, 0.84mmol, 66%) as a white solid.

ESI MS 490.4 M+H+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  11.5 (s, 1H), 8.86-8.83 (t, J = 4 Hz, 8 Hz, 1H), 8.32 (s, 2H), 8.11 (s, 1H), 8.03 (bs, 1H), 7.97 (bs, 1H), 7.40 (s, 1H), 3.90 (bs, 1H), 3.24 (s, 3H), 3.06-3.04 (d, J = 8 Hz, 2H), 2.72-2.71 (d, J = 4 Hz, 3H), 1.54 (bs, 4H), 1.42 (m, 4H), 1.20 (2H).

Example 2662

30 cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(3-methoxyphenyl)ethyl] cyclohexanecarboxamide hydrochloride

Step A: Synthesis of *cis-4-*{{4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-*N*-{(1R)-1-(3-methoxyphenyl) ethyl]cyclohexanecarboxamide hydrochloride.

**[0523]** Using the procedure of Example 2660, the title compound was obtained. ESI MS m/e 412 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO- $d_6$ )  $\delta$  10.9 (bs, 1 H), 7.98 (d, 1 H, J = 8.0 Hz), 7.53 (bs, 1 H), 6.98 (t, 1 H, J = 8.0 Hz), 6.63 (d, 1 H, J = 7.4 Hz), 6.62 (s, 1 H), 6.54 (d, 2 H, J = 8.0 Hz), 4.64 (m, 1 H), 3.79 (bs, 1 H), 3.50 (s, 3 H), 3.03 (s, 6 H), 2.08 (bs, 1 H), 1.97 (s, 3 H), 1.60~1.30 (m, 8 H), 1.10 (d, 3 H, J= 6.8 Hz).

Example 2663

cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}-*N*-[(1R)-1-(1-naphthyl)ethyl] cyclohexanecarboxamide hydrochloride

Step A: Synthesis of *cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-*N*-[(1R)-1-(1-naphthyl)ethyl] cyclohexanecarboxamide hydrochloride.

**[0524]** Using the procedure of Example 2660, the title compound was obtained. ESI MS m/e 432 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, DMSO- $d_6$ )  $\delta$  11.1 (bs, 1 H), 8.39 (d, 1 H, J = 8.0 Hz), 8.09 (d, 1 H, J = 8.0 Hz), 7.94 (m, 1 H), 7.82 (d, 1 H, J = 8.0 Hz), 7.73 (bs, 1 H), 7.56~7.49 (m, 5 H), 5.69 (m, 1 H), 4.01 (bs, 1 H), 3.25 (s, 6 H), 2.33 (bs, 1 H), 2.23 (s, 3 H), 1.85~1.55 (m, 8 H), 1.49 (d, 3 H, J = 6.8 Hz).

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## Example 2664

N-(cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide hydrochloride

5 Step A: Synthesis of N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-cyclohexyl)-3-methylbenzamide hydrochloride.

[0525] Using the procedure of example 2523, the title compound was obtained.
 ESI MS m/e 368 M + H+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>θ</sub>) δ 12.2 (bs, 1 H), 8.28 (bs, 1 H), 7.98 (bd, 1 H, J= 6.0 Hz), 7.64
 (m, 3 H), 7.31 (s, 1H), 7.30 (s, 1H), 3.91 (bs, 1H), 3.85 (bs, 1H), 3.25 (s, 6 H), 2.35 (s, 3 H), 2.22 (s, 3 H), 1.85 (bs, 2 H), 1.70 (bs, 6 H).

## Example 2665

5 N-{cis-4-[(4-Methylquinolin-2-yl)aminolcyclohexyl)-3,5-bis(trifluoromethyl)benzamide hydrochloride

Step A: Synthesis of cis-N-(4-amino-cyclohexyl)-3,5-bis(trifluoromethyl)-benzamide.

[0526] To a solution of cis-(4-amino-cyclohexyl)-carbamic acid tert-butyl ester (3.2 g, 0.015 mol) in  $CH_2Cl_2$  (50 mL) was added DIEA (3.9 mL, 0.022 mol). The mixture was cooled on an ice bath and 3,5-bis(trifluormethyl)benzoyl chloride (2.9 mL, 0.015 mol) was slowly added. The mixture was brought to room temperature and stirred for I hour. After this time, the solvent and excess DIEA was evaporated in vacuo. The resulting oil was re-dissolved in  $CH_2Cl_2$  (30 mL) and extracted with  $H_2Cl_2$  (30 mL),1M NaOH (30 mL), and brine (30 mL). The brine layer was twice back extracted with  $CH_2Cl_2$  and the organic layers were combined, dried over MgSO<sub>4</sub>, and concentrated. The resulting precipitate was re-dissolved in  $CH_2Cl_2$  (50 mL) and TFA (4.6 mL, 0.060 mol) was added. The solution was stirred at room temperature for 4 hours (or until the reaction was complete as judged by TLC). The excess solvent was evaporated off and the resulting oil was dissolved in 30 mL  $CH_2Cl_2$ . The organic layer was extracted with 30 mL of a dilute NaOH (aq) / NaHCO<sub>3</sub> (aq) solution (the aqueous layer was confirmed to remain basic during the extraction using pH paper indicator). The aqueous layer was back extracted twice with  $CH_2Cl_2$  and the organic layers combined, dried over MgSO<sub>4</sub>, and concentrated. A precipitate formed that was subsequently filtered and washed with a cold 50% ether in hexanes solution to yield *cis-N*-(4-amino-cyclohexy])-3,5-bis(trifluoromethyl)-benzamide (4.0 g, 0.011 mol, 77%) as a white solid. ESI MS 355.0 M+H+; <sup>1</sup>H NMR (400 MHz,  $CD_3OD$ )  $\delta$  8.44 (s, 2H), 8.18 (s, 1H), 4.04 (m, 1H), 3.00 (m, 1H), 1.89-1.84 (m, 2H), 1.79-1.74 (m, 4H), 1.74-1.64 (m, 2H).

35 Step B Synthesis of N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}-3,5-bis(trifluoromethyl)benzamide hydrochloride.

[0527] To a solution of 2-chloro-4-methyl-quinoline (326 mg, 1.84 mmol) in 2 mL t-BuOH was added DIEA (369 uL, 2.12 mmol) and cis-N-(4-amino-cyclohexyl)-3,5-bis(trifluoromethyl)-benzamide (500 mg, 1.41 mmol). The mixture was then heated in a microwave at 180 °C for 12 hours. The reaction mixture was cooled and concentrated and the resulting oil was purified by column (<5 % MeOH in  $CH_2Cl_2$ ). The organic solvents were evaporated and the resulting oil was re-dissolved into 4 mL  $CH_2Cl_2$  and HCl (1.4 mL, 2.82 mol) was added. The reaction was stirred for 30 minutes and the solvent was removed. A precipitate formed that was subsequently filtered and washed with a cold 50% ether in hexanes solution to yield N-{cis-4-[(4-methylquinolin-2-yl)amino}cyclohexyl}-3,5-bis(trifluoromethyl)benzamide hydrochloride (620 mg, 1.17 mmol, 83%).

ESI MS 496.4 M+H+;  $^{1}$ H NMR (400 MHz,CD<sub>3</sub>OD)  $\delta$  8.47 (s, 2H), 8.21 (s, 1H), 8.05 (d, 1H, J= 8.0 Hz), 7.93 (bs, 1 H), 7.82 (t, 1 H, J = 7.8 Hz), 7.59 (t, 1 H, J = 8.2 Hz), 7.09 (bs, 1 H), 4.17 (m, 1 H), 4.15 (m, 1 H), 2.73 (s, 3H), 2.08-1.95 (m, 8H).

50 Example 2666

 $N-(cis-4-\{(4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-3-(trifluoromethoxy)benzamide hydrochloride$ 

55 Step A: Synthesis of N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethoxy)benzamide hydrochloride.

[0528] Using the procedure of example 2523, the title compound was obtained.

ESI MS m/e 438 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  12.9 (bs, 1 H), 8.59 (bd, 1 H, J= 6.8 Hz), 7.69 (s, 1 H), 7.68 (d, 1 H, J= 8.4 Hz), 7.43 (t, 1 H, J= 8.0 Hz), 7.30 (d, 1 H, J= 7.6 Hz), 7.20 (d, I H, J= 5.2 Hz), 6.55 (d, 1 H, J= 8.0 Hz), 4.17 (bs, 1 H), 4.10 (bs, 1 H), 3.29 (s, 6 H), 2.24 (s, 3 H), 1.98~1.83 (m, 6 H), 1.73 (m, 2 H).

### 5 Example 2667

N-(cis-4-[[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-4-(trifluoromethoxy)benzamide hydrochloride

10 Step A: Synthesis of N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]aminojcyclohexyl)-4-(trifluoromethoxy)benzamide hydrochloride.

[0529] Using the procedure of example 2523, the title compound was obtained. ESI MS m/e 438 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  12.3 (bs, 1 H), 8.54 (bd, 1 H, J = 6.8 Hz), 7.86 (d, 2 H, J = 8.8 Hz), 7.22 (d, 2 H, J = 8.8 Hz), 7.21 (s, 1 H), 6.68 (d, 1 H, J = 8.0 Hz), 4.17 (bs, 1 H), 4.10 (bs, 1 H), 3.28 (s, 6 H), 2.24 (s, 3 H), 1.95~1.85 (m, 6 H), 1.72 (m, 2 H).

## Example 2668

20 3-Chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy) benzamide hydrochloride

Step A: Synthesis of 3-chloro-N-(cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy)benzamide hydrochloride.

[0530] Using the procedure of example 2523, the title compound was obtained. ESI MS m/e 472 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  12.5 (bs, 1 H), 8.37 (bd, 1 H, J = 7.2 Hz), 8.06 (s, 1 H), 7.86 (d, 1 H, J = 8.4 Hz), 7.51 (d, 1H,  $_{2}$  = 8.4 Hz), 7.30 (d, 1H,  $_{3}$  = 8.0 Hz), 7.24 (s, 1 H), 4.17 (bs, 1 H), 4.08 (bm, 1 H), 3.28 (s, 6 H), 2.23 (s, 3 H), 1.92~1.85 (m, 6 H), 1.71 (m, 2 H).

## Example 2669

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4-Chloro-N-(cis4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-3-(trifluoromethyl) benzamide hydrochloride

Step A: Synthesis of 4-chloro-N-(cis4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]aminojcyclohexyl)-3-(trifluoromethyl)benzamide hydrochloride.

[0531] Using the procedure of example 2523, the title compound was obtained.

ESI MS m/e 456 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 12.8 (bs, 1 H), 8.58 (bd, 1 H, J = 6.8 Hz), 8.19 (s, 1 H), 7.90 (d, 1 H, J = 8.4 Hz), 7.54 (d, I H, J = 8.4 Hz), 7.19 (bd, 1 H, J = 5.2 Hz), 6.76 (d, 1 H, J = 8.4 Hz), 4.19 (bs, 1 H), 4.10 (bm, 1 H), 3.29 (s, 6 H), 2.24 (s, 3H), 1.94~1.83 (m, 6 H), 1.72 (m, 2 H).

### Example 2670

3,5-Dichloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide hydrochloride

Step A: Synthesis of 3,5-dichloro-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) benzamide hydrochloride.

[0532] Using the procedure of example 2523, the title compound was obtained. ESI MS m/e 422 M + H+;  $^{1}$ H NMR (400 MHz,  $DMSO-d_{g}$ )  $\delta$  12.1 (bs, 1 H), 8.50 (bs, 1 H), 8.02 (bd, 1 H, J = 5.2 Hz), 7.86 (d, 2 H, J = 1 .6 Hz), 7.77 (t, 1 H, J = 1.6 Hz), 7.63 (s, 1 H), 3.90 (bs, 1 H), 3.85 (bs, 1 H), 3.25 (s, 6 H), 2.22 (s, 3 H), 1.85 (bs, 2 H), 1.70 (bs, 6 H).

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### Example 2671

3,4-Dichloro-*N-(cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2yl]amino) cyclohexyl)-benzamide hydrochloride

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Step A: Synthesis of 3,4-dichloro-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) benzamide hydrochloride.

[0533] Using the procedure of example 2523, the title compound was obtained. ESI MS m/e 422 M + H+;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $^{8}$  12.2 (bs, 1H), 8.47 (bs, 1H), 8.09 (d, 1H,  $^{2}$  2.0 Hz), 8.05 (d, 1 H,  $^{2}$  5.4 Hz), 7.82 (dd, 1H,  $^{2}$  8.0 and 1.6 Hz), 7.71 (d, 1H,  $^{2}$  8.4 Hz), 7.63 (s, 1H), 3.90 (bs, 1 H), 3.85 (bs, 1H), 3.25 (s, 6 H), 2.22 (s, 3 H), 1.85 (bs, 2 H), 1.70 (bs, 6H).

Example 2672

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5-Bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-furamide

Step A: Synthesis of 5-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-furamide.

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[0534] Using the procedure of example 2523, the title compound was obtained. ESI MS m/e 422 M + H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.64 (s, 1H), 7.02 (d, 1H, J = 3.6 Hz), 6.41 (d, 1 H, J = 3.6 Hz), 6.23 (bs, 1 H), 4.77 (bs, 1 H), 4.08 (bs, 1 H), 3.96 (bs, 1 H), 3.02 (s, 6 H), 2.14 (s, 3H), 1.88~1.60 (m, 8 H).

25 Example 2673

N-(cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(methylsulfonyl)benzamide

Step A: Synthesis of N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(methylsulfonyl)benzamide.

[0535] Using the procedure of example 2523, the title compound was obtained. ESI MS m/e 432 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.02 (d, 1 H, J = 7.6 Hz), 7.69 (t, 1 H, J = 8.0 Hz), 7.59 (t, 2 H, J = 7.6 Hz), 6.39 (d, 1 H, J = 8.0 Hz), 6.34 (bs, 1 H), 4.10 (bs, 2 H), 3.33 (s, 3 H), 3.25 (s, 6 H), 2.25 (s, 3 H), 1.93 $\sim$ 1.71 (m, 8 H).

Example 2674

N-(cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-(methylsulfonyl)benzamide

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Step A: Synthesis of *N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl}-3-(methylsulfonyl)benzamide.

[0536] Using the procedure of example 2523, the title compound was obtained. ESI MS m/e 432 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.40 (s, 1H), 8.18 (d, 1H, J = 7.6 Hz), 8.08 (d, 1H, J = 7.6 Hz), 7.67 (t, 1H, J = 7.6 Hz), 7.34 (s, 1H), 6.99 (d, 1H, J = 8.0 Hz), 6.57 (bd, 1H, J = 6.4 Hz), 4.17 (bm, 2 H), 3.32 (s, 6 H), 3.16 (s, 3 H), 2.27 (s, 3 H), 1.90~1.71 (m, 8 H).

Example 2675

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N-(cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(methylsulfonyl)benzamide

Step A: Synthesis of *N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(methylsulfonyl)benzamide.

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[0537] Using the procedure of example 2523, the title compound was obtained. ESI MS m/e 432 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.04 (d, 2 H, J = 8.4 Hz), 7.98 (d, 2 H, J = 8.4 Hz), 7.28 (s, 1 H), 6.86 (d, 1 H, J = 8.4 Hz), 6.41 (d, 1 H, J = 7.6 Hz), 4.14 (bm, 2 H), 3.32 (s, 6 H), 3.07 (s, 3 H), 2.27 (s, 3 H), 1.90~1.71

(m, 8 H).

## Example 2676

5 Methyl 2-{[(cis-4-[(4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)amino]carbonyl)benzoate

Step A: Synthesis of methyl 2-{[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)amino] carbonyl}benzoate.

10 **[0538]** Using the procedure of example 2523, the title compound was obtained. ESI MS m/e 428 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.10 (bs, 1 H), 7.87 (d, 1 H, J = 7.6 Hz), 7.52 (t, 1 H, J = 7.6 Hz), 7.46 (m, 2 H), 7.30 (s, 1 H), 6.56 (d, 1 H, J = 8.0 Hz), 4.13 (bm, 2 H), 3.87 (s, 3 H), 3.24 (s, 6 H), 2.22 (s, 3 H), 1.93~1.75 (m, 8 H).

15 Example 2677

Methyl 3-{[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)amino]carbonyl}benzoate

Step A: Synthesis of methyl 3-{[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)amino] carbonyl)benzoate.

[0539] Using the procedure of example 2523, the title compound was obtained. ESI MS m/e 428 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $^{5}$  8.48 (s, 1 H), 8.17 (bs, 1 H), 8.14 (d, 1 H, J = 7.6 Hz), 8.08 (d, 1 H, J = 7.6 Hz), 7.51 (t, 1 H, J = 8.0 Hz), 7.31 (s, 1 H), 7.16 (d, 1 H, J = 7.6 Hz), 4.14 (bm, 2 H), 3.94 (s, 3 H), 3.26 (s, 6 H), 2.23 (s, 3 H), 1.93 $\sim$ 1.73 (m, 8 H).

Example 2678

2-{[(cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)amino]carbonyl)benzoic acid hydrochloride

Step A: Synthesis of 2-{[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)amino]carbonyl) benzoic acid hydrochloride.

2523, the title compound was obtained. ESI MS m/e 398 M + H+;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.5 (bs, 2 H), 8.32 (bs, 1 H), 8.04 (d, 1 H, J = 6.4 Hz), 7.80 (d, 1 H, J = 7.6 Hz), 7.68 (s, 1 H), 7.58 (m, 1 H), 7.51 (t, 1 H, J = 7.6 Hz), 7.39 (d, 1H, J = 7.6 Hz), 3.89 (bs, 2 H), 3.28 (s, 6 H), 2.25 (s, 3 H), 1.85~1.70 (m, 8 H).

40 Example 2679

3-[[(cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)amino]carbonyl}benzoic acid hydrochloride

45 Step A: Synthesis of 3-{[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)amino]carbonyl} benzoic acid hydrochloride.

[0541] Using the procedure of example 2523, the title compound was obtained.

ESI MS m/e 398 M + H+; ¹H NMR (400 MHz, DMSO-d<sub>g</sub>) δ 13.2 (bs, 1 H), 12.3 (bs, 1 H), 8.59 (bs, 1 H), 8.47 (m, 1H),

8.16~8.11 (m, 3 H), 7.72 (s, 1H), 7.64 (t, 1 H, J = 8.0 Hz), 3.95 (bs, 2 H), 3.32 (s, 6 H), 2.29 (s, 3 H), 1.93 (bs, 2 H),

1.78 (bs, 6 H).

### Example 2680

N-(cis-4-{[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide hydrochloride

5 Step A: Synthesis of N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino)cyclohexyl)-3,4-difluorobenzamide hydrochloride.

[0542] Using the procedure of example 2526, the title compound was obtained.
ESI MS m/e 390 M + H+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) δ 12.7 (bs, 1 H), 8.37 (bs, 1 H), 7.93~7.88 (m, 2 H), 7.73 (m, 1 H), 7.51 (dd, 1 H, *J* = 18.8 and 8.4 Hz), 6.26 (s, 1 H), 3.96 (bs, 1 H), 3.84 (bs, 1 H), 3.17 (s, 3 H), 3.13 (s, 3 H), 2.25 (s, 3 H), 1.85 (bm, 2 H), 1.70 (bs, 6 H).

## Example 2681

N-(cis-4-{[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide hydrochloric acid

Step A: Synthesis of *N*-(*cis*-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl] amino)-cyclohexyl)-3,5-bis (trifluoromethyl)benzamide hydrochloric acid.

[0543] To a solution of (2-chloro-6-methyl-pyrimidin-4-yl)-dimethyl-amine (242 mg, 1.41 mmol) in 2 mL t-BuOH was added DIEA (369 uL, 2.12 mmol) and cis-N-(4-amino-cyclohexyl)-3,5-bis(trifluoromethyl)-benzamide (500 mg, 1.41 mmol). The mixture was then heated in a microwave at 180 °C for 1.7 hours. The reaction mixture was cooled and concentrated and the resulting oil was purified by column (<5 % MeOH in  $CH_2Cl_2$ ). The organic solvents were evaporated and the resulting oil was re-dissolved into 4 mL  $CH_2Cl_2$  and HCI (1.4 mL, 2.82 mol) was added. The reaction was stirred for 30 minutes and the solvent was removed. A precipitate formed that was subsequently filtered and washed with a cold 50% ether in hexanes solution to yield N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)-3,5-bis(trifluoromethyl)benzamide hydrochloric acid (653 mg, 1.24 mmol, 88%).

ESI MS 490.4 M+H+; 1H NMR (400 MHz, CD3OD)  $\delta$  12.58 (bs, 1H), 8.81 (d, 1H, J = 6.4 Hz), 8.50 (s, 2H), 8.30 (s,

1H), 7.89 (bs, 1H), 6.28 (s, 1H), 4.00 (m, 1H), 3.90 (m, 1H), 3.18 (s, 3H), 3.12 (s, 3H), 2.25 (s, 3H), 1.87-1.71 (m, 8H).

## Example 2682

N-(cis-4-) [4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy)benzamide hydrochloride

Step A: Synthesis of *N*-(*cis*-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino)cyclohexyl)-4-(trifluoromethoxy)benzamide hydrochloride.

[0544] Using the procedure of example 2526, the title compound was obtained. ESI MS m/e 438 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $^{3}$  13.0 (bs, 1 H), 8.52 (bd, 1 H, J = 7.6 Hz), 7.87 (d, 2 H, J = 8.8 Hz), 7.23 (d, 2 H, J = 8.8 Hz), 6.84 (d, 1 H, J = 8.0 Hz), 5.72 (s, 1 H), 4.22 (bm, 1 H), 4.11 (bm, 1 H), 3.24 (s, 3 H), 3.12 (s, 3 H), 2.34 (s, 3 H), 1.95~1.85 (m, 6 H), 1.72 (m, 2 H).

45 Example 2683

3-Chloro-*N*-(*cis*-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy) benzamide hydrochloride

50 Step A: Synthesis of 3-chloro-*N*-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy)benzamide hydrochloride.

[0545] Using the procedure of example 2526, the title compound was obtained.
ESI MS m/e 472 M + H+; ¹H NMR (400 MHz, CDCl<sub>3</sub>) δ 12.9 (bs, 1 H), 8.52 (d, 1 H, *J* = 7.6 Hz), 7.96 (d, 1 H, *J* = 2.4 Hz), 7.73 (dd, 1 H, *J* = 8.8 and 2.0 Hz), 7.34 (d, 1 H, *J* = 8.4 Hz), 6.59 (d, 1 H, *J* = 8.0 Hz), 5.72 (s, 1 H), 4.22 (bm, 1 H), 4.10 0 (bm, 1 H), 3.24 (s, 3 H), 3.12 (s, 3 H), 2.34 (s, 3 H), 1.95~1.83 (m, 6 H), 1.72 (m, 2 H).

#### Example 2684

 $\textbf{4-Chloro-} \textit{N-} (\textit{cis-4-} \{ [\textbf{4-}(dimethylamino)-\textbf{6-methylpyrimidin-2-yl} ] a mino} \\ \textbf{cyclohexyl}) \\ \textbf{benzamide hydrochloride} \\ \textbf{1-chloro-} \textit{N-} (\textit{cis-4-} \{ [\textbf{4-}(dimethylamino)-\textbf{6-methylpyrimidin-2-yl} ] \\ \textbf{2-chloro-} \textit{N-} (\textit{cis-4-} \{ [\textbf{4-}(dimethylamino)-\textbf{6-methylpyrimidin-2-yl} ] \\ \textbf{3-chloro-} (\textit{cis-4-} \{ [\textbf{4-}(dimethylamino)-\textbf{6-methylpyrimidin-2-yl} ] \\ \textbf{3-chloro-} (\textit{cis-4-methylamino}) \\ \textbf{3-chloro-} (\textit{cis-4-methylamino} ) \\ \textbf{3-chloro-} (\textit{cis-4-methylamino} ) \\ \textbf{3-chloro-} (\textit{cis-4-methylamino} ) \\ \textbf{3-chloro-} (\textit{cis-4-methylamino} ) \\ \textbf{3-chloro-} (\textit{cis-4-methylamino} )$ 

5 Step A: Synthesis of 4-chloro-*N*-(*cis*-4-([4-(dimethylamino)-6-methylpyrimidin-2-yl]amino)cyclohexyl) benzamide hydrochloride.

[0546] Using the procedure of example 2526, the title compound was obtained.
ESI MS m/e 388 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 13.1 (bs, 1 H), 8.57 (bd, 1 H, *J* = 8.0 Hz), 7.73 (d, 2 H, *J*= 8.4 Hz), 7.37 (d, 2 H, *J*= 8.4 Hz), 6.46 (d, 1 H, *J*= 6.0 Hz), 5.71 (s, 1 H), 4.20 (bs, 1 H), 4.10 (bs, 1 H), 3.24 (s, 3 H), 3.12 (s, 3 H), 2.34 (s, 3 H), 1.94~1.82 (m, 6 H), 1.73 (m, 2 H).

### Example 2685

5 3,4-Dichloro-*N*-(*cis*-4-{[4-(dimethylamino)-6-methy]pyrimidin-2yl]amino}cyclohexyl)benzamide hydrochloride

Step A: Synthesis of 3,4-dichloro-*N*-(*cis*-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl) benzamide hydrochloride.

20 **[0547]** Using the procedure of example 2526, the title compound was obtained. ESI MS m/e 422 M + H<sup>+</sup>; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  13.0 (bs, 1 H), 8.51 (d, 1 H, J = 7.6 Hz), 7.94 (d, 1 H, J = 2.0 Hz), 7.64 (dd, 1 H, J = 8.4 and 2.0 Hz), 7.47 (d, 1 H, J = 8.4 Hz), 6.88 (d, 1 H, J = 8.8 Hz), 5.72 (s, 1 H), 4.22 (bm, 1 H), 4.09 (bm, 1 H), 3.24 (s, 3 H), 3.13 (s, 3 H), 2.34 (s, 3 H), 1.94 $\sim$ 1.82 (m, 6 H), 1.72 (m, 2 H).

25 Example 2686

N-(cis-4-{[4-(Dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide

Step A: Synthesis of N- (cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino)yclohexyl)-3,5-dimethoxybenzamide.

[0548] Using the procedure of example 2526, the title compound was obtained. ESI MS m/e 414 M + H+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  6.88 (d, 2 H, J = 2.0 Hz), 6.57 (t, 1 H, J = 2.0 Hz), 6.15 (d, 1 H, J = 7.6 Hz), 5.69 (s, 1 H), 5.10 (bs, 1 H), 4.06 (bm, 2 H), 3.82 (s, 6 H), 3.04 (s, 6 H), 2.21 (s, 3 H), 1.90 $\sim$ 1.81 (m, 6 H), 1.67 (m, 2 H).

# Example 2687

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5-Bromo-*N-(cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide hydrochloride

Step A: Synthesis of 5-bromo-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) nicotinamide hydrochloride.

[0549] Using the procedure of example 2526, the title compound was obtained. ESI MS 433.2 M+H+;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.2 (s, 1H), 8.85 (d, J = 4 Hz, 1H), 8.73 (d, J = 4 Hz, 1H), 8.51 (bs, 1H), 8.34-8.33 (m, 1H), 7.55 (bs, 1H), 3.76 (bs, 2H), 3.14 (bs, 6H), 2.10 (s, 3 H), 1.74-1.59 (m, 8H).

# Example 2688

50 N-(cis-4-{[4-(Dimethytamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-[2,2,2-trinuoro-1-hydroxy-1-(trifluoromethyl)ethyl]benzamide hydrochloride

Step A: Synthesis of *N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]benzamide hydrochloride.

[0550] Using the procedure of example 2526, the title compound was obtained. ESI MS 520.4 M+H+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.0 (s, 1H), 8.84 (s, 1H), 8.36 (bs, 1H), 7.91 (bs, 1H), 7.88 (d, J= 8 Hz, 2H), 7.73-7.71 (d, J= 8 Hz, 2H), 7.60 (s, 1H), 3.85 (bs, 2H), 3,23 (s, 6H), 2.20 (s, 3H), 1.82 (m, 2H), 1.68 (m, 6H).

### Example 2689

3-Bromo-4-chloro-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide hydrochloride

Step A: Synthesis of 3-bromo-4-chloro-*N*-(*cls*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)benzamide hydrochloride.

[0551] Using the procedure of example 2526, the title compound was obtained. ESI MS 466.0 M+H+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  12.0 (s, 1H), 8.32-8.31 (d, J= 4 Hz, 1H), 8.08-8.07 (d, J= 2 Hz, 1H), 7.88-7.86 (d, J= 8 Hz, 1H), 7.73-7.70 (dd, J<sub>1</sub>= 4 Hz, J<sub>2-4</sub> Hz, 1H), 7.57-7.55 (d, J= 8 Hz, 1H), 7.49 (s, 1H), 3.76-3.69 (m, 2H), 3.16 (s, 6H), 2.07 (s, 3H), 1.70 (bs, 2H), 1.55 (bs, 6H).

# Examples 2690-2711

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[0552] Compounds 2690 to 2711 were prepared in a similar manner as described in Example 2590 using the appropriate acid chloride and amine intermediate from Step B.

### Examples 2712-2731

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[0553] Compounds 2712 to 2731 were prepared in a similar manner as described in Example 2591 using the appropriate acid chloride and amine intermediate from Step A.

### Examples 2732-2750

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[0554] Compounds 2732 to 2750 were prepared in a similar manner as described in Example 2592 using the appropriate acid chloride and amine intermediate from Step A.

## **Examples 2751-2770**

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[0555] Compounds 2751 to 2770 were prepared in a similar manner as described in Example 2593 using the appropriate acid chloride and amine intermediate from Step B.

## Examples 2771-2794

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[0556] Compounds 2771 to 2794 were prepared in a similar manner as described in Example 2594 using the appropriate amine and the carboxylic acid intermediate from Step B.

## Examples 2795-2823

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[0557] Compounds 2795 to 2823 were prepared in a similar manner as described in Example 2527 using the appropriate amine and the carboxylic acid intermediate from Step B.

# Examples 2824-2864

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[0558] Compounds 2824 to 2864 were prepared in a similar manner as described in Example 2607 using the appropriate acid chloride and the amine intermediate from Step D.

# Examples 2865-2866

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[0559] Compounds 2865 and 2866 were prepared in a similar manner as described in Example 2611 using the appropriate benzaldehyde and the amine from Step A.

### Examples 2867-2869

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[0560] Compounds 2867 to 2869 were prepared in a similar manner as described in Example 2613 using the appropriate isocyanate and the amine from Step A.

### **Examples 2870-2875**

[0561] Compounds 2870 to 2875 were prepared in a similar manner as described in Example 2615 using the appropriate carboxylic acid and the amine from Step A.

### Example 2876

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[0562] Compound 2876 was prepared in a similar manner as described in Example 2623 using the appropriate 4-chloro mandelic acid and the amine of Step A.

## **Examples 2877-2879**

[0563] Compounds 2877 to 2879 were prepared in a similar manner as described in Example 2638 using the appropriate phenol and the bromoacetamide intermediate of Step B.

## Examples 2880-2884

[0564] Compounds 2880 to 2884 were prepared in a similar manner as described in Example 2644 using the appropriate thiophenol.

### Examples 2885-2895

[0565] Compounds 2885 to 2895 were prepared in a similar manner as described in Example 2647 using the appropriate phenol and the chloropyridyl intermediate of Step A.

### Examples 2896-2940

[0566] Compounds 2896 to 2940 were prepared in a similar manner as described in Example 2523 using the appropriate acid chloride and the amine of Step C.

# Examples 2941-2948

[0567] Compounds 2941 to 2948 were prepared in a similar manner as described in Example 2635 using the appropriate *N*-methylaniline and the bromoacetamide intermediate from step A.

## Examples 2949-2950

[0568] Compounds 2949 and 2950 were prepared in a similar manner as described in Example 2619 using the appropriate carboxylic acid and the amine of Step A.

## Examples 2951-2994

[0569] Compounds 2951 to 2994 were prepared in a similar manner as described in Example 2526 using the appropriate acid chloride and the amine of Step C.

# Example 2995

[0570] Compound 2995 was prepared in a similar manner as described in Example 2628 using phenylsulfonyl chloride and the amine of Step A.

# Examples 2996-3004

[0571] Compounds 2996 to 3004 were prepared in a similar manner as described in Example 2632 using the appropriate benzaldehyde and the amine of Step A.

### Example 3005

[0572] Compound 3005 was prepared in a similar manner as described in Example 2632 using 3-trifluoromethoxy

benzaldehyde and the amine from step C of Example 2526.

### Example 3006

5 [0573] Compound 3006 was prepared in a similar manner as described in Example 2642 using 3,4-difluorobenzoyl chloride and the amine from Step C.

## Examples 3007-3011

[0574] Compounds 3007 to 3011 were prepared in a similar manner as described in Example 2637 using the appropriate phenol and the chloropyridyl intermediate from Step A.

## Examples 3012-3020

[0575] Compounds 3012 to 3020 were prepared in a similar manner as described in Example 2636 using the appropriate phenol and the chloropyridyl intermediate of Step A.

# Examples 3021-3029

20 [0576] Compounds 3021 to 3029 were prepared in a similar manner as described in Example 2657 using the appropriate N-methylaniline and the intermediate prepared in Step C.

## Example 3030

[0577] Compound 3030 was prepared in a similar manner as described in Example 2595 using 3,4-dichlorobenzoyl chloride and the amine of Step A.

[0578] Specific compounds as shown in the Examples and in the Tables herein are represented as a mono or disalt, for example, trifluoroacetate, hydrochloride, and the like; or as a free base. It is understood that these specific representations of the compounds in no way limit the scope of the invention to the respective salt or free base. For example, a trifluoroacetate salt can be readily converted to the corresponding free amine by treatment with a sufficient amount of base and if desired converted to another salt, for example, a pharmaceutically acceptable salt as described berein

[0579] It is understood that the present invention embraces compounds, as disclosed herein, as free bases, inorganic salts, and organic salts; and as solvates, and hydrates thereof.

[0580] Compounds in the subsequent table are listed specifically as the free base and may have been specifically isolated as a trifluoroacetate, hydrochloride, or like salt as dictated by the specific synthetic procedure.

Ex. No.	compound name	MS	class
2690	N-[(cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)methyl] benzamide	368 (M + H)	3
2691	N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-4-methylbenzamide	382 (M + H)	3
2692	N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3,4-difluorobenzamide	404 (M + H)	2
2693	N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-4-methoxybenzamide	398 (M + H)	3
2694	N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3,5-dimethoxybenzamide	428 (M + H)	1
2695	N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)methyl]-3-fluoro-4-methylbenzamide	400 (M + H)	2
2696	N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)methyl]-4-fluoro-3-methylbenzamide	400 (M + H)	2

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	Ex. No.	compound name	MS	class
5	2697	N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino] cyclohexyl) methyl]-3-(trifluoromethyl)benzamide	436 (M + H)	1
	2698	N-((cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) methyl]-4-(trifluoromethyl)benzamide	436 (M + H)	3
10	2699	N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)methyl]-3-(trifluoromethoxy)benzamide	452 (M + H)	2
	2700	N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)methyl]-4-(trifluoromethoxy)benzamide	452 (M + H)	2
15	2701	4-cyano-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino] cyclohexyl)methyl]benzamide	393 (M + H)	2
	2702	4-bromo-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino] cyclohexyl)methyl]benzamide	446 (M + H)	1
20	2703	4-bromo-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino] cyclohexyl)methyl]-3-methylbenzamide	460 (M + H)	1
	2704	3-chloro-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino] cyclohexyl)methyl)-4-fluorobenzamide	420 (M + H)	1
25	2705	N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3-fluoro-4-(trifluoromethyl)benzamide	454 (M + H)	2
	2706	3,5-dichloro-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	436 (M + H)	1
30	2707	3,4-dichloro-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	436 (M + H)	1
	2708	N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-2,2-difluoro-1,3-benzodioxole-5-carboxamide	448 (M + H)	2
35	2709	N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl] biphenyl-4-carboxamide	444 (M + H)	3
	2710	4-chloro-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	402 (M + H)	2
40	2711	N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino }cyclohexyl) methyl]-3,5-dimethoxybenzamide	428 (M + H)	2
	2712	N-(cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl] benzamide	368 (M + H)	3
45	2713	N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-4-methylbenzamide	382(M + H)	3
	2714	N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-3,4-difluorobenzamide	404 (M + H)	3
50	2715	N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-4-methoxybenzamide	398 (M + H)	3
	2716	N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-3,5-dimethoxybenzamide	428 (M + H)	2
56	2717	N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-3-fluoro-4-methylbenzamide	400 (M + H)	3
55	2718	N-[cis-4-(1[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl) cyclohexyl]-4-fluoro-3-methylbenzamide	400 (M + H)	2

	Ex. No.	compound name	MS	class
5	2719	$N-[cis-4-(\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}methyl)cyclohexyl]-3-(trifluoromethyl)benzamide$	436 (M + H)	3
	2720	N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-4-(trifluoromethyl)benzamide	436 (M + H)	3
10	2721	N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino }methyl) cyclohexyl]-3-(triftuoromethoxy)benzamide	452 (M + H)	3
i	2722	N-(cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl) cyclohexyl]-4-(trifluoromethoxy)benzamide	452 (M + H)	3
15	2723	4-cyano-N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl) cyclohexyl]benzamide	393 (M + H)	3
	2724	4-bromo-N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl) cyclohexyl]benzamide	446 (M + H)	3
20	2725	4-bromo-N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl) cyclohexyl]-3-methylbenzamide	460 (M + H)	2
	2726	3-chloro-N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl) cyclohexyl]-4-fluorobenzamide	420 (M + H)	2
25	2727	N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-3-fluoro-4-(trifluoromethyl)-benzamide	454 (M + H)	3
	2728	'3,5-dichloro-N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} methyl)cyclohexyl]benzamide	436 (M + H)	2
30	2729	3,4-dichloro-N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} methyl)cyclohexyl]benzamide	436 (M + H)	3
	2730	N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]- 2,2-difluoro-1,3-benzodioxole-5-carboxamide	448 (M + H)	3
35	2731	N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-3,5-bis(trifluoromethyl)benzamide	504 (M + H)	2
	2732	N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl)cyelohexyl] benzamide	368 (M+H)	3
40	2733	N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} methyl) cyclohexyl]-4-methylbenzamide	382 (M+H)	3
	2734	N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} methyl) cyclohexyl]-3,4-difluorobenzamide	404 (M + H)	3
45	2735	N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]- 4-methoxybenzamide	398 (M + H)	3
· <b>-</b>	2736	N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-3,5-dimethoxybenzamide	428 (M + H)	3
50	2737	N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-3-fluoro-4-methylbenzamide	400 (M + H)	3
	2738	N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-4-fluoro-3-methylbenzamide	400 (M + H)	3
55	2739	N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-3-(trifluoromethyl)benzamide	436 (M + H)	3
33	2740	N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-4-(trifluoromethyl)benzamide	436 (M + H)	3

	Ex. No.	compound name	MS	class
5	2741	N-{cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-3-(trifluoromethoxy)benzamide	452 (M + H)	3
	2742	N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-4-(trifluoromethoxy)benzamide	452 (M + H)	3
10	2743	4-cyano-N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl) cyclohexyl]benzamide	393 (M + H)	3,
	2744	4-bromo-N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl) cyclohexyl]benzamide	446 (M + H)	2
15	2745	4-bromo-N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl) cyclohexyl]-3-methylbenzamide	460 (M + H)	2
	2746	3-chloro-N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl) cyclohexyl]-4-fluorobenzamide	420 (M + H)	3
20	2747	N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino]methyl)cyclohexyl]-3-fluoro-4-(trifluoromethyl)-benzamide	454 (M + H)	3
	2748	3,5-dichloro-N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} methyl)cyclohexyl]benzamide	436 (M + H)	2
25	2749	3,4-dichloro-N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} methyl)cyclohexyl]benzamide	436 (M + H)	2
	2750	N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-2,2-difluoro-1,3-benzodioxole-5-carboxamide	448 (M + H)	3
30	2751	N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)methyl] benzamide	368 (M + H)	3
	2752	N-[(cis-4-[[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-4-methylbenzamide	382 (M + H)	3
35	2753	N-[(cis-4-[[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3,4-difluorobenzamide	404 (M + H)	3
	2754	N-[(cis-4-[[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-4-methoxybenzamide	398 (M + H)	3
40	2755	N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3-fluoro-4-methylbenzamide	400 (M + H)	2
	2756	N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-4-fluoro-3-methylbenzamide	400 (M + H)	3
45	2757	N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3-(trifluoromethyl)benzamide	436 (M + H)	3
	2758	N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-4-(trifluoromethyl)benzamide	436 (M + H)	2
50	2759	N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3-(trifluoromethoxy)benzamide	452 (M + H)	3
30	2760	N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-4-(trifluoromethoxy)benzamide	452 (M + H)	3
	2761	4-cyano-N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	393 (M + H)	3
55	2762	4-bromo-N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	446 (M + H)	1

	Ex. No.	compound name	MS	class
5	2763	4-bromo-N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)methyl]-3-methylbenzamide	460 (M + H)	1
	2764	3-chloro-N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)methyl]-4-fluorobenzamide	420 (M + H)	1
10	2765	N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3-fluoro-4-(trifluoromethyl)-benzamide	454 (M + H)	2
	2766	3,5-dichloro-N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	436 (M + H)	2
15	2767	3,4-dichloro-N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	436 (M + H)	2
	2768	N-[(cis-4-[[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-2,2-difluoro-1,3-benzodioxole-5-carboxamide	448 (M + H)	
20	2769	N-[(cis-4-[[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)methyl] biphenyl-4-carboxamide	444 (M + H)	
	2770	4-chloro-N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)methyl]benzamide	402 (M + H)	2
25	2771	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-phenylethyl] cyclohexanecarboxamide	382 (M + H)	2
	2772	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)ethyl]cyclohexanecarboxamide	396 (M + H)	1
30	2773	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)- 1-(4-fluorophenyl)ethyl]cyclohexanecarboxamide	400 (M + H)	. 1
	2774	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)- 1-(4-fluorophenyl)ethyl]cyclohexanecarboxamide	400 (M + H)	2
35	2775	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(3-methoxyphenyl)ethyl]cyclohexanecarboxamide	412 (M + H)	1
	2776	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(3-methoxyphenyl)ethyl]cyclohexanecarboxamide	412 (M + H)	1
40	2777	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methoxyphenyl)ethyl]cyclohexanecarboxamide	412 (M + H)	. 1
	2778	cis-N-[(1R)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin- 2-yl]amino}cyclohexanecarboxamide	416 (M + H)	1
45	2779	cis-N-[1-(4-bromophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexanecarboxamide	460 (M + H)	1
	2780	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(4-nitrophenyl)ethyl]cyclohexanecarboxamide	427 (M + H)	1
50	2781	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-nitrophenyl)ethyl]cyclohexanecarboxamide	427 (M + H)	2
50	2782	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(1-naphthyl) ethyl]cyclohexanecarboxamide	432 (M + H)	1
	2783	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(1-naphthyl) ethyl]cyclohexanecarboxamide	432 (M + H)	1
55	2784	cis-4-{(4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-(3-fluorophenyl) cyclohexanecarboxamide	372 (M + H)	2

	Ex. No.	compound name	MS	class
5	2785	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-(4-propylphenyl) cyclohexanecarboxamide	396 (M + H)	2
	2786	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-(4-methoxyphenyl) cyclohexanecarboxamide	396(M+H)	2
10	2787	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-(3-methoxyphenyl) cyclohexanecarboxamide	384 (M + H)	1
	2788	cis-N-(3-chlorophenyl)-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide	388 (M + H)	1
15	2789	cis-N-(2-bromophenyl)-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide	432 (M + H)	3
	2790	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S,2R)-2-phenylcyclopropyl]cyclohexanecarboxamide	394 (M + H)	1
20	2791	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[4-(trifluoromethyl) phenyl]cyclohexanecarboxamide	422 (M + H)	1
	2792	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[2-(methylthio) phenyl]cyclohexanecarboxamide	400 (M + H)	2
25	2793	N2-[cis-4-(3,4-dihydroisoquinolin-2(1H)-ylcarbonyl)cyclohexyl] -N4,N4,5-trimethylpyrimidine-2,4-diamine	394 (M + H)	3
	2794	cis-N-(4-chlorophenyl)-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-methylcyclohexanecarboxamide	402 (M + H)	
30	2795	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[(1S)- 1-(4-methylphenyl)ethyl]cyclohexanecarboxamide	396 (M + H)	1
	2796	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[(1R)- 1-(3-methoxyphenyl)ethyl]cyclohexanecarboxamide	412 (M + H)	2
35	2797	cis-N-[(1S)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-6-methylpyrimidin- 2-yl]amino}cyclohexanecarboxamide	416 (M + H)	1
	2798	cis-N-benzyl-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide	368 (M + H)	2
40	2799	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(4-fluorobenzyl) cyclohexanecarboxamide	386 (M + H)	2
	2800	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(2-fluorobenzyl) cyclohexanecarboxamide	386 (M + H)	2
45	2801	cis-N-(3,4-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimdin-2-yl]amino} cyclohexanecarboxamide	404 (M + H)	1
	2802	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[(1S)- 1-(4-methoxyphenyl)ethyl]cyclohexanecarboxamide	412 (M + H)	1
50	2803	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[(1S)- 1-(3-methoxyphenyl)ethyl]cyclohexanecarboxamide	412 (M + H)	1
	2804	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N- [(1R)- 1-(4-fluorophenyl)ethyl]cyclohexanecarboxamide	400 (M + H)	.2
55	2805	cis-N-[(1R)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide	416 (M + H)	1
**	2806	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(3-iodobenzyl) cyclohexanecarboxamide	494 (M + H)	1

	Ex. No.	compound name	MS	class
5	2807	cis-N-(2,4-dichlorobenzyl)-4-{[4-(dimethylamino}-6-methylpyrimidin-2-yl]amino cyclohexanecarboxamide	436 (M + H)	1
	2808	cis-N-(2,5-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide	436 (M + H)	1
10	2809	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(4-methylbenzyl) cyclohexanecarboxamide	382 (M + H)	1
	2810	cis-N-(3,5-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide	436 (M + H)	1
15	2811	cis-N-(3,5-dimethoxybenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl] amino}cyclohexanecarboxamide	428 (M + H)	1
	2812	cis-N-(3-chlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide	402 (M + H)	1
20	2813	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[3-(trifluoromethyl) benzyl]cyclohexanecarboxamide	436 (M + H)	2
	2814	cis-N-[3,5-bis(trifluoromethyl)benzyl]-4-{[4-(dimethylamino)-6-methylpyrimidin- 2-yl]amino}cyclohexanecarboxamide	504 (M + H)	1
25	2815	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(3-methoxybenzyl) cyclohexanecarboxamide	398 (M + H)	1
	2816	cis-N-(4-chlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide	402 (M + H)	1
30	2817	cis-N-(3,4-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide	436 (M + H)	1
	2818	cis-N-(2,4-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide	404 (M + H)	1
35	2819	cis-N-(2,5-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide	404 (M + H)	1
	2820	cis-N-(2,3-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide	404 (M + H)	1
40	2821	cis-N-(4-bromo-2-fluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl] amino}cyclohexanecarboxamide	464 (M + H)	1
	2822	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(3-methylbenzyl) cyclohexanecarboxamide	382 (M + H)	1
45	2823	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} -N-[2-(trifluoromethoxy)benzyl]cyclohexanecarboxamide	452 (M + H)	1
	2824	N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 3-methoxybenzamide	398 (M + H)	1
50	2825	N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 2,6-dihydroxyisonicotinamide	401 (M + H)	3
	2826	N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl) pyrazine-2-carboxamide	370 (M + H)	3
55	2827	N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 6-hydroxynicotinamide	385 (M + H)	3
33	2828	N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 5-methylisoxazole-3-carboxamide	373 (M + H)	2

2829   2,4,5,-diffuorophenyl)-N-(cis-4-[(4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl] amino]cyclohexyl)-2-hydroxyacetamide	class
2-methyl-1,3-oxazole-4-carboxamide   2831	2
2-methylnicotinamide	2
2,6-dimethoxynicotinamide   2833   3-amino-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   385 (M + H)   2834   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   2835   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)   2-ethoxynicotinamide   2835   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)   369 (M + H)   2836   3-cyano-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   393 (M + H)   2837   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   393 (M + H)   3-methylbenzamide   2838   3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   402 (M + H)   2839   3-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   446 (M + H)   2840   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   428 (M + H)   3,5-dimethoxybenzamide   2841   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)-   3,5-bis(trifluoromethyl)benzamide   2842   3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   436 (M + H)   2842   3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)-   452 (M + H)   4-(trifluoromethoxy)benzamide   2843   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)-   452 (M + H)   4-(trifluoromethoxy)benzamide   2845   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)-   4-methylbenzamide   2846   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)-   386 (M + H)   4-(cib-ex)/benzamide   2847   4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)-   386 (M + H)   4-(cib-ex)/benzamide   2848   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)-   386 (M + H)   4-(cib-ex)/benzamide   386 (M + H)	3
2834	1
2-ethoxynicotinamide   2835   N-(cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)   369 (M + H)   369 (M + H)   2836   3-cyano-N-(cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]   393 (M + H)   2837   N-(cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)   382 (M + H)   3-methylbenzamide   2838   3-chloro-N-(cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]   402 (M + H)   cyclohexyl)benzamide   2839   3-bromo-N-(cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]   446 (M + H)   cyclohexyl)benzamide   2840   N-(cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)   3,5-dimethoxybenzamide   2841   N-(cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)   3,6-bis(trifluoromethyl)benzamide   2842   3,4-dichloro-N-(cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)   452 (M + H)   4-(trifluoromethoxy)benzamide   2843   N-(cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)   452 (M + H)   4-(trifluoromethoxy)benzamide   2844   4-cyano-N-(cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]   393 (M + H)   4-methylbenzamide   2845   N-(cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)   386 (M + H)   4-methylbenzamide   2847   4-chloro-N-(cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)   386 (M + H)   4-methylbenzamide   2848   N-(cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)   386 (M + H)   4-methylbenzamide   2848   N-(cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)   386 (M + H)   4-cyclohexyl)benzamide   2848   N-(cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino]cyclohexyl)   398 (M + H)   398 (M	2
2836   3-cyano-N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino} cyclohexyl)benzamide   2837   N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino} cyclohexyl)benzamide   2838   3-chloro-N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino} cyclohexyl)benzamide   2839   3-bromo-N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino} cyclohexyl)benzamide   2840   N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino} cyclohexyl)benzamide   2841   N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 3,5-dimethoxybenzamide   2842   3,4-dichloro-N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino} cyclohexyl)benzamide   2843   N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino} cyclohexyl)benzamide   2844   4-cyano-N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino} cyclohexyl)benzamide   2845   N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino} cyclohexyl)benzamide   2846   N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 4-methylbenzamide   2846   N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 4-methylbenzamide   2847   4-chloro-N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 2847   4-chloro-N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 2848   N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 2848   N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 388 (M + H)   2848   N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 398 (M + H)   39	3
2837   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-  3-methylbenzamide   2838   3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   402 (M + H)   cyclohexyl)benzamide   2839   3-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   446 (M + H)   cyclohexyl)benzamide   2840   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-  3,5-dimethylpyrimidin-2-yl]amino)cyclohexyl)-  3,5-dimethylpyrimidin-2-yl]amino)cyclohexyl)-  3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-  436 (M + H)   2842   3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-  4-(trifluoromethoxy)benzamide   2844   4-cyano-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-  4-(trifluoromethoxy)benzamide   2845   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-  393 (M + H)   4-methylbenzamide   2846   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-  386 (M + H)   4-fluorobenzamide   2847   4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-  386 (M + H)   4-gyclohexyl)benzamide   2848   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-  398 (M + H)	3
2838   3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   402 (M + H)	1
2839   3-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   2846 (M + H)	1
2840   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-   3,5-dimethoxybenzamide   2841   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-   3504 (M + H)   3,5-bis(trifluoromethyl)benzamide   2842   3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   436 (M + H)   436 (M + H)   440   4-cyano-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   452 (M + H)   4-(trifluoromethoxy)benzamide   2844   4-cyano-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   393 (M + H)   4-methylbenzamide   2845   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   382 (M + H)   4-methylbenzamide   2846   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   386 (M + H)   4-fluorobenzamide   2847   4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   402 (M + H)   4-methylbenzamide   2848   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   402 (M + H)   4-methylbenzamide   2848   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   402 (M + H)   4-methylbenzamide   2848   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   402 (M + H)   4-methylbenzamide   402 (M + H)   4-methy	1
3,5-dimethoxybenzamide   2841   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-   504 (M + H)   3,5-bis(trifluoromethyl)benzamide   2842   3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   436 (M + H)   436 (M + H)   436 (M + H)   440	1
3,5-bis(trifluoromethyl)benzamide   2842   3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   436 (M + H)   cyclohexyl)benzamide   2843   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-   4-(trifluoromethoxy)benzamide   2844   4-cyano-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   393 (M + H)   cyclohexyl)benzamide   2845   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-   4-methylbenzamide   2846   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-   386 (M + H)   4-fluorobenzamide   2847   4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   402 (M + H)   cyclohexyl)benzamide   2848   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-   398 (M + H)	1
2843   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-   452 (M + H)	1
4-(trifluoromethoxy)benzamide  2844	1
2845   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-   382 (M + H)   4-methylbenzamide   2846   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-   386 (M + H)   4-fluorobenzamide   2847   4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}   402 (M + H)   50   2848   N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-   398 (M + H)   398 (M + H)	2
4-methylbenzamide  2846 N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 4-fluorobenzamide  2847 4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino} cyclohexyl)benzamide  2848 N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 398 (M + H)	1
4-fluorobenzamide  2847 4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino} double described des	1
cyclohexyl)benzamide  2848 N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 398 (M + H)	1
2848 N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 398 (M + H)	1
z-methoxyberizamide	2
2849 4-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino} cyclohexyl)benzamide 446 (M + H)	1
2850 N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 4-(trifluoromethyl)benzamide 436 (M + H)	1

	Ex. No.	compound name	MS	class
5	2851	N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-4-ethoxybenzamide	412 (M + H)	3
	2852	4-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino} cyclohexyl)-3-methylbenzamide	460 (M + H)	1
10	2853	N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-4-methylbenzamide	400 (M + H)	1
	2854	N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide	400 (M + H)	1
15	2855	N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-ethylbenzamide	396 (M + H)	2
	2856	N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 3-(trifluoromethoxy)benzamide	452 (M + H)	1
20	2857	5-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino} cyclohexyl)nicotinamide	447 (M + H)	1
	2858	N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 5-methylthiophene-2-carboxamide	388 (M + H)	1
25	2859	N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-6-(trifluoromethyl)nicotinamide	437 (M + H)	2
	2860	N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3,5-diethoxybenzamide	456 (M + H)	1
30	2861	N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 3-ethoxybenzamide	412 (M + H)	1
	2862	N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 3-isopropoxybenzamide	426 (M + H)	1
35	2863	N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 6-hydroxypyridine-2-carboxamide	385 (M + H)	3
	2864	N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)- 3,4-difluorobenzamide	404 (M + H)	1
40	2865	N4,N4,5,6-tetramethyl-N2-(cis-4-{[3-(trifluoromethoxy)benzyl]amino} cyclohexyl)pyrimidine-2,4-diamine	438 (M + H)	3
	2866	N2-{cis-4-[(3,4-difluorobenzyl)amino]cyclohexyl} -N4,N4,5,6-tetramethylpyrimidine-2,4-diamine	390 (M + H)	2
45	2867	N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)urea	433 (M + H)	1
	2868	N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl) -N'-(2-ethoxyphenyl)urea	427 (M + H)	1
50	2869	N-[4-(benzyloxy)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)urea	489 (M + H)	3
	2870	1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)cyclopropanecarboxamide	428 (M + H)	1
<b>5</b> 5	2871	1-(2,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)cyclopropanecarboxamide	462 (M + H)	1
55	2872	2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)acetamide	402 (M + H)	1

	Ex. No.	compound name	MS	class
. <b>5</b>	2873	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)- 1-(4-methylphenyl)-cyclopropanecarboxamide	408 (M + H)	1
	2874	2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)propanamide	416 (M + H)	1
10	2875	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-1-(4-methoxyphenyl)-cyclopropanecarboxamide	424 (M + H)	1
	2876	2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)-2-hydroxyacetamide	418 (M + H)	1
15	2877	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-methoxyphenoxy)acetamide	414 (M + H)	1
	2878	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-[3-(trifluoromethyl)phenoxy]acetamide	452 (M + H)	1
20	2879	2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)acetamide	418 (M + H)	1
	2880	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{ [2-(trifluoromethyl)phenyl]-sulfinyl}acetamide	484 (M + H)	1
25	2881	2-[(2-chlorophenyl)sulfinyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)acetamide	450 (M + H)	1
	2882	2-[(3-bromophenyl)sulfinyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)acetamide	494 (M + H)	1
30	2883	2-[(3,4-difluorophenyl)sulfinyl]-N-(cis-4-)[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)acetamide	452 (M+ H)	2
	2884	2-[(3,4-difluorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)acetamide	468 (M + H)	
35	2885	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-methylphenoxy)nicotinamide	461 (M + H)	1
	2886	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-fluorophenoxy)nicotinamide	465 (M + H)	1
40	2887	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-methoxyphenoxy)nicotinamide	477 (M + H)	1
	2888	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(4-methoxyphenoxy)nicotinamide	477 (M + H)	1
45	2889	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(4-iodophenoxy)nicotinamide	573 (M + H)	1
	2890	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(2-methoxyphenoxy)nicotinamide	477 (M + H)	1
50	2891	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(2-fluorophenoxy)nicotinamide	465 (M + H)	1
50	2892	2-(2-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)nicotinamide	481 (M + H)	1
	2893	2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)nicotinamide	481 (M + H)	1
55	2894	2-(3-bromophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)nicotinamide	525 (M + H)	1

	Ex. No.	compound name	MS	class
5	2895	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-[3-(trifluoromethyl)phenoxy]-nicotinamide	51 <u>.</u> 5 (M + H)	1
	2896	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethyl)benzamide	422 (M + H)	1
10	2897	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluorobenzamide	372 (M + H)	1
	2898	3-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)benzamide	432 (M + H)	1
15	2899	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide	372 (M + H)	1
	2900	N-{cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide	414 (M + H)	1
20	2901	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)- 2,4-difluorobenzamide	390 (M + H)	1
	2902	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)- 2,5-difluorobenzamide	390 (M + H)	1
25	2903	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2,3,4-trifluorobenzamide	408 (M + H)	1
	2904	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) benzamide	354 (M + H)	2
30	2905	4-tert-butyl-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)benzamide	410 (M + H)	1
	2906	4-butyl-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) benzamide	410 (M + H)	
35	2907	4-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)benzamide	388 (M + H)	1
	2908	3-cyano-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)benzamide	379(M + H)	1
40	2909	4-cyano-N-(cis-4-{(4-(dimethylamino)-5-methylpyrimidin-2-yl]amino) cyclohexyl)benzamide	379 (M + H)	1
	2910	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)- 2-methoxybenzamide	384 (M + H)	3
45	2911	4-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)benzamide	432 (M + H)	1
	2912	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethyl)benzamide	422 (M + H)	1
50	2913	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-methoxybenzamide	384 (M+H)	3
	2914	2-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)benzamide	432 (M + H)	3
<i></i>	2915	2-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)benzamide	388 (M + H)	3
55	2916	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)- 2-fluorobenzamide	372 (M + H)	3

	Ex. No.	compound name	MS	class
5	2917	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)- 2-methylbenzamide	368 (M + H)	3
	2918	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)- 2-(trifluoromethyl)benzamide	422 (M+H)	3
10	2919	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-(trifluoromethyl)benzamide	440 (M + H)	1
	2920	4-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)-3-methylbenzamide	446 (M + H)	1
15	2921	N-(cis-4-{ [4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)- 4-ethoxybenzamide	398 (M + H)	2
	2922	3-(dimethylamino)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)benzamide	397 (M + H)	
20	2923	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide	386 (M + H)	1
	2924	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-4-methylbenzamide	386 (M + H)	1
25	2925	N-(cis-4-{(4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)- 3-ethylbenzamide	382 (M + H)	1
	2926	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2,2-difluoro-1,3-benzodioxole-5-carboxamide	434 (M + H)	1
30	2927	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-ethoxybenzamide	398 (M + H)	2
	2928	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-isopropoxybenzamide	412 (M + H)	2
35	2929	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-diethoxybenzamide	442 (M + H)	1
	2930	N-{cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-5-(triftuoromethyl)benzamide	440 (M + H)	1
40	2931	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-4-(trifluoromethyl)benzamide	440 (M + H)	3
	2932	3-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)-4-fluorobenzamide	406 (M + H)	3
45	2933	3,5-dibromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)benzamide	510 (M + H)	1
	2934	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethylbenzamide	382 (M + H)	1
50	2935	4-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)-3-methylbenzamide	402 (M + H)	1
50	2936	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)- 4-methoxy-3-(trifluoromethyl)benzamide	452 (M + H)	1
	2937	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide	368 (M + H)	1
55	2938	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methoxybenzamide	384 (M + H)	1

	Ex. No.	compound name	MS	class
5 10 15	2939	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-methylbenzamide	368 (M + H)	1
	2940	3-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)benzamide	388 (M + H)	1
	2941	N~ 2~ -(3-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)-N2-methylglycinamide	431 (M + H)	1
	2942	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N2-methyl-N2-(3-methylphenyl)-glycinamide	411 (M + H)	1
	2943	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N2-(3-fluorophenyl)-N2-methylglycinamide	415 (M + H)	1
	2944	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N2-(4-fluorophenyl)-N2-methylglycinamide	415 (M + H)	1
	2945	N2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)-N2-methylglycinamide	431 (M + H)	1
	2946	N2-(3,4-difluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)-N2-methylglycinamide	433 (M + H)	1
25	2947	N-(cis-4-[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N2-(3-methoxyphenyl)-N2-methylglycinamide	427 (M + H)	1
	2948	N-(cis-4-[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N2-(4-methoxyphenyl)-N2-methylglycinamide	427 (M + H)	2
30	2949	2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)-2-methylpropanamide	430 (M + H)	1
	2950	2-[3,5-bis(trifluoromethyl)phenyl]-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)acetamide	504 (M + H)	2
35	2951	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl) benzamide	354 (M + H)	3
	2952	4-butyl-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl) benzamide	410 (M + H)	3
40	2953	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 3-fluorobenzamide	372 (M + H)	2
	2954	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 3-(trifluoromethyl)benzamide	422 (M + H)	1
45	2955	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 2-methoxybenzamide	384 (M + H)	3
	2956	N-(cis-4-([4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 4-methoxybenzamide	384 (M + H)	3
50	2957	3-cyano-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)benzamide	379 (M + H)	1
	2958	4-cyano-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)benzamide	379 (M + H)	1
55	2959	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 3,5-dimethoxybenzamide	414 (M + H)	1
	2960	N-(cis-4-([4-(dimethylamino)-6-methylpyrimidin-2-yl]amino) cyclohexyl)-3-fluoro-4-(trifluoromethyl)benzamide	440 (M + H)	2

	Ex. No.	compound name	MS	class
5	2961	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-(trifluoromethyl)benzamide	440 (M + H)	1
	2962	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-5-(trifluoromethyl)benzamide	440 (M + H)	1
10	2963	3-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)-4-fluorobenzamide	406 (M + H)	1
	2964	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide	386 (M + H)	1
	2965	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino) cyclohexyl)-3-fluoro-4-methylbenzamide	386 (M + H)	1
	2966	3,5-dichloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)benzamide	422 (M + H)	1
20	2967	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 3-(trifluoromethoxy)benzamide	438 (M + H)	1
	2968	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]aminocyclohexyl)-3,5-difluorobenzamide	390 (M + H)	1
25	2969	4-bromo-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)-3-methylbenzamide	446 (M + H)	1
	2970	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 3-ethylbenzamide	382 (M + H)	3
30	2971	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 4-ethoxybenzamide	398 (M + H)	3
	2972	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 4-(trifluoromethyl)benzamide	422 (M + H)	2
35	2973	4-bromo-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)benzamide	432 (M + H)	1
	2974	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 4-ethylbenzamide	382 (M + H)	2
40	2975	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 3,5-diethoxybenzamide	442 (M + H)	1
	2976	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 3-ethoxybenzamide	398 (M + H)	2
45	2977	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 3-isopropoxybenzamide	412 (M + H)	1
	2978	5-bromo-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)nicotinamide	433 (M + H)	1
50	2979	5-bromo-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)-2-furamide	422 (M + H)	1
	2980	5-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)-2-furamide	378 (M + H)	1
66	2981	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-methoxy-3-(trifluoromethyl)benzamide	452 (M + H)	2
55	2982	4-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)-3-(trifluoromethyl)benzamide	456 (M + H)	1

# (continued)

	Ex. No.	compound name	MS	class
5	2983	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 3-methylbenzamide	368 (M + H)	1
	2984	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl}-3-methoxybenzamide	384 (M + H)	1
10 15 20	2985	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 4-methylbenzamide	368 (M + H)	1
	2986	4-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)benzamide	388 (M + H)	1
	2987	3-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)benzamide	388 (M + H)	1
	2988	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide	390 (M + H)	1
	2989	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 4-(trifluoromethoxy)benzamide	438 (M + H)	3
	2990	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 4-fluorobenzamide	372 (M + H)	1
	2991	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 3,4,5-trimethoxybenzamide	444 (M + H)	1
	2992	N-(4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 3-nitrobenzamide	399 (M + H)	1
30	2993	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 2,2-diphenylacetamide	444 (M + H)	1
	2994	3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)benzamide	422 (M + H)	1
35	2995	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) benzenesulfonamide	390 (M + H)	3
	2996	N4,N4,5-trimethyl-N2-{cis-4-[(4-methylbenzyl)amino]cyclohexyl } pyrimidine- 2,4-diamine	354 (M + H)	3
40	2997	N2-{cis-4-[(3,4-difluorobenzyl)amino}cyclohexyl}-N4,N4,5-trimethylpyrimidine- 2,4-diamine	376 (M + H)	3
	2998	N2-{cis-4-[(3-chlorobenzyl)amino]cyclohexyl}-N4,N4,5-trimethylpyrimidine- 2,4-diamine	374 (M + H)	3
45	2999	N2-{cis-4-[(3-bromobenzyl)amino]cyclohexyl}-N4,N4,5-trimethylpyrimidine- 2,4-diamine	418 (M + H)	3
,,	3000	N2-{cis-4-[(3,5-dimethoxybenzyl)amino]cyclohexyl} -N4,N4,5-trimethylpyrimidine-2,4-diamine	400 (M + H)	2
50	3001	N2-{cis-4-[(3,5-dichlorobenzyl)amino]cyclohexyl)-N4,N4,5-trimethylpyrimidine- 2,4-diamine	408 (M +H)	3
50	3002	N2-{cis-4-[(3,4-dichlorobenzyl)amino]cyclohexyl}-N4,N4,5-trimethylpyrimidine-2,4-diamine	408 (M + H)	3
55	3003	N2-{cis-4-[(4-methoxy-3-methylbenzyl)amino]cyclohexyl} -N4,N4,5-trimethylpyrimidine-2,4-diamine	384 (M + H)	3
55	3004	N4,N4,5-trimethyl-N2-(cis-4-{[3-(trifluoromethoxy)benzyl]amino}cyclohexyl) pyrimidine-2,4-diamine	424 (M + H)	3

# (continued)

	Ex. No.	compound name	MS	class
5	3005	N4,N4,6-trimethyl-N2-(cis-4-{[3-(trifluoromethoxy)benzyl]amino}cyclohexyl) pyrimidine-2,4-diamine	424 (M + H)	3
	3006	N-(cis-4-{[4-(dimethylamino)-5-(trifluoromethyl)pyrimidin-2-yl]amino} cyclohexyl)-3,4-difluorobenzamide	444 (M + H)	3
15	3007	N-[((1R,3S)-3-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclopentyl) methy]-6-(3-fluorophenoxy)nicotinamide	465 (M + H)	
	3008	6-(3-chlorophenoxy)-N-[((1R,3S)-3-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclopentyl)methyl]nicotinamide	481 (M + H)	
	3009	3009 N-[((1R,3S)-3-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclopentyl)methyl]-6-(3-methoxyphenoxy) nicotinamide	477 (M + H)	
	3010	N-[((1R,3S)-3-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclopentyl) methyl]-6-(2-methoxyphenoxy)nicotinamide	477 (M + H)	
	3011	N-[((1R,3S)-3-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclopentyl) methyl]-6-(2-fluorophenoxy)nicotinamide	465 (M + H)	
	3012	2-(4-bromophenoxy)-N-[((1R,3S)-3-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclopentyl)methyl]nicotinamide	525 (M + H)	2
25	3013	N-[((1R,3S)-3-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclopentyl) methyl]-2-(2-methoxyphenoxy)nicotinamide	477 (M + H)	1
	3014	2-(2-bromophenoxy)-N-[((1R,3S)-3-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclopentyl)methyl]nicotinamide	525 (M + H)	3
30	3015	N-[((1R,3S)-3-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclopentyl) methyl]-2-(2-fluorophenoxy)nicotinamide	465 (M + H)	1
	3016	N-[((1R,3S)-3-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclopentyl) methyl]-2-(4-methoxyphenoxy)-nicotinamide	477 (M + H)	
35	3017	N-[((1R,3S)-3-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclopentyl) methyl]-2-(3-fluorophenoxy)nicotinamide	465 (M + H)	3
	3018	2-(3-chlorophenoxy)-N-[((1R,3S)-3-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclopentyl)methyl]nicotinamide	481 (M + H)	3
40	3019	2-(3-chloro-4-fluorophenoxy)-N-[((1R,3S)-3-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclopentyl)methyl]nicotinamide	499 (M + H)	2
	3020	2-(4-chloro-3-fluorophenoxy)-N-[((1R,3S)-3-{[ 4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclopentyl)methyl]nicotinamide	499 (M + H)	3
45	3021	N-(3-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)-N-methylurea	417 (M + H)	1
40	3022	N-(3,4-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)-N-methylurea	451 (M + H)	1
50	3023	N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methyl-N-(3-methylphenyl)urea	397 (M + H)	1
<i>50</i>	3024	N'-(cis-4-{{4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methyl-N-(4-methylphenyl)urea	397 (M + H)	1
	3025	N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N-(3-fluorophenyl)-N-methylurea	401 (M + H)	1
55	3026	N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N-(4-fluorophenyl)-N-methylurea	401 (M + H)	1

# (continued)

Ex. No.	compound name	MS	class
3027	N-(4-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)-N-methylurea	417 (M + H)	1
3028	N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N-(3-methoxyphenyl)-N-methylurea	413 (M + H)	1
3029	N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N-(4-methoxyphenyl)-N-methylurea	413 (M + H)	1
3030	3,4-dichloro-N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino} cyclohexyl)benzamide	408 (M + H)	3

# 5 Example 3031

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# 3,4-Difluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

# Step A: Synthesis of (cis-4-benzyloxycarbonylamino-cyclohexyl)-carbamic acid benzyl ester.

[0581] To a suspension of cis-cyclohexane-1,4-dicarboxylic acid (25.0 g, 145 mmol) in benzene (125 mL) were added phosphorazidic acid diphenyl ester (81.9 g, 298 mmol) and triethylamine (30.1 g, 297 mmol). The reaction mixture was stirred at reflux for 2.5 hr. Benzyl alcohol (32.2 g, 298 mmol) was added and the mixture was stirred at reflux for 24 hr. The reaction mixture was concentrated and the residue was dissolved in EtOAc and  $H_2O$ . The organic layer was separated and the aqueous layer was extracted with EtOAc (twice). The combined organic layer was washed with 1 M aqueous KHSO<sub>4</sub>, saturated aqueous NaHCO<sub>3</sub>, and brine, dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by flash chromatography (silica gel, 33% EtOAc in hexane) to give (cis-4-benzyloxycarbonylamino-cyclohexyl)-carbamic acid benzyl ester (52.0 g, 94%) as a colorless oil.

ESI MS m/e 405, M + Na+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  7.15-7.40 (m, 10 H), 5.07 (s, 4 H), 4.70-5.00 (m, 2 H), 3.52-3.80 (m, 2 H), 1.60-1.80 (m, 4 H), 1.45-1.60 (m, 4 H).

# Step B: Synthesis of (cis-4-amino-cyclohexyl)-carbamic acid tert-butyl ester.

[0582] To a solution of (cis-4-benzyloxycarbonylamino-cyclohexyl)-carbamic acid benzyl ester (91.7 g, 240 mmol) in MeOH (460 mL) was added 5% Pd/C (9.17 g). The reaction mixture was stirred at ambient temperature under hydrogen atmosphere for 2.5 days, filtered through a pad of celite, and concentrated to give a diamine as a colorless oil. To a solution of the diamine in MeOH (550 mL) was added a solution of (Boc)2O (6.59 g, 30.2 mmol) in MeOH (80 mL) dropwise over 4 hr. The reaction mixture was stirred at ambient temperature for 1.5 days and concentrated. After  $\label{eq:dissolution} \mbox{with $H_2$O, the aqueous layer was extracted with $CHCl_3$ (three times). The combined organic layer was dried the combined organic layer was dried to the combined organic lay$ over MgSO<sub>4</sub>, filtered, and concentrated to give cis-(4-amino-cyclohexyl)-carbamic acid tert-butyl ester (7.78 g, 15%, crude) as a colorless oil. The aqueous layer was concentrated and the residue was dissolved in MeOH, dried over MgSO<sub>4</sub>, filtered, and concentrated to give a recovered diamine (32.9 g) as a colorless oil. To a solution of the recovered diamine (32.9 g, 288 mmol) in MeOH (660 mL) was added a solution of (Boc)<sub>2</sub>O (6.29 g, 28.8 mmol) in MeOH (80 mL) dropwise over 5 hr. The reaction mixture was stirred at ambient temperature for 10 hr and concentrated. After dissolution with H<sub>2</sub>O, the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, and concentrated to give cis-(4-amino-cyclohexyl)-carbamic acid tert-butyl ester (8.16 g, 16%, crude) as a colorless oil. The aqueous layer was concentrated and the residue was dissolved in MeOH, dried over MgSO4, filtered, and concentrated to give a recovered diamine (23.1 g) as a colorless oil. To a solution of the recovered diamine (23.1 g, 202 mmol) in MeOH (462 mL) was added a solution of (Boc)<sub>2</sub>O (4.42 g, 20.3 mmol) in MeOH (56 mL) dropwise over 4 hr. The reaction mixture was stirred at ambient temperature for 3.5 days and concentrated. After dissolution with H<sub>2</sub>O, the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, and concentrated to give cis-(4-amino-cyclohexyl)-carbamic acid tert-butyl ester (5.01 g, 10% based on starting material) as a colorless oil. The aqueous layer was concentrated and the residue was dissolved in MeOH, dried over MgSO<sub>4</sub>, filtered, and concentrated to give a recovered diamine (16.0 g) as a colorless oil. To a solution of the recovered diamine (16.0 g, 140 mmol) in MeOH (320 mL) was added a solution of (Boc)<sub>2</sub>O (3.06 g, 14.0 mmol) in MeOH (40 mL) dropwise over 4 hr. The reaction mixture was stirred at ambient temperature for 13 hr and concentrated. After dissolution with H<sub>2</sub>O, the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, and concentrated to give cis-(4-amino-cyclohexyl)-carbamic acid tert-butyl ester (3.53 g,

7% based on the starting material) as a colorless oil. The aqueous layer was concentrated and the residue was dissolved in MeOH, dried over MgSO<sub>4</sub>, filtered, and concentrated to give a recovered diamine (11.1 g) as a colorless oil. ESI MS m/e 215, M + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  4.30-4.82 (m, 1 H), 3.50-3.80 (m, 1 H), 2.78-2.95 (m, 1 H), 1.44 (s, 9H), 1.20-1.80 (m, 8 H).

Step C: Synthesis of (cis-4-{[1-(3,4-difluoro-phenyl)-methanoyl]-amino}-cyclohexyl)-carbamic acid tert-butyl ester.

[0583] To a solution of 3,4-difluoro-benzoic acid (4.10 g, 25.9 mmol) and (*cis*-4-amino-cyclohexyl)-carbamic acid *tert*-butyl ester (5.05 g, 23.6 mmol) in DMF (50 mL) were added Et<sub>3</sub>N (90 mL, 56.7 mmol), HOBt-H<sub>2</sub>O (5.41 g, 35.3 mmol), and EDC-HCl (4.97 g, 25.9 mmol). The reaction mixture was stirred at ambient temperature for 17 hr. To the reaction mixture was added water (200 mL) and the suspension was stirred at ambient temperature for 10 min. The precipitated was collected by filtration, washed with H<sub>2</sub>O and EtOH, and dried at 80 °C under reduced pressure to give (*cis*-4-{[1-(3,4-difluoro-phenyl)-methanoyl]-amino}-cyclohexyl)-carbamic acid *tert*-butyl ester (5.20 g, 62.3%) as a white solid

ESI MS m/e 377, M + Na+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.45 (s, 9 H), 1.53-1.95 (m, 8 H), 3.60-3.74 (m, 1 H), 4.00-4.16 (m, 1 H), 4.50-4.68 (m, 1 H), 5.95-6.09 (m, 1 H), 7.15-7.28 (m, 1 H), 7.43-7.68 (m, 2 H).

# Step D: Synthesis of N-(cis-4-amino-cyclohexyl)-3,4-difluoro-benzamide.

[0584] A solution of (*cis*-4-{[1-(3,4-difluoro-phenyl)-methanoyl]-amino}-cyclohexyl)-carbamic acid *tert*-butyl ester (5.20 g, 14.7 mmol) in EtOAc (52 mL) was cooled on an ice-bath and 4 M hydrogen chloride in EtOAc (104 mL) was added. The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was dissolved in 1 M aqueous NaOH and the aqueous layer was extracted with CHCl<sub>3</sub> (three time). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and dried at 60 °C under reduced pressure to give *N*-(*cis*-4-amino-cyclohexyl)-3,4-difluoro-benzamide (3.00 g, 80%) as a white solid.

ESI MS m/e 255, M + H $^+$ ; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.15-1.52 (m, 3 H), 1.59-1.89 (m, 5 H), 2.94-3.06 (m, 1 H), 4.06-4.20 (m, 1 H), 6.01-6.18 (m, 1 H), 7.13-7.26 (m, 1 H), 7.43-7.50 (m, 1 H), 7.57-7.67 (m, 1 H).

30 Step E: Synthesis of 3,4-difluoro-*N*-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0585] A mixture of 2-chloro-quinoline (200 mg, 1.22 mmol) and *N*-(*cis*-4-amino-cyclohexyl)-3,4-difluoro-benzamide (342 mg, 1.34 mmol) in butanol (1 mL) was stirred at 130 °C for 60 hr in a sealed tube. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 50% EtOAc in hexane). To a solution of the above material in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (10 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was filtered, washed with Et<sub>2</sub>O, and dried at 80 °C under reduced pressure to give 3,4-difluoro-*N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride (189 mg, 37%) as a white solid.

ESI MS m/e 382, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.80-2.09 (m, 8 H), 3.96-4.24 (m, 2 H), 6.90-7.03 (m, 2 H), 7.14-7.25 (m, 1 H), 7.41-7.48 (m, 1 H), 7.57-7.64 (m, 1 H), 7.69-7.79 (m, 4 H), 8.18 (d, J = 9.6 Hz, 1 H), 9.73-9.76 (m, 1 H).

# 5 Example 3032

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2-Phenoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

Step A: Synthesis of N-(cis-4-amino-cyclohexyl)-2-phenoxy-nicotinamide.

[0586] To a solution of (*cis*-4-amino-cyclohexyl)-carbamic acid *tert*-butyl ester obtained in step B of example 3031 (6.00 g, 27.8 mmol) in CHCl<sub>3</sub> (60 mL) was added *i*-Pr<sub>2</sub>NEt (9.67 mL, 55.6 mmol). The mixture was cooled on an icebath and 2-phenoxy-nicotinoyl chloride (6.50 g, 27.8 mmol) was added. The mixture was stirred at ambient temperature for 17 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, and concentrated. To a solution of the above material in EtOAc (100 mL) and CHCl<sub>3</sub> (40 mL) was added 4 M hydrogen chloride in EtOAc (100 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was dissolved in 1 M aqueous NaOH and the aqueous layer was extracted with CHCl<sub>3</sub> (three time). The combined organic layer was dried over

MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 0.2% to 1% MeOH in CHCl<sub>3</sub>) to give *N*-(*cis*-4-amino-cyclohexyl)-2-phenoxy-nicotinamide (3.51 g, 41%) as a pale yellow oil. ESI MS m/e 312, M + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.12-1.39 (m, 3 H), 1.65-1.94 (m, 5 H), 2.80-2.91 (m, 1 H), 4.18-4.30 (m, 1 H), 7.13-7.22 (m, 3 H), 7.25-7.33 (m, 1 H), 7.41-7.51 (m, 2 H), 8.04-8.14 (m, 1 H), 8.22 (dd, J = 4.7, 2.1 Hz, 1 H), 8.62 (dd, J = 7.6, 2.0 Hz, 1 H).

#### Step B: Synthesis of 2-phenoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride.

[0587] A mixture of 2-chloro-quinoline (200 mg, 1.22 mmol) and *cis-N*-(4-amino-cyclohexyl)-2-phenoxy-nicotinamide (418 mg, 1.34 mmol) in butanol (1 mL) was stirred at 130 °C for 6 days in a sealed tube. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHO<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 10% to 16% EtOAc in hexane). To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr, and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 60 °C under reduced pressure to give 2-phenoxy-*N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride (138 mg, 37%) as a white solid. ESI MS m/e 461, M (free) + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.87-2.10 (m, 8 H), 3.83-3.97 (m, 1 H) 4.12-4.24 (m, 1 H), 6.90 (d, J = 9.5 Hz, 1 H), 7.12 (dd, J = 7.6,4.5 Hz, 1 H), 7.20-7.32 (m, 3 H), 7.37-7.50 (m, 3 H), 7.66-7.80 (m, 3 H), 7.95 (d, J = 7.0 Hz, 1 H) 8.13 (d, J = 9.6 Hz, 1 H), 8.21 (dd, *J* = 4.6, 2.2 Hz, 1 H), 8.53 (dd, *J* = 7.6,1.9 Hz, 1 H), 9.77-9.92 (m, 1 H).

#### Example 3033

### 3-Methyl-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

#### Step A: Synthesis of cis-N-quinolin-2-yl-cyclohexane-1,4-diamine.

[0588] A mixture of 2-chloro-quinoline (16.0 g, 97.8 mol) and (cis-4-amino-cyclohexyl)-carbamic acid *tert*-butyl ester obtained in step B of example 3031 (23.0 g, 107.5 mol) in butanol (16 mL) was stirred at 130 °C for 3 days. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 10% EtOAc in hexane) to give a pale yellow oil. To a solution of the above material in EtOAc (160 mL) was added 4 M hydrogen chloride in EtOAc (80 mL). The mixture was stirred at ambient temperature for 12 hr and concentrated. The residue was dissolved in 1 M aqueous NaOH and the aqueous layer was extracted with CHCl<sub>3</sub> (three time). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, and purified by medium-pressure liquid chromatography (NH-silica gel, 2% to 5% MeOH in CHCl<sub>3</sub>) to give *cis-N*-quinolin-2-yl-cyclohexane-1,4-diamine (6.30 g, 27%) as pale yellow solid.

ESI MS m/e 242, M + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.12-1.53 (m, 4 H), 1.65-1.93 (m, 6 H), 2.84-2.98 (m, 1 H), 4.04-4.16 (m, 1 H), 4.78-4.91 (m, 1 H), 6.61 (d, J = 9.0 Hz, 1 H), 7.17 (ddd, J = 8.0, 6.9, 1.2 Hz, 1 H), 7.46-7.58 (m, 2 H), 7.61-7.66 (m, 1 H), 7.79 (d, J = 8.9 Hz, 1 H).

# Step B: Synthesis of 3-methyl-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0589] To a solution of *cis-N*-quinolin-2-yl-cyclohexane-1,4-diamine (300 mg, 1.24 mmol) in CHCl<sub>3</sub> (2 mL) were added *i*-Pr<sub>2</sub>NEt (0.45 mL, 2.60 mmol) and 3-methyl-benzoyl chloride (210 mg, 1.36 mmol) in CHCl<sub>3</sub> (1 mL). The mixture was stirred at ambient temperature for 17 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% EtOAc in hexane) to give a colorless oil.
 To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 60 °C under reduced pressure to give 3-methyl-*N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride (294 mg, 60%) as a white solid.

ESI MS m/e 382, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.82-2.07 (m, 8 H), 2.40 (s, 3 H), 3.93-4.04 (m, 1 H), 4.08-4.26 (m, 1 H), 6.49-6.58 (m, 1 H), 6.94 (d, J = 9.5 Hz, 1 H), 7.25-7.32 (m, 2 H), 7.40-7.48 (m, 1 H), 7.56-7.66 (m, 2 H), 7.67-7.81 (m, 3 H) 8.17 (d, J = 9.5 Hz, 1 H), 9.74-9.87 (m, 1 H).

# Example 3034

3-Methoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

5 Step A: Synthesis of 3-methoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0590] Using the procedure for the step B of example 3033, the title compound was obtained. ESI MS m/e 398, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $^{5}$  1.78-2.09 (m, 8 H), 3.86 (s, 3 H), 3.94-4.06 (m, 1 H), 4.08-4.25 (m, 1 H), 6.63 (d,  $^{2}$  = 8.6 Hz, 1 H), 6.91-7.05 (m, 2 H), 7.28-7.48 (m, 4 H), 7.68-7.80 (m, 3 H), 8.17 (d,  $^{2}$  = 9.3 Hz, 1 H), 9.75-9.84 (m, 1 H).

Example 3035

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3-Chloro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 3-chloro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl] -benzamide hydrochloride.

[0591] Using the procedure for the step B of example 3033, the title compound was obtained. ESI MS m/e 402, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $^{5}$  1.82-2.10 (m, 8 H), 3.96-4.07 (m, 1 H), 4.09-4.26 (m, 1 H), 6.75 (d, J = 7.8 Hz, 1 H), 6.96 (d, J = 9.3 Hz, 1 H), 7.33-7.49 (m, 3 H), 7.66-7.79 (m, 4 H), 7.83-7.88 (m, 1 H), 8.19 (d, J = 9.3 Hz, 1 H), 9.80 (d, J = 8.5 Hz, 1 H).

Example 3036

5 -Nitro-thiophene-3-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride

Step A: Synthesis of 5-nitro-thiophene-3-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride.

[0592] To a solution of 5-nitro-thiophene-3-carboxylic acid (516 mg, 2.98 mmol) and *cis-N*-quinolin-2-yl-cyclohexane-1,4-diamine obtained in step A of example 3033 (600 mg, 2.48 mmol) in DMF (6 mL) were added Et<sub>3</sub>N (0.83 mL, 5.95 mmol), HOBt-H<sub>2</sub>O (570 mg, 3.72 mmol), and EDC-HCl (571 g, 2.98 mmol). The reaction mixture was stirred at ambient temperature for 12 hr. To the reaction mixture was added water (20 mL) and the suspension was stirred at ambient temperature for 30 min. The precipitated was collected by filtration, washed with H<sub>2</sub>O, and purified by medium-pressure liquid chromatography (NH-silica gel, 33% to 50% EtOAc in hexane and silica gel, 2% to 5% MeOH in CHCl<sub>3</sub>) to give a pale yellow oil. To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 60 °C under reduced pressure to give 5-nitro-thiophene-3-carboxylic acid [*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride (329 mg, 60%) as a yellow solid.

ESI MS m/e 419, M (free) + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.80-2.18 (m, 8 H), 3.96-4.25 (m, 2 H), 6.97 (d, *J* = 9.3 Hz, 1 H), 7.39-7.53 (m, 2 H), 7.67-7.80 (m, 3 H), 8.20 (d, *J* = 9.4 Hz, 1 H), 8.26-8.30 (m, 1 H), 8.39-8.42 (m, 1 H), 9.59

45 Example 3037

(d, J = 8.6 Hz, 1 H).

2-Chloro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

Step A: Synthesis of 2-chloro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride.

[0593] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 403, M (free) + Na+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $^{1}$  1.84-2.17 (m, 8 H), 3.93-4.05 (m, 1 H), 4.13-4.30 (m, 1 H), 6.89-7.02 (m, 2 H), 7.30-7.50 (m, 2 H), 7.67-7.81 (m, 3 H), 7.96 (d,  $^{2}$ J = 7.5 Hz, 1 H), 8.19 (d,  $^{2}$ J = 9.6 Hz, 1 H), 8.44-8.50 (m, 1 H), 9.73-9.87 (m, 1 H).

# Example 3038

3-Chloro-4-fluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

5 Step A: Synthesis of 3-chloro-4-fluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0594] Using the procedure for the step B of example 3033, the title compound was obtained. ESI MS m/e 420, M (free) + Na+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $^{3}$  1.78-2.08 (m, 8 H), 3.95-4.06 (m, 1 H), 4.07-4.23 (m, 1 H), 6.68-6.78 (m, 1 H), 6.95 (d,  $^{1}$ J = 9.6 Hz, 1 H), 7.18 (t,  $^{1}$ J = 8.6 Hz, 1 H), 7.41-7.48 (m, 1 H), 7.68-7.79 (m, 4 H), 7.95 (dd,  $^{1}$ J = 7.0, 2.2 Hz, 1 H), 8.18 (d,  $^{1}$ J = 9.6 Hz, 1 H), 9.79 (d,  $^{1}$ J = 8.4 Hz, 1 H).

# Example 3039

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3,5-Dimethoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 3,5-dimethoxy-*N*-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0595] Using the procedure for the step B of example 3033, the title compound was obtained. ESI MS m/e 428, M (free) + Na+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.80-2.14 (m, 8 H), 3.85 (s, 6 H), 3.95-4.26 (m, 2 H), 6.53-6.66 (m, 2 H), 6.89-7.01 (m, 3 H), 7.40-7.51 (m, 1 H), 7.68-7.82 (m, 3 H), 8.18 (d, J= 9.6 Hz, 1H), 9.76-9.85 (m, 1H).

#### Example 3040

3,4-Dichloro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 3,4-dichloro-N-[c/s-4-(quinolin-2-ylamlno)-cyclohexyl]-benzamide hydrochloride.

[0596] Using the procedure for the step B of example 3033, the title compound was obtained. ESI MS m/e 436, M (free) + Na+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $^{3}$  1.81-2.15 (m, 8 H), 3.98-4.25 (m, 2 H), 6.87-7.00 (m, 2 H), 7.42-7.52 (m, 2 H), 7.65-7.80 (m, 4 H), 7.98 (d,  $^{2}$  2.0 Hz, 1H), 8.19 (d,  $^{2}$  9.5 Hz, 1H), 9.87 (d,  $^{2}$  8.6 Hz, 1H).

# Example 3041

Benzo[2,1,3]oxadlazole-5-carboxylic acid-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride

Step A: Synthesis of benzo[2,1,3]oxadiazole-5-carboxylic acid-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride.

[0597] Using the procedure for the step B of example 3033, the title compound was obtained. ESI MS m/e 388, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.81-2.23 (m, 8 H), 3.98-4.31 (m, 2 H), 6.97 (d, J = 9.5 Hz, 1 H), 7.38-7.50 (m, 2 H), 7.70-7.78 (m, 3 H), 7.88 (ddd, J = 14.3, 9.3, 1.2 Hz, 2 H), 8.20 (d, J = 9.5 Hz, 1 H), 8.41 (t, J = 1.2 Hz, 1 H), 9.75 (d, J = 8.1 Hz, 1 H).

#### Example 3042

1-Methyl-4-nitro-1 H-pyrrole-2-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride

Step A: Synthesis of 1-methyl-4-nitro-1*H*-pyrrole-2-carboxylic acid [*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride.

[0598] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 394, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.80-2.14 (m, 8 H), 3.91-4.15 (m, 5 H), 6.96 (d, J = 9.4 Hz, 1 H), 7.09 (d, J = 9.0 Hz, 1 H), 7.28-7.31 (m, 1 H), 7.41-7.54 (m, 2 H), 7.67-7.79 (m, 3 H), 8.19 (d, J = 9.6 Hz, 1H), 9.66 (m, 1 H).

# Example 3043

9H-Xanthene-9-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride

5 Step A: Synthesis of 9H-Xanthene-9-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride.

[0599] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 472, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.65-1.89 (m, 8 H), 3.76-3.94 (m, 2 H), 5.99-6.09 (m, 1 H), 6.87 (d, J = 10.1 Hz, 1 H), 7.05-7.18 (m, 4 H), 7.24-7.47 (m, 5 H), 7.65-7.79 (m, 3 H) 8.13 (d, J = 9.6 Hz, 1 H), 9.62 (d, J = 7.6 Hz, 1 H).

# Example 3044

5 5-(4-Chloro-phenyl)-furan-2-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride

Step A: Synthesis of 5-(4-chloro-phenyl)-furan-2-carboxylic acid[cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride.

[0600] Using the procedure for the step A of example 3036, the title compound was obtained.
 ESI MS m/e 468, M (free) + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.77-2.13 (m, 8 H), 3.93-4.07 (m, 1 H), 4.10-4.28 (m, 1 H), 6.65-7.03 (m, 3 H), 7.12-7.23 (m, 1 H), 7.32-7.52 (m, 3 H), 7.63-7.85 (m, 5 H), 8.12-8.26 (m, 1 H), 9.74-9.94 (m, 1 H).

25 Example 3045

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3-Nitro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 3-nitro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0601] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 413, M (free) + Na $^+$ ; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.83-2.30 (m, 8 H), 3.99-4.10 (m, 1 H), 4.13-4.31 (m, 1 H), 6.97 (d, J=9.5 Hz, 1 H), 7.24-7.33 (m, 1 H), 7.42-7.51 (m, 1 H), 7.63 (t, J=7.9 Hz, 1 H), 7.70-7.79 (m, 3 H), 8.17-8.24 (m, 2 H), 8.30-8.35 (m, 1 H), 8.75-8.77 (m, 1 H), 9.76 (d, J=7.3 Hz, 1 H).

Example 3046

4-Fluoro-3-methyl-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

40 Step A: Synthesis of 4-fluoro-3-methyl-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0602] To a solution of *cis-N*-quinolin-2-yl-cyclohexane-1,4-diamine obtained in step A of example 3033 (250 mg, 1.04 mmol) in CHCl<sub>3</sub> (5 mL) were added Et<sub>3</sub>N (0.3 mL, 2.15 mmol) and 4-fluoro-3-methyl-benzoyl chloride (197 mg, 1.14 mmol). The mixture was stirred at ambient temperature for 12 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 50% EtOAc in hexane). To a solution of the above material in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (10 mL). The mixture was stirred at ambient temperature for 2 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 6 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 80 °C under reduced pressure to give 4-fluoro-3-methyl-*N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride (363 mg, 85%) as a white solid.

ESI MS m/e 400, M (free) + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.82-2.10 (m, 8 H), 2.32 (d, J = 1.9 Hz, 3 H), 3.96-4.07 (m, 1 H), 4.09-4.27 (m, 1 H), 6.62-6.72 (m, 1 H), 6.96 (d, J = 9.5 Hz, 1 H), 7.04 (t, J = 8.9 Hz, 1 H), 7.40-7.51 (m, 1 H), 7.61-7.83 (m, 5 H) 8.19 (d, J = 9.6 Hz, 1 H), 9.71-9.85 (m, 1 H).

#### Example 3047

3-Bromo-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

5 Step A: Synthesis of 3-bromo-*N*-[c/s-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0603] Using the procedure for the step A of example 3046, the title compound was obtained. ESI MS m/e 446, M (free) + Na+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $^{1}$   $^{1}$   $^{1}$   $^{1}$   $^{1}$  H NMR (300 MHz, CDCl<sub>3</sub>)  $^{1}$   $^{$ 

# Example 3048

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2-(2-Bromo-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

Step A: Synthesis of 2-(2-bromo-phenoxy)-*N*-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride.

[0604] To a solution of 2-bromo-phenol (453 mg, 2.62 mmol) in DMA (4 mL) was added 60% NaH in oil (210 mg, 5.24 mmol). The mixture was stirred at ambient temperature for 1 hr. To the mixture was added 2-chloro-*N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide obtained in step A of example 3037 (1.00 g, 2.62 mmol) in DMA (2 mL). The mixture was stirred at 120 °C for 3 hr and the reaction was quenched with water. The aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% EtOAc in hexane and silica gel, 1% to 2% MeOH in CHCl<sub>3</sub>) to give a colorless oil. To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 60 °C under reduced pressure to give 2-(2-bromo-phenoxy)-*N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride (262 mg, 18%) as a white solid.

ESI MS m/e 517, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.89-2.17 (m, 8 H), 3.81-3.98 (m, 1 H), 4.14-4.30 (m, 1 H), 6.92 (d, J = 9.5 Hz, 1 H), 7.11-7.20 (m, 2 H), 7.34-7.47 (m, 3 H), 7.63-7.80 (m, 4 H), 7.92-8.00 (m, 1 H), 8.10-8.20 (m, 2 H), 8.54 (dd, J = 7.5, 2.0 Hz, 1 H), 9.71-9.88 (m, 1 H).

# Example 3049

3-Cyano-*N*-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 3-cyano-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

- 40 **[0605]** Using the procedure for the step A of example 3046, the title compound was obtained. ESI MS m/e 393, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.80-2.17 (m, 8 H), 3.98-4.30 (m, 2 H), 6.97 (d, J = 9.3 Hz, 1 H), 7.07-7.18 (m, 1 H), 7.42-7.50 (m, 1 H) 7.56 (t, J = 7.8 Hz, 1 H), 7.70-7.80 (m, 4 H), 8.08 (d, J = 7.9 Hz, 1 H), 8.17-8.25 (m, 2 H), 9.69-9.84 (m, 1 H).
- 45 Example 3050

N-[cis-4-(Quinolin-2-ylamino)-cyclohexyl]-3-trifluoromethyl-benzamide hydrochloride

Step A: Synthesis of N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-3-trifluoromethyl-benzamide hydrochloride.

[0606] Using the procedure for the step A of example 3046, the title compound was obtained. ESI MS m/e 436, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $^{1}$   $^{1}$   $^{1}$   $^{1}$   $^{1}$  H NMR (300 MHz, CDCl<sub>3</sub>)  $^{1}$ 

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#### Example 3051

N-[cis-4-(Quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-acetamide hydrochloride

5 Step A: Synthesis of N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-acetamide hydrochloride.

[0607] To a solution of *m*-tolyloxy-acetic acid (189 mg, 1.14 mmol) and *cis-N*-quinolin-2-yl-cyclohexane-1,4-diamine obtained in step A of example 3036 (250 mg, 1.04 mmol) in DMF (15 mL) were added Et<sub>3</sub>N (0.35 mL, 2.50 mmol), HOBt-H<sub>2</sub>O (238 mg, 1.56 mmol), and EDC-HCl (219 g, 1.14 mmol). The reaction mixture was stirred at ambient temperature for 13 hr. To the reaction mixture was added water (30 mL) and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (silica gel, 1% to 5% MeOH in CHCl<sub>3</sub>) to give a colorless oil. To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 60 °C under reduced pressure to give *N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-2-*m*-tolyloxy-acetamide hydrochloride (140 mg, 32%) as a white solid.

ESI MS m/e 412, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.78-2.06 (m, 8 H), 2.33 (s, 3 H), 3.88-4.12 (m, 2 H), 4.44 (s, 2 H), 6.72-6.96 (m, 5 H), 7.18 (t, J = 8.0 Hz, 1 H), 7.39-7.47 (m, 1 H), 7.68-7.81 (m, 3 H), 8.17 (d, J = 9.3 Hz, 1 H), 9.72-9.89 (m, 1 H).

# Example 3052

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2,2-Diphenyl-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide hydrochloride

Step A: Synthesis of 2,2-diphenyl-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide hydrochloride.

[0608] Using the procedure for the step A of example 3046, the title compound was obtained. ESI MS m/e 458, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.71-1.97 (m, 8 H), 3.84-4.10 (m, 2 H), 4.87 (s, 1 H), 6.16-6.25 (m, 1 H), 6.90 (d, J = 9.5 Hz, 1 H), 7.20-7.36 (m, 10 H), 7.39-7.48 (m, 1 H), 7.67-7.79 (m, 3 H), 8.15 (d, J = 9.2 Hz, 1 H), 9.63-9.77 (m, 1 H).

# Example 3053

35 5-Bromo-furan-2-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl)-amide hydrochloride

Step A: Synthesis of 5-bromo-furan-2-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl)-amide hydrochloride.

[0609] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 436, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.84-2.09 (m, 8 H), 3.92-4.18 (m, 2 H), 6.42 (d, J = 3.5 Hz, 1 H), 6.61 (d, J = 8.6 Hz, 1 H), 6.95 (d, J = 8.2 Hz, 1 H), 7.05 (d, J = 3.5 Hz, 1 H), 7.42-7.48 (m, 1 H), 7.69-7.83 (m, 3 H), 8.19 (d, J = 9.5 Hz, 1 H), 9.85 (d, J = 8.6 Hz, 1 H).

# 45 Example 3054

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2-(4-Fluoro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

Step A: 2-(4-fluoro-phenoxy)-nicotinic acid ethyl ester.

[0610] To a solution of 2-fluoro-phenol (3.02 g, 26.9 mmol) in DMA (20 mL) was added 60% NaH in oil (1.08 mg, 26.9 mmol). The mixture was stirred at ambient temperature for 1.5 hr. To the mixture was added 2-chloro-nicotinic acid ethyl ester (5.00 g, 26.9 mmol) in DMA (5 mL). The mixture was stirred at 120 °C for 2.hr and the reaction was quenched with saturated aqueous NaHCO<sub>3</sub>. The aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, and concentrated. The residue was suspended in 10% Et<sub>2</sub>O in hexane (50 mL) and the suspension was stirred at ambient tempereture for 1 hr. The precipitate was collected by filtration, washed with hexane, and dried at 70 °C under reduced pressure to give 2-(4-fluoro-phenoxy)-nicotinic acid ethyl ester (3.08 g, 44%) as a white solid.

ESI MS m/e 284, M + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.40 (td, J = 7.1, 1.6 Hz, 3 H), 4.41 (qd, J = 7.1, 1.6 Hz, 2 H), 6.99-7.21 (m, 5 H), 8.23-8.29 (m, 2 H).

# Step B: Synthesis of 2-(4-fluoro-phenoxy)-nicotinic acid.

[0611] To a solution of 2-(4-fluoro-phenoxy)-nicotinic acid ethyl ester (3.00 g, 11.5 mmol) in EtOH (90 mL) was added 2 M aqueous NaOH (6 mL). The mixture was stirred at ambient temperature for 4 hr. To the mixture was added 1 M aqueous HCl (pH=3) and concentrated. The residue was dissolved in water and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, and concentrated. The residue was suspended in 10% Et<sub>2</sub>O in hexane (80 mL) and the suspension was stirred at ambient tempereture for 1 hr. The precipitate was collected by filtration, washed with hexane, and dried at 70 °C under reduced pressure to give 2-(4-fluoro-phenoxy)-nicotinic acid (2.39 g, 89%) as a white solid.

ESI MS m/e 233, M+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $^{1}$ 8 7.05-7.25 (m, 5 H), 8.32 (dd,  $^{1}$ 9 4.8, 2.0Hz, 1 H), 8.49 (dd,  $^{1}$ 9 7.6,

2.0 Hz, 1 H).

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Step C: Synthesis of 2-(4-fluoro-phenoxy)-*N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride.

[0612] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 479, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.83-2.14 (m, 8H), 3.88-4.01 (m, 1 H), 4.13-4.30 (m, 1 H), 6.93 (d, J = 9.3 Hz, 1 H), 7.11-7.20 (m, 3 H), 7.25-7.31 (m, 2 H), 7.43 (t, J = 7.9 Hz, 1 H), 7.67-7.81 (m, 3 H), 7.93 (d, J = 7.2 Hz, 1 H), 8.16 (d, J = 9.2 Hz, 1 H), 8.21 (dd, J = 4.8, 2.0 Hz, 1 H), 8.54 (dd, J = 7.6, 2.0 Hz, 1 H), 9.81-9.94 (m, 1 H).

#### 25 Example 3055

2-(3,4-Difluoro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

Step A: Synthesis of 2-(3,4-difluoro-phenoxy)-nicotinic acid.

[0613] To a solution of 3,4-difluoro-phenol (3.77 g, 28.9 mmol) in DMA (20 mL) was added 60% NaH in oil (1.16 mg, 28.9 mmol). The mixture was stirred at ambient temperature for 1 hr. To the mixture was added 2-chloro-nicotinic acid ethyl ester (5.36 g, 28.9 mmol) in DMA (5 mL). The mixture was stirred at 120 °C for 2.5 hr and the reaction was quenched with saturated aqueous NaHCO<sub>3</sub>. The aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, and concentrated. The residue was suspended in 10% Et<sub>2</sub>O in hexane (150 mL) and the suspension was stirred at ambient tempereture for 1 hr. The precipitate was filtered, washed with hexane, and dried at 70 °C under reduced pressure to give a white solid. To a solution of the above material in EtOH (150 mL) was added 2 M aqueous NaOH (15.2 mL). The mixture was stirred at ambient temperature for 12 hr. To the mixture was added 1 M aqueous HCI (46 mL, pH=3) and concentrated. The residue was dissolved in water and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, and concentrated. The residue was suspended in 10% Et<sub>2</sub>O in hexane (150 mL) and the suspension was stirred at ambient temperature for 30 min. The precipitate was collected by filtration, washed with hexane, and dried at 70 °C under reduced pressure to give 2-(3,4-difluoro-phenoxy)-nicotinic acid (4.85 g, 67) as a white solid. ESI MS m/e 251, M+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 6.90-7.30 (m, 4 H), 8.30-8.35 (m, 1 H), 8.46-8.52 (m, 1 H).

Step B: Synthesis of 2-(3,4-difluoro-phenoxy)-*N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

[0614] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 475, M (free) + H<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.85-2.13 (m, 8 H), 3.91-4.03 (m, 1 H), 4.13-4.29 (m, 1 H), 6.94 (d, J= 9.6 Hz, 1 H), 7.11-7.34 (m, 4 H), 7.40-7.47 (m, 1 H), 7.67-7.85 (m, 4 H), 8.17 (d, J= 9.5 Hz, 1 H), 8.22 (dd, J= 4.8, 2.0 Hz, 1 H), 8.53 (dd, J= 7.6, 2.0 Hz, 1 H), 9.84-9.98 (m, 1 H).

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#### Example 3056

N-[cis-4-(Quinolin-2-ylamino)-cyclohexyl]-2-p-tolyloxy-nicotinamide hydrochloride

5 Step A: Synthesis of 2-p-tolyloxy-nicotinic acid.

[0615] Using the procedure for the step A of example 3055, the title compound was obtained. ESI MS m/e 229, M+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  2.40 (s, 3 H) 7.05-7.31 (m, 5 H), 8.30-8.35 (m, 1 H), 8.52-8.57 (m, 1 H).

Step B: Synthesis of N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-2-p-tolyloxy-nicotinamide hydrochloride.

[0616] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 475, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.86-2.13 (m, 8 H), 2.36 (s, 3 H), 3.86-3.98 (m, 1 H), 4.11-4.29 (m, 1 H), 6.86-7.00 (m, 1 H), 7.07-7.31 (m, 5 H), 7.43 (t, J = 7.6 Hz, 1 H), 7.64-7.82 (m, 3 H), 7.92-8.28 (m, 3 H), 8.53 (d, J = 7.0 Hz, 1 H), 9.74-9.87 (m, 1 H).

Example 3057

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20 2-(4-Chloro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

Step A: Synthesis of 2-(4-chloro-phenoxy)-nicotinic acid.

[0617] Using the procedure for the step A of example 3055, the title compound was obtained.

25 ESI MS m/e 250, M + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 7.10-7.21 (m, 3 H), 7.36-7.45 (m, 2 H), 8.30-8.36 (m, 1 H), 8.45-8.51 (m, 1 H).

Step B: Synthesis of 2-(4-chloro-phenoxy)-*N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride.

**[0618]** Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 473, M + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.83-2.13 (m, 8 H), 3.87-4.04 (m, 1 H), 4.10-4.33 (m, 1 H), 6.87-7.01 (m, 1 H), 7.10-7.35 (m, 3 H), 7.38-7.50 (m, 3 H), 7.65-7.93 (m, 4 H), 8.10-8.26 (m, 2 H), 8.53 (d, J = 6.4 Hz, 1 H), 9.78-9.97 (m, 1 H).

Example 3058

2-(4-Bromo-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

40 Step A: 2-(4-bromo-phenoxy)-nicotinic acid

[0619] Using the procedure for the step A of example 3055, the title compound was obtained. ESI MS m/e 294, M + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  7.05-7.12 (m, 2 H), 7.18 (dd, J = 7.6, 4.8 Hz, 1 H), 7.52-7.62 (m, 2 H), 8.31-8.35 (m, 1 H), 8.48 (dd, J = 7.6, 2.0 Hz, 1 H).

 $\label{lem:step:basic} Step B: Synthesis of 2-(4-bromo-phenoxy)-\textit{N-}[\textit{cis-}4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride$ 

[0620] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 517, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.80-2.11 (m, 8 H), 3.87-4.02 (m, 1 H), 4.12-4.30 (m, 1 H), 6.86-7.01 (m, 1 H), 7.09-7.29 (m, 3 H), 7.38-7.48 (m, 1 H), 7.51-7.62 (m, 2 H), 7.64-7.93 (m, 4 H), 8.11-8.25 (m, 2 H), 8.53 (d, J = 7.6 Hz, 1 H), 9.78-9.96 (m, 1 H).

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#### Example 3059

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2-(4-Methoxy-phenoxy)-N-[cis-4-(guinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

5 Step A: Synthesis of 2-(4-methoxy-phenoxy)-nicotinic acid.

[0621] Using the procedure for the step A of example 3055, the title compound was obtained. ESI MS m/e 245, M+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  6.94-7.01 (m, 2 H), 7.09-7.20 (m, 3 H), 8.31-8.35 (m, 1 H), 8.50-8.55 (m, 1 H).

Step B: Synthesis of 2-(4-methoxy-phenoxy)-*N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride.

[0622] Using the procedure for the step A of example 3036, the title compound was obtained.

ESI MS m/e 491, M (free) + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.85-2.12 (m, 8 H), 3.81 (brs, 3 H), 3.86-3.99 (m, 1 H), 4.12-4.30 (m, 1 H), 6.84-7.29 (m, 6 H), 7.37-7.49 (m, 1 H), 7.64-7.82 (m, 3 H), 7.96-8.28 (m, 3 H), 8.48-8.60 (m, 1 H), 9.71-9.86 (m, 1 H).

Example 3060

2-(3-Chloro-4-fluoro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

Step A: Synthesis of 2-(3-chloro-4-fluoro-phenoxy)-nicotinic acid.

[0623] Using the procedure for the step A of example 3055, the title compound was obtained.
ESI MS m/e 268, M + H<sup>+</sup>; <sup>1</sup>H NMR (200 MHz, CDCl<sub>2</sub>) δ 7.03-7.32 (m, 4 H), 8.29-8.37 (m, 1 H), 8.44-8.53 (m, 1 H).

Step B: Synthesis of 2-(3-chloro-4-fluoro-phenoxy)-*N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride.

[0624] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 491, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.83-2.10 (m, 8 H), 3.88-4.04 (m, 1 H), 4.11-4.27 (m, 1 H), 6.92 (d, J = 9.6 Hz, 1 H) 7.16 (dd, J = 7.6, 4.8 Hz, 1 H), 7.21-7.46 (m, 4 H), 7.67-7.81 (m, 4 H), 8.15 (d, J = 9.5 Hz, 1 H), 8.20 (dd, J = 4.8, 2.0 Hz, 1 H), 8.52 (dd, J = 7.6, 2.0 Hz, 1 H), 9.83-9.95 (m, 1 H).

Example 3061

N-[cis-4-(Quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-nicotinamide hydrochloride

40 Step A: Synthesis of 2-m-tolyloxy-nicotinic acid

[0625] Using the procedure for the step A of example 3055, the title compound was obtained. ESI MS m/e 229, M<sup>+</sup>;  $^{1}$ H NMR (200 MHz, CDCl<sub>3</sub>)  $\delta$  2.40 (s, 3 H), 6.95-7.41 (m, 5 H), 8.33 (dd, J = 4.8, 1.8 Hz, 1 H), 8.54 (dd, J = 7.7, 1.9 Hz, 1 H).

Step B: Synthesis of N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-nicotinamide hydrochloride.

[0626] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 475, M + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) & 1.87-2.07 (m, 8 H), 2.40 (s, 3 H), 3.85-3.98 (m, 1 H), 4.10-4.25 (m, 1 H), 6.88-6.99 (m, 1 H), 7.01-7.18 (m, 4 H), 7.33 (t, *J* = 7.8 Hz, 1 H), 7.42 (t, *J* = 7.5 Hz, 1 H), 7.66-7.81 (m, 3 H), 7.93-8.03 (m, 1 H), 8.12-8.20 (m, 1 H), 8.23 (dd, *J* = 4.7, 1.9 Hz, 1 H), 8.52 (dd, *J* = 7.5, 1.9 Hz, 1 H), 9.71-9.83 (m, 1 H).

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#### Example 3062

#### 2-(3-Methoxy-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide hydrochloride

5 Step A: Synthesis of (3-methoxy-phenoxy)-acetic acid ethyl ester.

[0627] To a solution of 3-methoxy-phenol (3.54 g, 28.5 mmol) in DMA (20 mL) was added 60% NaH in oil (1.14 g, 28.5 mmol). The mixture was stirred at ambient temperature for 1.5 hr. To the mixture was added bromo-acetic acid ethyl esterr (4.53 g, 28.5 mmol) in DMA (10 mL). The mixture was stirred at ambient temperature for 1.5 hr and the reaction was quenched with saturated aqueous NaHCO<sub>3</sub>. The aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (silica gel, 20% EtOAc in hexane) to give (3-methoxy-phenoxy)-acetic acid ethyl ester (5.19 g, 91 %) as a colorless oil.

ESI MS m/e 233, M + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.30 (t, J = 7.1 Hz, 3 H), 3.79 (s, 3 H), 4.27 (q, J = 7.1 Hz, 2 H), 4.60 (s, 2 H), 6.44-6.61 (m, 3 H), 7.15-7.23 (m, 1 H).

Step B: Synthesis of (3-methoxy-phenoxy)-acetic acid.

[0628] To a solution of (3-methoxy-phenoxy)-acetic acid ethyl ester (5.06 g, 24.1 mmol) in EtOH (100 mL) was added 1 M aqueous NaOH (25.3 mL). The mixture was stirred at ambient temperature for 1 hr. To the mixture was added 1 M aqueous HCI (pH=3) and concentrated. The residue was dissolved in water and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, and concentrated to give (3-methoxy-phenoxy)-acetic acid (4.05 g, 92%) as a white solid.

ESI MS m/e 182, M+;  $^{1}$ H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  3.73 (s, 3 H), 4.65 (s, 2 H), 6.45-6.57 (m, 3 H), 7.13-7.23 (m, 1 H), 12.97 (brs, 1 H).

Step C: Synthesis of 2-(3-methoxy-phenoxy)-*N*-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide hydrochloride.

30 [0629] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 406, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.79-2.05 (m, 8 H), 3.82 (s, 3 H), 3.90-4.11 (m, 2 H), 4.46 (s, 2 H), 6.52-6.61 (m, 3 H), 6.80-6.87 (m, 1 H), 6.93 (d, *J* = 9.5 Hz, 1 H), 7.16-7.24 (m, 1 H), 7.41-7.48 (m, 1 H), 7.69-7.82 (m, 3 H), 8.17 (d, *J* = 9.5 Hz, 1 H) 9.78-9.88 (m, 1 H).

35 Example 3063

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2-(3-Chloro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide hydrochloride

Step A: Synthesis of (3-chloro-phenoxy)-acetic acid ethyl ester.

[0630] Using the procedure for the step A of example 3062, the title compound was obtained. ESI MS m/e 237, M + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.30 (t, J = 7.2 Hz, 3 H), 4.28 (q, J = 7.2 Hz, 2 H), 4.60 (s, 2 H), 6.73-7.02 (m, 3 H), 7.14-7.30 (m, 1 H).

45 Step B: Synthesis of (3-chloro-phenoxy)-acetic acid.

[0631] Using the procedure for the step B of example 3062, the title compound was obtained. ESI MS m/e 187, M + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  4.73 (d, J = 1.2 Hz, 2 H), 6.87-6.94 (m, 1 H), 6.98-7.05 (m, 2 H), 7.27-7.35 (m, 1 H), 13.07 (s, 1 H).

Step C: Synthesis of 2-(3-chloro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide hydrochloride.

[0632] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 410, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.78-2.07 (m, 8 H), 3.90-4.14 (m, 2 H), 4.45 (s, 2 H) 6.74-6.84 (m, 1 H), 6.86-7.03 (m, 4 H), 7.20-7.29 (m, 1 H), 7.40-7.49 (m, 1 H), 7.69-7.82 (m, 3 H), 8.18 (d, J = 9.3 Hz, 1 H), 9.79-9.93 (m, 1 H).

#### Example 3064

2-(3-Chloro-4-fluoro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide hydrochloride

5 Step A: Synthesis of (3-chloro-4-fluoro-phenoxy)-acetic acid ethyl ester.

[0633] Using the procedure for the step A of example 3062, the title compound was obtained. ESI MS m/e 233, M + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.30 (t, J = 7.1 Hz, 3 H), 4.28 (q, J = 7.1 Hz, 2 H), 4.58 (s, 2 H), 6.75-6.82 (m, 1 H), 6.95 (dd, J = 5.9, 3.1 Hz, 1 H), 7.01-7.11 (m, 1 H).

Step B: Synthesis of (3-chloro-4-fluoro-phenoxy)-acetic acid.

[0634] Using the procedure for the step B of example 3062, the title compound was obtained. ESI MS m/e 205, M + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  4.72 (s, 2 H), 6.92-6.97 (m, 1 H), 7.17 (dd, J= 6.1, 3.1 Hz, 1 H), 7.34 (t, J= 9.1 Hz, 1 H), 13.08 (brs, 1 H).

Step C: Synthesis of 2-(3-chloro-4-fluoro-phenoxy)-*N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide hydrochloride.

[0635] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 450, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.76-2.08 (m, 8 H), 3.91-4.13 (m, 2 H), 4.42 (s, 2 H), 6.73-6.97 (m, 3 H), 7.00-7.14 (m, 2 H), 7.41-7.49 (m, 1 H), 7.70-7.80 (m, 3 H), 8.18 (d, J= 9.5 Hz, 1 H), 9.79-9.90 (m, 1 H).

#### Example 3065

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2-(3,4-Dichloro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide hydrochloride

Step A: Synthesis of (3,4-dichloro-phenoxy)-acetic acid ethyl ester.

30 **[0636]** Using the procedure for the step A of example 3062, the title compound was obtained. CI MS m/e 249, M+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.30 (t, J = 7.1 Hz, 3 H), 4.28 (q, J = 7.1 Hz, 2 H), 4.59 (s, 2 H), 6.78 (dd, J = 9.0, 2.9 Hz, 1 H), 7.01 (d, J = 2.8 Hz, 1 H), 7.34 (d, J = 9.1 Hz, 1 H).

Step B: Synthesis of (3,4-dichloro-phenoxy)-acetic acid.

[0637] Using the procedure for the step B of example 3062, the title compound was obtained. ESI MS m/e 221, M + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  4.76 (s, 2 H), 6.96 (dd, J = 8.9, 2.9 Hz, 1 H), 7.24 (d, J = 2.9 Hz, 1 H), 7.53 (d, J = 8.9 Hz, 1 H), 13.12 (brs, 1 H).

Step C: Synthesis of 2-(3,4-dichloro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide hydrochloride.

[0638] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 466, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.75-2.07 (m, 8 H), 3.92-4.13 (m, 2 H), 4.44 (s, 2 H), 6.78 (d, J = 8.1 Hz, 1 H), 6.86-6.97 (m, 2 H), 7.10 (d, J = 2.9 Hz, 1 H), 7.37 (d, J = 8.9 Hz, 1 H), 7.41-7.49 (m, 1 H), 7.67-7.82 (m, 3 H), 8.18 (d, J = 9.5 Hz, 1 H), 9.80-9.90 (m, 1 H).

# Example 3066

50 C-(Methyl-phenyl-amino)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide dihydrochloride

Step A: Synthesis of (methyl-phenyl-amino)-acetic acid ethyl ester.

[0639] To a solution of bromo-acetic acid ethyl ester (5.00 g, 29.9 mmol) in IPA (10 mL) were added *i*-Pr<sub>2</sub>NEt (4.06 g, 31.4 mmol) and methyl-phenyl-amine (3.37 g, 31.4 mmol). The mixture was stirred at reflux for 2.5 hr and the reaction was quenched with saturated aqueous NaHCO<sub>3</sub>. The aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (silica gel, 20% EtOAc in hexane) to give (methyl-phenyl-amino)-acetic acid ethyl ester (5.61 g, 97%) as

a yellow oil.

ESI MS m/e 216, M + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.24 (t, J = 7.1 Hz, 3 H), 3.07 (s, 3 H), 4.05 (s, 2 H), 4.17 (q, J = 7.1 Hz, 2 H), 6.63-6.79 (m, 3 H), 7.18-7.29 (m, 2 H).

5 Step B: Synthesis of (methyl-phenyl-amino)-acetic acid.

[0640] To a solution of (methyl-phenyl-amino)-acetic acid ethyl ester (5.48 g, 28.4 mmol) in EtOH (100 mL) was added 1 M aqueous NaOH (29.8 mL). The mixture was stirred at ambient temperature for 1.5 hr. To the mixture was added 1 M aqueous HCI (pH=3) and concentrated. The residue was dissolved in water and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (silica gel, 20% EtOAc in hexane) to give (methyl-phenyl-amino)-acetic acid (1.73 g, 37%) as a yellow oil.

ESI MS m/e 165, M+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  3.05 (s, 3 H), 4.07 (s, 2 H), 6.65-6.85 (m, 3 H), 7.18-7.30 (m, 2 H), 8.62 (brs, 1 H).

Step C: Synthesis of C-(methyl-phenyl-amino)-*N*-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide dihydrochloride.

[0641] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 411, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.73-1.99 (m, 8 H), 3.05-3.16 (m, 3 H), 3.79-4.02 (m, 4 H), 6.82-7.00 (m, 4 H), 7.06-7.49 (m, 5 H), 7.65-7.80 (m, 3 H), 8.15 (d, *J* = 9.9 Hz, 1 H), 9.57-9.68 (m, 1 H).

Example 3067

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2-(3,4-Dichloro-phenylamino)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide dihydrochloride

Step A: Synthesis of (3,4-dichloro-phenylamino)-acetic acid ethyl ester.

[0642] Using the procedure for the step A of example 3066, the title compound was obtained. CI MS m/e 248, M + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.31 (t, J = 7.1 Hz, 3 H), 3.85 (d, J = 5.4 Hz, 2 H), 4.26 (q, J = 7.1 Hz, 2 H), 4.33-4.42 (m, 1 H), 6.45 (dd, J = 8.7, 2.8 Hz, 1 H), 6.66 (d, J = 2.8 Hz, 1 H), 7.21 (d, J = 8.7 Hz, 1 H).

Step B: Synthesis of (3,4-dichloro-phenylamino)-acetic acid.

35 [0643] Using the procedure for the step B of example 3054, the title compound was obtained. ESI MS m/e 220, M + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 3.84 (s, 2 H), 6.37 (brs, 1 H), 6.57 (dd, J = 8.8, 2.7 Hz, 1 H), 6.76 (d, J = 2.6 Hz, 1 H), 7.26 (d, J = 8.8 Hz, 1 H), 12.67 (brs, 1 H).

Step C: Synthesis of 2-(3,4-dichloro-phenylamino)-*N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide dihydrochloride

[0644] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 465, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.72-2.05 (m, 8 H), 3.80 (s, 2 H), 3.87-4.10 (m, 2 H), 6.48-6.57 (m, 1 H), 6.73 (brs, 1 H), 6.86-7.05 (m, 2 H), 7.18 (d, J = 8.7 Hz, 1 H), 7.39-7.50 (m, 1 H), 7.66-7.80 (m, 3 H), 8.11-8.24 (m, 1 H), 9.55-9.68 (m, 1 H).

Example 3068

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3,4-Difluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexylmethyl]-benzamide hydrochloride

Step A: Synthesis of (cis-4-hydroxymethyl-cyclohexyl)-carbamic acid tert-butyl ester.

[0645] A suspension of *cis*-4-amino-cyclohexanecarboxylic acid (244 g, 1.70 mol) in MeOH (2.45 L) was cooled to-8 °C. Thionyl chloride (45.0 mL, 617 mmol) was added dropwise. The resulting solution was stirred at ambient temperature for 4.5 hr and concentrated to give a white solid. To a suspension of the above solid in CHCl<sub>3</sub> (3.00 L) were added triethylamine (261 mL, 1.87 mol) and (Boc)<sub>2</sub>O (409 g, 1.87 mol) successively. The reaction mixture was stirred at ambient temperature for 5 hr and poured into water. The aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (silica

gel, CHCl<sub>3</sub> only to 10% MeOH in CHCl<sub>3</sub>) to give a colorless oil (531 g). To a suspension cooled at -4 °C of lithium aluminum hydride (78.3 g, 2.06 mol) in Et<sub>2</sub>O (7.9 L) was added a solution of the above oil (530.9 g) in Et<sub>2</sub>O (5.3 L) below 0°C. The resulting suspension was stirred at ambient temperature for 2 hr. The reaction mixture was cooled on an ice-bath, quenched with cold water, and filtrated through a pad of celite. The filtrate was dried over MgSO<sub>4</sub>, filtrated, and concentrated. The precipitate was suspended in hexane (300 mL), filtrated, washed with hexane, and dried under reduced pressure to give (*cis*-4-hydroxymethyl-cyclohexyl)-carbamic acid *tert*-butyl ester (301 g, 77%) as a white solid. ESI MS m/e 252, M + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.16-1.36 (m, 2 H), 1.45 (s, 9 H), 1.52-1.77 (m, 7 H), 3.51 (d, J = 6.2 Hz, 2 H), 3.75 (brs, 1 H), 4.30-4.82 (m, 1 H).

# Step B: Synthesis of [cis-4-(benzyloxycarbonylamino-methyl)-cyclohexyl]-carbamic acid tert-butyl ester.

[0646] To a solution of (*cis*-4-hydroxymethyl-cyclohexyl)-carbamic acid *tert*-butyl ester (17.7 g, 77.2 mmol) in THF (245 mL) were added triphenylphosphine (20.2 g, 77.0 mmol) and phthalimide (11.4 g, 77.5 mmol) successively. The resulting suspension was cooled on an ice-bath and 40% diethyl azodicarboxylate (DEAD) in toluene (33.6 mL, 74.1 mmol) was added over 1 hr. The reaction mixture was stirred at ambient temperature for 2.5 days, concentrated, and purified by flash chromatography (silica gel, 33% EtOAc in hexane) to give a white solid. To a suspension of the above solid (27.5 g) in EtOH (275 mL) was added hydrazine hydrate (5.76 g, 115 mmol). The mixture was stirred at reflux for 2.25 hr, cooled, and concentrated. The precipitate was dissolved in 10% aqueous sodium hydroxide (350 mL). The aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, and concentrated. To a solution of the above residue in CHCl<sub>3</sub> (275 mL) was added triethylamine (8.54 g, 84.4 mmol). The resulting solution was cooled to 0 °C and ZCl (14.4 g, 84.4 mmol) was added below 5 °C. The reaction mixture was stirred at ambient temperature for 16 hr and poured into saturated aqueous NaHCO<sub>3</sub>. The aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (silica gel, 2% MeOH in CHCl<sub>3</sub>) to give [*cis*-4-(benzyloxycarbonylamino-methyl)-cyclohexyl]-carbamic acid *tert*-butyl ester (25.3 g, 91%) as a colorless oil. ESI MS m/e 385, M + Na+; ¹H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.13-1.31 (m, 2 H), 1.44 (s, 9 H), 1.48-1.75 (m, 7 H), 3.10 (t,

# Step C: Synthesis of (cis-4-amino-cyclohexylmethyl)-carbamic acid benzyl ester.

[0647] To a solution of [cis-4-(benzyloxycarbonylamino-methyl)-cyclohexyl]-carbamic acid tert-butyl ester (12.9 g, 35.6 mmol) in EtOAc (129 mL) was added 4 M hydrogen chloride in EtOAc (129 mL). The reaction mixture was stirred at ambient temperature for 3 hr, filtrated, washed with EtOAc, and dried under reduced pressure. The solid was dissolved in saturated aqueous NaHCO<sub>3</sub>. The aqueous layer was extracted with CHCl<sub>3</sub> (five times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and dried under reduced pressure to give (cis-4-amino-cyclohexylmethyl)-carbamic acid benzyl ester (8.88 g, 95%) as a colorless oil.

ESI MS m/e 263, M + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.36-1.98 (m, 9 H), 2.96-3.32 (m, 3 H), 5.12 (brs, 3 H), 7.36 (s, 5 H).

J = 6.4 Hz, 2 H), 3.72 (brs, 1 H), 4.42-4.76 (m, 1 H), 4.76-4.92 (m, 1 H), 5.09 (s, 2 H), 7.27-7.38 (m, 5 H).

# 40 Step D: Synthesis of (cis-4-aminomethyl-cyclohexyl)-quinolin-2-yl-amine.

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[0648] A mixture of 2-chloro-quinoline (10.0 g, 61.1 mmol) and (*cis*-4-amino-cyclohexylmethyl)-carbamic acid benzyl ester (17.6 g, 67.2 mmol) in butanol (10 mL) was stirred at reflux for 2 days. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub>, and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (NH-silica, 33% to 50% EtOAc in hexane) to give a pale yellow oil. To a solution of the above oil in MeOH (100 mL) was added 10% Pd/C (1.00 g). The mixture was stirred at ambient temperature under hydrogen atmosphere for 1.5 days. The reaction mixture was filtrated through a pad of celite, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 2% MeOH in CHCl<sub>3</sub>) to give (*cis*-4-aminomethyl-cyclohexyl)-quinolin-2-yl-amine (6.20 g, 40%) as a pale yellow solid.

ESI MS m/e 256, M + H+ <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.12-1.51 (m, 4 H), 1.59-1.93 (m, 5 H), 2.60 (d, J = 6.2 Hz, 2 H), 4.08-4.20 (m, 1 H), 4.94 (d, J = 7.4 Hz, 1 H), 6.65 (d, J = 9.0 Hz, 1 H), 7.18 (ddd, J = 7.9, 6.8, 1.1 Hz, 1 H) 7.47-7.59 (m, 2 H), 7.61-7.67 (m, 1 H) 7.81 (d, J = 8.9 Hz, 1 H).

# 55 Step E: Synthesis of 3,4-difluoro-*N*-[cis-4-(quinolin-2-ylamino)-cyclohexylmethyl]-benzamide hydrochloride.

[0649] To a solution of *cis*-(4-an-amino methyl-cyclohexyl)-quinolin-2-yl-amine (300 mg, 1.17 mmol) and 3,4-difluorobenzoic acid (223 mg, 1.41 mmol) in DMF (3 mL) were added Et<sub>3</sub>N (0.40 mL, 2.87 mmol), HOBt-H<sub>2</sub>O (270 mg, 1.76

mmol), and EDC-HCl (270 mg, 1.41 mmol). The reaction mixture was stirred at ambient temperature for 16 hr. To the reaction mixture was added water (20 mL) and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 50% EtOAc in hexane). To a solution of the above material in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (10 mL). The mixture was stirred at ambient temperature for 2 hr, filtered, washed with Et<sub>2</sub>O, and dried at 80 °C under reduced pressure to give 3,4-difluoro-*N*-[cis-4-(quinolin-2-ylamino)-cyclohexylmethyl]-benzamide hydrochloride (390 mg, 77%) as a white solid.

ESI MS m/e 418, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.65-2.08 (m, 9 H), 3.48-3.56 (m, 2 H), 3.98-4.09 (m, 1 H), 6.92-7.07 (m, 2 H), 7.18-7.29 (m, 1 H), 7.39-7.47 (m, 1 H), 7.67-7.76 (m, 3 H), 7.81-7.93 (m, 2 H), 8.15 (d, J = 9.6 Hz, 1 H), 9.86-9.95 (m, 1 H).

# Example 3069

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2-Phenoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexylmethyl]-nicotinamide hydrochloride

Step A: Synthesis of 2-phenoxy-*N-[cis-*4-(quinolin-2-ylamlno)-cyclohexylmethyl]-nicotinamide hydrochloride.

[0650] Using the procedure for the step E of example 3068, the title compound was obtained. ESI MS m/e 475, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.54-2.02 (m, 9 H), 3.42-3.52 (m, 2 H), 3.91-4.05 (m, 1 H), 6.91 (d, J = 9.5 Hz, 1 H), 7.10-7.20 (m, 3 H), 7.23-7.31 (m, 1 H), 7.38-7.50 (m, 3 H), 7.65-7.82 (m, 3 H), 8.06-8.17 (m, 2 H), 8.20 (dd, J = 4.7, 2.0 Hz, 1 H) 8.60 (dd, J = 7.7,1.9 Hz, 1 H), 9.65-9.78 (m, 1 H).

Example 3070

N-[cis-4-(4-Methyl-quinolin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride

Step A: Synthesis of N-(cis-4-methyl-quinolin-2-yl)-cyclohexane-1,4-diamine.

[0651] A mixture of 2-Chloro-4-methyl-quinoline (10.0 g, 56.3 mmol) and (*cis*-4-amino-cyclohexyl)-carbamic acid tert-butyl ester obtained in step B of example 3031 (13.3 g, 62.1 mmol) in IPA (10 mL) was stirred at reflux for 7 days. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 50% EtOAc in hexane) to give a pale yellow oil. To a solution of the above material in EtOAc (150 mL) was added 4 M hydrogen chloride in EtOAc (150 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was dissolved in 1 M aqueous NaOH and the aqueous layer was extracted with CHCl<sub>3</sub> (three time). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, and purified by medium-pressure liquid chromatography (NH-silica gel, 1% to 5% MeOH in CHCl<sub>3</sub>) to give *N*-(*cis*-4-methyl-quinolin-2-yl)-cyclohexane-1,4-diamine (3.41 g, 24%) as pale yellow solid.

ESI MS m/e 256, M + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.19-1.55 (m, 4 H), 1.67-1.94 (m, 4 H), 2.56 (s, 3 H), 2.85-2.98 (m, 1 H), 4.03-4.15 (m, 1 H), 4.77 (d, J = 6.8 Hz, 1 H), 6.49 (s, 1 H), 7.17-7.25 (m, 1 H), 7.47-7.55 (m, 1 H), 7.62-7.68 (m, 1 H), 7.72-7.77 (m, 1 H).

# Step B: Synthesis of N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride.

[0652] To a solution of N-(cis-4-methyl-quinolin-2-yl)-cyclohexane-1,4-diamine (300 mg, 1.17 mmol) in CHCl $_3$  (2 mL) were added Et $_3$ N (0.45 mL, 2.60 mmol) and 2-phenoxy-nicotinoyl chloride (411 mg, 1.76 mmol) in CHCl $_3$  (1 mL). The mixture was stirred at ambient temperature for 14 hr. The reaction was quenched with saturated aqueous NaHCO $_3$  and the aqueous layer was extracted with CHCl $_3$  (three times). The combined organic layer was dried over MgSO $_4$ , filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% EtOAc in hexane) to give a colorless oil. To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et $_2$ O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et $_2$ O, and dried at 60 °C under reduced pressure to give N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride (85 mg, 15%) as a white solid.

ESI MS m/e 453, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.85-2.12 (m, 8 H), 2.70 (s, 3 H), 3.83-4.00 (m, 1 H), 4.11-4.28 (m, 1 H), 6.74 (s, 1 H), 7.08-7.18 (m, 1 H), 7.19-7.34 (m, 3 H), 7.38-7.53 (m, 3 H), 7.63-7.85 (m, 3 H), 7.91-7.99 (m, 1 H), 8.20-8.24 (m, 1 H), 8.54 (d, J = 7.4 Hz, 1 H).

#### Example 3071

3,4-Difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

5 Step A: Synthesis of 3,4-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0653] To a solution of 3,4-difluoro-benzoic acid (222 mg, 1.40 mmol) and *N*-(*cis*-4-methyl-quinolin-2-yl)-cyclohexane-1,4-diamine obtained in step A of example 3070 (300 mg, 1.17 mmol) in DMF (3 mL) were added Et<sub>3</sub>N (0.39 mL, 2.80 mmol), HOBt-H<sub>2</sub>O (268 mg, 1.76 mmol), and EDC-HCl (268 g, 1.40 mmol). The reaction mixture was stirred at ambient temperature for 12 hr. To the reaction mixture was added water (20 mL) and the suspension was stirred at ambient temperature for 30 min. The precipitated was collected by filtration, washed with H<sub>2</sub>O, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 50% EtOAc in hexane and silica gel, 2% to 5% MeOH in CHCl<sub>3</sub>) to give a yellow oil. To a solution of the above material in EtOAc (8 mL) was added 4 M hydrogen chloride in EtOAc (0.5 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 60 °C under reduced pressure to give 3,4-difluoro-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride (377 mg, 75%) as a white solid.

ESI MS m/e 396, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.75-2.17 (m, 8 H), 2.73 (s, 3 H), 3.95-4.26 (m, 2 H), 6.71 (d, J = 7.1 Hz, 1 H), 6.79 (s, 1 H), 7.14-7.28 (m, 1 H), 7.41-7.51 (m, 1 H), 7.54-7.64 (m, 1 H), 7.66-7.79 (m, 3 H), 7.85 (d, J = 8.2 Hz, 1 H), 9.57-9.67 (m, 1 H).

# Example 3072

5 1-(2,3-Dichloro-phenyl)-3-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-urea hydrochloride

Step A: Synthesis of 1-(2,3-dichloro-phenyl)-3-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-urea hydrochloride.

[0654] To a solution of N-(cis-4-methyl-quinolin-2-yl)-cyclohexane-1,4-diamine obtained in step A of example 3070 (300 mg, 1.17 mmol) in DMSO (3 mL) was added 1,2-dichloro-3-isocyanato-benzene (242 mg, 1.29 mmol). The mixture was stirred at ambient temperature for 5 hr and poured into water (20 mL). The precipitate was collected by filtration, washed with water, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 33% EtOAc in hexane) and flash chromatography (silica gel, 2% MeOH in CHCl<sub>3</sub>) to give a pale yellow oil. To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. A suspension of the residue in Et<sub>2</sub>O (20 mL) was stirred at ambient tempareture for 1 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 60 °C under reduced pressure to give 1-(2,3-dichloro-phenyl)-3-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-urea hydrochloride (421 mg, 68%) as a white solid.

40 ESI MS m/e 465, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.76-2.17 (m, 8 H), 2.70 (s, 3 H), 3.69-4.08 (m, 2 H), 6.65-6.83 (m, 2 H), 6.95-7.17 (m, 2 H), 7.41 (t, *J* = 8.1 Hz, 1 H), 7.54-7.89 (m, 4 H), 8.05-8.17 (m, 1 H), 9.13-9.27 (m, 1 H).

# Example 3073

45 3-Chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 3-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0655] To a solution of *N*-(*cis*-4-methyl-quinolin-2-yl)-cyclohexane-1,4-diamine obtained in step A of example 3070 (300 mg, 1.17 mmol) in CHCl<sub>3</sub> (3 mL) were added Et<sub>3</sub>N (0.35 mL, 2.51 mmol) and 3-chloro-benzoyl chloride (226 mg, 1.29 mmol). The mixture was stirred at ambient temperature for 1.5 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 50% EtOAc in hexane). To a solution of the above material in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (10 mL). The mixture was stirred at ambient temperature for 1 hr, and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 80 °C under reduced pressure to give 3-chloro-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride (441 mg, 87%) as a white solid.

ESI MS m/e 416, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.78-2.11 (m, 8 H), 2.72 (s, 3 H), 3.92-4.29 (m, 2 H), 6.78 (s, 1 H), 6.94 (d, J= 9.0 Hz, 1 H), 7.33-7.50 (m, 3 H), 7.68-7.76 (m, 3 H), 7.83-7.88 (m, 2 H), 9.58 (d, J= 9.0 Hz, 1 H).

Example 3074

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5-Nitro-thiophene-3-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride

Step A: Synthesis of 5-nitro-thiophene-3-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride.

[0656] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 411, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.78-2.14 (m, 8 H), 2.73 (s, 3 H), 3.97-4.26 (m, 2 H), 6.79 (s, 1 H), 7.41-7.57 (m, 2 H), 7.68-7.76 (m, 2 H), 7.85 (d, J = 8.2 Hz, 1 H), 8.26 (d, J = 1.4 Hz, 1 H), 8.38 (d, J = 1.4 Hz, 1 H), 9.41 (d, J = 9.0 Hz, 1 H).

Example 3075

3-Methyl-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

20 Step A: Synthesis of 3-methyl-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0657] Using the procedure for the step B of example 3070, the title compound was obtained. ESI MS m/e 374, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.66-2.10 (m, 8 H), 2.41 (s, 3 H), 2.72 (d, J = 0.8 Hz, 3 H), 3.94-4.05 (m, 1 H), 4.08-4.25 (m, 1 H), 6.62 (d, J = 8.1 Hz, 1 H), 6.78 (s, 1 H), 7.28-7.36 (m, 2 H), 7.42-7.49 (m, 1 H), 7.58-7.66 (m, 2 H), 7.67-7.79 (m, 2 H), 7.84 (d, J = 8.1 Hz, 1 H), 9.62 (d, J = 8.1 Hz, 1 H).

Example 3076

3-Methoxy-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 3-methoxy-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0658] Using the procedure for the step B of example 3070, the title compound was obtained. ESI MS m/e 390, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.66-2.10 (m, 8 H), 2.72 (s, 3 H), 3.87 (s, 3 H), 3.94-4.26 (m, 2 H), 6.69-6.81 (m, 2 H), 6.99-7.07 (m, 1 H), 7.28-7.51 (m, 4 H), 7.66-7.79 (m, 2 H), 7.84 (d, J = 7.9 Hz, 1 H), 9.55-9.68 (m, 1 H).

Example 3077

4-Cyano-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 4-cyano-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0659] Using the procedure for the step B of example 3070, the title compound was obtained. ESI MS m/e 385, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.79-2.16 (m, 8 H), 2.73 (d, J = 0.9 Hz, 3 H), 3.99-4.29 (m, 2 H), 6.79 (s, 1 H), 7.20-7.28 (m, 1 H), 7.42-7.51 (m, 1 H), 7.69-7.76 (m, 4 H), 7.86 (d, J = 8.2 Hz, 1 H), 7.95-8.02 (m, 2 H), 9.54 (d, J = 8.9 Hz, 1 H).

Example 3078

3,4-Dichloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 3,4-dichloro-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

[0660] Using the procedure for the step B of example 3070, the title compound was obtained. ESI MS m/e 428, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.80-2.14 (m, 8 H), 2.73 (d, J = 0.6 Hz, 3 H), 3.95-4.24 (m, 2 H), 6.75-6.87 (m, 2 H), 7.42-7.52 (m, 2 H), 7.64-7.76 (m, 3 H), 7.85 (d, J = 8.2 Hz, 1 H), 7.98 (d, J = 1.9 Hz, 1

H), 9.60 (d, J = 7.9 Hz, 1 H).

#### Example 3079

- 5 3-Chloro-4-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride
  - Step A: Synthesis of 3-chloro-4-fluoro-*N*-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.
- [0661] Using the procedure for the step B of example 3070, the title compound was obtained. ESI MS m/e 412, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.79-2.14 (m, 8 H), 2.73 (d, J = 0.8 Hz, 3 H), 3.96-4.26 (m, 2 H), 6.70-6.82 (m, 2 H), 7.18 (t, J = 8.6 Hz, 1 H), 7.42-7.51 (m, 1 H), 7.68-7.78 (m, 3 H), 7.85 (d, J = 8.2 Hz, 1 H), 7.96 (dd, J = 7.0, 2.2 Hz, 1 H), 9.61 (d, J = 8.4 Hz, 1 H).
- 15 Example 3080
  - 4-Fluoro-3-methyl-N-[c/s-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride
- Step A: Synthesis of 4-fluoro-3-methyl-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0662] Using the procedure for the step B of example 3070, the title compound was obtained. ESI MS m/e 414, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.73-2.10 (m, 8 H), 2.33 (d, J = 1.9 Hz, 3 H), 2.72 (s, 3 H), 3.95-4.25 (m, 2 H), 6.45-6.54 (m, 1 H), 6.78 (s, 1 H), 7.00-7.08 (m, 1 H), 7.42-7.50 (m, 1 H), 7.60-7.80 (m, 4 H), 7.84 (d, J = 8.6 Hz, 1 H), 9.58-9.70 (m, 1 H).

#### Example 3081

- 1-Methyl-4-nitro-1*H*-pyrrole-2-carboxylic acid-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride
  - Step A: Synthesis of 1-methyl-4-nitro-1*H*-pyrrole-2-carboxylic acid-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride.
- 35 [0663] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 408, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $^{3}$  1.77-2.11 (m, 8 H), 2.72 (s, 3 H), 3.94-4.14 (m, 5 H), 6.77 (s, 1 H), 7.09-7.16 (m, 1 H), 7.26-7.29 (m, 1 H), 7.41-7.55 (m, 2 H), 7.67-7.78 (m, 2 H), 7.84 (d,  $^{2}$ J = 8.2 Hz, 1 H), 9.51-9.63 (m, 1 H).
- 40 Example 3082
  - 9H-Xanthene-9-carboxylic acid-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride
- Step A: Synthesis of 9*H*-xanthene-9-carboxylic acid [*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride.
- [0664] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 486, M (free) + Na $^+$ ; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.63-1.91 (m, 8 H), 2.68 (s, 3 H), 3.75-3.97 (m, 2 H), 4.88 (s, 1 H), 6.14-6.27 (m, 1 H), 6.69 (brs, 1 H), 7.03-7.18 (m, 4 H), 7.23-7.49 (m, 5 H), 7.62-7.86 (m, 3 H), 9.34-9.47 . 50 (m, 1 H).

#### Example 3083

5-Bromo-furan-2-carboxylic acid-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride

5 Step A: Synthesis of 5-bromo-furan-2-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride.

[0665] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 428, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.62-2.08 (m, 8 H), 2.72 (s, 3 H), 3.90-4.19 (m, 2 H), 6.42 (d, J = 3.6 Hz, 1 H), 6.67-6.80 (m, 2 H), 7.05 (d, J = 3.6 Hz, 1 H), 7.41-7.51 (m, 1 H), 7.67-7.81 (m, 2 H), 7.85 (d, J = 8.4 Hz, 1 H), 9.59-9.72 (m, 1 H).

### Example 3084

5 N-[cis-4-(4-Methyl-quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-acetamide hydrochloride

Step A: Synthesis of N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-acetamide hydrochloride.

20 [0666] Using the procedure for the step A of example 3071, the title compound was obtained.
ESI MS m/e 426, M (free) + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.75-2.07 (m, 8 H), 2.34 (s, 3 H), 2.72 (s, 3 H), 3.86-4.14 (m, 2 H), 4.46 (s, 2 H), 6.70-6.95 (m, 5 H), 7.15-7.24 (m, 1 H), 7.41-7.50 (m, 1 H), 7.67-7.88 (m, 3 H), 9.58-9.69 (m, 1 H).

#### Example 3085

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Benzo[2,1,3]oxadiazole-5-carboxylic acid-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride

Step A: Synthesis of benzo[2,1,3]oxadiazole-5-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride.

[0667] Using the procedure for the step A of example 3073, the title compound was obtained. ESI MS m/e 402, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.79-2.28 (m, 8 H), 2.73 (s, 3 H), 3.98-4.11 (m, 1 H), 4.12-4.32 (m, 1 H), 6.79 (s, 1 H), 7.37-7.50 (m, 2 H), 7.71 (s, 1 H), 7.72 (s, 1 H), 7.81-7.96 (m, 3 H), 8.40 (s, 1 H), 9.56 (d, J = 8.7 Hz, 1 H).

# Example 3086

3-Bromo-*N*-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 3-bromo-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0668] Using the procedure for the step A of example 3073, the title compound was obtained. ESI MS m/e 438, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.81-2.13 (m, 8 H), 2.72 (s, 3 H), 3.96-4.06 (m, 1 H), 4.08-4.26 (m, 1 H), 6.75-6.85 (m, 2 H), 7.26-7.34 (m, 1 H), 7.42-7.50 (m, 1 H), 7.57-7.64 (m, 1 H), 7.66-7.79 (m, 3 H), 7.85 (d, J = 8.2 Hz, 1 H), 8.01 (s, 1 H), 9.55-9.66 (m, 1 H).

# Example 3087

<sup>50</sup> 3-Cyano-*N*-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 3-cyano-*N*-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0669] Using the procedure for the step A of example 3073, the title compound was obtained.
ESI MS m/e 385, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.81-2.18 (m, 8 H), 2.73 (s, 3 H), 3.98-4.29 (m, 2 H), 6.80 (s, 1 H), 7.13-7.22 (m, 1 H), 7.43-7.60 (m, 2 H), 7.68-7.79 (m, 3 H), 7.85 (d, *J* = 8.1 Hz, 1 H), 8.08 (d, *J* = 7.2 Hz, 1 H), 8.22 (s, 1 H), 9.49-9.62 (m, 1 H).

#### Example 3088

N-[cis-4-(4-Methyl-quinolin-2-ylamino)-cyclohexyl]-3-trifluoromethyl-benzamide hydrochloride

5 Step A: Synthesis of N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-3-trifluoromethyl-benzamide hydrochloride.

[0670] Using the procedure for the step A of example 3073, the title compound was obtained.
ESI MS m/e 428, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.81-2.14 (m, 8 H), 2.73 (s, 3 H), 3.95-4.09 (m, 1 H),
4.12-4.31 (m, 1 H), 6.79 (s, 1 H), 6.85-6.99 (m, 1 H), 7.43-7.50 (m, 1 H), 7.57 (t, J = 7.8 Hz, 1 H), 7.64-7.79 (m, 3 H),
7.85 (d, J = 8.2 Hz, 1 H), 8.01 (d, J = 7.8 Hz, 1 H), 8.15 (s, 1 H), 9.56-9.68 (m, 1 H).

### Example 3089

15 N-[cis-4-(4-Methyl-quinolin-2-ylamino)-cyclohexyl]-2,2-diphenyl-acetamide hydrochloride

Step A: Synthesis of N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2,2-diphenyl-acetamide hydrochloride.

20 [0671] Using the procedure for the step A of example 3073, the title compound was obtained.
ESI MS m/e 472, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.56-2.10 (m, 8 H), 2.51-2.87 (m, 3 H), 3.81-4.16 (m, 2 H), 4.94 (s, 1 H), 6.40-6.88 (m, 2 H), 7.17-7.51 (m, 11 H), 7.63-7.89 (m, 3 H), 9.44 (brs, 1 H).

#### Example 3090

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2-(4-Fluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

Step A: Synthesis of 2-(4-fluoro-phenoxy)-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride.

[0672] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 493, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.85-2.12 (m, 8 H), 2.71 (s, 3 H), 3.87-4.00 (m, 1 H), 4.11-4.30 (m, 1 H), 6.76 (brs, 1 H), 7.09-7.21 (m, 3 H), 7.24-7.35 (m, 2 H), 7.44 (t, J = 7.1 Hz, 1 H), 7.65-7.99 (m, 4 H), 8.19-8.25 (m, 1 H), 8.54 (d, J = 6.2 Hz, 1 H), 9.60-9.73 (m, 1 H).

# Example 3091

2-(3,4-Difluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

40 Step A: Synthesis of 2-(3,4-difluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]nicotinamide hydrochloride.

[0673] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 511, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.81-2.13 (m, 8 H), 2.71 (s, 3 H), 3.90-4.03 (m, 1 H), 4.13-4.30 (m, 1 H), 6.76 (s, 1 H), 7.10-7.51 (m, 5 H), 7.65-7.88 (m, 4 H), 8.18-8.27 (m, 1 H), 8.50-8.58 (m, 1 H), 9.67-9.81 (m, 1 H).

# Example 3092

50 N-[cis-4-(4-Methyl-quinolin-2-ylamino)-cyclohexyl]-2-p-tolyloxy-nicotinamide hydrochloride

Step A: Synthesis of N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-p-tolyloxy-nicotinamide hydrochloride.

[0674] Using the procedure for the step A of example 3071, the title compound was obtained.
 ESI MS m/e 489, M (free) + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.83-2.15 (m, 8 H), 2.36 (s, 3 H), 2.71 (s, 3 H), 3.78-4.03 (m, 1 H), 4.10-4.32 (m, 1 H), 6.67-6.84 (m, 1 H), 7.06-7.51 (m, 6 H), 7.62-7.90 (m, 3 H), 7.95-8.08 (m, 1 H), 8.19-8.30 (m, 1 H), 8.48-8.61 (m, 1 H), 9.62 (brs, 1 H).

#### Example 3093

2-(4-Chloro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

5 Step A: Synthesis of 2-(4-chloro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride.

[0675] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 487, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.58-2.13 (m, 8 H), 2.71 (s, 3 H), 3.87-4.02 (m, 1 H), 4.10-4.31 (m, 1 H), 6.75 (brs, 1 H), 7.15 (dd, J = 7.5, 4.8 Hz, 1 H), 7.22-7.33 (m, 2 H), 7.37-7.49 (m, 3 H), 7.64-7.92 (m, 4 H), 8.21 (dd, J = 4.8, 2.0 Hz, 1 H), 8.53 (dd, J = 7.6, 2.0 Hz, 1 H), 9.63-9.78 (m, 1 H).

Example 3094

2-(4-Bromo-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

Step A: Synthesis of 2-(4-bromo-phenoxy)-*N*-[*cls*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride.

20 [0676] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 531, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.81-2.20 (m, 8 H), 2.72 (s, 3 H), 3.83-4.31 (m, 2 H), 6.66-6.85 (m, 1 H), 7.03-7.93 (m, 10 H), 8.16-8.28 (m, 1 H), 8.46-8.61 (m, 1 H), 9.55-9.61 (m, 1 H).

Example 3095

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2-(4-Methoxy-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

Step A: Synthesis of 2-(4-methoxy-phenoxy)-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride.

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[0677] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 483, M (free) + H<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $^{3}$  1.84-2.19 (m, 8 H), 2.71 (s, 3 H), 3.74-4.00 (m, 4 H), 4.12-4.28 (m, 1 H), 6.68-6.82 (m, 1 H), 6.91-7.30 (m, 5 H), 7.38-7.50 (m, 1 H), 7.63-7.88 (m, 3 H), 7.96-8.09 (m, 1 H), 8.17-8.33 (m, 1 H), 8.48-8.61 (m, 1 H), 9.50-9.70 (m, 1 H).

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Example 3096

2-(3-Chloro-4-fluoro-phenoxy)-*N*-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

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Step A: Synthesis of 2-(3-chloro-4-fluoro-phenoxy)-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nlcotinamide hydrochloride.

[0678] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 505, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.67-2.13 (m, 8 H), 2.71 (s, 3H), 3.89-4.02 (m, 1 H), 4.13-4.29 (m, 1 H), 6.76 (brs, 1 H), 7.17 (dd, J = 7.6, 4.8 Hz, 1 H), 7.22-7.49 (m, 4 H), 7.65-7.87 (m, 4 H), 8.21 (dd, J = 4.8, 2.0 Hz, 1 H), 8.52 (dd, J = 7.6, 2.0 Hz, 1 H), 9.65-9.77 (m, 1 H).

Example 3097

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N-[cis-4-(4-Methyl-quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-nicotinamide hydrochloride

Step A: Synthesis of *N*-[*cis*-4-(4-Methyl-quinolin-2-ylamino)-cyclohexyl]-2-*m*-tolyloxy-nicotinamide hydrochloride.

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[0679] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 467, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.85-2.10 (m, 8 H), 2.40 (s, 3 H), 2.70 (s, 3 H), 3.84-3.98 (m, 1 H), 4.10-4.24 (m, 1 H), 6.76 (brs, 1 H), 7.00-7.21 (m, 4 H), 7.28-7.48 (m, 2 H), 7.62-7.87 (m, 3 H), 7.94-8.06 (m, 1 H), 4.10-4.24 (m, 1 H), 6.76 (brs, 1 H), 7.00-7.21 (m, 4 H), 7.28-7.48 (m, 2 H), 7.62-7.87 (m, 3 H), 7.94-8.06 (m, 1 H), 7.94

1 H), 8.21-8.29 (m, 1 H), 8.53 (d, J = 6.4 Hz, 1 H), 9.51-9.64 (m, 1 H).

#### Example 3098

- 5 2-(3-Methoxy-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide hydrochloride
  - Step A: Synthesis of 2-(3-methoxy-phenoxy)-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide hydrochloride.
- [0680] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 442, M (free) + Na+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.71-2.06 (m, 8 H), 2.72 (s, 3 H), 3.82 (s, 3 H), 3.89-4.11 (m, 2 H), 4.46 (s, 3 H), 6.52-6.61 (m, 3 H), 6.75 (s, 1 H) 6.84-6.92 (m, 1 H), 7.16-7.24 (m, 1 H), 7.41-7.49 (m, 1 H), 7.67-7.80 (m, 1 H), 7.84 (d, J = 8.2 Hz, 1 H), 9.57-9.70 (m, 1 H).
- 15 Example 3099
  - 2-(3-Chloro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide hydrochloride
- Step A: Synthesis of 2-(3-chloro-phenoxy)-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide hydrochloride.

**[0681]** Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 446, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.80-2.06 (m, 8 H), 2.72 (s, 3 H), 3.91-4.13 (m, 2 H), 4.45 (s, 2 H), 6.73-7.03 (m, 5 H), 7.19-7.28 (m, 1 H), 7.41-7.50 (m, 1 H), 7.67-7.87 (m, 3 H), 9.58-9.72 (m, 1 H).

#### Example 3100

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- 2-(3-Chloro-4-fluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide hydrochloride
- 30 Step A: Synthesis of 2-(3-chloro-4-fluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]acetamide hydrochloride.

[0682] Using the procedure for the step A of example 3071, the title compound was obtained.
ESI MS m/e 464, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.70-2.07 (m, 8 H), 2.72 (s, 3 H), 3.91-4.14 (m, 2 H),
4.42 (s, 2 H), 6.76 (s, 1 H), 6.83-6.95 (m, 2 H), 6.99-7.16 (m, 2 H), 7.42-7.50 (m, 1 H), 7.67-7.80 (m, 2 H), 7.84 (d, J = 7.9 Hz, 1 H), 9.59-9.70 (m, 1 H).

# Example 3101

- 40 2-(3,4-Dichloro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide hydrochloride
  - Step A: Synthesis of 2-(3,4-dichloro-phenoxy)-*N*-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide hydrochloride.
- [0683] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 480, M (free) + Na+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.78-2.13 (m, 8 H), 2.72 (s, 3 H), 3.91-4.14 (m, 2 H), 4.44 (s, 2 H), 6.76 (brs, 1 H), 6.84-6.93 (m, 2 H), 7.09 (d, J = 2.8 Hz, 1 H), 7.37 (d, J = 8.9 Hz, 1 H), 7.42-7.49 (m, 1 H), 7.67-7.80 (m, 2 H), 7.84 (d, J = 8.1 Hz, 1 H), 9.54-9.72 (m, 1 H).
- 50 Example 3102
  - C-(Methyl-phenyl-amino)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide dihydrochloride
- Step A: Synthesis of *C*-(methyl-phenyl-amino)-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide dihydrochloride.

[0684] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 403, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.67-1.99 (m, 8 H), 2.70 (s, 3 H), 3.11 (s, 3 H), 3.76-4.06

(m, 4 H), 6.63-7.01 (m, 4 H), 7.08-7.50 (m, 4 H), 7.60-7.92 (m, 3 H), 9.34-9.51 (m, 1 H).

Example 3103

5 2-(3,4-Dichloro-phenylamino)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl}-acetamide dihydrochloride

Step A: Synthesis of 2-(3,4-dichloro-phenylamino)-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide dihydrochloride.

[0685] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 479, M (free) + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.75-2.02 (m, 8 H), 2.71 (s, 3 H), 3.74-4.08 (m, 4 H), 6.45-6.56 (m, 1 H), 6.67-6.78 (m, 2 H), 7.04-7.19 (m, 2 H), 7.39-7.50 (m, 1 H), 7.62-7.87 (m, 3 H), 9.31-9.46 (m, 1 H).

Example 3104

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3,4-Difluoro-N-[c/s-4-(4-methyl-quinolin-2-ylamino)-cyclohexylmethyl]-benzamide hydrochloride

Step A: Synthesis of (cis-4-aminomethyl-cyclohexyl)-(4-methyl-quinolin-2-yl)-amine.

[0686] A mixture of 2-chloro-4-methyl-quinoline (10.0 g, 56.3 mmol) and (*cis*-4-amino-cyclohexylmethyl)-carbamic acid benzyl ester obtained in step C of example 3068 (17.7 g, 67.6 mmol) in butanol (10 mL) was stirred at reflux for 5 days. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 10% to 20% EtOAc in hexane and silica gel, 2% to 10% MeOH in CHCl<sub>3</sub>) to give a pale yellow oil. To a solution of the above oil in MeOH (140 mL) was added 10% Pd/C (1.40 g). The mixture was stirred at ambient temperature under hydrogen atmosphere for 6 days. The reaction mixture was filtrated through a pad of celite, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 2% to 10% MeOH in CHCl<sub>3</sub>) to give (*cis*-4-aminomethyl-cyclohexyl)-(4-methyl-quinolin-2-yl)-amine (5.74 g, 38%) as a pale yellow solid.

39 ESI MS m/e 470, M + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.14-1.51 (m, 4 H), 1.60-1.94 (m, 5 H), 2.56 (s, 3 H), 2.60 (d, J= 6.4 Hz, 2 H), 4.08-4.22 (m, 1 H), 4.82-4.92 (m, 1 H), 6.52 (s, 1 H), 7.17-7.24 (m, 1 H), 7.47-7.54 (m, 1 H), 7.62-7.67 (m, 1 H), 7.72-7.77 (m, 1 H).

Step B: Synthesis of 3,4-difluoro-*N*-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexylmethyl]-benzamide hydrochloride.

[0687] To a solution of ( $\it cis$ -4-aminomethyl-cyclohexyl)-(4-methyl-quinolin-2-yl)-amine (300 mg, 0.90 mmol) in CHCl<sub>3</sub> (2 mL) were added  $\it i$ -Pr<sub>2</sub>NEt (0.33 mL, 1.89 mmol) and 3,4-difluoro-benzoyl chloride (175 mg, 0.99 mmol) in CHCl<sub>3</sub> (1 mL). The mixture was stirred at ambient temperature for 6 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 25% EtOAc in hexane) to give a colorless oil. To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 60 °C under reduced pressure to give 3,4-difluoro-*N*-[ $\it cis$ -4-(4-methyl-quinolin-2-ylamino)-cyclohexylmethyl]-benzamide hydrochloride (289 mg, 72%) as a white solid. ESI MS m/e 432, M (free) + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.56-2.05 (m, 9 H), 2.70 (s, 3 H), 3.49-3.54 (m, 2 H), 3.97-4.09 (m, 1 H), 6.75 (s, 1 H), 6.89-6.98 (m, 1 H), 7.19-7.30 (m, 1 H), 7.40-7.47 (m, 1 H), 7.66-7.75 (m, 2 H), 7.79-7.93 (m, 3 H), 9.72-9.85 (m, 1 H).

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Example 3105

N-[cis-4-(4-Methyl-quinolin-2-ylamino)-cyclohexylmethyl)-2-phenoxy-nicotinamide hydrochloride

55 Step A: Synthesis of N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexylmethyl]-2-phenoxy-nicotinamide hydrochloride.

[0688] Using the procedure for the step C of example 3104, the title compound was obtained.

ESI MS m/e 467, M (free) +  $H^+$ ; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.61-2.14 (m, 9 H), 2.69 (s, 3 H), 3.42-3.50 (m, 2 H), 3.92-4.04 (m, 1 H), 6.73 (brs, 1 H), 7.10-7.32 (m, 4 H), 7.38-7.49 (m, 3 H), 7.64-7.84 (m, 3 H), 8.06-8.15 (m, 1 H), 8.19-8.24 (m, 1 H), 8.57-8.63 (m, 1 H), 9.49-9.62 (m, 1 H).

# 5 Example 3106

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1-(2,3-Dichloro-phenyl)-3-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexylmethyl]-urea hydrochloride

Step A: Synthesis of 1-(2,3-dichloro-phenyl)-3-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexylmethyl]-urea hydrochloride.

[0689] To a solution of (cis-4-aminomethyl-cyclohexyl)-(4-methyl-quinolin-2-yl)-amine obtained in step B of example 3014 (300 mg, 1.11 mmol) in DMSO (3 mL) was added 1,2-dichloro-3-isocyanato-benzene (230 mg, 1.22 mmol). The mixture was stirred at ambient temperature for 21 hr and poured into water (20 mL). The precipitate was collected by filtration, washed with water, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% EtOAc in hexane) to give a pale yellow oil. To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. A suspension of the residue in Et<sub>2</sub>O (20 mL) was stirred at ambient tempareture for 1 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 60 °C under reduced pressure to give 1-(2,3-dichloro-phenyl)-3-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexylmethyl]-urea hydrochloride (247 mg, 45%) as a white solid.

ESI MS m/e 479, M (free) + Na+; ¹H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.51-2.18 (m, 9 H), 2.71 (d, J = 0.8 Hz, 3 H), 3.37-3.44 (m, 2 H), 4.04-4.14 (m, 1 H), 6.78 (s, 1 H), 6.89-7.13 (m, 3 H), 7.42-7.50 (m, 1 H), 7.70-7.76 (m, 2 H), 7.84 (d, J = 8.1

25 Example 3107

N-[cis-4-(4-Dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride

30 Step A: Synthesis of 5,6,7,8-tetrahydro-quinazoline-2,4-diol.

Hz, 1 H), 8.13-8.22 (m, 2 H), 9.38 (d, J = 9.2 Hz, 1 H), 13.95 (brs, 1 H).

[0690] To a solution of 2-oxo-cyclohexanecarboxylic acid ethyl ester (61.5 g, 361 mmol) in EtOH (61.5 mL) was added urea (73.8 g, 1.23 mol). The mixture was stirred at reflux for 10.5 days and stirred at ambient temperature for 30 min. The precipitate was filtrated, washed with acetone, and dried. A suspension of the above solid in  $H_2O(100 \text{ mL})$  stirred on an ice-bath for 1hr. The precipitate was filtrated, washed with hexane, and dried under reduced pressure to give 5,6,7,8-tetrahydro-quinazoline-2,4-diol (21.0 g, 35%) as a pale yellow solid. CI MS m/e 167, M + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1.48-1.71 (m, 4 H), 2.09-2.19 (m, 2 H), 2.24-2.34 (m, 2 H), 10.41-10.98 (m, 2 H).

Step B: Synthesis of (2-chloro-5,6,7,8-tetrahydro-quinazolin-4-yl)-dimethyl-amine.

[0691] A suspension of 5,6,7,8-tetrahydro-quinazoline-2,4-diol (20.9 g, 100 mmol) in POCl<sub>3</sub> (105 mL) was stirred at reflux for 2 hr and the reaction mixture was concentrated. The residue was poured into ice water. The aqueous layer was extracted with EtOAc (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, and concentrated. To the solution of residue (7.00 g) in THF (70 mL) was added 50% aqueous Me<sub>2</sub>NH (7.77 g, 86.2 mmol) and the mixture stirred at ambient temperature for 2 hr. To the reaction was added saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified flash chromatography (silica gel, 20% EtOAc in hexane) to give (2-chloro-5,6,7,8-tetrahydro-quinazolin-4-yl)-dimethyl-amine (6.08 g, 64%) as a white solid.

ESI MS m/e 234, M + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.62-1.90 (m, 4 H), 2.59 (t, J= 6.0 Hz, 2 H), 2.76 (t, J= 6.6 Hz, 2 H), 3.06 (s, 6 H).

Step C: Synthesis of (cis-4-amino-cyclohexyl)-carbamic acid benzyl ester.

[0692] To a solution of (cis-4-amino-cyclohexyl)-carbamic acid tert-butyl ester obtained in step B of example 3031 (75.0 g, 350 mmol) in CHCl<sub>3</sub> (750 mL) were added Et<sub>3</sub>N (53.7 mL, 385 mmol) and benzyl chloroformate (55 mL, 385 mmol). The mixture was stirred at ambient temperature for 20 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over

MgSO<sub>4</sub>, filtered, concentrated, purified by flash chromatography (silica gel, 0.4% to 5% MeOH in CHCl<sub>3</sub>) to give a pale yellow oil. To a solution of the residue in EtOAc (200 mL) was added 4 M hydrogen chloride in EtOAc (200 mL). The mixture was stirred at ambient temperature for 2 hr and concentrated. The residue was dissolved in 1 M aqueous NaOH and the aqueous layer was extracted with CHCl<sub>3</sub> (three time). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified flash chromatography (silica gel, 25% to 50% EtOAc in hexane) to give (*cis*-4-amino-cyclohexyl)-carbamic acid benzyl ester (37.6 g, 43%) as a pale brown oil. ESI MS m/e 249, M<sup>+</sup> + H<sup>+</sup>; <sup>1</sup>H NMR (200 MHz, CDCl<sub>3</sub>) δ 1.13-1.83 (m, 8 H), 2.77-2.97 (m, 1 H), 3.63-3.83 (m, 1 H), 4.92-5.20 (m, 3 H), 7.25-7.47 (m, 5 H).

50 Step D: Synthesis of № (cis-4-amino-cyclohexyl)-№, № (dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine.

[0693] A mixture of (2-chloro-5,6,7,8-tetrahydro-quinazolin-4-yl)-dimethyl-amine (16.0 g, 75.7 mmol) and (*cis*-4-amino-cyclohexyl)-carbamic acid benzyl ester (18.8 g, 75.7 mmol) in butanol (21 mL) was stirred at reflux for 6 days. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub>, and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (NH-silica, 33% to 50% EtOAc in hexane) to give a pale yellow oil. To a solution of the above oil in MeOH (270 mL) was added 10% Pd/C (2.70 g). The mixture was stirred at ambient temperature under hydrogen atmosphere for 1.5 days. The reaction mixture was filtrated through a pad of celite, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 1% to 5% MeOH in CHCl<sub>3</sub>) to give N²-(cis-4-amino-cyclohexyl)-N²,N²-dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine (15.8 g, 72%) as a pale yellow solid.

FAB MS m/e 290, M+ + H+;  $^{1}$ H NMR (200 MHz, CDCl<sub>3</sub>)  $\delta$  1.00-1.90 (m, 14 H), 2.49 (t, J= 5.9 Hz, 2 H), 2.61 (t, J= 6.6 Hz, 2 H), 2.71-3.00 (m, 7 H), 3.93-4.07 (m, 1 H), 4.67-4.80 (m, 1 H).

Step E: Synthesis of *N*-[*cis*-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride

[0694] To a solution of  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine (300 mg, 1.04 mmol) in CHCl<sub>3</sub> (3 mL) were added Et<sub>3</sub>N (0.31 mL, 2.22 mmol) and 2-phenoxy-nicotinoyl chloride (266 mg, 1.14 mmol). The mixture was stirred at ambient temperature for 3 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% EtOAc in hexane). To a solution of the above material in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (10 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 80 °C under reduced pressure to give N-[cis-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride (159 mg, 29%) as a white solid. ESI MS m/e 487, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.61-1.98 (m, 12 H), 2.54 (t, J= 5.9 Hz, 2 H), 2.74 (t, J= 6.5 Hz, 2 H), 3.20 (s, 6 H), 4.02-4.20 (m, 2 H), 7.12 (dd, J= 7.5, 4.8 Hz, 1 H), 7.21-7.30 (m, 3 H), 7.42-7.50 (m, 2 H), 7.87-7.93 (m, 1 H), 8.21 (dd, J= 4.8, 2.2 Hz, 1 H), 8.25-8.32 (m, 1 H), 8.52 (dd, J= 7.6, 2.0 Hz, 1 H), 13.18 (s, 1 H).

Example 3108

3-Chloro-*N*-[*cis*-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide hydrochloride

Step A: Synthesis of 3-chloro-*N*-[*cis*-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide hydrochloride.

[0695] Using the procedure for the step E of example 3107, the title compound was obtained. ESI MS m/e 468, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.61-2.00 (m, 12 H), 2.51-2.61 (m, 2 H), 2.68-2.81 (m, 2 H), 3.23 (s, 6 H), 4.02-4.26 (m, 2 H), 6.73-6.90 (m, 1 H), 7.13-7.23 (m, 1 H), 7.65-7.82 (m, 1 H), 7.96 (d, J = 6.8 Hz, 1 H), 8.22-8.44 (m, 1 H), 12.63-12.89 (m, 1 H).

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# Example 3109

N-[cis-4-(4-Dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexyl]-4-fluoro-3-methyl-benzamide hydrochloride

Step A: Synthesis of *N*-[*cis*-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexyl]-4-fluoro-3-methyl-benzamide hydrochloride.

[0696] Using the procedure for the step E of example 3107, the title compound was obtained.

ESI MS m/e 448, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.60-2.04 (m, 12 H), 2.27-2.36 (m, 3 H), 2.50-2.61 (m, 2 H), 2.65-2.84 (m, 2 H), 3.23 (s, 6 H), 4.03-4.27 (m, 2 H), 6.42-6.58 (m, 1 H), 6.96-7.11 (m, 1 H), 7.56-7.75 (m, 2 H), 8.25-8.47 (m, 1 H).

# Example 3110

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N-[cis-4-(4-Dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexyl]-3,5-dimethoxy-benzamide hydrochloride

Step A: Synthesis of *N*-[*cis*-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexyl]3,5-dimethoxy-benzamide hydrochloride.

[0697] Using the procedure for the step E of example 3107, the title compound was obtained. ESI MS m/e 476, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $^{3}$  1.63-2.04 (m, 12 H), 2.51-2.62 (m, 2 H), 2.66-2.86 (m, 2 H), 3.23 (s, 6 H), 3.85 (s, 6 H), 4.04-4.27 (m, 2 H), 6.50-6.70 (m, 2 H), 6.95 (brs, 2 H), 8.19-8.47 (m, 1 H).

Example 3111

Benzo[2,1,3]oxadiazole-5-carboxylic acid-[cis-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexyl]-amide hydrochloride

Step A: Synthesis of benzo[2,1,3]oxadiazole-5-carboxylic acid-[cis-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexyl]-amide hydrochloride.

[0698] Using the procedure for the step E of example 3107, the title compound was obtained. 35 ESI MS m/e 458, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.62-2.01 (m, 12 H), 2.56 (t, J = 5.8 Hz, 2 H), 2.71 (t, J = 6.5 Hz, 2 H), 3.23 (s, 6 H), 4.04-4.27 (m, 2 H), 7.71 (d, J = 8.2 Hz, 1 H), 7.85 (dd, J = 9.5, 1.1 Hz, 1 H), 7.91-7.96 (m, 1 H), 8.27 (d, J = 8.1 Hz, 1 H), 8.42 (t, J = 1.2 Hz, 1 H).

#### Example 3112

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 $\textit{N-[cis-4-(4-Dimethylamino-5,6,7,8-tetra hydro-quinazolin-2-ylamino)-cyclohexyl]-3-nitro-benzamide hydrochloride$ 

Step A: Synthesis of *N*-[*cis*-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexyl]-3-nitrobenzamide hydrochloride.

[0699] Using the procedure for the step E of example 3107, the title compound was obtained. ESI MS m/e 461, M (free) + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.65-2.04 (m, 12 H), 2.50-2.85 (m, 4 H), 3.24 (s, 6 H), 4.11-4.29 (m, 2 H), 7.04-7.20 (m, 1 H), 7.56-7.68 (m, 1 H), 8.13-8.38 (m, 3 H), 8.72-8.79 (m, 1 H).

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#### Example 3113

*N*-[*cis*-4-(4-Dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexylmethyl]-2-phenoxynicotinamide hydrochloride

Step A: Synthesis of  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ ,  $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine.

[0700] A mixture of (*cis*-4-amino-cyclohexylmethyl)-carbamic acid benzyl ester obtained in step C of example 3068 (3.10 g, 11.8 mmol) and (2-chloro-5,6,7,8-tetrahydro-quinazolin-4-yl)-dimethyl-amine obtained in step B of example 3107 (2.00 g, 9.44 mmol) in butanol (3 mL) was stirred at reflux for 19 hr. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub>, and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (NH-silica gel, 33% to 50% EtOAc in hexane) to give a pale yellow oil. To a solution of the above oil (2.48 g) in MeOH (25 mL) was added 10% Pd/C (248 mg). The mixture was stirred at 50 °C under hydrogen atmosphere for 8 hr. The reaction mixture was filtrated through a pad of celite, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 1% to 5% MeOH in CHCl<sub>3</sub>) to give N²-(*cis*-4-aminomethyl-cyclohexyl)-N⁴,N⁴-dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine (1.70 g, 59%) as a pale yellow solid.

FAB MS m/e 304, M (free) + H+

Step B: Synthesis of *N*-[*cis*-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexylmethyl]-2-phenoxy-nicotinamide hydrochloride.

[0701] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 501, M (free) + H<sup>+</sup>

### Example 3114

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N-[cis-4-(4-Dimethylamino-quinolin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride

Step A: Synthesis of 2,4-dichloro-quinoline.

[0702] A suspension of quinoline-2,4-diol (150 g, 931 mmol) in POCl<sub>3</sub> (975 mL, 10.4 mol) was stirred at reflux for 6 hr and the reaction mixture was concentrated. The residue was diluted with CHCl<sub>3</sub> (500 mL) and the solution was poured into ice water. The aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (silica gel, 20% EtOAc in hexane) to give 2,4-dichloro-quinoline (177 q, 96%) as a pale brown solid.

EI MS m/e 197, M+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  7.50 (s, 1 H), 7.65 (ddd, J = 8.3, 7.0, 1.3 Hz, 1 H), 7.79 (ddd, J = 8.5, 7.0, 1.3 Hz, 1 H), 8.00-8.06 (m, 1 H), 8.16-8.21 (m, 1 H).

Step B: Synthesis of (2-chloro-quinolin-4-yl)-dimethyl-amine.

[0703] To a solution of 2,4-dichloro-quinoline (177 g, 894 mmol) in THF (2.1 L) was added 50% aqueous  $Me_2NH$  (234 mL, 2.23 mol). The mixture was stirred at ambient temperature for 68 hr. To the mixture was added 50% aqueous  $Me_2NH$  (47 mL, 448 mmol) and stirred at ambient temperature for 3 hr. The solution was poured into saturated aqueous  $NaHCO_3$  and the aqueous layer was extracted with  $CHCl_3$  (three times). The combined organic layer was dried over  $MgSO_4$ , filtrated, concentrated, and purified by flash chromatography (NH-silica, 1% to 3% EtOAc in hexane) to give (2-chloro-quinolin-4-yl)-dimethyl-amine (75.9 g, 41%) as a pale yellow oil and (4-chloro-quinolin-2-yl)-dimethyl-amine (28.0 g, 15%) as a pale yellow oil. (2-chloro-quinolin-4-yl)-dimethyl-amine;

ESI MS m/e 207, M + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  3.06 (s, 6 H), 6.71 (s, 1 H), 7.45 (ddd, J = 8.4,7.0,1.2 Hz, 1 H), 7.63 (ddd, J = 8.4, 6.9, 1.5 Hz, 1 H), 7.91-7.93 (m, 1 H), 7.97-8.03 (m, 1 H). (4-chloro-quinolin-2-yl)-dimethyl-amine:

ESI MS m/e 207, M + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  3.18 (s, 6 H), 6.97 (brs, 1 H), 7.18-7.31 (m, 1 H), 7.49-7.63 (m, 1 H), 7.66-7.72 (m, 1 H), 7.95-8.00 (m, 1 H).

Step C: Synthesis of  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ ,  $N^4$ -dimethyl-quinoline-2,4-diamine.

[0704] A mixture of (2-chloro-quinolin-4-yl)-dimethyl-amine (15.6 g, 75.7 mmol) and (cis-4-amino-cyclohexyl)-car-

bamic acid benzyl ester obtained in step C of example 3107 (18.8 g, 75.7 mmol) in butanol (20 mL) was stirred at reflux for 6 days. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (NH-silica, 33% to 50% EtOAc in hexane) to give a pale yellow oil. To a solution of the above oil in MeOH (170 mL) was added 10% Pd/C (1.70 g). The mixture was stirred at ambient temperature under hydrogen atmosphere for 1.5 days. The reaction mixture was filtrated through a pad of celite, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 1% to 5% MeOH in CHCl<sub>3</sub>) to give N²-(cis-4-amino-cyclohexyl) -N⁴-,N⁴-dimethyl-quinoline-2,4-diamino (11.7 g, 55%) as a pale yellow solid.

FAB MS m/e 285, M + H<sup>+</sup>;; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.19-1.96 (m, 10 H), 2.81-3.03 (m, 7 H), 4.02-4.17 (m, 1 H), 4.66-4.83 (m, 1 H), 6.03 (s, 1 H), 7.06-7.21 (m, 1 H), 7.39-7.52 (m, 1 H), 7.55-7.67 (m, 1 H), 7.80-7.90 (m, 1 H).

# Step D: Synthesis of *N*-[cis-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride.

[0705] To a solution of №-(cis-4-amino-cyclohexyl)-№4,№4-dimethyl-quinoline-2,4-diamine (300 mg, 1.05 mmol) in CHCl<sub>3</sub> (3 mL) were added Et<sub>3</sub>N (0.31 mL, 2.22 mmol) and 2-phenoxy-nicotinoyl chloride (271 mg, 1.16 mmol). The mixture was stirred at ambient temperature for 3 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% EtOAc in hexane). To a solution of the above material in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (10 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 80 °C under reduced pressure to give *N*-[cis-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexyl]-2-phenoxynicotinamide hydrochloride (160 mg, 29%) as a white solid.

ESI MS m/e 482, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.57-2.15 (m, 8 H), 3.21 (s, 6 H), 3.73-3.88 (m, 1 H), 4.06-4.27 (m, 1 H), 5.79 (s, 1 H), 7.12 (dd, J = 7.6, 4.8 Hz, 1 H), 7.19-7.33 (m, 4 H), 7.41-7.71 (m, 4 H), 7.81-7.97 (m, 2 H), 8.21 (dd, J = 4.8, 2.0 Hz, 1 H), 8.52 (dd, J = 7.6, 2.0 Hz, 1 H), 8.94-9.08 (m, 1 H), 13.81 (brs, 1 H).

#### Example 3115

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N-[cis-4-(4-Chloro-quinolin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride

# Step A: Synthesis of N-[cis-4-(4-chloro-quinolin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride.

[0706] A mixture of 2,4-dichloro-quinoline obtained in step A of example 3114 (1.5 g, 7.57 mmol) and N-(cis-4-amino-cyclohexyl)-2-phenoxy-nicotinamide obtained in step A of example 2 (2.3 g, 7.57 mmol) in butanol (2 mL) was stirred at 130 °C for 3 days in a sealed tube. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% EtOAc in hexane) to give a colorless oil. To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 60 °C under reduced pressure to give N-[cis-4-(4-chloro-quinolin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride (295 mg, 8%) as a white solid and N-[cis-4-(2-chloro-quinolin-4-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride (283 mg, 7%) as a white solid.

N-[cis-4-(4-chloro-quinolin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride;

ESI MS m/e 495, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.86-2.10 (m, 8 H), 3.82-3.96 (m, 1 H), 4.13-4.28 (m, 1 H), 7.04 (s, 1 H), 7.10-7.34 (m, 4 H), 7.41-7.55 (m, 3 H), 7.71-7.84 (m, 2 H), 7.92-8.11 (m, 2 H), 8.20-8.26 (m, 1 H), 8.50-8.59 (m, 1 H), 9.83 (brs, 1 H).

 $N-[cis-4-(2-chloro-quinolin-4-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride; ESI MS m/e 495, M (free) + Na+; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>) <math>\delta$  1.72-2.37 (m, 8 H), 3.64-3.84 (m, 1 H), 4.36 (brs, 1 H), 6.33 (brs, 1 H), 7.05-7.60 (m, 8 H), 8.06-8.66 (m, 6 H).

# Example 3116

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# 3,4-Difluoro-N-[cis-4-(4-methoxy-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

#### 5 Step A: Synthesis of 2-chloro-quinolin-4-ol.

1 H), 8.01 (d, J = 8.1 Hz, 1 H).

[0707] A mixture of 2,4-dichloro-quinoline obtained in step A of example 3114 (3.00 g, 15.1 mmol) and MeOH (485 mg, 15.1 mmol) in butanol (3 mL) was stirred at reflux for 3 hr. The reaction mixture was suspended in  $CHCl_3$  (15 mL) and stirred at ambient temperature for 30 min. The precipitate was collected by filtration, washed with  $CHCl_3$ , and dried at 50 °C under reduced pressure to give 2-chloro-quinolin-4-ol (1.47 g, 54%) as a pale yellow solid. ESI MS m/e 179, M+; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  6.83 (s, 1 H), 7.27-7.43 (m, 2 H), 7.60-7.67 (m, 1 H), 7.86 (d, J = 7.9 Hz, 1 H), 12.05 (brs, 1 H).

# Step B: Synthesis of 2-chloro-4-methoxy-quinoline.

[0708] To a solution of 2-chloro-quinolin-4-ol (500 mg, 2.78 mmol) in DMF (5 mL) were added  $\rm K_2CO_3$  (462 mg, 3.37 mmol) and MeI (210  $\rm \mu L$ , 3.37 mmol). The mixture was stirred at 50°C for 3 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCI<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica geI, 10% EtOAc in hexane) to give 2-chloro-4-methoxy-quinoline (440 mg, 82%) as a white solid.

# Step C: Synthesis of 3,4-difluoro-*N*-[*cis*-4-(4-methoxy-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0709] A mixture of 2-chloro-4-methoxy-quinoline (250 mg, 1.29 mmol) and N-(cis-4-amino-cyclohexyl)-3,4-difluoro-benzamide obtained in step D of example 3031 (361 mg, 1.42 mmol) in butanol (1 mL) was stirred at 130 °C for 5 days in a sealed tube. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 50% EtOAc in hexane). To a solution of the above material in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (10 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was filtered, washed with Et<sub>2</sub>O, and dried at 80 °C under reduced pressure to give cis-3,4-difluoro-N-{4-(4-methoxy-quinolin-2-ylamino)-cyclohexyl}-benzamide hydrochloride (79 mg, 14%) as a white solid.

ESI MS m/e 434, M (free) + Na $^+$ ;  $^1$ H NMR (300 MHz, DMSO-d $_6$ )  $\delta$  1.58-2.09 (m, 8 H), 3.55-3.72 (m, 4 H), 3.88-4.06 (m, 1 H), 5.93 (s, 1 H), 7.03-8.09 (m, 7 H), 8.25-8.45 (m, 2 H).

#### 40 Example 3117

### N-[cis-4-(4-Chloro-quinolin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride

# Step A: Synthesis of N-[cis-4-(4-chloro-quinolin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride.

[0710] Using the procedure for the step A of example 3115, the title compound was obtained. N-[cis-4-(4-chloro-quinolin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride; ESI MS m/e 416, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.82-2.22 (m, 8 H), 3.93-4.28 (m, 2 H), 6.65-6.77 (m, 1 H), 7.08 (s, 1 H), 7.14-7.29 (m, 1 H), 7.48-7.64 (m, 2 H), 7.68-7.88 (m, 3 H), 8.09 (d, J = 8.1 Hz, 1 H), 9.82-9.90 (m, 1 H). N-[cis-4-(2-chloro-quinolin-4-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride; ESI MS m/e 438, M (free) + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.72-2.37 (m, 8 H), 3.76-3.95 (m, 1 H), 4.49-4.65 (m, 1 H), 6.37 (brs, 1 H), 6.94-7.12 (m, 1 H), 7.18-7.33 (m, 1 H), 7.39-7.55 (m, 1 H), 7.60-7.76 (m, 1 H), 7.85-7.95 (m, 1 H), 8.06-8.20 (m, 2 H), 8.46-8.58 (m, 1 H), 8.70-8.87 (m, 1 H).

# Example 3118

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N-[cis-4-(4-Dimethylamino-quinolin-2-ylamino)-cyclohexylmethyl]-2-phenoxy-nicotinamide hydrochloride

5 Step A: Synthesis of [cis-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester

[0711] A mixture of (2-chloro-quinolin-4-yl)-dimethyl-amine obtained in step B of example 3114 (23.6 g, 114 mmol) and (*cis*-4-amino-cyclohexylmethyl)-carbamic acid benzyl ester obtained in step C of example 3068 (36.0 g, 137 mmol) in butanol (31 mL) was stirred at reflux for 14 days. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub>, and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (NH-silica, 14% to 66% EtOAc in hexane) to give [*cis*-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester (19.3 g, 39%) as a pale yellow solid.

ESI MS m/e 433, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (200 MHz, CDCl<sub>3</sub>)  $\delta$  1.12-1.97 (m, 9 H), 2.94 (s, 6 H), 3.13 (t, J = 6.4 Hz, 2 H), 4.06-4.26 (m, 1 H), 4.62-4.94 (m, 2 H), 5.11 (s, 2 H), 6.04 (s, 1 H), 7.14 (ddd, J = 8.4, 7.0, 1.3 Hz, 1 H), 7.29-7.40 (m, 5 H), 7.45 (ddd, J = 8.4, 6.8, 1.5 Hz, 1 H), 7.57-7.64 (m, 1 H), 7.84 (dd, J = 8.4, 1.3 Hz, 1 H).

Step B: Synthesis of N2-(cis-4-aminomethyl-cyclohexyl)-N4,N4-dimethyl-quinoline-2,4-diamine.

[0712] To a solution of [cis-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester (19.3 g, 44.6 mmol) in MeOH (200 mL) was added 5% Pd/C (1.93 g). The mixture was stirred at ambient temperature under hydrogen atmosphere for 6 days. The reaction mixture was filtrated through a pad of celite and concentrated. To a solution of the residue in methanol (200 mL) was 10% Pd/C (1.93 g). The mixture was stirred at ambient temperature under hydrogen atmosphere for 1 day. The reaction mixture was filtrated through a pad of celite, concentrated, and purified by flash chromatography (silica gel, 5% to 14% 7 M NH<sub>3</sub>/MeOH in CHCl<sub>3</sub>) to give  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ ,  $N^4$ -dimethyl-quinoline-2,4-diamine (12.7 g, 95%) as a pale yellow solid. FAB MS m/e 299, M+ + H+; <sup>1</sup>H NMR (200 MHz, CDCl<sub>3</sub>)  $\delta$  1.08-1.99 (m, 11 H), 2.60 (d, J = 6.2 Hz, 2 H), 2.94 (s, 6 H), 4.04-4.22 (m, 1 H), 4.77-4.93 (m, 1 H), 6.06 (s, 1 H), 7.14 (ddd, J = 8.4, 7.0, 1.3 Hz, 1 H), 7.45 (ddd, J = 8.4, 6.8, 1.5 Hz, 1 H), 7.61 (s, 1 H), 7.84 (dd, J = 8.4, 1.3 Hz, 1 H).

# Step C: Synthesis of *N*-[*cis*-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexylmethyl]-2-phenoxynicotinamide hydrochloride.

[0713] To a solution of 2-Phenoxy-nicotinic acid (190 mg, 1.20 mmol) and N²-(cis-4-aminomethyl-cyclohexyl)-N⁴,N⁴-dimethyl-quinoline-2,4-diamine (300 mg, 1.00 mmol) in DMF (3 mL) were added Et<sub>3</sub>N (0.33 mL, 2.40 mmol), HOBt-H<sub>2</sub>O (230 mg, 1.50 mmol), and EDC-HCl (230 g, 1.20 mmol). The reaction mixture was stirred at ambient temperature for 20 hr. To the reaction mixture was added water (20 mL) and the suspension was stirred at ambient temperature for 30 min. The precipitated was collected by filtration, washed with H<sub>2</sub>O, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% EtOAc in hexane) to give a pale yellow oil. To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O and dried at 60 °C under reduced pressure to give N-[cis-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexylmethyl]-2-phenoxy-nicotinamide hydrochloride (164 mg, 31%) as a white solid.

ESI MS m/e 496, M (free) + H+

# Example 3119

N-[cis-4-(4-Dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride

# Step A: Synthesis of 2-chloro-4-dimethylamino-5-methylpyrimidine.

[0714] To the solution of 2,4-dichloro-5-methylpyrimidine (20.0 g, 123 mmol) in THF (200 mL) was added 50% aqueous Me<sub>2</sub>NH (13.3 g, 143 mol) and the mixture was stirred at ambient temperature for 5 days. To the reaction was added
saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic
layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified flash chromatography (NH-silica gel, 2% EtOAc in
hexane) to give 2-chloro-4-dimethylamino-5-methylpyrimidine (19.9 g, 94 %) as a white solid and 4-chloro-2-dimeth-

ylamino-5-methylpyrimidine (1.53 g, 7%) as a white solid.

2-chloro-4-dimethylamino-5-methylpyrimidine;

ESI MS m/e 172, M + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 2.27 (s, 3 H), 3.15 (s, 6 H), 7.82 (s, 1 H).

4-chloro-2-dimethylamino-5-methylpyrimidine;

5 ESI MS m/e 194, M + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 2.14 (s, 3 H), 3.15 (s, 6 H), 8.06 (s, 1 H).

Step B: Synthesis of [cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-carbamic acid tert-butyl ester.

[0715] A mixture of 2-chloro-4-dimethylamino-5-methylpyrimidine (7.00 g, 40.8 mmol) and (*cis*-4-amino-cyclohexyl)-carbamic acid *tert*-butyl ester obtained in step B of example 3031 (9.61 g, 44.8 mmol) in butanol (7 mL) was stirred at 130 °C for 26 hr. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by flash chromatography (NH-silica gel, 3% to 50% EtOAc in hexane) to give [*cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)cyclohexyl]-carbamic acid *tert*-butyl ester (5.90 g, 42%) as a colorless oil.

ESI MS m/e 350, M + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.40-1.84 (m, 17 H), 2.14 (d, J = 0.8 Hz, 3 H), 3.02 (s, 6 H), 3.53-3.71 (m, 1 H), 3.85-3.99 (m, 1 H), 4.51-4.64 (m, 1 H), 4.68-4.78 (m, 1 H), 7.66 (s, 1 H).

Step C: Synthesis of N2-(cis-4-amino-cyclohexyl)-5,N4,N4-trimethyl-pyrimidine-2,4-diamine.

[0716] A solution of [cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)cyclohexyl]-carbamic acid tert-butyl ester (5.71 g, 16.3 mmol) in EtOAc (60 mL) was cooled on an ice-bath and 4 M hydrogen chloride in EtOAc (120 mL) was added. The mixture was stirred at ambient temperature for 1.5 hr and concentrated. The residue was dissolved in 1 M aqueous NaOH and the aqueous layer was extracted with CHCl<sub>3</sub> (three time). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and dried under reduced pressure to give N²-(cis-4-amino-cyclohexyl)-5,N⁴,N⁴-trimethyl-pyrimidine-2,4-diamine (3.99 g, 98%) as a pale yellow oil.

ESI MS m/e 250, M + H+; ¹H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.39-1.91 (m, 8 H), 2.12 (s, 3 H), 2.79-2.97 (m, 1 H), 3.00 (s, 6 H), 3.86-4.05 (m, 1 H), 4.71-4.92 (m, 1 H), 7.66 (s, 1 H).

Step D: Synthesis of N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride.

[0717] To a solution of  $N^2$ -(cis-4-amino-cyclohexyl)-5, $N^4$ , $N^4$ -trimethyl-pyrimidine-2,4-diamine (200 mg, 0.80 mmol) in CHCl<sub>3</sub> (4 mL) were added Et<sub>3</sub>N (0.25 mL, 1.79 mmol) and 1,3-difluoro-benzoyl chloride (156 mg, 0.88 mmol). The mixture was stirred at ambient temperature for 22 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 50% EtOAc in hexane and silica gel, 3% MeOH in CHCl<sub>3</sub>). To a solution of the above material in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (10 mL). The mixture was stirred at ambient temperature for 1 hr, and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 80 °C under reduced pressure to give *N*-[cis-4-(4-dimethyl-amino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride (56 mg, 16%) as a white solid. ESI MS m/e 412, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.64-1.99 (m, 8 H), 2.26 (s, 3 H), 3.30 (s, 6 H), 4.02-4.25 (m, 2 H), 6.65-6.74 (m, 1 H), 7.13-7.26 (m, 2 H), 7.53-7.62 (m, 1 H), 7.67-7.79 (m, 1 H), 8.55-8.65 (m, 1 H).

Example 3120

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N-[cis-4-(4-Dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride

50 Step A: Synthesis of N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxynicotinamide hydrochloride.

[0718] Using the procedure for the step D of example 3119, the title compound was obtained. ESI MS m/e 447, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.64-1.97 (m, 8 H), 2.23 (s, 3 H), 3.28 (s, 6 H), 4.01-4.21 (m, 2 H), 7.13 (dd, J = 7.6, 4.8 Hz, 1 H), 7.19-7.32 (m, 4 H), 7.42-7.52 (m, 2 H), 7.86-7.95 (m, 1 H), 8.21 (dd, J = 4.8, 2.0 Hz, 1 H), 8.39-8.48 (m, 1 H), 8.53 (dd, J = 7.6, 2.0 Hz, 1 H).

#### Example 3121

N-[cis-4-(4-Dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-methyl-benzamide hydrochloride

5 Step A: Synthesis of N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-methylbenzamide hydrochloride.

[0719] Using the procedure for the step D of example 3119, the title compound was obtained. ESI MS m/e 390, M (free) + Na+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.67-2.01 (m, 8 H), 2.25 (s, 3 H), 2.41 (s, 3 H), 3.30 (s, 6 H), 4.04-4.22 (m, 2 H), 6.41-6.52 (m, 1 H), 7.19-7.34 (m, 3 H), 7.56-7.66 (m, 2 H), 8.53-8.63 (m, 1 H), 13.04 (s, 1 H).

# Example 3122

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N-[cis-4-(4-Dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-methoxy-benzamide hydrochloride

Step A: Synthesis of *N*-[c/s-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-methoxybenzamide hydrochloride.

[0720] Using the procedure for the step D of example 3119, the title compound was obtained. ESI MS m/e 406, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.66-1.99 (m, 8 H), 2.25 (s, 3 H), 3.30 (s, 6 H), 3.86 (s, 3 H), 4.06-4.23 (m, 2 H), 6.72-6.81 (m, 1 H), 6.98-7.05 (m, 1 H), 7.20-7.43 (m, 4 H), 8.47-8.57 (m, 1 H).

# Example 3123

N-[cis-4-(4-Dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-2-(4-fluoro-phenoxy)-nicotinamide hydrochloride

Step A: Synthesis of *N*-[*cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-2-(4-fluorophenoxy)-nicotinamide hydrochloride.

[0721] To a solution of 4-fluoro-phenol (317 mg, 2.83 mmol) in DMA (4 mL) was added 60% NaH in oil (226 mg, 5.56 mmol). The mixture was stirred at ambient temperature for 1 hr. To the mixture was added 2-chloro-*N*-{*cis*-4-(dimethylamino-methyl-pyrimidin-2-ylamino)-cyclohexyl]-nicotinamide (1.10 g, 2.83 mmol) in DMA (3 mL). The mixture was stirred at 120 °C for 2 hr and the reaction was quenched with water (60 mL). The aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 33% to 50% EtOAc in hexane) to give a colorless oil. To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 60 °C under reduced pressure to give *N*-{*cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-2-(4-fluorophenoxy)-nicotinamide hydrochloride (154 mg, 11%) as a white solid.

ESI MS m/e 487, M (free) + Na+; <sup>1</sup>H NMR (200 MHz, CDCl<sub>3</sub>) δ 1.61-2.02 (m, 8 H), 2.24 (s, 3 H), 3.28 (s, 6 H), 4.03-4.25 (m, 2 H), 7.06-7.33 (m, 6 H), 7.79-7.91 (m, 1 H), 8.16-8.23 (m, 1 H), 8.46-8.59 (m, 2 H).

#### 45 Example 3124

2-(2-Bromo-phenoxy)-*N*-[*cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

Step A: Synthesis of 2-(2-bromo-phenoxy)-N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)cyclohexyl]-nicotinamide hydrochloride.

[0722] Using the procedure for the step A of example 3123, the title compound was obtained. ESI MS m/e 547, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (200 MHz, CDCl<sub>3</sub>)  $\delta$  1.72-2.02 (m, 8 H), 2.23 (s, 3 H), 3.28 (s, 6 H), 3.97-4.27 (m, 2 H), 7.09-7.48 (m, 5 H), 7.66 (dd, J = 7.9, 1.3 Hz, 1 H), 7.84-7.95 (m, 1 H), 8.13-8.19 (m, 1 H), 8.31-8.43 (m, 1 H), 8.53 (dd, J = 7.4, 2.2 Hz, 1 H), 13.32 (s, 1 H).

#### Example 3125

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1-(2,3-Dichloro-phenyl)-3-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl]-urea hydrochloride

Step A: Synthesis of N<sup>2</sup>-(cis-4-aminomethyl-cyclohexyl)-5,N<sup>4</sup>,N<sup>4</sup>-trimethyl-pyrimidine-2,4-diamine.

[0723] A mixture of 2-chloro-4-dimethylamino-5-methylpyrimidine obtained in step A of example 3119 (3.00 g, 17.4 mmol) and (*cis*-4-amino-cyclohexylmethyl)-carbamic acid benzyl ester obtained in step C of example 3068 (5.48 g, 20.9 mmol) in butanol (3 mL) was stirred at reflux for 70 hr. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (NH-silica, 33% to 50% EtOAc in hexane) to give a pale yellow oil. To a solution of the above oil in MeOH (30 mL) was added 10% Pd/C (600 mg). The mixture was stirred at ambient temperature under hydrogen atmosphere for 1.5 days. The reaction mixture was filtrated through a pad of celite, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 2% MeOH in CHCl<sub>3</sub>) to give N²-(cis-4-aminomethyl-cyclohexyl)-5,N⁴,N⁴-trimethyl-pyrimidine-2,4-diamine (1.03 g, 22%) as a pale yellow solid.

ESI MS m/e 264, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.15-1.89 (m, 11 H), 2.13 (s, 3 H), 2.59 (d, J = 6.4 Hz, 2 H), 3.02 (s, 6 H), 4.03-4.13 (m, 1 H), 4.77-4.85 (m, 1 H), 7.67 (s, 1 H).

Step B: Synthesis of 1-(2,3-dichloro-phenyl)-3-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl)-urea hydrochloride.

[0724] To a solution of N²-(cis-4-aminomethyl-cyclohexyl)-5,N⁴,N⁴-trimethyl-pyrimidine-2,4-diamine (300 mg, 1.14 mmol) in DMSO (3 mL) was added 1,2-dichloro-3-isocyanato-benzene (236 mg, 1.25 mmol). The mixture was stirred at ambient temperature for 16 hr and poured into water (20 mL). The precipitate was collected by filtration, washed with water, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% EtOAc in hexane and silica gel, 3% MeOH in CHCl₃) to give a pale yellow oil. To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. A suspension of the residue in Et₂O (20 mL) was stirred at ambient temperature for 1 hr. The precipitate was collected by filtration, washed with Et₂O, and dried at 60 °C under reduced pressure to give 1-(2,3-dichloro-phenyl)-3-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl]-urea hydrochloride (326 mg, 59%) as a white solid.

ESI MS m/e 473, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (200 MHz, CDCl<sub>3</sub>) δ 1.45-1.99 (m, 9 H), 2.24 (s, 3 H), 3.30 (s, 6 H), 3.32-3.43 (m, 2 H), 4.22-4.38 (m, 2 H), 6.85-7.15 (m, 3 H), 7.22 (brs, 1 H), 8.14-8.26 (m, 2 H), 8.49-8.62 (m, 1 H), 12.14 (s, 1 H).

# Example 3126

 $\textit{N-} [\textit{cis-4-} (4-\text{Dimethylamino-6-methyl-pyrimidin-2-ylamino}) - \textit{cyclohexyl}] - 2-\textit{phenoxy-nicotinamide hydrochloride} \\ \text{ } (4-\text{Dimethylamino-6-methyl-pyrimidin-2-ylamino}) - \textit{cyclohexyl}] - 2-\text{phenoxy-nicotinamide hydrochloride} \\ \text{ } (4-\text{Dimethylamino-6-methyl-pyrimidin-2-ylamino}) - \text{cyclohexyl}] - 2-\text{phenoxy-nicotinamide hydrochloride} \\ \text{ } (4-\text{Dimethylamino-6-methyl-pyrimidin-2-ylamino}) - \text{cyclohexyl}] - 2-\text{phenoxy-nicotinamide hydrochloride} \\ \text{ } (4-\text{Dimethylamino-6-methyl-pyrimidin-2-ylamino}) - \text{cyclohexyl}] - 2-\text{phenoxy-nicotinamide hydrochloride} \\ \text{ } (4-\text{Dimethylamino-6-methyl-pyrimidin-2-ylamino}) - \text{cyclohexyl}] - 2-\text{phenoxy-nicotinamide hydrochloride} \\ \text{ } (4-\text{Dimethylamino-6-methyl-pyrimidin-2-ylamino}) - \text{cyclohexyl}] - 2-\text{phenoxy-nicotinamide hydrochloride} \\ \text{ } (4-\text{Dimethylamino-6-methyl-pyrimidin-2-ylamino}) - \text{cyclohexyl}] - 2-\text{phenoxy-nicotinamide hydrochloride} \\ \text{ } (4-\text{Dimethylamino-6-methyl-pyrimidin-2-ylamino}) - \text{cyclohexyl}] - 2-\text{phenoxy-nicotinamide hydrochloride} \\ \text{ } (4-\text{Dimethylamino-6-methyl-pyrimidin-2-ylamino}) - \text{cyclohexyl}] - 2-\text{phenoxy-nicotinamide hydrochloride} \\ \text{ } (4-\text{Dimethylamino-6-methyl-pyrimidin-2-ylamino}) - \text{cyclohexyl}] - 2-\text{phenoxy-nicotinamide hydrochloride} \\ \text{ } (4-\text{Dimethylamino-6-methyl-pyrimidin-2-ylamino}) - \text{cyclohexyl}] - 2-\text{phenoxy-nicotinamide hydrochloride} \\ \text{ } (4-\text{Dimethylamino-6-methyl-pyrimidin-2-ylamino}) - \text{cyclohexyl}] - 2-\text{phenoxy-nicotinamide hydrochloride} \\ \text{ } (4-\text{Dimethylamino-6-methyl-pyrimidin-2-ylamino}) - 2-\text{phenoxy-nicotinamide hydrochloride} \\ \text{ } (4-\text{Dimethylamino-6-methyl-pyrimidin-2-ylamino}) - 2-\text{phenoxy-nicotinamide} \\ \text{ } (4-\text{Dimethylamino-6-methyl-pyrimidin-2-ylamino}) - 2-\text{phenoxy-nicotinamide} \\ \text{ } (4-\text{Dimethylamino-6-methyl-pyrimidin-2-ylamino}) - 2-\text{phenoxy-nicotinamide} \\ \text{ } (4-\text{Dimethylamino-6-methyl-pyrimidin-2-ylamino-6-methylamino-6-methylamino-6-methylamino-6-methylamino-6-methylamino-6-methylamino-6-methylamino-6-methylamino-6-met$ 

Step A: Synthesis of (2-chloro-6-methyl-pyrimidin-4-yl)-dimethyl-amine.

[0725] To the solution of 2,4-dichloro-6-methylpyrimidine (20.0 g, 123 mmol) in THF (200 mL) was added 50% aqueous  $Me_2NH$  (13.3 g, 147 mmol) and the mixture was stirred at ambient temperature for 24 hr. To the reaction was added saturated aqueous  $NaHCO_3$  and the aqueous layer was extracted with  $CHCl_3$  (three times). The combined organic layer was dried over  $MgSO_4$ , filtered, concentrated, and purified flash chromatography (NH-silica gel, 5% to 16% EtOAc in hexane) to give (2-chloro-6-methyl-pyrimidin-4-yl)-dimethyl-amine (14.4 g, 68 %) as a pale yellow solid and (4-chloro-6-methyl-pyrimidin-2-yl)-dimethyl-amine (6.57 g, 31%) as a pale yellow solid. (2-chloro-6-methyl-pyrimidin-4-yl)-dimethyl-amine;

ESI MS m/e 194, M<sup>+</sup> + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  2.34 (s, 3 H), 3.10 (s, 6 H), 6.16 (s, 1 H). (4-chloro-6-methyl-pyrimidin-2-yl)-dimethyl-amine; CI MS m/e 172, M + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  2.29 (s, 3 H), 3.16 (s, 6 H), 6.34 (s, 1 H).

Step B: Synthesis of *N*-[*cis*-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxynicotinamide hydrochloride.

[0726] A mixture of (2-chloro-6-methyl-pyrimidin-4-yl)-dimethyl-amine (300 mg, 1.75 mmol) and N-(cis-4-amino-cy-clohexyl)-2-phenoxy-nicotinamide obtained in step A of example 3032 (598 mg, 1.92 mmol) in butanol (1 mL) was

stirred at 130 °C for 40 hr in a sealed tube. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 50% EtOAc in hexane). To a solution of the above material in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (10 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was filtered, washed with Et<sub>2</sub>O, and dried at 60 °C under reduced pressure to give *N*-{*cis*-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride (549 mg, 65%) as a white solid.

ESI MS m/e 447, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.67-2.05 (m, 8 H), 2.34 (s, 3 H), 3.12 (s, 3 H), 3.23 (s, 3 H), 4.03-4.22 (m, 2 H), 5.71 (s, 1 H), 7.13 (dd, J = 7.5, 4.8 Hz, 1 H), 7.21-7.32 (m, 3 H), 7.41-7.51 (m, 2 H), 7.84-7.95 (m, 1 H), 8.21 (dd, J = 4.7, 2.1 Hz, 1 H), 8.45-8.57 (m, 2 H), 13.43 (brs, 1 H).

## Example 3127

N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride

Step A: Synthesis of N<sup>2</sup>-(cis-4-amino-cyclohexyl)-6,N<sup>4</sup>,N<sup>4</sup>-trimethyl-pyrimidine-2,4-diamine.

[0727] A mixture of (2-chloro-6-methyl-pyrimidin-4-yl)-dimethyl-amine (6.00 g, 35.0 mmol) and (*cis*-4-amino-cyclohexyl)-carbamic acid tert-butyl ester obtained in step B of example 3031 (8.30 g, 38.5 mmol) in butanol (6 mL) was stirred at 130 °C for 48 hr. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 16% to 50% EtOAc in hexane). To a solution of the above material in EtOAc (60 mL) was added 4 M hydrogen chloride in EtOAc (60 mL). The mixture was stirred at ambient temperature for 2 hr and concentrated. The residue was dissolved in 1 M aqueous NaOH and the aqueous layer was extracted with CHCl<sub>3</sub> (three time). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 2% to 10% MeOH in CHCl<sub>3</sub>) to give N²-(cis-4-amino-cyclohexyl)-6,N⁴,N⁴-trimethyl-pyrimidine-2,4-diamine (2.29 g, 26%) as a pale yellow oil. ESI MS m/e 250, M + H+ ;¹H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.18-1.50 (m, 4 H), 1.58-1.93 (m, 6 H), 2.19 (s, 3 H), 2.76-2.87 (m, 1 H), 3.03 (s, 6 H), 3.96-4.06 (m, 1 H), 4.78-4.89 (m, 1 H), 5.67 (s, 1 H).

# Step B: Synthesis of N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride

[0728] To a solution of N²-(cis-4-amino-cyclohexyl)-6,N⁴,N⁴-trimethyl-pyrimidine-2,4-diamine (300 mg, 1.20 mmol) in CHCl<sub>3</sub> (2 mL) were added i-Pr<sub>2</sub>NEt (0.44 mL, 2.52 mmol) and 3,4-difluoro-benzoyl chloride (233 mg, 1.32 mmol) in CHCl<sub>3</sub> (1 mL). The mixture was stirred at ambient temperature for 15 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% EtOAc in hexane) to give a colorless oil. To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 60 °C under reduced pressure to give N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride (359 mg, 70%) as a white solid.

ESI MS m/e 390, M (free) + H+; ¹H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.64-2.00 (m, 8 H), 2.35 (d, J = 0.6 Hz, 3 H), 3.14 (s, 3 H), 3.26 (s, 3 H), 4.03-4.29 (m, 2 H), 5.74 (d, J = 0.7 Hz, 1 H), 6.61-6.72 (m, 1 H), 7.14-7.26 (m, 1 H), 7.53-7.62 (m, 1

## Example 3128

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H), 7.67-7.78 (m, 1 H), 8.59 (d, J = 7.8 Hz, 1 H).

3-Chloro-N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 3-chloro-*N*-[*cis*-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

**[0729]** Using the procedure for the step B of example 3127, the title compound was obtained. ESI MS m/e 410, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.67-2.00 (m, 8 H), 2.35 (s, 3 H), 3.13 (s, 3 H), 3.25 (s, 3 H), 4.04-4.26 (m, 2 H), 5.75 (s, 1 H), 6.53 (d, J = 8.6 Hz, 1 H), 7.32-7.48 (m, 2 H), 7.64-7.70 (m, 1 H), 7.83 (t, J

= 1.9 Hz, 1 H), 8.60 (d, J = 7.9 Hz, 1 H), 13.11 (brs, 1 H).

#### Example 3129

5 N-[cis-4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride

Step A: Synthesis of (2-chloro-pyrimidin-4-yl)-dimethyl-amine.

[0730] To a solution of 2,4-dichloro-pyrimidine (15.0 g, 10.15 mmol) in THF (150 mL) was added 50% aqueous MeNH<sub>2</sub> (22.7 g, 25.2 mmol). The mixture was stirred at ambient temperature for 2 hr. The solution was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by flash chromatography (NH-silica, 20% EtOAc in hexane) to give (2-chloro-pyrimidin-4-yl)-dimethyl-amine (8.66 g, 55%) as a white solid and (4-chloro-pyrimidin-2-yl)-dimethyl-amine (0.87 g, 6%) as a white solid.

(2-chloro-pyrimidin-4-yl)-dimethyl-amine;

CI MS m/e 158, M + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  3.12 (s, 6 H), 6.32 (d, J = 6.1 Hz, 1 H), 8.00 (d, J = 6.1 Hz, 1 H). (4-chloro-pyrimidin-2-yl)-dimethyl-amine;

ESI MS m/e 157, M<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  3.21 (s, 6 H), 6.50 (d, J = 5.1 Hz, 1 H), 8.18 (d, J = 5.1 Hz, 1 H).

Step B: Synthesis of [cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-carbamic acid tert-butyl ester.

[0731] A mixture of (2-chloro-pyrimidin-4-yl)-dimethyl-amine (1.50 g, 9.52 mmol) and (*cis*-4-amino-cyclohexyl)-carbamic acid *tert*-butyl ester obtained in step B of example 3031 (2.24 g, 10.5 mmol) in IPA (1.5 mL) was stirred at 130 °C for 22 hr in a sealed tube. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub>, and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by medium-pressure liquid chromatography (NH-silica, 10% EtOAc in hexane) to give [*cis*-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-carbamic acid *tert*-butyl ester (1.34 g, 42%) as a white solid. ESI MS m/e 358, M + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.45 (s, 9 H), 1.48 (s, 8 H), 3.03 (s, 6 H), 3.61 (brs, 1 H), 3.89-4.04 (m, 1 H), 4.47-4.63 (m, 1 H),4.77-4.89 (m, 1 H), 5.80 (d, J = 6.1 Hz, 1 H), 7.84 (d, J = 6.1 Hz, 1 H).

Step C: Synthesis of №-(cis-4-amino-cyclohexyl)-N4,N4-dimethyl-pyrimidine-2,4-diamine.

[0732] To a solution of [cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-carbamic acid tert-butyl ester (1.26 g, 3.76 mmol) in EtOAc (15 mL) was added 4 M hydrogen chloride in EtOAc (15 mL). The reaction mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was alkalized with 1 M aqueous NaOH. The aqueous layer was extracted with CHCl<sub>3</sub> (six times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, and concentrated to give  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ - $N^4$ -dimethyl-pyrinlidine-2,4-diamine (923 mg, quant.) as a pale yellow oil. ESI MS m/e 250, M + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.29-1.51 (m, 2 H), 1.61-1.91 (m, 6 H), 2.80-2.92 (m, 1 H), 3.03 (s, 6 H), 3.96-4.04 (m, 1 H), 4.85-4.98 (m, 1 H), 5.79 (d, J = 6.1 Hz, 1 H), 7.84 (d, J = 6.1 Hz, 1 H).

Step D: Synthesis of *N*-[*cis*-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride.

[0733] To a solution of \$\mathbb{N}^2\$-(cis-4-amino-cyclohexyl)-\$\mathbb{N}^4\$. A dimethyl-pyrimidine-2,4-diamine (300 mg, 1.20 mmol) in CHCl<sub>3</sub> (3 mL) were added Et<sub>3</sub>N (0.35 mL, 2.51 mmol) and 2-phenoxy-nicotinoyl chloride (309 mg, 1-32 mmol). The mixture was stirred at ambient temperature for 22 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 50% EtOAc in hexane and silica gel, 3% MeOH in CHCl<sub>3</sub>). To a solution of the above material in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (10 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 80 °C under reduced pressure to give \$N\$-(cis-4-(4-dimethyl-amino-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride (150 mg, 26%) as a white solid. ESI MS m/e 433, M (free) + H\*

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# Example 3130

- 3,4-Difluoro-N-[cis-4-(4-trifluoromethyl-pyrimidin-2-yl)amino-cyclohexyl]-benzamide hydrochloride
- 5 Step A: Synthesis of 3,4-difluoro-*N*-[cis-4-(4-trifluoromethyl-pyrimidin-2-yl)amino-cyclohexyl]-benzamide hydrochloride.

[0734] A mixture of 2-chloro-4-trifluoromethyl-pyrimidine (200 mg, 1.09 mmol) and N-(cis-4-amino-cyclohexyl)-3,4-difluoro-benzamide obtained in step D of example 3031 (306 mg, 1.20 mmol) in butanol (1 mL) was stirred at 130 °C for 12 hr in a sealed tube. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 50% EtOAc in hexane). To a solution of the above material in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (10 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 80 °C under reduced pressure to give 3,4-difluoro-N-[cis-4-(4-trifluoromethyl-pyrimidin-2-yl)amino-cyclohexyl]-benzamide hydrochloride (123 mg, 26%) as a white solid.

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20 Example 3131

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- 3,4-Difluoro-N-[cis-4-(4-methoxy-pyrimidin-2-ylamino)-cyclohexyl]-benzamide hydrochloride
- Step A: Synthesis of 3,4-difluoro-*N*-[*cis*-4-(4-methoxy-pyrimidin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0735] Using the procedure for the step A of example 3130, the title compound was obtained. ESI MS m/e 385, M (free) + Na $^+$ 

- 30 Example 3132
  - N-[cis-4-(4,6-Dimethoxy-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride
- Step A: Synthesis of *N*-[*cis*-4-(4,6-dimethoxy-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride.

[0736] Using the procedure for the step A of example 3130, the title compound was obtained. ESI MS m/e 415, M (free) + Na<sup>+</sup>

- 40 Example 3133
  - 2-Phenoxy-N-[cis-4-(4-trifluoromethyl-pyrimidin-2-yl)-amino-cyclohexyl]-nicotinamide hydrochloride
- Step A: Synthesis of 2-phenoxy-*N*-[*cis*-4-(4-trifluoromethyl-pyrimidin-2-yl)-amino-cyclohexyl]-nicotinamide hydrochloride.

[0737] A mixture of 2-chloro-4-trifluoromethyl-pyrimidine (200 mg, 1.10 mmol) and *N*-(*cis*-4-amino-cyclohexyl)-2-phenoxy-nicotinamide obtained in step A of example 3032 (375 mg, 1.20 mmol) in butanol (1 mL) was stirred at 130 °C for 3 days in a sealed tube. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 33% EtOAc in hexane) to give a pale yellow oil. To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 60 °C under reduced pressure to give 2-phenoxy-*N*-[*cis*-4-(4-trifluoromethyl-pyrimidin-2-yl)-amino-cyclohexyl]-nicotinamide hydrochloride (111 mg, 21 %) as a white solid.

#### Example 3134

N-[cis-4-(4-Methoxy-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride

5 Step A: Synthesis of N-[cis-4-(4-methoxy-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride.

[0738] Using the procedure for the step A of example 3133, the title compound was obtained. ESI MS m/e 442, M (free) +  $Na^+$ 

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Example 3135

N-[cis-4-(4,6-Dimethoxy-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride

15 Step A: Synthesis of N-[cis-4-(4,6-dimethoxy-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride.

[0739] Using the procedure for the step A of example 3133, the title compound was obtained. ESI MS m/e 472, M (free) +  $Na^+$ 

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Example 3136

N-[cis-4-(4-Dimethylamino-5-phenyl-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride

25 Step A: Synthesis of (5-bromo-2-chloro-pyrimidin-4-yl)-dimethyl-amine.

[0740] Using the procedure for the step A of example 3129, the title compound was obtained. ESI MS m/e 236, M + H+

30 Step B: Synthesis of (2-chloro-5-phenyl-pyrimidin-4-yl)-dimethyl-amine.

[0741] To a solution of (5-bromo-2-chloro-pyrimidin-4-yl)-dimethyl-amine (2.00 g, 8.46 mmol) in toluene (30 mL) were added 2 M aqueous  $K_2CO_3$  (15 mL), phenylboronic acid (1.03 g, 8.45 mmol), and tetrakis-(triphenylphosphine)-palladium (977 mg, 0.845 mmol). The reaction mixture was stirred at reflux for 8 hr. The mixture was poured into water and the aqueous layer was extracted with CHCl $_3$  (three times). The combined organic layer was dried over MgSO $_4$ , filtered, concentrated, and purified by flash chromatography (NH-silica gel, 3% EtOAc in hexane) to give (2-chloro-5-phenyl-pyrimidin-4-yl)-dimethyl-amine (1.44 g, 73%).

40 Step C: Synthesis of N-[cis-4-(4-dimethylamino-5-phenyl-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxynicotinamide hydrochloride.

[0742] Using the procedure for the step A of example 3133, the title compound was obtained. ESI MS m/e 531, M (free) + Na<sup>+</sup>

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Example 3137

N-[cis-4-(5-Chloro-4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride

50 Step A: Synthesis of (2,5-dichloro-pyrimidin-4-yl)-dimethyl-amine.

[0743] Using the procedure for the step A of example 3129, the title compound was obtained. ESI MS m/e 191, M+

55 Step B: Synthesis of N-[cis-4-(5-chloro-4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxynicotinamide hydrochloride.

[0744] Using the procedure for the step A of example 3133, the title compound was obtained.

ESI MS m/e 467, M (free) + H+

Example 3138

5 N-[cis-4-(4-Dimethylamino-5-phenyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride

Step A: Synthesis of *N*-[*cis*-4-(4-dimethylamino-5-phenyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluorobenzamide hydrochloride.

[0745] Using the procedure for the step A of example 3130, the title compound was obtained.
ESI MS m/e 474, M (free) + Na<sup>+</sup>

Example 3139

15 N-[cis-4-(5-Chloro-4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride

Step A: Synthesis of *N*-[*cis*-4-(5-chloro-4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride.

[0746] Using the procedure for the step A of example 3130, the title compound was obtained. ESI MS m/e 432, M (free) + Na+

Example 3140

25 N-[c/s-4-(4-Dimethylamino-5-fluoro-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride

Step A: Synthesis of (2-chloro-5-fluoro-pyrimidin-4-yl)-dimethyl-amine.

[0747] Using the procedure for the step A of example 3129, the title compound was obtained.

30 ESI MS m/e 176, M + H+

Step B : Synthesis of N-[cis-4-(4-dimethylamino-5-fluoro-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxynicotinamide hydrochloride.

[0748] Using the procedure for the step A of example 3133, the title compound was obtained.
ESI MS m/e 451, M (free) + H+

Example 3141

40 N-[cis-4-(5-Bromo-4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride

Step A: Synthesis of *N*-[*cis*-4-(5-bromo-4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxynicotinamide hydrochloride.

45 [0749] Using the procedure for the step A of example 3133, the title compound was obtained. ESI MS m/e 533, M (free) + Na<sup>+</sup>

Example 3142

50 N-[cis-4-(4,6-Dimethyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride

Step A: Synthesis of *N*-[*cis*-4-(4,6-dimethyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride.

55 [0750] Using the procedure for the step A of example 3130, the title compound was obtained. ESI MS m/e 383, M (free) + Na<sup>+</sup>

#### Example 3143

N-[cis-4-(4,6-Dimethyl-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride

5 Step A: Synthesis of N-[cis-4-(4,6-dimethyl-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride.

[0751] Using the procedure for the step A of example 3133, the title compound was obtained. ESI MS m/e 440, M (free) + Na+

Example 3144

3,4-Difluoro-N-[cis-4-(pyrimidin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

5 Step A: Synthesis of 3,4-difluoro-N-(cis-4-(pyrimidin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0752] Using the procedure for the step A of example 3130, the title compound was obtained. ESI MS m/e 355, M (free) + Na+

20 Example 3145

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N-[cis-4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexylmethyl]-2-phenoxy-nicotinamide hydrochloride

Step A: Synthesis of [cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester.

[0753] A mixture of (2-chloro-pyrimidin-4-yl)-dimethyl-amine obtained in step A of example 3129 (1.50 g, 9.52 mmol) and (*cis*-4-amino-cyclohexylmethyl)-carbamic acid benzyl ester obtained in step C of example 3068 (2.75 g, 10.5 mmol) in IPA (1.5 mL) was stirred at 130 °C for 22 hr in a sealed tube. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated, and purified by medium-pressure liquid chromatography (NH-silica, 10% EtOAc in hexane to EtOAc) to give [*cis*-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexylmethyl]-carbamic acid benzyl ester (816 mg, 22%) as a pale yellow oil.

ESI MS m/e 406, M + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.22-1.92 (m, 9 H), 3.03 (s, 6 H), 3.11 (t, J = 6.2 Hz, 2 H), 4.02-4.15 (m, 1 H), 4.82-4.93 (m, 2 H), 5.10 (s, 2 H), 5.79 (d, J = 6.1 Hz, 1 H), 7.28-7.42 (m, 5 H), 7.83 (d, J = 6.1 Hz, 1 H).

Step B: Synthesis of N2-(cis-4-aminomethyl-cyclohexyl)-N4,N4-dimethyl-pyrimidine-2,4-diamine.

[0754] Using the procedure for the step B of example 3118, the title compound was obtained. 40 ESI MS m/e 250, M + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.40-1.88 (m, 9 H), 2.87 (d, J = 5.9 Hz, 2 H), 3.03 (s, 6 H), 4.11 (brs, 1 H), 5.63 (brs, 1 H), 5.78 (d, J = 6.2 Hz, 1 H), 7.08 (brs, 2 H), 7.82 (d, J = 6.2 Hz, 1 H).

Step C: Synthesis of *N*-[*cis*-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexylmethyl]-2-phenoxynicotinamide hydrochloride.

[0755] To a solution of  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-pyrimidine-2,4-diamine (400 mg, 1.60 mmol) in CHCl<sub>3</sub> (2 mL) were added i-Pr<sub>2</sub>NEt (0.56 mL, 3.36 mmol) and 2-phenoxy-nicotinoyl chloride (523 mg, 2.24 mmol) in CHCl<sub>3</sub> (2 mL). The mixture was stirred at ambient temperature for 5 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub> filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 50% EtOAc in hexane) to give a colorless oil. To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O and dried at 60 °C under reduced pressure to give *N*-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexylmethyl]-2-phenoxy-nicotinamide hydrochloride (199 mg, 26%) as a white solid.

ESI MS m/e 469, M (free) + Na+

# Example 3146

3-Hydroxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

5 Step A: Synthesis of 3-hydroxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0756] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 362, M (free) + H<sup>+</sup>;  $^{1}$ H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1.60-2.09 (m, 8 H), 3.83-4.02 (m, 1 H), 4.22-4.49 (m, 1 H), 6.79-7.02 (m, 1 H), 7.12-7.59 (m, 5 H), 7.67-8.45 (m, 5 H), 9.40-9.78 (m, 2 H), 12.91-13.17 (m, 1 H).

Example 3147

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N-[cis-4-(Quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid methyl ester hydrochloride

515 Step A: Synthesis of N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid methyl ester hydrochloride.

[0757] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 404, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.54-2.12 (m, 8 H), 3.89-4.31 (m, 5 H), 6.89-7.05 (m, 2 H), 7.41-7.58 (m, 2 H), 7.68-7.82 (m, 3 H), 8.00-8.22 (m, 3 H), 8.46-8.51 (m, 1 H), 9.66-9.85 (m, 1 H).

Example 3148

N-[cis-4-(Quinolin-2-ylamino)-cyclohexyl]-3,5-bis-trifluoromethyl-benzamide hydrochloride

Step A: Synthesis of N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-3,5-bis-trifluoromethyl-benzamide hydrochloride.

[0758] Using the procedure for the step A of example 3046, the title compound was obtained. ESI MS m/e 482, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.75-2.27 (m, 8 H), 4.00-4.32 (m, 2 H), 6.97 (d, J = 9.4 Hz, 1 H), 7.42-7.65 (m, 2 H), 7.69-7.80 (m, 3 H), 7.96-8.02 (m, 1 H), 8.20 (d, J = 9.3 Hz, 1 H), 8.35-8.42 (m, 2 H), 9.69-9.79 (m, 1 H).

Example 3149

35 N-[cis-4-(Quinolin-2-ylamino)-cyclohexyl]-3-trifluoromethoxy-benzamide hydrochloride

Step A: Synthesis of N-[cis-4-(Quinolin-2-ylamino)-cyclohexyl]-3-trifluoromethoxy-benzamide hydrochloride.

[0759] Using the procedure for the step A of example 3046, the title compound was obtained.

6 ESI MS m/e 430, M (free) + H+; ¹H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.77-2. (m, 8 H), 3.96-4.29 (m, 2 H), 6.88-7.03 (m, 2 H), 7.29-7.51 (m, 3 H), 7.69-7.82 (m, 5 H), 8.19 (d, *J* = 9.5 Hz, 1 H), 9.73-9.86 (m, 1 H).

Example 3150

45 N-[cis-4-(Quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid

Step A: Synthesis of N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid methyl ester.

[0760] To a solution of isophthalic acid monomethyl ester (435 mg) and *cis-N*-quinolin-2-yl-cyclohexane-1,4-diamine obtained in step A of example 3033 (500 mg) in DMF (5 mL) were added Et<sub>3</sub>N (0.96 mL), HOBt-H<sub>2</sub>O (476 mg), and EDC-HCl (437 mg). The reaction mixture was stirred at ambient temperature for 18 hr. To the reaction mixture was added water (20 mL) and the suspension was stirred at ambient temperature for 30 min. The precipitated was collected by filtration, washed with H<sub>2</sub>O, and purified by medium-pressure liquid chromatography (NH-silica gel, 25% EtOAc in hexane) to give *N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid methyl ester (740 mg) as a white solid. ESI MS m/e 404, M + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.71-2.05 (m, 8 H), 3.96 (s, 3 H), 4.10-4.28 (m, 2 H), 4.80-4.90 (m, 1 H), 6.16-6.26 (m, 1 H), 6.66 (d, *J* = 8.8 Hz, 1 H), 7.18-7.20 (m, 1 H), 7.49-7.68 (m, 4 H), 7.84 (d, *J* = 83 Hz, 1 H), 8.03-8.10 (m, 1 H), 8.15-8.22 (m, 1 H), 8.35-8.38 (m, 1 H)

# Step B: Synthesis of N-[cis-4-(Quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid.

[0761] To a solution of *N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid methyl ester (400 mg) in EtOH (12 mL) was added 2 M aqueous NaOH (0.52 mL). The reaction mixture was stirred at ambient temperature for 11 hr. To the reaction mixture was added 1 M aqueous HCl (0.6 mL) and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, purified by medium-pressure liquid chromatography (silica gel, 1% to 5% MeOH in CHCl<sub>3</sub>) to give a white solid. The suspension of above solid in Et<sub>2</sub>O (20 mL) was stirred at ambient temperature for 1 hr and filtered to to give *N*-[*cis*-4-(Quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid (183 mg) as a white solid.

ESI MS m/e 412, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1.63-2.09 (m, 8 H), 3.84-4.18 (m, 2 H), 6.83-6.91 (m, 2 H), 7.07-7.17 (m, 1 H), 7.39-7.64 (m, 4 H), 7.83 (d, J = 9.0 Hz, 1 H), 8.03-8.13 (m, 2 H), 8.39-8.53 (m, 2 H).

# Example 3151

15 C-(Ethyl-phenyl-amino)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide dihydrochloride

Step A: Synthesis of C-(ethyl-phenyl-amino)-N-[cls-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide dihydrochloride.

[0762] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 403, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.18-1.36 (m, 3 H), 1.54-2.15 (m, 8 H), 3.39-3.65 (m, 3 H), 3.68-4.11 (m, 3 H), 6.80-7.20 (m, 3 H), 7.29-7.86 (m, 8 H), 8.07-8.23 (m, 1 H), 9.48-9.68 (m, 1 H).

#### Example 3152

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3,5-Difluoro-*N*-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 3,5-Difluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0763] Using the procedure for the step A of example 3046, the title compound was obtained. ESI MS m/e 404, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>) δ 1.71-2.02 (m, 8 H), 3.87-4.13 (m, 1 H), 4.24-4.53 (m, 1 H), 7.21-8.01 (m, 7 H), 8.18-8.60 (m, 3 H), 9.48-9.81 (m, 1 H), 13.09-13.28 (m, 1 H).

# Example 3153

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-Chloro-3-fluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide-hydrochloride

Step A: Synthesis of 4-chloro-3-fluoro-*N*-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

40 [0764] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 398, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.80-2.10 (m, 8 H), 3.97-4.27 (m, 2 H), 6.88-7.03 (m, 2 H), 7.39-7.50 (m, 2 H), 7.54-7.62 (m, 1 H), 7.66-7.83 (m, 4 H), 8.19 (d, J = 9.4 Hz, 1 H), 9.65-9.82 (m, 1 H).

### Example 3154

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C-[(4-Chloro-phenyl)-ethyl-amino]-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide dihydrochloride

Step A: Synthesis of C-[(4-chloro-phenyl)-ethyl-amino]-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide dihydrochloride.

[0765] Using the procedure for the step A of example 3036, the title compound was obtained. ESI MS m/e 459, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  0.99-1.19 (m, 3 H), 1.42-1.96 (m, 8 H), 3.30-3.55 (m, 2 H), 3.71-3.87 (m, 1 H), 3.94 (s, 2 H), 4.29-4.51 (m, 1 H), 6.57-6.77 (m, 2 H), 7.02-7.58 (m, 4 H), 7.65-8.04 (m, 3 H), 8.15-8.44 (m, 2 H), 9.61-9.85 (m, 1 H), 13.17-13.42 (m, 1 H).

#### Example 3155

N-[cis-4-(Quinolin-2-ylamino)-cyclohexyl]-isophthalamide hydrochloride

Step A: Synthesis of N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-isophthalamide hydrochloride.

[0766] To a solution of *N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid obtained in step B of example 3150 (160 mg) in DMF (2 mL) were added 28% aqueous NH<sub>3</sub> (30 mg), Et<sub>3</sub>N (0.14 mL), HOBt-H<sub>2</sub>O (94 mg), and EDC-HCl (95 mg). The reaction mixture was stirred at ambient temperature for 16 hr. To the reaction mixture was added water (20 mL) and the aqueous layer extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 50% EtOAc in hexane). The solution of above purified material in EtOH (3 mL) was added 4 M hydrogen chloride in EtOAc (0.3 mL). The mixture was stirred at ambient temperature for 2 hr, filtered, and dried under reduced pressure to give *N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-isophthalamide hydrochloride (9 mg) as a white solid

ESI MS m/e 411, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1.70-2.06 (m, 8 H), 3.89-4.08 (m, 1 H), 4.19-4.39 (m, 1 H), 7.17-7.60 (m, 4 H), 7.71-8.46 (m, 8 H), 12.84-12.97 (m, 1 H).

#### Example 3156

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3,4-Difluoro-N-{cis-4-[(quinolin-2-ylmethyl)-amino]-cyclohexyl}-benzamide dihydrochloride

Step A: Synthesis of 3,4-difluoro-*N*-{cis-4-[(quinolin-2-ylmethyl)-amino]-cyclohexyl}-benzamide dihydrochloride.

[0767] To a solution of *N*-(*cis*-4-amino-cyclohexyl)-3,4-difluoro-benzamide obtained in step D of example 3031 (250 mg) in CHCl<sub>3</sub> (5 mL) were added quinoline-2-carbaldehyde (185 mg), acetic acid (71 mg), and NaBH(OAc)<sub>3</sub> (316 mg). The reaction mixture was stirred at ambient temperature for 16 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% EtOAc in hexane and silica gel, 2% to 5% MeOH in CHCl<sub>3</sub>) to give a colorless oil. To a solution of the above oil in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated under reduced pressure. A suspension of the above material in Et<sub>2</sub>O (12 mL) was stirred at ambient tempareture for 1 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried under reduced pressure to give 3,4-difluoro-*N*-{*cis*-4-[(quinolin-2-ylmethyl)-amino]-cyclohexyl}-benzamide dihydro-chloride (100 mg) as a white solid.

ESI MS m/e 418, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1.50-1.68 (m, 2 H), 1.90-2.15 (m, 6 H), 3.20-3.37 (m, 1 H), 3.91-4.01 (m, 1 H), 4.53-4.66 (m, 2 H), 7.46-8.29 (m, 9 H), 8.52 (d, J = 8.5 Hz, 1 H), 9.44-9.62 (m, 2 H).

#### 40 Example 3157

N-[cis-4-(4-Methyl-quinolin-2-ylamino)-cyclohexyl]-3,5-bis-trifluoromethyl-benzamide hydrochloride

Step A: Synthesis of *N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-3,5-bis-trifluoromethyl-benzamide hydrochloride.

[0768] Using the procedure for the step B of example 3070, the title compound was obtained. ESI MS m/e 496, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $^{3}$  1.77-2.19 (m, 8 H), 2.74 (s, 3 H), 3.98-4.31 (m, 2 H), 6.78-6.81 (m, 1 H), 7.40-7.52 (m, 1 H), 7.58-7.78 (m, 3 H), 7.85 (d,  $^{2}$ J = 9.2 Hz, 1 H), 7.96-8.01 (m, 1 H), 8.36-8.41 (m, 2 H), 9.49-9.64 (m, 1 H).

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#### Example 3158

N-[cis-4-(4-Methyl-quinolin-2-ylamino)-cyclohexyl]-3-trifluoromethoxy-benzamide hydrochloride

5 Step A: Synthesis of N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-3-trifluoromethoxy-benzamide hydrochloride.

[0769] Using the procedure for the step B of example 3070, the title compound was obtained. ESI MS m/e 444, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.68-2.20 (m, 8 H), 2.71-2.75 (m, 3 H), 3.96-4.30 (m, 2 H), 6.76-6.87 (m, 2 H), 7.30-7.39 (m, 1 H), 7.42-7.52 (m, 2 H), 7.67-7.89 (m, 5 H), 9.50-9.72 (m, 1 H).

#### Example 3159

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C-(Ethyl-phenyl-amino)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide dihydrochloride

Step A: Synthesis of *C*-(ethyl-phenyl-amino)-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide dihydrochloride.

[0770] Using the procedure for the step A of example 3071, the title compound was obtained.

ESI MS m/e 417, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.10-1.38 (m, 3 H), 1.59-2.05 (m, 8 H), 2.45-2.84 (m, 3 H), 3.35-4.15 (m, 6 H), 6.57-6.81 (m, 1 H), 6.85-7.52 (m, 7 H), 7.57-7.89 (m, 4 H), 9.20-9.50 (m, 1 H).

#### Example 3160

3-Hydroxy-N-[cis-4-(4-methyl-quinotin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 3-hydroxy-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0771] Using the procedure for the step A of example 3071, the title compound was obtained.

ESI MS m/e 398, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>) δ 1.67-2.02 (m, 8 H), 2.53-2.70 (m, 3 H), 3.86-3.99 (m, 1 H), 4.24-4.40 (m, 1 H), 6.88-6.96 (m, 1 H), 7.06-7.31 (m, 4 H), 7.46-7.57 (m, 1 H), 7.73-7.83 (m, 1 H), 7.92-8.28 (m, 3 H), 9.66 (s, 1 H), 12.83-12.94 (m, 1 H).

# Example 3161

2-Amino-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide dihydrochloride

Step A: Synthesis of 2-amino-*N*-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide dihydrochloride.

[0772] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 376, M (free) + H $^+$ ;  $^1$ H NMR (300 MHz, DMSO-d $_6$ )  $\delta$  1.63-2.06 (m, 8 H), 2.53-2.70 (m, 3 H), 3.87-4.04 (m, 1 H), 4.36-4.59 (m, 1 H), 6.92-7.06 (m, 1 H), 7.15-7.27 (m, 1 H), 7.45-7.58 (m, 1 H), 7.69-7.84 (m, 1 H), 7.89-8.01 (m, 1 H), 8.14-8.58 (m, 4 H), 8.69-8.86 (m, 1 H), 9.54-9.72 (m, 1 H).

# Example 3162

2,3-Difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 2,3-difluoro-*N*-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0773] Using the procedure for the step B of example 3070, the title compound was obtained. ESI MS m/e 418, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.56-2.17 (m, 8 H), 2.72 (s, 3 H), 3.88-4.04 (m, 1 H), 55 4.09-4.30 (m, 1 H), 6.67-6.92 (m, 2 H), 7.10-7.35 (m, 2 H), 7.41-7.52 (m, 1 H), 7.60-7.93 (m, 4 H), 9.53-9.75 (m, 1 H).

#### Example 3163

- 2,4-Difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride
- 5 Step A: Synthesis of 2,4-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0774] Using the procedure for the step B of example 3070, the title compound was obtained.
ESI MS m/e 418, M (free) + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.57-2.22 (m, 8 H), 2.73 (s, 3 H), 3.87-4.06 (m, 1 H), 4.11-4.31 (m, 1 H), 6.69-7.06 (m, 4 H), 7.40-7.56 (m, 1 H), 7.65-7.88 (m, 3 H), 7.98-8.14 (m, 1 H), 9.51-9.83 (m, 1 H).

# Example 3164

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2,5-Difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 2,5-difluoro-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0775] Using the procedure for the step B of example 3070, the title compound was obtained.

ESI MS m/e 418, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.46-2.14 (m, 8 H), 2.72 (s, 3 H), 3.84-4.04 (m, 1 H), 4.09-4.32 (m, 1 H), 6.77 (s, 1 H), 6.82-7.21 (m, 3 H), 7.37-7.54 (m, 1 H), 7.63-7.89 (m, 4 H), 9.54-9.72 (m, 1 H).

# Example 3165

- 25 2,6-Difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride
  - Step A: Synthesis of 2,6-difluoro-*N*-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.
- [0776] Using the procedure for the step B of example 3070, the title compound was obtained. ESI MS m/e 418, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.72-2.08 (m, 8 H), 2.72 (s, 3 H), 3.91-4.03 (m, 1 H), 4.13-4.33 (m, 1 H), 6-42-6.54 (m, 1 H), 6.77 (s, 1 H), 6.88-6.99 (m, 2 H), 7.27-7.50 (m, 2 H), 7.66-7.78 (m, 2 H), 7.84 (d, J = 8.2 Hz, 1 H), 9.53-9.70 (m, 1 H).
- 35 Example 3166
  - 3,5-Difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride
- Step A: Synthesis of 3,5-difluoro-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0777] Using the procedure for the step B of example 3070, the title compound was obtained. ESI MS m/e 418, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.78-2.16 (m, 8 H), 2.72 (s, 3 H), 3.96-4.26 (m, 2 H), 6.78 (s, 1 H), 6.86-7.02 (m, 2 H), 7.33-7.52 (m, 3 H), 7.67-7.78 (m, 2 H), 7.85 (d, J = 8.2 Hz, 1 H), 9.48-9.71 (m, 1 H).

# Example 3167

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 $\label{eq:continuous} \textit{C-}[(4-Chloro-phenyl)-ethyl-amino]-\textit{N-}[\textit{cis-}4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide dihydrochloride$ 

Step A: Synthesis of C-[(4-chloro-phenyl)-ethyl-amino]-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide dihydrochloride.

[0778] Using the procedure for the step A of example 3071, the title compound was obtained.

ESI MS m/e 451, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.14-1.26 (m, 3 H), 1.69-2.00 (m, 8 H), 2.60 (s, 3 H), 3.39-3.61 (m, 2 H), 3.75-4.03 (m, 4 H), 6.63-7.06 (m, 4 H), 7.14-7.32 (m, 2 H), 7.39-7.51 (m, 1 H), 7.64-7.89 (m, 3 H), 9.44-9.59 (m, 1 H).

#### Example 3168

4-Chloro-3-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

5 Step A: Synthesis of 4-chloro-3-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0779] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 412, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.78-2.13 (m, 8 H), 2.70-2.76 (m, 3 H), 3.95-4.28 (m, 2 H), 6.65-6.81 (m, 2 H), 7.41-7.50 (m, 2 H), 7.53-7.59 (m, 1 H), 7.65-7.77 (m, 3 H), 7.82-7.88 (m, 1 H), 9.57-9.71 (m, 1 H).

# Example 3169

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4-Fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 4-fluoro-N-[c/s-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0780] Using the procedure for the step B of example 3070, the title compound was obtained. ESI MS m/e 378, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.81-2.10 (m, 8 H), 2.72 (s, 3 H), 3.95-4.29 (m, 2 H), 6.65-6.81 (m, 2 H), 7.10 (t, J = 8.6 Hz, 2 H), 7.42-7.51 (m, 1 H), 7.67-7.91 (m, 5 H), 9.55-9.67 (m, 1 H).

#### Example 3170

3-Fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 3-Fluoro-*N*-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0781] Using the procedure for the step B of example 3070, the title compound was obtained. ESI MS m/e 378, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.77-2.09 (m, 8 H), 2.71-2.76 (m, 3 H), 3.94-4.25 (m, 2 H), 6.54-6.65 (m, 1 H), 6.76-6.81 (m, 1 H), 7.13-7.23 (m, 1 H), 7.35-7.61 (m, 4 H), 7.67-7.88 (m, 3 H), 9.58-9.73 (m, 1 H).

# Example 3171

2-Fluoro-N[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 2-Fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0782] Using the procedure for the step B of example 3070, the title compound was obtained. ESI MS m/e 378, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $^{3}$  1.84-2.15 (m, 8 H), 2.72 (s, 3 H), 3.87-4.01 (m, 1 H), 4.13-4.29 (m, 1 H), 6.73-6.89 (m, 2 H), 7.07-7.28 (m, 2 H), 7.40-7.51 (m, 2 H), 7.66-7.87 (m, 3 H), 7.96-8.05 (m, 1 H), 9.62-9.72 (m, 1 H).

# Example 3172

45 4-Chloro-N [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 4-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0783] Using the procedure for the step B of example 3070, the title compound was obtained.

ESI MS m/e 394, M (free) + H+; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>) δ 1.64-2.04 (m, 8 H), 2.55-2.70 (m, 3 H), 3.87-4.04 (m, 1 H), 4.27-4.52 (m, 1 H), 7.07-7.18 (m, 1 H), 7.46-7.58 (m, 3 H), 7.73-8.02 (m, 4 H), 8.23-8.38 (m, 2 H), 9.39-9.52 (m, 1 H), 12.96-13.10 (m, 1 H).

#### Example 3173

2-Hydroxy-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

5 Step A: Synthesis of 2-Hydroxy-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride.

[0784] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 399, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, DMSO-d<sub>6</sub>)  $^{8}$  1.46-1.99 (m, 8 H), 2.53-2.72 (m, 3 H), 4.02-4.15 (m, 1 H), 4.20-4.45 (m, 1 H), 6.46-6.56 (m, 1 H), 6.95-7.08 (m, 1 H), 7.45-7.57 (m, 1 H), 7.69-7.83 (m, 2 H), 7.90-8.47 (m, 3 H), 10.08-10.27 (m, 1 H), 12.48-12.63 (m, 1 H).

# Example 3174

N-[cis-4-(4-Methyl-quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid-methyl ester hydrochloride

Step A: Synthesis of N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid methyl ester hydrochloride.

[0785] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 440, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $^{3}$  1.78-2.21 (m, 8 H), 2.73 (d, J = 1.1 Hz, 3 H), 3.92-4.07 (m, 4 H), 4.13-4.29 (m, 1 H), 6.78 (s, 1 H), 6.99-7.10 (m, 1 H), 7.40-7.57 (m, 2 H), 7.67-7.79 (m, 2 H), 7.82-7.89 (m, 1 H), 8.02-8.19 (m, 2 H), 8.46-8.52 (m, 1 H), 9.46-9.65 (m, 1 H).

25 Example 3175

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6-Chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

Step A: Synthesis of 6-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride.

[0786] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 417, M (free) + Na $^+$ ;  $^1$ H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1.67-2.03 (m, 8 H), 2.54-2.72 (m, 3 H), 3.91-4.06 (m, 1 H), 4.26-4.42 (m, 1 H), 7.05-7.18 (m, 1 H), 7.45-7.57 (m, 1 H), 7.63-7.69 (m, 1 H), 7.73-7.83 (m, 1 H), 7.91-8.04 (m, 1 H), 8.17-8.31 (m, 2 H), 8.51-8.62 (m, 1 H), 8.83-8.89 (m, 1 H), 9.33-9.51 (m, 1 H), 12.86-13.03 (m, 1 H).

# Example 3176

6-Dimethylamino-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide dihydrochloride

40 Step A: Synthesis of 6-dimethylamino-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide dihydrochloride.

[0787] To a solution of 6-chloro-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide obtained in step A of example 3175 (250 mg) in IPA (1 mL) were added 50% aqueous Me<sub>2</sub>NH (63 mg) and iPr<sub>2</sub>NEt (172 mg). The mixture was stirred at reflux for 5 hr, added 50% aqueous Me<sub>2</sub>NH (120 mg), and stirred at reflux for 5 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (NH-silica gel, EtOAc). To a solution of the above material in EtOH (3 mL) was added 4 M hydrogen chloride in EtOAc (0.47 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated under reduced pressure. A suspension of the above material in Et<sub>2</sub>O (3 mL) was stirred at ambient tempareture for 4 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried under reduced pressure to give 6-dimethylamino-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide dihydrochloride (200 mg) as a white solid. ESI MS m/e 426, M (free) + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.73-2.13 (m, 8 H), 2.63-2.80 (m, 3 H), 3.34-3.61 (m, 6 H), 3.91-4.28 (m, 2 H), 6.70-7.07 (m, 2 H), 7.35-8.10 (m, 5 H), 8.29-8.46 (m, 1 H), 8.82-8.98 (m, 1 H), 9.36-9.51 (m, 1 H).

# Example 3177

3-Hydroxymethyl-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

5 Step A: Synthesis of 3-hydroxymethyl-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0788] To a suspension of LiAlH (18 mg) in Et<sub>2</sub>O (5 mL) was added N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid methyl ester obtained in step A of example 3174 (200 mg) in Et<sub>2</sub>O (2 mL) . The mixture was stirred at ambient temperature for 3 hr. The reaction was quenched with water and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated under reduced pressure and purified by medium-pressure liquid chromatography (silica gel, 3% to 10% MeOH in CHCl<sub>3</sub>). To a solution of the above material in EtOH (2 mL) was added 4 M hydrogen chloride in EtOAc (0.24 mL). The mixture was stirred at ambient temperature for 2 hr and concentrated under reduced pressure. A suspension of the above material in Et<sub>2</sub>O (3 mL) was stirred at ambient tempareture for 1 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried at 70 °C under reduced pressure to give 3-hydroxymethyl-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride (93 mg) as a white solid.

4.22-4.42 (m, 1 H), 4.55 (s, 2 H), 7.03-7.17 (m, 1 H), 7.35-7.59 (m, 3 H), 7.67-7.87 (m, 3 H), 7.91-8.04 (m, 1 H), 8.11-8.31 (m, 2 H), 12.75-12.96 (m, 1 H).

### Example 3178

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N-[cis-4-(4-Methyl-quinolin-2-ylamino)-cyclohexyl]-isophthalamide hydrochloride

Step A: Synthesis of N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid methyl ester.

[0789] To a solution of isophthalic acid monomethyl ester (400 mg) and N-(cis-4-methyl-quinolin-2-yl)-cyclohexane-1,4-diamine in step A of example 3070 (400 mg) in DMF (4 mL) were added Et<sub>3</sub>N (0.52 mL), HOBt H<sub>2</sub>O (358 mg), and EDC-HCl (330 mg). The reaction mixture was stirred at ambient temperature for 12 hr. To the reaction mixture was added water (20 mL) and the suspension was stirred at ambient temperature for 30 min. The precipitated was collected by filtration, washed with H<sub>2</sub>O, and purified by medium-pressure liquid chromatography (silica gel, 9% MeOH in CHCl<sub>3</sub>) to give N-(cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid methyl ester (740 mg) as a white solid. ESI MS m/e 440, M + Na+; <sup>1</sup>H NMR (200 MHz, CDCl<sub>3</sub>)  $\delta$  1.59-2.09 (m, 8 H), 2.58 (s, 3 H), 3.96 (s, 3 H), 4.02-4.29 (m, 2 H), 4.72-4.87 (m, 1 H), 6.12-6.27 (m, 1 H), 6.48-6.59 (m, 1 H), 7.17-7.30 (m, 1 H), 7.45-7.82 (m, 4 H), 8.00-8.22 (m, 2 H), 8.32-8.39 (m, 1 H).

### Step B: Synthesis of N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-isophthalamide hydrochloride.

[0790] To a solution of *N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid methyl ester (150 mg) in EtOH (4.5 mL) was added 2 M aqueous NaOH (0.27 mL). The reaction mixture was stirred at ambient temperature for 13 hr. To the reaction mixture was added 1 M aqueous HCI (0.3 mL) and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, purified by medium-pressure liquid chromatography (silica gel, 1% to 5% MeOH in CHCl<sub>3</sub>) to give a white solid. To a solution of the above solid in DMF (2 mL) was added 28% aqueous NH<sub>3</sub> (21 mg), Et<sub>3</sub>N (0.1 mL), HOBt-H<sub>2</sub>O (67 mg), and EDC-HCl (67 mg). The reaction mixture was stirred at ambient temperature for 12 hr. To the reaction mixture was added water (20 mL) and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, purified by medium-pressure liquid chromatography (NH-silica gel, 3% to 9% MeOH in CHCl<sub>3</sub>). The solution of above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated under reduced pressure. A suspension of the above material in Et<sub>2</sub>O (12 mL) was stirred at ambient tempareture for 2 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and under reduced pressure to give *N*-{*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-isophthalamide hydrochloride

ESI MS m/e 403, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>) δ 1.69-2.04 (m, 8 H), 2.56-2.63 (m, 3 H), 3.92-4.06 (m, 1 H), 4.28-4.48 (m, 1 H), 7.06-7.17 (m, 1 H), 7.41-7.58 (m, 3 H), 7.70-8.04 (m, 3 H), 8.06-8.43 (m, 3 H), 9.35-9.54 (m, 1 H), 12.87-13.07 (m, 1 H).

#### Example 3179

3-Chloro-5-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

5 Step A: Synthesis of 3-chloro-5-fluoro-*N*-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0791] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 412, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.79-2.12 (m, 8 H), 2.73 (d, J = 0.9 Hz, 3 H), 3.96-4.22 (m, 2 H), 6.75-6.90 (m, 2 H), 7.17-7.25 (m, 1 H), 7.42-7.51 (m, 2 H), 7.59-7.89 (m, 4 H), 9.51-9.72 (m, 1 H).

# Example 3180

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3,4,5-Trifluoro-N [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 3,4,5-trifluoro-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0792] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 414, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.76-2.16 (m, 8 H), 2.73 (d, J = 1.1 Hz, 3 H), 3.97-4.24 (m, 2 H), 6.78 (s, 1 H), 6.92-7.04 (m, 1 H), 7.41-7.60 (m, 3 H), 7.68-7.77 (m, 2 H), 7.82-7.89 (m, 1 H), 9.50-9.64 (m, 1 H).

#### Example 3181

25 Pyridine-2-carboxylic acid [c/s-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride

Step A: Synthesis of pyridine-2-carboxylic acid [cis-4-(4-methyl-guinolin-2-ylamino)-cyclohexyl]-amide hydrochloride.

30 [0793] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 383, M (free) +Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>) δ 1.63-2.07 (m, 8 H), 2.54-2.71 (m, 3 H), 4.00-4.13 (m, 1 H), 4.49-4.62 (m, 1 H), 7.10-7.20 (m, 1 H), 7.46-7.56 (m, 1 H), 7.61-8.12 (m, 5 H), 8.33-8.42 (m, 2 H), 8.65-8.72 (m, 1 H), 9.46-9.60 (m, 1 H), 13.23-13.38 (m, 1 H).

35 Example 3182

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N-[cis-4-(4-Methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

Step A: Synthesis of N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride.

[0794] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 383, M (free) + Na $^+$ ;  $^1$ H NMR (300 MHz, DMSO-d $_6$ )  $\delta$  1.76-2.05 (m, 8 H), 2.54-2.73 (m, 3 H), 3.93-4.07 (m, 1 H), 4.29-4.48 (m, 1 H), 7.10-7.19 (m, 1 H), 7.47-7.57 (m, 1 H), 7.72-7.85 (m, 2 H), 7.92-8.04 (m, 1 H), 8.21-8.33 (m, 1 H), 8.48-8.57 (m, 1 H), 8.65-8.73 (m, 1 H), 8.82-8.89 (m, 1 H), 9.14-9.20 (m, 1 H), 9.42-9.58 (m, 1 H), 12.93-13.08 (m, 1 H).

# Example 3183

N-[cis-4-(4-Methyl-quinolin-2-ylamino)-cyclohexyl]-isonicotinamide hydrochloride

Step A: Synthesis of N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl)-isonicotinamide hydrochloride.

[0795] Using the procedure for the step A of example 3071, the title compound was obtained.
ESI MS m/e 383, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>) δ 1.68-2.08 (m, 8 H), 2.53-2.71 (m, 3 H), 3.92-4.08
(m, 1 H), 4.33-4.54 (m, 1 H), 7.11-7.22 (m, 1 H), 7.43-7.60 (m, 1 H), 7.69-7.86 (m, 1 H), 7.89-8.41 (m, 4 H), 8.81-9.07 (m, 3 H), 9.48-9.67 (m, 1 H), 13.03-13.24 (m, 1 H).

#### Example 3184

4-Chloro-pyridine-2-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl)-amide hydrochloride

5 Step A: Synthesis of 4-Chloro-pyridine-2-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]amide hydrochloride.

[0796] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 417, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1.62-2.05 (m, 8 H), 2.53-2.72 (m, 3 H), 3.99-4.51 (m, 2 H), 7.04-7.15 (m, 1 H), 7.46-7.57 (m, 1 H), 7.72-7.85 (m, 2 H), 7.92-8.10 (m, 2 H), 8.16-8.29 (m, 1 H), 8.39 (d, J = 8.1 Hz, 1 H), 8.66 (d, J = 5.3 Hz, 1 H), 9.32-9.51 (m, 1 H), 12.93-13.08 (m, 1 H).

# Example 3185

5-Bromo-*N-[cis-4-*(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide dihydrochloride

Step A: Synthesis of 5-bromo-*N*-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide dihydrochloride.

[0797] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 439, M (free) + H+; ¹H NMR (300 MHz, DMSO-d<sub>6</sub>) δ 1.71-2.02 (m, 8 H), 2.54-2.71 (m, 3 H), 3.88-4.08 (m, 1 H), 4.25-4.50 (m, 1 H), 7.06-7.18 (m, 1 H), 7.47-7.56 (m, 1 H), 7.70-7.83 (m, 1 H), 7.91-8.04 (m, 1 H), 8.19-8.33 (m, 1 H), 8.43-8.64 (m, 2 H), 8.86-8.88 (m, 1 H), 8.97-8.99 (m, 1 H), 9.35-9.50 (m, 1 H), 12.89-13.08 (m, 1 H).

25 Example 3186

N-[cis-4-(4-Methyl-quinolin-2-ylamino)-cyclohexyl]-6-trifluoromethyl-nicotinamide hydrochloride

Step A: Synthesis of *N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-6-trifluoromethyl-nicotinamide hydrochloride.

[0798] Using the procedure for the step A of example 3071, the title compound was obtained. ESI MS m/e 451, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1.58-2.04 (m, 8 H), 2.53-2.75 (m, 3 H), 3.91-4.09 (m, 1 H), 4.22-4.44 (m, 1 H), 7.03-7.22 (m, 1 H), 7.45-7.59 (m, 1 H), 7.71-7.85 (m, 1 H), 7.91-8.10 (m, 2 H), 8.15-8.30 (m, 1 H), 8.42-8.54 (m, 1 H), 8.64-8.81 (m, 1 H), 9.12-9.21 (m, 1 H), 9.33-9.54 (m, 1 H), 12.88-13.00 (m, 1 H).

Example 3187

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6-Imidazol-1-yl-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide dihydrochloride

Step A: Synthesis of 6-imidazol-1-yl-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide dihydrochloride.

[0799] To a solution of 6-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide obtained in step A of example 3175 (250 mg) in BuOH (1 mL) were added imidazole (47 mg) and iPr $_2$ NEt (172 mg). The mixture was heated in a microwave synthesizer at 220°C for 10 min and 230°C for 20 min. To the mixture was added saturated aqueous NaHCO $_3$  and the aqueous layer was extracted with CHCl $_3$  (three times). The combined organic layer was dried over MgSO $_4$ , filtrated, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (NH-silica gel, 50% in EtOAc in nexane). To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated under reduced pressure. A suspension of the above material in Et $_2$ O (12 mL) was stirred at ambient tempareture for 4 hr. The precipitate was collected by filtration, washed with Et $_2$ O, and dried under reduced pressure to give 6-imidazol-1-yl-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide dihydrochloride (83 mg) as a white solid. ESI MS m/e 427, M (free) + H+; <sup>1</sup>H NMR (300 MHz, DMSO-d $_6$ )  $\delta$  1.35-2.39 (m, 8 H), 2.60-2.81 (m, 3 H), 3.92-4.28 (m, 2 H), 6.63-6.92 (m, 1 H), 7.09-8.23 (m, 8 H), 8.53-8.82 (m, 1 H), 8.95-9.41 (m, 2 H), 9.96-10.17 (m, 1 H), 13.97-14.19 (m, 1 H).

#### Example 3188

N-[cis-4-(4-Dimethylamino-quinolin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride

5 Step A: Synthesis of N-[cis-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride.

[0800] To a solution of 3,4-difluoro-benzoic acid (199 mg) and *N*<sup>2</sup>-(*cis*-4-amino-cyclohexyl)-*N*<sup>4</sup>-methyl-quinoline-2,4-diamine obtained in step E of example 1 (300 mg) in DMF (3 mL) were added Et<sub>3</sub>N (0.35 mL), HOBt-H<sub>2</sub>O (241 mg), and EDC-HCI (242 mg). The reaction mixture was stirred at ambient temperature for 15 hr. To the mixture was added water (4.8 mL) and the aqueous layer was extracted with CHCI<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% EtOAc in hexane). To a solution of the above material in EtOAc (4 mL) was added 4 M hydrogen chloride in EtOAc (0.5 mL). The mixture was stirred at ambient temperature for 1 hr. The precipitate was collected by filtration, washed with EtOAc, and dried under reduced pressure to give *N*-[*cis*-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexyl)-3,4-difluoro-benzamide hydrochloride (263 mg) as a white solid.
ESI MS m/e 425, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCI<sub>3</sub>) δ 1.69-2.20 (m, 8 H), 3.24 (s, 6 H), 3.81-4.30 (m, 2 H), 5.82 (s, 1 H), 6.74-6.88 (m, 1 H), 7.10-7.40 (m, 2 H), 7.51-7.98 (m, 5 H), 8.86-8.99 (m, 1 H), 13.44-13.63 (m, 1 H).

20 Example 3189

5-Nitro-thiophene-3-carboxylic acid [cis-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride

25 Step A: Synthesis of 5-nitro-thiophene-3-carboxylic acid [cis-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexyl]-amide hydrochloride.

[0801] Using the procedure for the step A of example 3188, the title compound was obtained. ESI MS m/e 462, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.65-2.17 (m, 8 H), 3.25 (s, 6 H), 3.82-4.00 (m, 1 H), 4.00-4.23 (m, 1 H), 5.82 (s, 1 H), 7.25-7.40 (m, 1 H), 7.58-7.97 (m, 4 H) 8.28-8.42 (m, 2 H), 8.56-8.73 (m, 1 H), 13.02-13.30 (m, 1 H).

Example 3190

N-[cis-4-(4-Dimethylamino-quinolin-2-ylamino)-cyclohexylmethyl]-3,4-difluoro-benzamide hydrochloride

Step A: Synthesis of N-[cis-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexylmethyl]-3,4-difluoro-benzamide hydrochloride.

[0802] To a solution of N²-(cis-4-aminomethyl-cyclohexyl)-N³,N³-dimethyl-quinoline-2,4-diamine obtained in step B of example 7 (300 mg) in CHCl₃ (3 mL) were added iPr₂NEt (0.36 mL) and 3,4-difluoro-benzoyl chloride (194 mg). The mixture was stirred at ambient temperature for 6 hr. The reaction was quenched with saturated aqueous NaHCO₃ and the aqueous layer was extracted with CHCl₃ (three times). The combined organic layer was dried over MgSO₄, filtered, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (NH-silica gel, 9% to 20 % EtOAc in hexane and 2% to 9% MeOH in CHCl₃) to give N-[cis-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexylmethyl]-3,4-difluoro-benzamide hydrochloride (272 mg) as white solid.
 ESI MS m/e 439, M (free) + H⁺; ¹H NMR (300 MHz, CDCl₃) δ 1.53-2.08 (m, 9 H), 3.21 (s, 6 H), 3.47-3.56 (m, 2 H), 3.86-3.98 (m, 1 H), 5.81 (s, 1 H), 6.95-7.09 (m, 1 H), 7.16-7.34 (m, 2 H), 7.53-7.68 (m, 2 H), 7.80-7.95 (m, 3 H), 9.08-9.22 (m, 1 H), 13.40-13.51 (m, 1 H).

Example 3191

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1-(2,3-Dichloro-phenyl)-3-[cis-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexylmethyl]-urea hydrochloride

Step A: Synthesis of 1-(2,3-dichloro-phenyl)-3-[cis-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexylmethyl]-urea hydrochloride.

[0803] To a solution of N<sup>2</sup>-(cis-4-aminomethyl-cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-quinoline-2,4-diamine obtained in step B

of example 7 (300 mg) in DMSO (3 mL) was added 1,2-dichloro-4-isocyanato-benzene (207 mg). The mixture was stirred at ambient temperature for 12 hr and poured into water. The precipitate was filtrated, washed with water, and purified by medium-pressure liquid chromatography (NH-silica gel, 25% to 50% EtOAc in hexane). To a solution of the above material in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (10 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated under reduced pressure. A suspension of the residue in Et<sub>2</sub>O (20 mL) was stirred at ambient tempareture for 1 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried under reduced pressure to give 1-(2,3-dichloro-phenyl)-3-[*cis*-4-(4-dimethylamino-quinolin-2-ylamino)-cyclohexylmethyl]-urea hydrochloride (170 mg) as a white solid.

ESI MS m/e 486, M+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.51-2.18 (m, 9 H), 3.23 (s, 6 H), 3.36-3.44 (m, 2 H), 3.91-4.02 (m, 1 H), 5.78-5.88 (m, 1 H), 6.97-7.12 (m, 3 H), 7.26-7.35 (m, 1 H), 7.58-7.66 (m, 1 H), 7.86 (m, J = 9.0 Hz, 2 H), 8.16 (dd, J = 8.2, 1.7 Hz, 1 H), 8.20-8.31 (m, 1 H), 8.65-8.76 (m, 1 H), 12.98-13.21 (m, 1 H).

# Example 3192

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 N-[cis-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride

Step A: Synthesis of *N*-[*cis*-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride.

[0804] To a solution of 3,4-difluoro-benzoic acid (199 mg) and  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine in step D of example 3107 (304 mg) in DMF (4 mL) were added Et<sub>3</sub>N (0.35 mL), HOBt-H<sub>2</sub>O (241 mg), and EDC-HCl (242 mg). The reaction mixture was stirred at ambient temperature for 7 hr. To the reaction mixture was added water (20 mL) and the suspension was stirred at ambient temperature for 1 hr. The precipitated was collected by filtration, washed with H<sub>2</sub>O, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% EtOAc in hexane). To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr. The precipitate was collected by filtration, washed with EtOAc, and dried under reduced pressure to give N-(cis-4-(4-dimethylamino-5,6,7,8-tetrahydroquinazolin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride (252 mg) as a white solid.

ESI MS m/e 430, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.56-2.22 (m, 12 H), 2.48-2.84 (m, 4 H), 3.23 (s, 6 H), 3.92-4.33 (m, 2 H), 6.51-6.77 (m, 1 H), 7.01-7.30 (m, 1 H), 7.43-7.86 (m, 2 H), 8.28-8.57 (m, 1 H), 12.56 (m, 1 H).

## Example 3193

5-Nitro-thiophene-3-carboxylic acid [cis-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)cyclohexyl]-amide hydrochloride

Step A: Synthesis of 5-nitro-thiophene-3-carboxylic acid [cis-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexyl]-amide hydrochloride.

[0805] Using the procedure for the step A of example 3192, the title compound was obtained. ESI MS m/e 467, M (free) + Na+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.51-2.24 (m, 12 H), 2.51-2.62 (m, 2 H), 2.67-2.81 (m, 2 H), 3.23 (s, 6 H), 3.98-4.29 (m, 2 H), 7.42-7.48 (m, 1 H), 8.22-8.29 (m, 2 H), 8.37 (s, 1 H).

# 45 Example 3194

1-Methyl-4-nitro-1*H*-pyrrole-2-carboxylic acid [cis-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexyl]-amide hydrochloride

50 Step A: Synthesis of 1-methyl-4-nitro-1*H*-pyrrole-2-carboxylic acid [cis-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexyl]-amide hydrochloride

[0806] Using the procedure for the step A of example 3192, the title compound was obtained. ESI MS m/e 442, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.57-2.13 (m, 12 H), 2.49-2.61 (m, 2 H), 2.68-2.81 (m, 2 H), 3.22 (s, 6 H), 3.93-4.04 (m, 4 H), 4.14-4.24 (m, 1 H), 7.04-7.12 (m, 1 H), 7.23-7.27 (m, 1 H), 7.49-7.54 (m, 1 H), 8.30-8.41 (m, 1 H), 12.66-12.92 (m, 1 H).

#### Example 3195

N-[cis-4-(4-Dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexylmethyl]-3,4-difluoro-benzamide hydrochloride

Step A: Synthesis of *N*-[*cis*-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexylmethyl]-3,4-difluoro-benzamide hydrochloride.

[0807] To a solution of N²-(cis-4-aminomethyl-cyclohexyl)-N⁴-N⁴-dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine in step A of example 3113 (300 mg) in CHCl<sub>3</sub> (3 mL) were added iPr<sub>2</sub>NEt (0.36 mL) and 3,4-difluoro-benzoyl chloride (194 mg). The mixture was stirred at ambient temperature for 17 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub> filtered, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (NH-silica gel, 33% EtOAc in hexane). To a solution of the above material in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (10 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated under reduced pressure. A suspension of the residue in Et<sub>2</sub>O (20 mL) was stirred at ambient tempareture for 1 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried under reduced pressure to give N-[cis-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexylmethyl]-3,4-difluoro-benzamide hydrochloride (263 mg) as a white solid.

ESI MS m/e 466, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.50-1.96 (m, 13 H), 2.49-2.59 (m, 2 H), 2.66-2.77 (m, 2H), 3.21 (s, 6 H), 3.42-3.51 (m, 2 H), 4.16-4.28 (m, 1 H), 6.91-7.01 (m, 1 H), 7.17-7.26 (m, 1 H), 7.80-7.92 (m, 2 H), 8.55 (d, J = 8.2 Hz, 1 H), 12.61-12.77 (m, 1 H).

# Example 3196

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1-(2,3-Dichloro-phenyl)-3-[*cis*-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexylmethyl]-urea hydrochloride

Step A: Synthesis of 1-(2,3-dichloro-phenyl)-3-[cis-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexylmethyl]-urea hydrochloride.

[0808] To a solution of  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine in step A of example 3113 (300 mg) in DMSO (3 mL) was added 1,2-dichloro-4-isocyanato-benzene (207 mg). The mixture was stirred at ambient temperature for 12 hr and poured into water. The precipitate was filtrated, washed with water, and purified by medium-pressure liquid chromatography (NH-silica gel, 25% to 50% EtOAc in hexane). To a solution of the above material in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (10 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated under reduced pressure. A suspension of the residue in Et<sub>2</sub>O (20 mL) was stirred at ambient temperature for 1 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried under reduced pressure to give 1-(2,3-dichloro-phenyl)-3-[cis-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexylmethyl]-urea hydrochloride (113 mg) as a white solid.

ESI MS m/e 491, M+; <sup>1</sup>H NMR (200 MHz, CDCl<sub>3</sub>)  $\delta$  1.42-2.04 (m, 13 H), 2.46-2.80 (m, 4 H), 3.21 (s, 6 H), 3.29-3.44 (m, 2 H), 4.18-4.38 (m, 1 H), 6.80-7.22 (m, 3 H), 8.06-8.45 (m, 3 H), 12.04-12.29 (m, 1 H).

# Example 3197

N-[cis-4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride

Step A: Synthesis of N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride.

[0809] Using the procedure for the step D of example 3129, the title compound was obtained. ESI MS m/e 376, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.61-2.01 (m, 8 H), 3.17 (s, 3 H), 3.28 (s, 3 H), 3.98-4.32 (m, 2 H), 5.98 (d, J = 7.3 Hz, 1 H), 6.45-6.63 (m, 1 H), 7.11-7.30 (m, 1 H), 7.41-7.79 (m, 3 H), 8.67-8.94 (m, 1 H), 12.89-13.06 (m, 1 H).

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#### Example 3198

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5-Nitro-thiophene-3-carboxylic acid [cis-4-(4-dimethylamino-pyrimidine-2-ylamino)-cyclohexyl]-amide hydrochloride

Step A: Synthesis of 5-nitro-thiophene-3-carboxylic acid [cis-4-(4-dimethylamino-pyrimidine-2-ylamino)-cyclohexyl]-amide hydrochloride.

[0810] To a solution of 5-nitro-thiophene-3-carboxylic acid (265 mg) and *cis-N*<sup>2</sup>-(4-amino-cyclohexyl)-*N*<sup>4</sup>,*N*<sup>4</sup>-dimethyl-pyrimidine-2,4-diamine in step C of example 3129 (300 mg) in DMF (3 mL) were added Et<sub>3</sub>N (0.43 mL), HOBt-H<sub>2</sub>O (293 mg), and EDC-HCI (293 mg). The reaction mixture was stirred at ambient temperature for 12 hr. To the reaction mixture was added water (20 mL) and the suspension was stirred at ambient temperature for 1 hr. The precipitated was collected by filtration, washed with H<sub>2</sub>O and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 50% EtOAc in hexane). To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (2 mL). The mixture was stirred at ambient temperature for 1 hr. The precipitate was collected by filtration, washed with EtOAc, and dried under reduced pressure to give 5-nitro-thiophene-3-carboxylic acid [*cis*-4-(4-dimethylamino-pyrimidine-2-ylamino)-cyclohexyl]-amide hydrochloride (71 mg) as a white solid. ESI MS m/e 413, M (free) + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) & 1.62-2.02 (m, 8 H), 3.18 (s, 3 H), 3.27 (s, 3 H), 3.99-4.29 (m, 2 H) 5.99 (d, *J* = 7.5 Hz, 1 H), 7.48-7.64 (m, 2 H), 8.34 (d, J = 1.8 Hz, 1 H), 8.48 (d, *J* = 1.8 Hz, 1 H), 8.50-8.67 (m, 1 H), 12.58-12.76 (m, 1 H).

#### Example 3199

5-(4-Chloro-phenyl)-furan-2-carboxylic acid [cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-amide hydrochloride

Step A: Synthesis of 5-(4-Chloro-phenyl)-furan-2-carboxylic acid [cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-amide hydrochloride.

[0811] Using the procedure for the step A of example 3198, the title compound was obtained. ESI MS m/e 462, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.67-2.07 (m, 8 H), 3.17 (s, 3 H), 3.28 (s, 3 H), 4.01-4.27 (m, 2 H), 5.97 (d, J = 6.9 Hz, 1 H), 6.71 (d, J = 3.5 Hz, 1 H), 6.76-6.87 (m, 1 H), 7.17 (d, J = 3.5 Hz, 1 H), 7.36-7.55 (m, 3 H), 7.69-7.79 (m, 2 H), 8.65-8.86 (m, 1 H), 13.08-13.30 (m, 1 H).

# 35 Example 3200

4'-Fluoro-biphenyl-4-carboxylic acid [cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-amide hydrochloride

40 Step A: Synthesis of 4'-fluoro-biphenyl-4-carboxylic acid [cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyelohexyl]-amide hydrochloride.

[0812] Using the procedure for the step A of example 3198, the title compound was obtained. ESI MS m/e 456, M (free) + Na+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.66-2.06 (m, 8 H), 3.17 (s, 3 H), 3.28 (s, 3 H), 4.06-4.32 (m, 2 H), 5.97 (d, J = 7.3 Hz, 1 H), 6.50-6.60 (m, 1 H), 7.09-7.20 (m, 2 H), 7.43-7.64 (m, 5 H), 7.85-7.91 (m, 2 H), 8.74-8.86 (m, 1 H), 12.98-13.23 (m, 1 H).

# Example 3201

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N-[cis-4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-(4-fluoro-phenoxy)-nicotinamide hydrochloride

Step A: Synthesis of *N*-[*cis*-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-(4-fluoro-phenoxy)-nicotinamide hydrochloride.

[0813] Using the procedure for the step A of example 3198, the title compound was obtained. ESI MS m/e 473, M (free) + Na+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.62-2.05 (m, 8 H), 3.16 (s, 3 H), 3.26 (s, 3 H), 4.07-4.24 (m, 2 H), 5.94 (d, J = 7.3 Hz, 1 H), 7.09-7.20 (m, 3 H), 7.23-7.32 (m, 2 H), 7.42-7.52 (m, 1 H), 7.8 1 -7.94 (m, 1 H),

8.20 (dd, J = 4.8, 2.0 Hz, 1 H), 8.54 (dd, J = 7.5, 2.1 Hz, 1 H), 8.70-8.80 (m, 1 H), 13.23-13.38 (m, 1 H).

#### Example 3202

- 5 N-[cis-4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-C-(ethyl-phenyl-amino)-acetamide dihydrochloride
  - Step A: Synthesis of *N*-[*cis*-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-*C*-(ethyl-phenyl-amino)-acetamide dihydrochloride.

[0814] Using the procedure for the step A of example 3198, the title compound was obtained. ESI MS m/e 419, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $^{5}$  1.14-1.35 (m, 3 H), 1.55-1.92 (m, 8 H), 3.15 (s, 3 H), 3.24 (s, 3 H), 3.45-3.64 (m, 2 H), 3.75-4.06 (m, 4 H), 5.91-6.03 (m, 1 H), 7.00-7.64 (m, 7 H), 8.32-8.48 (m, 1 H), 13.12-13.34 (m, 1 H).

# Example 3203

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C-[cis-(4-Chloro-phenyl)-ethyl-amino]-N-[4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-acetamide dihydrochloride

Step A: Synthesis of *C*-[*cis*-(4-chloro-phenyl)-ethyl-amino]-N-[4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-acetamide dihydrochloride.

[0815] Using the procedure for the step A of example 3198, the title compound was obtained.
ESI MS m/e 431, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.12-1.24 (m, 3 H), 1.51-1.96 (m, 8 H), 3.15 (s, 3 H), 3.25 (s, 3 H), 3.43-3.55 (m, 2 H), 3.74-3.98 (m, 3 H), 4.01-4.18 (m, 1 H), 5.88-6.02 (m, 1 H), 6.68-6.87 (m, 3 H), 7.15-7.24 (m, 2 H), 7.43-7.52 (m, 1 H), 8.49-8.62 (m, 1 H), 13.11-13.28 (m, 1 H).

### Example 3204

2-(3,4-Difluoro-phenyl)-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-acetamide hydrochloride

Step A: Synthesis of 2-(3,4-difluoro-phenyl)-*N*-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-acetamide hydrochloride.

**[0816]** Using the procedure for the step A of example 3198, the title compound was obtained. ESI MS m/e 390, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$ 1.46-1.87 (m, 8 H), 3.15 (s, 3 H), 3.18 (s, 3 H), 3.46 (s, 2 H), 3.58-3.75 (m, 1 H), 3.86-4.04 (m, 1 H), 6.36 (d, J = 7.4 Hz, 1 H), 7.05-7.13 (m, 1 H), 7.27-7.40 (m, 2 H), 7.84-7.94 (m, 1 H), 8.10-8.19 (m, 1 H), 8.27-8.38 (m, 1 H), 12.14-12.23 (m, 1 H).

# Example 3205

N-[cis-4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,5-difluoro-benzamide hydrochloride

45 Step A: Synthesis of N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,5-difluoro-benzamide hydrochloride.

[0817] Using the procedure for the step D of example 3129, the title compound was obtained. ESI MS m/e 376, M (free) + H<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.64-2.02 (m, 8 H), 3.17 (s, 3 H), 3.28 (s, 3 H), 4.01-4.31 (m, 2 H), 5.97 (d, J = 7.4 Hz, 1 H), 6.46-6.57 (m, 1 H), 6.87-6.98 (m, 1 H), 7.30-7.40 (m, 2 H), 7.49 (d, J = 7.4 Hz, 1 H), 8.77-8.93 (m, 1 H).

# Example 3206

- 3-Chloro-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide hydrochloride
- 5 Step A: Synthesis of 3-Chloro-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide hydrochloride.

[0818] Using the procedure for the step A of example 3198, the title compound was obtained. ESI MS m/e 392, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.65-2.00 (m, 8 H), 3.17 (s, 3 H), 3.28 (s, 3 H), 4.03-4.30 (m, 2 H), 5.97 (d, *J* = 7.5 Hz, 1 H), 6.43-6.53 (m, 1 H), 7.19 (t, J = 8.5 Hz, 1 H), 7.43-7.54 (m, 1 H), 7.65-7.75 (m, 1 H), 7.90-7.97 (m, 1 H), 8.76-8.94 (m, 1 H), 12.95-13.14 (m, 1 H).

#### Example 3207

- 15 4-Chloro-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3-fluoro-benzamide hydrochloride
  - Step A: Synthesis of 4-Chloro-*N*-[*cls*-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3-fluoro-benzamide hydrochloride.
- 20 [0819] Using the procedure for the step A of example 3198, the title compound was obtained. ESI MS m/e 392, M (free) + H+;  $^{1}$ H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1,56-1.98 (m, 8 H), 3.05-3.27 (m, 6 H), 3.76-4.10 (m, 2 H), 6.37 (d, J= 7.6 Hz, 1 H), 7.65-7.80 (m, 2 H), 7.84-7.97 (m, 2 H), 8.21-8.34 (m, 1 H), 8.39-8.56 (m, 1 H), 12.09-12.27 (m, 1 H).
- 25 Example 3208
  - Pyridine-2-carboxylic acid [cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-amide hydrochloride
- Step A: Synthesis of pyridine-2-carboxylic acid [cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]amide hydrochloride.
  - [0820] Using the procedure for the step A of example 3198, the title compound was obtained. ESI MS m/e 341, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.72-2.07 (m, 8 H), 3.17 (s, 3 H), 3.27 (s, 3 H), 4.02-4.22 (m, 2 H), 5.97 (d, J = 7.4 Hz, 1 H), 7.36-7.55 (m, 2 H), 7.76-7.88 (m, 1 H), 8.10-8.29 (m, 2 H), 8.52-8.70 (m, 2 H).

# Example 3209

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- N-[cis-4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-nicotinamide dihydrochloride
- 40 Step A: Synthesis of N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-nicotinamide dihydrochloride.
- [0821] Using the procedure for the step A of example 3198, the title compound was obtained.
  ESI MS m/e 341, M (free) + H+; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>) δ 1.62-2.03 (m, 8 H), 3.15 (s, 3 H), 3.20 (s, 3 H),
  3.83-4.08 (m, 2 H), 6.37 (d, *J* = 7.4 Hz, 1 H), 7.81-7.98 (m, 2 H), 8.34-8.48 (m, 1 H), 8.58-8.66 (m, 1 H), 8.76-8.93 (m, 2 H), 9.17-9.23 (m, 1 H), 12.30-12.48 (m, 1 H).

# Example 3210

- 50 N-[cis-4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-isonicotinamide dihydrochloride
  - Step A: Synthesis of N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-isonicotinamide dihydrochloride.
- 555 **[0822]** Using the procedure for the step A of example 3198, the title compound was obtained. ESI MS m/e 341, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>) δ 1.67-1.99 (m, 8 H), 3.16 (s, 3 H), 3.20 (s, 3 H), 3.84-4.07 (m, 2 H), 6.37 (d, J = 7.4 Hz, 1 H), 7.86-8.02 (m, 1 H), 8.25 (d, J = 6.5 Hz, 2 H), 8.48-8.57 (m, 1 H), 8.95-9.13 (m, 3 H), 12.53-12.69 (m, 1 H).

#### Example 3211

5-Bromo-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride

5 Step A: Synthesis of 5-Bromo-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-nicotinamide hydrochloride.

[0823] Using the procedure for the step A of example 3198, the title compound was obtained. ESI MS m/e 419, M (free) + H+; ¹H NMR (300 MHz, CDCl<sub>3</sub>) δ1.64-2.07 (m, 8 H), 3.18 (s, 3 H), 3.28 (s, 3 H), 4.04-4.31 (m, 2 H), 5.95-6.04 (m, 1 H), 7.37-7.65 (m, 2 H), 8.42 (brs, 1 H), 8.63-8.74 (m, 1 H), 8.79 (brs, 1 H), 9.12 (brs, 1 H), 12.72-12.97 (m, 1 H).

# Example 3212

5 N-[cis-4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-6-trifluoromethyl-nicotinamide hydrochloride

Step A: Synthesis of *N*-[*cis*-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-6-trifluoromethylnicotinamide hydrochloride.

20 [0824] Using the procedure for the step A of example 3198, the title compound was obtained. ESI MS m/e 409, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.63-2.06 (m, 8 H), 3.18 (s, 3 H),3.27 (s, 3 H), 4.07-4.34 (m, 2 H), 5.98 (d, J = 7.4 Hz, 1 H), 7.47-7.62 (m, 2 H), 7.72 (d, J = 8.0 Hz, 1 H), 8.35-8.45 (m, 1 H), 8.57-8.74 (m, 1 H), 9.24-9.31 (m, 1 H).

25 Example 3213

4-Chloro-pyridine-2-carboxylic acid [cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-amide hydrochloride

30 Step A: Synthesis of 4-chloro-pyridine-2-carboxylic acid [cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-amide hydrochloride.

[0825] Using the procedure for the step A of example 3198, the title compound was obtained. ESI MS m/e 375, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.71-2.09 (m, 8 H), 3.18 (s, 3 H), 3.28 (s, 3 H), 4.01-4.24 (m, 2 H), 5.88-6.08 (m, 1 H), 7.39-7.59 (m, 2 H), 8.05-8.35 (m, 2 H), 8.43-8.72 (m, 2 H), 13.20-13.45 (m, 1 H).

Example 3214

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N-[cis-4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide hydrochloride

Step A: Synthesis of *N*-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide hydrochloride.

[0826] Using the procedure for the step D of example 3129, the title compound was obtained. ESI MS m/e 380, M (free) + Na+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.63-2.24 (m, 8 H), 3.17 (s, 3 H), 3.27 (s, 3 H), 4.01-4.32 (m, 2 H), 5.97 (d, J = 7.3 Hz, 1 H), 6.38-6.57 (m, 1 H), 7.01-7.17 (m, 2 H), 7.41-7.54 (m, 1 H), 7.77-7.91 (m, 2 H), 8.76-8.84 (m, 1 H), 12.86-13.14 (m, 1 H).

Example 3215

3-Chloro-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-5-fluoro-benzamide hydrochloride

Step A: Synthesis of 3-Chloro-*N*-[*cis*-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-5-fluorobenzamide hydrochloride.

[0827] Using the procedure for the step A of example 3198, the title compound was obtained. ESI MS m/e 414, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.64-2.03 (m, 8 H), 3.17 (s, 3 H), 3.28 (s, 3 H), 4.02-4.31 (m, 2 H), 5.97 (d, J = 7.4 Hz, 1 H), 6.53-6.67 (m, 1 H), 7.16-7.23 (m, 1 H), 7.41-7.51 (m, 2 H), 7.58-7.64 (m, 1 H),

8.76-8.91 (m, 1 H).

#### Example 3216

5 N-[cis-4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,4,5-trifluoro-benzamide hydrochloride

Step A: Synthesis of N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,4,5-trifluoro-benzamide hydrochloride.

[0828] Using the procedure for the step A of example 3198, the title compound was obtained. ESI MS m/e 416, M (free) + Na+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.66-2.03 (m, 8 H), 3.18 (s, 3 H), 3.28 (s, 3 H), 4.01-4.34 (m, 2 H), 5.98 (d, J = 7.4 Hz, 1 H), 6.70-6.79 (m, 1 H), 7.42-7.63 (m, 3 H), 8.73-8.86 (m, 1 H).

# Example 3217

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3, 5- Di-tert-butyl- N- [cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-4- hydroxy-benzamide hydrochloride

Step A: Synthesis of 3,5-di-tert-butyl-*N*-[*cis*-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-4-hydroxy-20 benzamide hydrochloride.

[0829] Using the procedure for the step A of example 3198, the title compound was obtained. ESI MS m/e 490, M (free) + Na<sup>+</sup>;<sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.47 (s, 18 H), 1.63-2.13 (m, 8 H), 3.17 (s, 3 H), 3.28 (s, 3 H), 4.05-4.27 (m, 2 H), 5.52 (s, 1 H), 5.90-6.02 (m, 1 H), 6.57-6.73 (m, 1 H), 7.41-7.55 (m, 1 H), 7.63 (s, 2 H), 8.60-8.77 (m, 1 H), 13.00-13.24 (m, 1 H).

# Example 3218

1-(2,3-Dichloro-phenyl)-3-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-urea hydrochloride

Step A: Synthesis of 1-(2,3-dichloro-phenyl)-3-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-urea hydrochloride.

[0830] To a solution of  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ ,  $N^4$ -dimethyl-pyrimidine-2,4-diamine in step C of example 3129 (300 mg) in DMSO (3 mL) was added 1,2-dichloro-3-isocyanato-benzene (264 mg). The mixture was stirred at ambient temperature for 12 hr and poured into water. The precipitate was filtrated, washed with water, and purified by medium-pressure liquid chromatography (NH-silica gel, 25% to 50% EtOAc in hexane). To a solution of the above material in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (10 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated under reduced pressure. A suspension of the residue in Et<sub>2</sub>O (20 mL) was stirred at ambient temperature for 1 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried under reduced pressure to give 1-(2,3-dichloro-phenyl)-3-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-urea hydrochloride (421 mg) as a white solid.

ESI MS m/e 445, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (200 MHz, CDCl<sub>3</sub>)  $\delta$  1.63-2.19 (m, 8 H), 3.15 (s, 3 H), 3.25 (s, 3 H), 3.80-4.22 (m, 2 H), 5.94 (d, J = 7.4 Hz, 1 H), 7.00-7.19 (m, 2 H), 7.43-7.64 (m, 2 H), 8.16 (dd, J = 8.3, 1.7 Hz, 1 H), 8.37-8.52 (m, 1 H), 12.70-13.00 (m, 1 H).

# Example 3219

N-[cis-4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexylmethyl]-3,4-difluoro-benzamide hydrochloride

Step A: Synthesis of *N-[cis-*4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexylmethyl]-3,4-difluorobenzamide hydrochloride.

[0831] To a solution of NP-(cis-4-aminomethyl-cyclohexyl)-NA,NA-dimethyl-pyrimidine-2,4-diamine in step B of example 3145 (300 mg) in CHCl<sub>3</sub> (3 mL) were added iPr<sub>2</sub>NEt (0.59 mL) and 3,4-difluoro-benzoyl chloride (233 mg). The mixture was stirred at ambient temperature for 17 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (NH-silica gel,

33 % EtOAc in hexane). To a solution of the above material in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (10 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated under reduced pressure. A suspension of the residue in Et<sub>2</sub>O (20 mL) was stirred at ambient tempareture for 1 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried under reduced pressure to give N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexylmethyl]- 3,4-difluoro-benzamide hydrochloride (155 mg) as a white solid.

ESI MS m/e 412, M (free) + Na+;  $^{1}$ H NMR (200 MHz, CDCl<sub>3</sub>)  $\delta$  1.26-2.03 (m, 9 H), 3.16 (s, 3 H), 3.26 (s, 3 H), 3.37-3.61 (m, 2 H), 4.18-4.35 (m, 1 H), 5.94 (d, J = 7.4 Hz, 1 H), 6.82-7.33 (m, 2 H), 7.46 (d, J = 7.4 Hz, 1 H), 7.74-8.07 (m, 2 H), 8.83-9.12 (m, 1 H).

#### 10 Example 3220

N-[cis-4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexylmethyl]-2-(2,3,6-trichloro-phenyl)-acetamide hydrochloride

Step A: Synthesis of N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexylmethyl]-2-(2,3,6-trichlorophenyl)-acetamide hydrochloride.

[0832] Using the procedure for the step C of example 3118, the title compound was obtained. ESI MS m/e 492, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.57-1.98 (m, 9 H), 3.16 (s, 3 H), 3.21-3.33 (m, 4 H), 4.16 (s, 2 H), 4.20-4.34 (m, 1 H), 5.95-5.99 (m, 1 H), 6.51-6.64 (m, 1 H), 7.23-7.51 (m, 3 H), 8.75-8.83 (m, 1 H), 12.80-12.95 (m, 1 H).

### Example 3221

9H-Xanthene-9-carboxylic acid [cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexylmethyl]-amide hydrochloride

Step A: Synthesis of 9H-xanthene-9-carboxylic acid [cis-4-(4-dimethylamino-pyrimidin-2-ylamino)cyclohexylmethyl]-amide hydrochloride.

[0833] Using the procedure for the step C of example 3118, the title compound was obtained. ESI MS m/e 480, M (free) + Na+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.27-1.94 (m, 9 H), 3.05-3.19 (m, 5 H), 3.24 (s, 3 H), 4.14-4.28 (m, 1 H), 5.10 (s, 1 H), 5.91 (d, J = 7.4 Hz, 1 H), 6.19-6.33 (m, 1 H), 6.98-7.18 (m, 3 H), 7.20-7.31 (m, 2 H). 7.37-7.54 (m, 3 H), 8.62-8.82 (m, 1 H) 12.88-13.08 (m, 1 H).

# Example 3222

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1-(2,3-Dichloro-phenyl)-3-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexylmethyl]-urea hydrochloride

Step A: Synthesis of 1-(2,3-dichloro-phenyl)-3-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)cyclohexylmethyl]-urea hydrochloride.

[0834] To a solution of  $N^2$ -(cis-4-aminomethyl-cyclohexyl)- $N^4$ ,  $N^4$ -dimethyl-pyrimidine-2,4-diamine in step B of exam-45 ple 3145 (300 mg) in DMSO (3 mL) was added 1,2-dichloro-3-isocyanato-benzene (249 mg). The mixture was stirred at ambient temperature for 15 hr and poured into water (20 mL). The precipitate was filtrated, washed with water, and purified by medium-pressure liquid chromatography (NH-silica gel, 25% to 50% EtOAc in hexane). To a solution of the above material in EtOAc (2 mL) was added 4 M hydrogen chloride in EtOAc (10 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated under reduced pressure. A suspension of the residue in Et<sub>2</sub>O (20 mL) was stirred at ambient tempareture for 1 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried under reduced pressure to 1-(2,3-dichloro-phenyl)-3-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexylmethyl]-urea hydrochloride (260 mg) as a white solid. ESI MS m/e 437, M+; 1H NMR (200 MHz, CDCl<sub>3</sub>) & 1.35-2.10 (m, 9 H), 3.16 (s, 3 H), 3.26 (s, 3 H), 3.32-3.47 (m, 2 H), 4.27-4.47 (m, 1 H), 5.96 (d, J = 7.5 Hz, 1 H), 6.80-7.20 (m, 3 H), 7.47 (d, J = 7.5 Hz, 1 H), 8.08-8.37 (m, 2 H), 8.63-8.93(m, 1 H).

#### Example 3223

# 3,4-Difluoro-N-[cis-4-(4-methylamino-pyrimidin-2-ylamino)-cyclohexyl]-benzamide-hydrochloride

5 Step A: Synthesis of (2-chloro-pyrimidin-4-yl)-methyl-amine.

[0835] To a solution of 2,4-dichloro-pyrimidine (15.0 g) in THF (150 mL) was added 40% aqueous  $MeNH_2$  (19.5 g). The mixture was stirred at ambient temperature for 1.5 hr. The solution was poured into saturated aqueous  $NaHCO_3$  and the aqueous layer was extracted with  $CHCI_3$  (three times). The combined organic layer was dried over  $MgSO_4$ , filtrated, concentrated, and purified by flash chromatography (NH-silica, 20% EtOAc in hexane) to give (2-chloro-pyrimidin-4-yl)-methyl-amine (10.0 g) as a white solid and (4-chloro-pyrimidin-2-yl)-methyl-amine (0.87 g, 6%) as a white solid. (2-chloro-pyrimidin-4-yl)-methyl-amine;

ESI MS m/e 143, M<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  3.01 (d, J = 5.0 Hz, 3 H), 5.58-5.96 (m, 1 H), 6.55 (d, J = 5.1 Hz, 1 H), 8.09-8.23 (m, 1 H).

15 (4-chloro-pyrimidin-2-yl)-methyl-amine;

ESI MS m/e 143, M+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  2.98 (d, J = 5.0 Hz, 3 H), 6.27 (d, J = 6.1 Hz, 1 H), 7.93-8.20 (m, 1 H).

Step B: Synthesis of [cis-4-(4-methylamino-pyrimidin-2-ylamino)-cyclohexyl] carbamic acid tert-butyl ester.

[0836] A mixture of (2-chloro-pyrimidin-4-yl)-methyl-amine (2.50 g) and (*cis*-4-amino-cyclohexyl)-carbamic acid *tert*-butyl ester obtained in step B of example 1 (4.10 g) in BuOH (2.50 mL) was stirred at reflux for 24 hr. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub>, and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (NH-silica, 25% to 66% EtOAc in hexane) to give [*cis*-4-(4-methylamino-pyrimidin-2-ylamino)-cyclohexyl]-carbamic acid tert-butyl ester (2.63 g) as a white solid.

ESI MS m/e 344, M + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.36-1.88 (m, 17 H), 2.89 (d, J = 5.1 Hz, 3 H), 3.53-3.69 (m, 1 H), 3.84-4.04 (m, 1 H), 4.44-4.70 (m, 2 H), 4.76-4.86 (m, 1 H), 5.69-5.72 (m, = 1 H), 7.80-7.91 (m, 1 H).

Step C: Synthesis of N2-(cis-4-amino-cyclohexyl)-N4-methyl-pyrimidine-2,4-diamine.

[0837] A solution of [cis-4-(4-methylamino-pyrimidin-2-ylamino)-cyclohexyl]-carbamic acid tert-butyl ester (4.76 g) in EtOAc (48 mL) was cooled on an ice-bath and 4 M hydrogen chloride in EtOAc (24 mL) was added. The mixture was stirred at ambient temperature for 4 hr and concentrated under reduced pressure. The residue was dissolved in 1 M aqueous NaOH and the aqueous layer was extracted with CHCl<sub>3</sub> (five times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, and dried under reduced pressure to give  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ -methyl-pyrimidine-2,4-diamine (3.00 g, 80%) as a white solid.

ESI MS m/e 222, M + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  0.95-1.92 (m, 10 H), 2.78-2.99 (m, 4 H), 3.92-4.08 (m, 1 H), 4.56-4.75 (m, 1 H), 4.84-4.97 (m, 1 H), 5.68 (d, J = 5.9 Hz, 1 H), 7.85 (d, J = 5.7 Hz, 1 H).

40 Step D: Synthesis of 3,4-difluoro-N-[cis-4-(4-methylamino-pyrimidin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0838] To a solution of 3,4-difluoro-benzoic acid (196 mg) and  $N^2$ -(cis-4-amino-cyclohexyl)- $N^4$ -methyl-pyrimidine-2,4-diamine (250 mg) in DMF (4 mL) were added Et<sub>3</sub>N (0.38 mL), HOBt-H<sub>2</sub>O (259 mg), and EDC-HCl (238 mg). The reaction mixture was stirred at ambient temperature for 12 hr. To the mixture was added water (20 mL) and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (NH-silica gel, 33 % to 75% EtOAc in hexane). To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr. The precipitate was collected by filtration, washed with EtOAc, and dried under reduced pressure to give 3,4-difluoro-N-[cis-4-(4-methylamino-pyhmidin-2-ylamjno)-cyclohexyl]-benzamide hydrochloride (317 mg) as a white solid.

ESI MS m/e 362, M (free) + H+; 1 H NMR (300 MHz, DMSO- $d_6$ )  $\delta$  1.59-1.90 (m, 8 H), 2.89 (d, J= 4.6 Hz, 3 H), 3.80-4.11 (m, 2 H), 6.03-6.13 (m, 1 H), 7.47-8.03 (m, 4 H), 8.27-8.49 (m, 2 H), 8.82-9.06 (m, 1 H), 11.92-12.11 (m, 1 H).

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#### Example 3224

3-Chloro-4-fluoro-N-[cis-4-(4-methylamino-pyrimidin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

5 Step A: Synthesis of 3-chloro-4-fluoro-N-(cls-4-(4-methylamino-pyrimidin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0839] Using the procedure for the step C of example 3223, the title compound was obtained. ESI MS m/e 378, M (free) + H+;  $^{1}$ H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1.59-1.90 (m, 8 H), 2.89 (d, J = 4.6 Hz, 3 H), 3.77-4.10 (m, 2 H), 6.00-6.12 (m, 1 H), 7.49-7.60 (m, 1 H), 7.67-7.76 (m, 1 H), 7.85-7.94 (m, 1 H), 8.11 (dd, J = 7.1, 2.2 Hz, 1 H), 8.24-8.51 (m, 2 H), 8.82-8.94 (m, 1 H), 11.80-11.98 (m, 1 H).

#### Example 3225

15 N-[cis-4-(4-Ethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride

Step A: Synthesis of (2-chloro-pyrimidin-4-yl)-ethyl-amine.

[0840] To the solution of 2,4-dichloro-pyrimidine (5.00 g) in THF (50 mL) was added 70% aqueous EtNH<sub>2</sub> (5.40 g). The mixture was stirred at ambient temperature for 1 hr. To the residue was added saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (two times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, and purified flash chromatography (silica gel, 17% to 50% EtOAc in hexane) to give (2-chloro-pyrimidin-4-yl)-ethyl-amine (3.69 g) as a white solid and (4-chloro-pyrimidin-2-yl)-ethyl-amine (1.28 g) as a white solid.

25 (2-chloro-pyrimidin-4-yl)-ethyl-amine;

ESI MS m/e 157, M+; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  1.26 (t, J = 7.3 Hz, 3 H), 3.16-3.62 (m, 2 H), 4.80-5.95 (m, 1 H), 6.23 (d, J = 5.8 Hz, 1 H), 8.02-8.22 (m, 1 H).

(4-chloro-pyrimidin-2-yl)-ethyl-amine;

CI MS m/e 158, M + H+; 1H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  1.23 (t, J = 7.5 Hz, 3 H), 3.42-3.49 (m, 2 H), 5.30-5.62 (m, 1 H), 6.54 (d, J = 5.2 Hz, 1 H), 8.02-8.22 (m, 1 H).

# Step B: Synthesis of *N*-[cis-4-(4-ethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride.

[0841] To a solution of N-(cis-4-amino-cyclohexyl)-3,4-difluoro-benzamide obtained in step D of example 3031 (300 mg) in BuOH (1 mL) was added (2-chloro-pyrimidin-4-yl)-ethyl-amine (532 mg). The mixture was heated in a microwave synthesizer at 200°C for 30 min. To the mixture was added saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 50% in EtOAc in nexane). To a solution of the above material in EtOAc (10.0 mL) was added 4 M hydrogen chloride in EtOAc (5.00 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated under reduced pressure. A suspension of the above material in Et<sub>2</sub>O (20 mL) was stirred at ambient tempareture for 4 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried under reduced pressure to give N-[cis-4-(4-ethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride (398 mg) as a white solid.

<sup>45</sup> ESI MS m/e 398, M (free) + Na+; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ 1.19-1.42 (m, 3 H), 1.61-2.05 (m, 8 H), 3.46-3.65 (m, 2 H), 4.00-4.34 (m, 2 H), 5.85-6.00 (m, 1 H), 6.42-6.72 (m, 2 H), 7.11-7.37 (m, 2 H), 7.52-7.82 (m, 2 H), 8.68-8.90 (m, 1 H).

# Example 3226

N-{cis-4-[4-(Ethyl-methyl-amino)-pyrimidin-2-ylamino}-cyclohexyl}-3,4-difluoro benzamide hydrochloride

Step A: Synthesis of (2-chloro-pyrimidin-4-yl)-ethyl-methyl-amine.

[0842] To the solution of 2,4-dichloro-pyrimidine (5.00 g) in THF (50 mL) was added ethyl-methyl-amine (2.08 g).

The mixture was stirred at ambient temperature for 1 hr. To the residue was added saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (two times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, and purified flash chromatography (silica gel, 17% to 50% EtOAc in hexane) to give (2-chloro-pyrimidin-4-yl)-ethyl-methyl-amine (4.49 g) as a white solid and (4-chloro-pyrimidin-2-yl)-ethyl-methyl-

amine (0.91 g) as a colorless oil.

(2-chloro-pyrimidin-4-yl)-ethyl-methyl-amine;

CI MS m/e 172, M (free) + H+; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  1.18 (t, J = 3.0 Hz, 3H), 3.06 (brs, 3 H), 3.35-3.70 (m, 2 H), 6.29 (d, J = 4.8 Hz, 1 H), 7.99(d, J = 6.1 Hz, 1 H).

5 (4-chloro-pyrimidin-2-yl)-ethyl-methyl-amine;

CI MS m/e 172, M + H+;  $^{1}$ H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  1.17 (t, J = 3.0 Hz, 3 H), 3.10 (s, 3 H), 3.66 (q, J = 7.0 Hz, 2 H), 6.45 (d, J = 5.0 Hz, 1 H), 8.14 (d, J = 5.0 Hz, 1 H).

Step B: Synthesis of *N*-{*cis*-4-[4-(ethyl-methyl-amino)-pyrimidin-2-ylamino)]-cyclohexyl}-3,4-difluorobenzamide hydrochloride.

[0843] Using the procedure for the step B of example 3225, the title compound was obtained. ESI MS m/e 412, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $^{1}$ S 1.18-1.33 (m, 3 H), 1.64-2.03 (m, 8 H), 3.13-3.32 (m, 3 H), 3.44-3.56 (m, 1 H), 3.67-3.82 (m, 1 H), 4.04-4.31 (m, 2 H), 5.90-6.00 (m, 1 H), 6.59-6.72 (m, 1 H), 7.14-7.27 (m, 1 H), 7.43-7.62 (m, 2 H), 7.68-7.79 (m, 1 H), 8.71-8.83 (m, 1 H).

Example 3227

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3,4-Difluoro-*N-(cis-*4-{4-[(2-hydroxy-ethyl)-methyl-amino]-pyrimidin-2-ylamino}-cyclohexyl)-benzamide hydrochloride

Step A: Synthesis of [(2-chloro-pyrimidin-4-yl)-methyl-amino]-ethanol.

[0844] To the solution of 2,4-dichloro-pyrimidine (5.00 g) in THF (50 mL) was added 2-methylamino-ethanol (2.65 g). The mixture was stirred at ambient temperature for 1hr. To the residue was added saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (two times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, and purified flash chromatography (silica gel, 17% to 50% EtOAc in hexane) to give [(2-chloro-pyrimidin-4-yl)-methyl-amino]-ethanol (3.50 g) as a white solid and [(4-chloro-pyrimidin-2-yl)-methyl-amino]-ethanol (827 mg) as a white solid.

[(2-chloro-pyrimidin-4-yl)-methyl-amino]-ethanol;

ESI MS m/e 188, M (free) + H<sup>+</sup>;  $^{1}$ H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  2.91 (brs, 3 H), 3.13 (s, 3 H), 3.64-3.92 (m, 4 H), 6.46-6.49 (m, 1 H), 7.99 (d, J = 6.1 Hz, 1 H).

[(4-chloro-pynmidin-2-yl)-methyl-amino]-ethanol

ESI MS m/e 210, M + Na<sup>+</sup>;  $^{1}$ H NMR (500 MHz, CDCl<sub>3</sub>)  $\delta$  3.23 (s, 3 H), 3.76-3.92 (m, 4 H), 6.52 (d, J = 5.2 Hz, 1 H), 8.12 (d, J = 4.6 Hz, 1 H).

Step B: Synthesis of 3,4-difluoro-*N*-(*cis*-4-{4-[(2-hydroxy-ethyl)-methyl-amino]-pyrimidin-2-ylamino}-cyclohexyl)-benzamide hydrochloride.

40 [0845] Using the procedure for the step B of example 3225, the title compound was obtained. ESI MS m/e 428, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, DMSO-d<sub>6</sub>) δ 1.61-1.98 (m, 8 H), 3.13-3.25 (m, 3 H), 3.54-4.31 (m, 5 H), 4.76-5.02 (m, 1 H), 6.26-6.52 (m, 1 H), 7.48-7.62 (m, 1 H), 7.68-8.17 (m, 4 H), 8.28-8.47 (m, 1 H), 11.74-11.95 (m, 1 H).

45 Example 3228

3-Chloro-*N*-[*cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide hydrochloride

50 Step A: Synthesis of N-(cis-4-amino-cyclohexyl)-3-chloro-4-fluoro-benzamide.

[0846] To a solution of 3-chloro-4-fluoro-benzoic acid (26.9 g) and (cis-4-amino-cyclohexyl)-carbamic acid *tert*-butyl ester (30.0 g) in DMF (300 mL) were added Et<sub>3</sub>N (46.8 mL), HOBt-H<sub>2</sub>O (32.2 g), and EDC-HCI (29.5 g). The reaction mixture was stirred at ambient temperature for 20 hr. To the mixture was added water (1.20 L) and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, and concentrated under reduced pressure. A solution of the above material in EtOAc (650 mL) was cooled on an ice-bath and 4 M hydrogen chloride in EtOAc (325 mL) was added. The mixture was stirred at ambient temperature for 16 hr and concentrated under reduced pressure. The residue was dissolved in 1 M aqueous NaOH (300 mL) and the aqueous layer

was extracted with CHCl<sub>3</sub> (three time). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, and dried under reduced pressure to give *N*-(*cis*-4-amino-cyclohexyl)-3-chloro-4-fluoro-benzamide (44.4 g) as a brown solid.

ESI MS m/e 271, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.37-1.92 (m, 8 H), 2.94-3.08 (m, 1 H), 4.06-4.22 (m, 1 H), 6.13-6.31 (m, 1 H), 7.19 (t, J = 8.5 Hz, 1 H), 7.61-7.70 (m, 1 H), 7.79-7.87 (m, 1 H).

Step B: Synthesis of 3-chloro-*N*-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide hydrochloride.

[0847] To a solution of N-(cis-4-amino-eyclohexyl)-3-chloro-4-fluoro-benzamide (432 mg) in BuOH (1 mL) was added 2-chloro-4-dimethylamino-5-methylpyrimidine obtained in step A of example 3119 (250 mg). The mixture was heated in a microwave synthesizer at 200°C for 10 min. To the mixture was added saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 50% EtOAc in hexane) to give a pale yellow oil. To a solution of the above material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.2 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated under reduced pressure. A suspension of the above material in Et<sub>2</sub>O (20 mL) was stirred at ambient tempareture for 4 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O, and dried under reduced pressure to give 3-chloro-N-[cis-4-(4-dimethyl-amino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide hydrochloride (174 mg) as a white solid.

ESI MS m/e 406, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.61-2.02 (m, 8 H), 2.25 (s, 3 H), 3.30 (s, 6 H), 4.02-4.26 (m, 2 H), 6.81-6.93 (m, 1 H), 7.13-7.27 (m, 2 H), 7.70-7.78 (m, 1 H), 7.93-8.00 (m, 1 H), 8.50-8.63 (m, 1 H), 12.68-12.85 (m, 1 H).

# Example 3229

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3-Chloro-*N*-[*cis*-4-(4-dimethylamino-5-fluoro-pyrimidin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide hydrochloride

Step A: Synthesis of 3-chloro-*N*-[*cis*-4-(4-dimethylamino-5-fluoro-pyrimidin-2-ylamino)-cyclohexyl]-4-fluorobenzamide hydrochloride.

[0848] Using the procedure for the step B of example 3228, the title compound was obtained. ESI MS m/e 410, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.64-2.03 (m, 8 H), 3.36 (s, 6 H), 4.00-4.23 (m, 2 H), 6.73-6.84 (m, 1 H), 7.18 (t, J = 8.6 Hz, 1 H), 7.45 (d, J = 7.6 Hz, 1 H), 7.67-7.76 (m, 1 H), 7.95 (dd, J = 7.0, 2.2 Hz, 1 H), 8.64-8.78 (m, 1 H).

#### Example 3230

3-Chloro-*N*-[*cis*-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide hydrochloride

Step A: Synthesis of 3-chloro-*N*-[*cis-*4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-4-fluorobenzamide hydrochloride.

[0849] Using the procedure for the step B of example 3228, the title compound was obtained. ESI MS m/e 406, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.62-2.04 (m, 8 H), 2.36 (s, 3 H), 3.15 (s, 3 H), 3.27 (s, 3 H), 4.01-4.31 (m, 2 H), 5.76 (s, 1 H), 6.73-6.84 (m, 1 H), 7.19 (t, J = 8.6 Hz, 1 H), 7.68-7.79 (m, 1 H), 7.97 (dd, J = 6.9, 2.2 Hz, 1 H), 8.50-8.63 (m, 1 H), 12.94-13.16 (m, 1 H).

50 Example 3231

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N-[cis-4-(4-Dimethylamino-6-ethyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride

Step A: Synthesis of (2,6-Dichloro-pyrimidin-4-yl)-dimethyl-amine.

[0850] To the solution of 2,4,6-trichloro-pyrimidine (10.0 g) in THF (50 mL) were added 50% aqueous  $Me_2NH$  (4.92 g) and  $iPr_2NEt$  (8.46 g). The mixture was stirred at ambient temperature for 1.5 hr and concentrated under reduced pressure. To the residue was added saturated aqueous  $NaHCO_3$  and the aqueous layer was extracted with  $CHCI_3$ 

(three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, and purified flash chromatography (NH-silica gel, 3% EtOAc in hexane) to give (2,6-dichloro-pyrimidin-4-yl)-dimethyl-amine (6.03 g) as white solid.

ESI MS m/e 192, M+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 2.77-3.46 (m, 6 H), 6.34 (s, 1 H).

Step B: Synthesis of (2-chloro-6-ethyl-pyrimidin-4-yl)-dimethyl-amine.

[0851] A solution of ZnBr<sub>2</sub> (3.87 g) in THF (60 mL) was cooled to -60°C and 1 M EtMgBr in THF (17.2 mL) was added. The mixture was stirred at -60°C for 1 hr and warmed to ambient temperature. To the mixture was added (2,6-dichloro-pyrimidin-4-yl)-dimethyl-amine in THF (60 mL) and stirred at reflux for 5 days. To the mixture was added saturated aqueous NH<sub>4</sub>Cl and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (silica gel, 17% to 33% EtOAc in hexane) to give (2-chloro-6-ethyl-pyrimidin-4-yl)-dimethyl-amine (352 mg) as pale yellow solid and (6-chloro-2-ethyl-pyrimidin-4-yl)-dimethyl-amine (622 mg) as pale yellow solid. (2-chloro-6-ethyl-pyrimidin-4-yl)-dimethyl-amine;

ESI MS m/e 208, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.25 (t, J = 7.6 Hz, 3 H), 2.54-2.66 (m, 2 H), 3.11 (s, 6 H), 6.15 (s, 1 H).

(6-chloro-2-ethyl-pyrimidin-4-yl)-dimethyl-amine;

ESI MS m/e 186, M + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.29 (t, J = 7.6 Hz, 3 H), 2.74 (q, J = 7.7 Hz, 2 H), 3.10 (s, 6 H), 6.24 (s, 1 H).

# StepC: Synthesis of *N*-[cis-4-(4-dimethylamino-6-ethyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluorobenzamide hydrochloride

[0852] Using the procedure for the step B of example 3225, the title compound was obtained.
 ESI MS m/e 426, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.29-1.44 (m, 3 H), 1.58-2.19 (m, 8 H), 2.54-2.77 (m, 2 H), 3.15 (s, 3 H), 3.26 (s, 3 H), 3.98-4.34 (m, 2 H), 5.74 (s, 1 H), 6.41-6.63 (m, 1 H), 7.08-7.32 (m, 1 H), 7.46-7.81 (m, 2 H), 8.58-8.81 (m, 1 H), 12.83-13.09 (m, 1 H).

30 Example 3232

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N-[cis-4-(4,6-Bis-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride

Step A: Synthesis of 2-chloro-N,N,N',N-tetramethyl-pyrimidine-4,6-diamine.

[0853] To the solution of (2,6-dichloro-pyrimidin-4-yl)-dimethyl-amine obtained in step A of example 3231 (1.60 g) in THF (2 mL) was added 50% aqueous Me<sub>2</sub>NH (789 mg). The mixture was stirred at reflux for 3.5 hr in a sealed tube. To the residue was added saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (silica gel, 20% EtOAc in hexane) to give 2-chloro-*N*,*N*,*N*',*N*-tetramethyl-pyrimidine-4,6-diamine (203 mg) as a pale brown solid and 6-chloro-*N*,*N*,*N*',*N*-tetramethyl-pyrimidine-2,4-diamine (1.43 g) as a pale yellow solid.

2-chloro-N,N,N',N'-tetramethyl-pyrimidine-4,6-diamine;

ESI MS m/e 201, M (free) + H<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  3.05 (s, 12 H), 5.15 (s, 1 H).

45 6-chloro-N,N,N',N-tetramethyl-pyrimidine-2,4-diamine;

ESI MS m/e 201, M + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 3.04 (s, 6 H), 3.13 (s, 6 H), 5.76 (s, 1 H).

# Step B: Synthesis of N-[cis-4-(4,6-bis-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride.

[0854] Using the procedure for the step B of example 3225, the title compound was obtained. ESI MS m/e 441, M (free) + Na<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $^{3}$  1.61-2.09 (m, 8 H), 2.96-3.38 (m, 12 H), 4.00-4.31 (m, 2 H), 4.73 (s, 1 H), 6.65-6.82 (m, 1 H), 7.13-7.25 (m, 1 H), 7.55-7.63 (m, 1 H), 7.68-7.78 (m, 1 H), 8.70-8.82 (m, 1 H), 11.79-11.99 (m, 1 H).

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# Example 3233

N-[cis-4-(6-Chloro-4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide hydrochloride

5 Step A: Synthesis of N-[c/s-4-(6-chloro-4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxynicotinamide hydrochloride.

[0855] Using the procedure for the step B of example 3032, the title compound was obtained. ESI MS m/e 489, M (free) + Na+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.52-2.10 (m, 8 H), 2.96-3.38 (m, 6 H), 4.02-4.29 (m, 2 H), 5.82-6.03 (m, 1 H), 7.04-7.55 (m, 6 H), 7.80-8.01 (m, 1 H), 8.15-8.28 (m, 1 H), 8.47-8.61 (m, 1 H).

# Example 3234

N-[cis-4-(6-Chloro-4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride

Step A: Synthesis of *N*-[c/s-4-(6-chloro-4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride.

[0856] Using the procedure for the step B of example 3225, the title compound was obtained.
 ESI MS m/e 432, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.63-2.05 (m, 8 H), 3.04-3.37 (m, 6 H), 4.02-4.37 (m, 2 H), 5.88-6.03 (m, 1 H), 6.56-6.86 (m, 1 H), 7.14-7.27 (m, 1 H), 7.51-7.63 (m, 1 H), 7.66-7.82 (m, 1 H), 8.85-9.02 (m, 1 H).

#### Example 3235

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N-[cis-4-(4-Amino-quinolin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide hydrochloride

Step A: Synthesis of 2-chloro-quinolin-4-ylamine.

[0857] To the solution of 2,4-dichloro-quinoline obtained in step A of example 1 (4.00 g) in IPA (40 mL) was added 28% aqueous NH<sub>3</sub> (40.0 mL). The mixture was stirred at reflux for 10 days in a sealed tube. To the residue was added saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (silica gel, 9% to 17% EtOAc in hexane) to give 2-chloro-quinolin-4-ylamine (1.39 g) as a white solid and 4-chloro-quinolin-2-ylamine (1.17 g) as a white solid.

2-chloro-quinolin-4-ylamine;

ESI MS m/e 178, M+;  $^{1}$ H NMR (200 MHz, CDCl<sub>3</sub>)  $\delta$  4.69-4.97 (m, 2 H), 6.61 (s, 1 H), 7.37-7.78 (m, 3 H), 7.84-8.02 (m, 1 H)

4-chloro-quinolin-2-ylamine

ESI MS m/e 178, M+;1H NMR (300 MHz, CDCl<sub>3</sub>) δ 4.58-4.96 (m, 2 H), 6.85 (s, 1 H), 7.23-7.41 (m, 1 H), 7.53-7.72 (m, 2 H), 7.98-8.09 (m, 1 H).

 $Step \ B: Synthesis of \ \textit{N-} [\textit{cis-}4-(4-amino-quinolin-2-ylamino)-cyclohexyl] - 3, 4-difluoro-benzamide \ hydrochloride.$ 

45 [0858] Using the procedure for the step B of example 3225, the title compound was obtained.
ESI MS m/e 397, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.29-2.15 (m, 8 H), 3.75-3.90 (m, 1 H) 4.05,4.26 (m, 1 H), 5.44-5.59 (m, 2 H), 5.89 (s, 1 H), 6.99-7.43 (m, 3 H), 7.55-7.84 (m, 5 H), 8.81-8.98 (m, 1 H).

# Example 3236

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2-(cis-4-{[1-(3,4-Difluoro-phenyl)-methanoyl]-amino}-cyclohexylamino)-quinoline-4-carboxylic acid amide

Step A: Synthesis of 2-chloro-quinoline-4-carboxylic acid amide.

[0859] To a solution of 2-chloro-quinoline-4-carboxylic acid (3.00 g) in DMF (30 mL) were added 28% aqueous NH<sub>3</sub> (1.05 g), Et<sub>3</sub>N (5.04 mL), HOBt-H<sub>2</sub>O (3.32 g), and EDC-HCl (3.32 g). The reaction mixture was stirred at ambient temperature for 16 hr. To the reaction mixture was added water (20 mL) and the aqueous layer extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure,

purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 50% EtOAc in hexane) to give 2-chloro-quinoline-4-carboxylic acid amide (1.77 g) as a white solid.

ESI MS m/e 207, M (free) + H+;  $^{1}$ H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.65 (s, 1 H), 7.68-7.77 (m, 1 H), 7.83-7.93 (m, 1 H), 7.98-8.09 (m, 2 H), 8.18-8.25 (m, 1 H), 8.30-8.40 (m, 1 H).

Step B: Synthesis of 2-(*cis*-4-{[1-(3,4-difluoro-phenyl)-methanoyl]-amino)-cyclohexylamino}-quinoline-4-carboxylic acid amide.

[0860] A mixture of 2-chloro-quinoline-4-carboxylic acid amide (300 mg) and N-(cis-4-amino-cyclohexyl)-3,4-difluoro-benzamide obtained in step A of example 3031 (406 mg) in butanol (1 mL) and DMSO (1 mL) was stirred at reflux for 24 hr. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, purified by medium-pressure liquid chromatography (NH-silica gel, EtOAc), and concentrated under reduced pressure. The above material was washed with and dried under reduced pressure to give 2-(cis-4-{[1-(3,4-difluoro-phenyl)-methanoyl]-amino}-cyclohexylamino)-quinoline-4-carboxylic acid amide (136 mg) as a white solid. ESI MS m/e 447, M (free) + Na+;  $^1$ H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1.61-2.03 (m, 8 H), 3.78-3.93 (m, 1 H), 4.05-4.20 (m, 1 H), 6.89 (s, 1 H), 6.99-7.07 (m, 1 H), 7.11-7.21 (m, 1 H), 7.42-7.61 (m, 3 H), 7.65-7.82 (m, 3 H), 7.88-7.99 (m, 1

20 Example 3237

H), 8.02-8.10 (m, 1 H), 8.28-8.36 (m, 1 H).

3,4-Difluoro-N-[cis-4-(4-trifluoromethyl-quinolin-2-yl)-amino-cyclohexyl]-benzamide hydrochloride

Step A: Synthesis of 3,4-difluoro-*N*-[*cis*-4-(4-trifluoromethyl-quinolin-2-yl)-amino-cyclohexyl]-benzamide hydrochloride.

[0861] Using the procedure for the step B of example 3225, the title compound was obtained. ESI MS m/e 472, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.80-2.10 (m, 8 H), 3.99-4.28 (m, 2 H), 6.46-6.63 (m, 1 H), 7.12-7.34 (m, 2 H), 7.48-7.63 (m, 2 H), 7.66-7.90 (m, 3 H), 7.94-8.05 (m, 1 H), 10.14-10.35 (m, 1 H).

Example 3238

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3,4-Difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide methanesulfonic acid

Step A: Synthesis of 3,4-difluoro-*N*-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide methanesulfonic acid.

[0862] To a solution of *N*-(*cis*-4-methyl-quinolin-2-yl)-cyclohexane-1,4-diamine obtained in step A of example 3070 (3.00 g) in CHCl<sub>3</sub> (30 mL) were added Et<sub>3</sub>N (3.40 mL) and 3,4-difluoro-benzoyl chloride (2.28 g). The mixture was stirred at ambient temperature for 6 hr. To the mixture was added saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 33% EtOAc in hexane and silica gel, 2% to 5% MeOH in CHCl<sub>3</sub>) to give 3,4-difluoro-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide (3.52 g) as colorless solid. To a solution of 3,4-difluoro-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide (700 mg) in EtOH (7 mL) was added MsOH (179 mg). The mixture was stirred at ambient temperature for 3 hr. The precipitate was collected by filtration, washed with EtOH, and dried at 70 °C under reduced pressure to give 3,4-difluoro-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide methanesulfonic acid (769 mg) as a white solid.

ESI MS m/e 396, M (free) + H+; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>) δ 1.69-2.01 (m, 8 H), 2.42 (s, 3 H), 2.62 (brs, 3 H), 3.90-4.21 (m, 2 H), 7.02-7.13 (m, 1 H), 7.47-7.61 (m, 2 H), 7.75-8.04 (m, 5 H), 8.35, (d, *J* = 6.4 Hz, 1 H), 9.15-9.42 (m, 1 H), 12.27-12.51 (m, 1 H).

#### Example 3239

3-Chloro-4-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide methanesulfonic acid

Step A: Synthesis of 3-chloro-4-fluoro-*N*-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide methanesulfonic acid.

[0863] To a solution of 3-chloro-4-fluoro-benzoic acid (2.26 g) and N-(cis-4-methyl-quinolin-2-yl)-cyclohexane-1,4-diamine obtained in step A of example 3070 (3.00 g) in DMF (30 mL) were added Et<sub>3</sub>N (3.93 mL), HOBt-H<sub>2</sub>O (2.70 g), and EDC-HCl (2.47 g). The reaction mixture was stirred at ambient temperature for 6 hr. To the reaction mixture was added water (200 mL) and the suspension was stirred at ambient temperature for 30 min. The precipitated was collected by filtration, washed with H<sub>2</sub>O, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 33% EtOAc in hexane) to give 3-chloro-4-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide (4.40 g) as a colorless solid. To a solution of 3-chloro-4-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide (800 mg) in EtOH (8 mL) was added MsOH (196 mg). The mixture was stirred at ambient temperature for 4 hr. The precipitate was collected by filtration, washed with EtOH, and dried at 80 °C under reduced pressure to give 3-chloro-4-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide methanesulfonic acid (845 mg) as a white solid. ESI MS m/e 434, M (free) +Na+; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1.66-1.99 (m, 8 H), 2.38 (s, 3 H), 2.56-2.73 (m, 3 H), 3.87-4.21 (m, 2 H), 6.99-7.14 (m, 1 H) 7.48-7.58 (m, 2 H), 7.74-7.84 (m, 1 H), 7.87-8.05 (m, 3 H), 8.12 (dd, J = 7.2, 2.2 Hz, 1 H), 8.36-8.41 (m, 1 H), 9.14-9.39 (m, 1 H), 12.28-12.55 (m, 1 H).

#### Example 3240

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3-Methoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide methanesulfonic acid

Step A: Synthesis of 3-methoxy-N-[cis-4-(quinolin-2-ylamino)-cyclobexyl]-benzamide methanesulfonic acid.

[0864] To a solution of *cis-N*-quinolin-2-yl-cyclohexane-1,4-diamine obtained in step A of example 3033 (4.00 g) in CHCl<sub>3</sub> (40 mL) were added Et<sub>3</sub>N (4.85 mL) and 3-methoxy-benzoyl chloride (3.10 g). The mixture was stirred at ambient temperature for 6 hr. The reaction was quenched with saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% EtOAc in hexane) to give 3-methoxy-*N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide (5.42 g) as colorless solid. To a solution of 3-methoxy-*N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide (700 mg) in EtOH (7 mL) was added MsOH (188 mg). The mixture was stirred at ambient temperature for 24 hr. The precipitate was collected by filtration, washed with EtOH, and dried at 80 °C under reduced pressure to give 3-methoxy-*N*-[*cis*-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide methanesulfonic acid (741 mg) as a white solid.

ESI MS m/e 398, M (free) + Na<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1.70-1.99 (m, 8 H), 2.35 (s, 3 H), 3.81 (s, 3 H) 3.90-4.04 (m, 1 H), 4.08-4.22 (m, 1 H), 7.06-7.26 (m, 2 H), 7.32-7.56 (m, 4 H), 7.73-8.02 (m, 3 H), 8.17-8.38 (m, 2 H), 12.41-12.58 (m, 1 H).

## Example 3241

N-{cis-4-[(4-Amino-5-methylpyrimidin-2-yl)amino]cyclohexyl}-3,5-bis(trifluoromethyl)benzamide hydrochloride

Step A: Synthesis of 2-chloro-5-methyl-pyrimidin-4-ylamine.

[0865] A solution of 2,4-dichloro-5-methyl-pyrimidine (4.1 g, 0.025 mol) was dissolved in THF (30 mL) and cooled with stirring on an ice bath. To the mixture was added 7 N NH<sub>3</sub> in MeOH (14.4 mL, 0.10 mol) and stirring was continued overnight (in which time the ice melted and the reaction warmed to room temperature). The excess solvent was removed in vacuo and the precipitate was suspended in CH<sub>2</sub>Cl<sub>2</sub> (20 mL). The organic layer was extracted with a NaHCO<sub>3</sub> (aq) solution (20 mL) and both layers of the extraction were filtered to collect the resulting insoluble precipitate. This precipitate was washed with cold H<sub>2</sub>O and dried to yield 2-chloro-5-methyl-pyrimidin-4-ylamine (1.0 g, 0.0070 mol, 27 %) as a white solid.

ESI-MS m/e 144.2 M+H+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) δ 7.80 (s, 1H), 7.22 (bs, 2H), 1.93 (s, 3H).

Step B: Synthesis of N-{cis-4-[(4-amino-5-methylpyrimidin-2-yl)amino]cyclohexyl}-3,5-bis(trifluoromethyl) benzamide hydrochloride.

[0866] To a solution of 2-chloro-5-methyl-pyrimidin-4-ylamine (292 mg, 2.03 mmol) in 2 mL 2-propanol was added DIEA (531 uL, 3.05 mmol) and cis-N-(4-amino-cyclohexyl)-3,5-bis(trifluoromethyl)-benzamide (720 mg, 2.03 mmol). The mixture was then heated in a microwave at 170 °C for 1 hour. The reaction mixture was cooled and concentrated and the resulting oil was purified by column (0-5 % MeOH in  $CH_2CI_2$ ). The organic solvents were evaporated and the resulting oil was re-dissolved into 4 mL  $CH_2CI_2$  and 2M HCl in  $EI_2O$  (2.0 mL, 4.0 mmol) was added. The reaction was stirred for 30 minutes and the solvent was removed. A precipitate formed that was subsequently filtered and washed with a cold 50% ether in hexanes solution to yield  $N-(cis-4-[(4-amino-5-methylpyrimidin-2-yl)amino]cyclohexyl]-3,5-bis (trifluoromethyl)benzamide hydrochloride (500 mg, 1.00 mmol, 49%) as a HCl salt. ESI-MS m/e 462.2 M+H+; 1H NMR (400 MHz, DMSO-d<sub>6</sub>) <math>\delta$  11.86 (s, 1H), 8.79 (s, 1H), 8.51 (s, 1H), 8.39 (s, 1H), 8.31 (s, 1H), 7.85 (s, 1H), 7.66 (s, 1H), 3.90 (bs, 2H), 1.90 (s, 3H), 1.89-1.61 (m, 8H).

# 15 Example 3242

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2-[(cis-4-{[4-(Dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)amino]-1-[4-(trifluoromethoxy) phenyl]ethanone trifluoroacetate

Step A: Synthesis of 2-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)amino]-1-[4-(tritluoromethoxy)phenyl]ethanone trifluoroacetate.

[0867] To a solution of  $\it cis$ -4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-1-cyclohexylamine (37 mg, 0.14 mmol) and 4-trifluoromethoxy bromoacetophenone (42 mg, 0.14 mmol) in THF (2 mL) was added DIEA (20  $\mu$ L). The reaction was stirred for 2 h at 65 °C, concentrated, dissolved in DMSO (1 mL), and purified by prep-HPLC to give 2-[( $\it cis$ -4-{ [4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)amino]-1-[4-(trifluoromethoxy)phenyl]ethanone trifluoroacetate 24 mg (30 %) as a white powder.

ESI-MS m/e 452 (M + H)+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.28 (bs, 2 H), 8.09 (d, 2 H, J = 8.8 Hz), 7.29 (m, 2 H), 7.20 (m, 1 H), 4.13 (bs, 1 H), 3.45 (bs, 1 H), 3.33 (s, 6 H), 3.27 (bm, 2 H), 2.28 (s, 3 H), 2.02-1.71 (m, 8 H).

# Example 3243

N-{1-[3,5-Bis(trifluoromethyl)phenyl]-1-methylethyl}-N'-(cis-4-{[4-dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)urea trifluoroacetate

Step A: Synthesis of *N*-{1-[3,5-bis(trifluoromethyl)phenyl]-1-methylethyl}-N'-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)urea trifluoroacetate.

[0868] To a solution of 2-(3,5-bistrifluoromethyl-phenyl)-2-methyl propionic acid (0.4 g, 1.3 mmol) and Et<sub>3</sub>N (0.17 mL, 1.3 mmol) in dry benzene (4 mL) was added diphenylphosphoryl azide (0.36 g, 1.3 mmol). During the reaction being refluxed for about 3 h, 3,5-bistrifluoromethyl-4-(isocyanato-1-methyl-ethyl)-benzene was formed as the reaction intermediate, which was directly used to prepare urea derivatives.

[0869] To a solution of *cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-1-aminocyclohexane (40 mg, 0.16 mmol) in EtOH (1 mL) was added 3,5-bistrifluoromethyl-4-(isocyanato-1-methyl-ethyl)-benzene (48 mg, 0.16 mmol) from the above reaction. The reaction mixture was stirred at 60 °C for 1 h, and completed consumption of the starting material was observed by LC-MS. After removal of the volatile solvent, the residue was dissolved in DMSO (1.5 mL) and purified by prep-HPLC to give 35 mg (35 %) of *N*-{1-[3,5-bis(trifluoromethyl) phenyl]-1-methylethyl}-N'-(*cis*-4-{ [4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)urea trifluoroacetate.

ESI-MS m/e 547 (M + H)+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  13.4 (bs, 1 H), 8.37 (bd, 1 H, J = 6.4 Hz), 7.84 (s, 3 H), 7.71 (s, 1 H), 5,56 (bs, 1 H), 4.01 (bs, 1 H), 3.75 (m, 1 H), 3.29 (s, 6 H), 2.25 (s, 3 H), 1.75-1.60 (m, 14 H).

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#### Example 3244

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N-{1-[3,5-Bis(trifluoromethyl)phenyl]-1-methytethyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)-N-methylurea trifluoroacetate

Step A: Synthesis of N-{1-[3,5-bis(trifluoromethyl)phenyl]-1-methylethyl}-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl}-N-methylurea trifluoroacetate.

[0870] 3,5-Bistrifluoromethyl-4-(isocyanato-1-methyl-ethyl)-benzene (36 mg, 0.12 mmol) was added to a solution of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-1-aminocyclohexane (30 mg, 0.12 mmol) and CH<sub>3</sub>I (0.17 g, 1.2 mmol) in anhydrous benzene (1 mL) under an inert atmosphere. The reaction mixture was stirred at 50 °C for 2 h, and formation of the methylated and protonated products were observed by LC-MS. After removal of the volatile solvent, the residue was dissolved in DMSO (1.5 mL) and purified by prep-HPLC. 20 mg (25 %) of N{1-[3,5-bis(trifluoromethyl) phenyl]-1-methylethyl}-N-(cis-4-{[4-(dimethyl amino)-5-methy)pyrimidin-2-yl]amino}cyclohexy))-N-methylurea trifluoroacetate was isolated as a white powder.

ESI-MS m/e 561 (M + H)+;  $^{1}$ H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  14.5 (bs, 1 H), 9.19 (bd, 1 H, J = 6.0 Hz), 7.84 (s, 2 H), 7.79 (s, 1 H), 7.70 (s, 1 H), 4.87 (s, 1 H), 4.23 (bs, 1 H), 4.14 (m, 1 H), 3.26 (s, 6 H), 2.98 (s, 3 H), 2.23 (s, 3 H), 1.75-1.65 (m, 14 H).

# 20 Example 3245

cis-N {1-[3,5-Bis(trifluoromethyl)phenyl]-1-methylethyl}-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide trifluoroacetate

25 Step A: Synthesis of 1-(3,5-bistrifluoromethyl-phenyl)-1-methyl-ethylamine.

[0871] 3,5-Bistrifluoromethyl-4-(isocyanato-1-methyl-ethyl)-benzene (0.1 g, 0.33 mmol) was treated with 8-N HCI (4 mL). The acidic aqueous solution was heated for 1 h at 60 °C. After cooling the reaction, NaOH pellets were added to make the aqueous mixture alkaline. The solid precipitates were filtered off, and the basic aqueous was extracted with DCM (2x). The combined organic was washed with H<sub>2</sub>O, dried, and concentrated to give 1-(3,5-bistrifluoromethyl-phenyl)-1-methyl-ethylamine: 1-(3,5-bistrifluoromethyl-phenyl)-1-methyl-ethylamine appeared to be unstable in neat. The product was kept in DCM solution.

ESI-MS m/e 272 (M + H)<sup>+</sup>

Step B: Synthesis of cis-N-{1-[3,5-bis(trifluoromethyl)phenyl]-1-methylethyl}-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide trifluoroacetate.

[0872] To a solution of *cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexanecarboxylic acid (15 mg, 0.05 mmol) and 1-(3,5-bistrifluoromethyl-pheny])-1-methy)-ethylamine (15 mg, 0.05 mmol) in DCM (1.5 mL) was added HATU (25 mg, 0.06 mmol) and followed by Et<sub>3</sub>N (10 mg, 0.1 mmol). After 4h stirring at room temperature, the reaction was concentrated, dissolved in DMSO (1.5 mL), and purified by prep-HPLC to give 11 mg (30 %) of *cis-N*-{1-[3,5-bis (trifluoromethyl)phenyl]-1-methylethyl}-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide trifluoroacetate.

ESI-MS m/e 532 (M + H)+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  14.6 (bs, 1 H), 8.64 (bd, 1 H, J = 6.0 Hz), 7.78 (s, 2 H), 7.69 (s, 1 H), 7.30 (d, 1 H, J = 7.2 Hz), 7.16 (s, 1 H), 4.40 (bs, 1 H), 3.30 (s, 6 H), 2.26 (s, 3 H), 2.18 (m, 1 H), 2.07-1.80 (m, 8 H), 1.70 (s, 6 H).

# Example 3246

3,4-Difluoro-N-{cis-4-[(4-methoxy-5-methylpyrimidin-2-yl)amino]cyclohexyl}benzamide trifluoroacetate

Step A: Synthesis of 2-chloro-4-methoxy-5-methyl pyrimidine.

[0873] 2,4-dichloro-5-methyl pyrimidine (0.8 g, 5 mmol) was dissolved in MeOH (10 mL), and 0.5 M-NaOCH<sub>3</sub> in MeOH (10 mL, 5 mmol) was slowly added into the solution. The reaction was stirred for 40 min at room temperature, diluted with H<sub>2</sub>O, and extracted with DCM (3x). The combined organic was washed with H<sub>2</sub>O (2x) and saline (1x), dried, and concentrated. 0.8 g (99 %) of 2-chloro-4-methoxy-5-methyl pyrimidine was isolated, which was directly used for the next reaction without a further purification.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.10 (s, 1 H), 4.03 (s, 3 H), 2.12 (s, 3 H).

Step B: Synthesis of N-[cis-4-(4-methoxy-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]carbamic acid tert-butyl ester.

[0874] A sealed tube containing 2-chloro-4-methoxy-5-methyl pyrimidine (0.35 g, 2.2 mmol), *cis-(4-*amino-cyclohexyl)-carbamic acid *tert*-butyl ester (0.56 g, 2.4 mmol), DIEA (0.8 mL, 4.5 mmol), and IPA (2 mL) was reacted for 4000 sec at 175 °C in a Personal Microwave Synthesizer. The reaction was diluted with DCM, washed with 1N-HCl and H<sub>2</sub>O, dried, and concentrated. The crude product was purified by column chromatography [silica gel, DCM:MeOH (100:0 to 97:3)]. 0.25 g (34 %) of N-[*cis*-4-(4-methoxy-5-methyl-pyrimidin-2-ylamino)-cyclohexyl] carbamic acid *tert*-butyl ester was isolated.

ESI-MS m/e 337 (M + H)+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.80 (s, 1 H), 4.86 (bd, 1 H, J = 6.0 Hz), 4.55 (bs, 1 H), 3.93 (bm, 1 H), 3.89 (s, 3 H), 3.62 (bs, 1 H), 1.97 (s, 3 H), 1.83-1.55 (m, 8 H), 1.45 (s, 9 H).

55 Step C: Synthesis of cis-4-(4-methoxy-5-methyl-pyrimidin-2-ylamino)-aminocyclohexane.

[0875] To a solution of N-[cis-4-(4-methoxy-5-methyl-pyrimidin-2-ylamino)-cyclohexyl] carbamic acid tert-butyl ester (0.24 g, 0.7 mmol) in DCM (10 mL) was added TFA (5 mL). The reaction was stirred for 1.5 h at room temperature. After removal of the volatile solvent, the residue was treated with 4N-NaOH (3 mL). The basic aqueous was extracted with DCM (3x), and combined organic was washed with H<sub>2</sub>O (2x) and brine (1x), and concentrated. 0.13 g (82 %) of cis-4-(4-methoxy-5-methyl-pyrimidin-2-ylamino)-aminocyclohexane was isolated as a yellowish solid. ESI-MS m/e 237 (M + H)+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.79 (s, I H), 5.05 (bd, 1 H, J = 6.4 Hz), 3.99 (bs, 1 H), 3.89 (s, 3 H), 2.92 (bm, 1 H), 2.45 (bs, 2 H), 1.96 (s, 3 H), 1.83-1.45 (m, 8 H).

Step D: Synthesis of 3,4-difluoro-N-{cis-4-[(4-methoxy-5-methylpyrimidin-2-yl)amino]cyclohexyl}benzamide trifluoroacetate.

[0876] To a solution of cis-4-(4-methoxy-5-methyl-pyrimidin-2-ylamino)-aminocyclohexane (20 mg, 0.08 mmol) in DCM (1 mL) was added 3,4-difluorobenzoyl chloride (14 mg, 0.08 mmol), and followed by Et<sub>3</sub>N (25  $\mu$ L). The reaction was stirred for 2 h at room temperature, and MeOH (0.2 mL) was added to quench the reaction. After removal of the volatile solvent, the residue was dissolved in DMSO (1.5 mL) and purified by prep-HPLC to give 12 mg (40 %) of 3,4-difluoro-N-{cis-4-[(4-methoxy-5-methylpyrimidin-2-yl)amino]cyclohexyl}benzamide trifluoroacetate as a white powder.

ESI-MS m/e 377 (M + H)+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  15.7 (bs, 1 H), 9.55 (d, I *H, J* = 7.2 Hz), 7.73 (m, 1 H), 7.59 (m, 1 H), 7.57 (s, 1 H), 7.20 (m, 1 H), 6.80 (d, 1 H, *J* = 8.0 Hz), 4.37 (bs, 1 H), 4.18 (bm, 1 H), 4.09 (s, 3 H), 2.04 (s, 3 H), 1.89-1.75 (m, 8 H).

Example 3247

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40 N-(cis-4-{[4-Methyl-6-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy)benzamide hydrochloride

Step A: Synthesis of (2-chloro-6-methyl-pyrimidin-4-yl)-methyl-amine.

45 [0877] 2,4-Dichloro-6-methylpyrimidine (10 g, 61.34 mmol) in 50 mL in CH<sub>2</sub>Cl<sub>2</sub> was added 2 M methylamine in methyl alcohol (46.01 ml, 92.02 mmol) at 0°C. The reaction mixture was stirred overnight and then the excess solvent was evaporated off and the material subjected to chromatography (50% hexanes in ethyl acetate) to yield (2-chloro-6-methyl-pyrimidin-4yl)-methyl-amine (5.835 g, 37.17 mmol, 60.59%) as a white solid.

ESI-MS 158.0 M+H+;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  7.62 (s, 1H), 6.18 (s, 1H), 2.70 (bs, 3H), 2.10 (bs, 3H).

Step B: Synthesis *N-(cis-*4-{[4-methyl-6-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy) benzamide hydrochloride.

[0878] To a solution of (2-chloro-6-methyl-pyrimidin-4yl)-methyl-amine (500 mg, 3.18 mmol) in 3 mL 2-propanol was added *cis-N*-(4-amino-cyclohexyl)-4-trifluoromethoxy-benzamide (1.25 g, 4.14 mmol) and DIEA (1.108 mL, 6.36 mmol). The mixture was heated in a microwave synthesizer at 180 °C for 2 hours. The solvent was evaporated and obtained compound was dissolved in CH<sub>2</sub>Cl<sub>2</sub> and was added 2 M HCl in diethyl ether (6.2 mL) to give *N*-(*cis-*4-{[4-methyl-6-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy)benzamide hydrochloride (1.3014 g, 2.83 mmol,

89 %) as a yellowish solid.

ESI-MS 424.2 M+H+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.72 (s, 1H), 8.44 (s,1H), 7.99-7.96 (d, J = 8 Hz, 2H), 7.86 (s, 1H), 7.47-7.45 (d, J = 8 Hz, 2H, 4.03 (s, 1H), 3.87 (s, 1H), 2.89-2.88 (d, J = 4 Hz, 3H), 2.20 (s, 3H), 1.85 (bs, 2H), 1.72 (bs, 6H).

Example 3248

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N-({cls-4-[(4-Amino-5-methylpyrimidin-2-yl)amino]cyclohexyl}methyl)-3,5-bis(trifluoromethyl)benzamide hydrochloride

Step A: Synthesis of N-({cis-4-[(4-amino-5-methylpyrimidin-2-yl)amino]cyclohexyl}methyl)-3,5-bis (trifluoromethyl)benzamide hydrochloride.

[0879] To a solution of 2-chloro-5-methyl-pyrimidin-4-ylamine (269 mg, 1.87 mmol) in 1 mL 2-propanol was added cis-N-(4-amino-cyclohexylmethyl)-3,5-bis-trifluoromethyl-benzamide (689.8 mg, 1.87 mmol) and DIEA (489.5.4 µl, 2.81 mmol). The mixture was heated in a microwave synthesizer at 180 °C for 2 hours. The solvent was evaporated and the material subjected to chromatography (1-2% methanol/ CH<sub>2</sub>Cl<sub>2</sub>). The obtained compound was dissolved in CH<sub>2</sub>Cl<sub>2</sub> and was added 2 M HCl in diethyl ether (2.2 mL) to give N-({cis-4-[(4-amino-5-methyl)pyrimidin-2-yl)amino]cyclohexyl} methyl)-3,5-bis(trifluoromethyl)benzamide hydrochloride (667.1 mg, 1.30 mmol, 70%) as a white solid.

ESI-MS 476.2 M+H+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  9.16-9.13 (t, J = 4 Hz, J = 8 Hz, 1H), 8.55 (s, 2H), 8.36-8.31 (bs, 2H), 7.86 (bs, 1H), 7.71 (bs, 1H), 4.07 (bs, 1H), 3.27-3.24 (t, J = 8 Hz, J = 4 Hz, 2H), 1.91 (bs, 3H), 1.73-1.42 (m, 8H).

Example 3249

2-[(2-Chlorophenyl)sulfonyl]-*N*-(*cis*-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl) nicotinamide trifluoroacetate

Step A: Synthesis of *cis*-2-chloro-*N*-[4-(4-dimethylamino-6-methyl-pyrimidin-2ylamino)-cyclohexyl]-nicotinamide.

[0880] cis- $N^2$ -(4-Amino-cyclohexyl)-6,  $N^4$ , $N^4$ -trimethyl-pyrimidine-2,4-diamine (2.86 g, 11.5 mmol) in 20 mL CH<sub>2</sub>Cl<sub>2</sub> was added 2-chloronicotinoyl chloride (2.02 g, 11.5 mmol), and DIEA (3.9 mL, 23 mmol). The reaction mixture was stirred for an hour. The solvent was evaporated off and the compound was crystallized (2% hexanes in ether) to yield cis-2-chloro-N-[4-(4-dimethylamino-6-methyl-pyrimidin-2ylamino)-cyclohexyl]-nicotinamide (4.2 g, 10.8 mmol, 94%). ESI-MS 389.2 M+H+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  13.1 (bs, 1H), 8.72-8.70 (d, J = 8 Hz, 1H), 8.49-8.46 (dt, J = 8 Hz, J = 4 Hz, 1H), 8.04 (s, 1H), 7.89-7.87 (dd, J = 4 Hz, J = 4Hz, 1H), 7.52-7.47 (q, J = 8 Hz, J = 4Hz, 1H), 6.27 (s, 1H), 3.95 (bs, 2H), 3.27 (bs, 6H), 2.31 (s, 3H), 1.82-1.74 (m, 8H).

Step B: Synthesis of 2-[(2-chlorophenyl)sulfonyl)-*N*-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino} cyclohexyl)nicotinamide trifluoroacetate.

[0881] To a solution of cis-2-chloro-N-[4-(4-dimethylamino-6-methyl-pyrimidin-2ylamino)-cyclohexyl]-nicotinamide (50 mg, 0.128 mmol) in 1 mL dioxane was added 2-chlorobenzenethiol (37.1 mg, 0.256 mmol), and  $Cs_2CO_3$ ; (83.4 mg, 0.256 mmol). The mixture was heated in a microwave synthesizer at 180 °C for 1 hour. After the solvent was evaporated, the compound was then subjected to purification by prep HPLC to give cis-2-(2-chloro-phenylsulfanyl) -N-[4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-nicotinamide trifluoroacetate (23.2 mg, 30 %) as a white solid.

ESI-MS m/e 497.4 M+H+;

[0882] To a solution of *cis*-2-(2-chloro-phenylsulfanyl)-*N*-[4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-nicotinamide trifluoroacetate (23.2 mg, 0.038 mmol) in 1mL CH<sub>2</sub>Cl<sub>2</sub> was added 3-chloroperoxybenzoic acid (31.5 mg 0.14 mmol). The reaction mixture was stirred for 15 h and quenching with NaHCO<sub>3</sub>. The solvent was evaporated and compound was then subjected to purification by prep HPLC to give 2-[(2-chlorophenyl)sulfonyl]-*N*-(*cis*-4-{ [4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide trifluoroacetate (8.9 mg, 0.014 mmol, 36%) as a white solid.

ESI-MS m/e 529.2 M+H+;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  11.98 (s, 1H), 8.61-8.59 (m, 2H), 8.24-8.21 (dd, J= 4 Hz, 4 Hz, 1H), 8.08-8.06 (d, J= 8Hz, 1H), 7.79-7.74 (m, 2H), 7.71-7.69 (t, J= 4Hz, 1H), 7.64-7.62 (d, J= 8 Hz, 1H), 7.58 (bs, 1H), 6.32 (s, 1H), 3.94 (bs, 2H), 3.21 (s, 3H), 3.15 (s, 3H), 2.28 (s, 3H), 1.84-1.78 (m, 8 H).

#### Example 3250

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N-(cis-4-{((4-Methylquinolin-2-yl)methyl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide trifluoroacetate

Step A: Synthesis of 4-methyl-2-vinyl-quinoline.

[0883] To 50 mL toluene in a 150 mL rounded-bottom flask, was added 2-chlorolepidine (1 g, 63 mmol), tetrakis (triphenylphonsine) palladium (0) (65 mg, 0.63 mmol), triphenyl phosphine (0.495 g, 1.89 mmol) and vinyltributyl tin (2.2 g,6.76 mmol). The mixture was refluxed at 116 °C under  $N_2$  for 2 hours. The reaction mixture was concentrated and purified by silica gel with 0-10% EtOAc/Hexane to yield 4-methyl-2-vinyl-quinoline (720 mg, 4.26 mmol, 76%). ESI MS m/e: 170.0 M+H+;1H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.96 (d, J = 8 Hz,1H), 7.85 (d, J = 8 Hz, 1H), 7.58 (dd,  $J_1$  =  $J_2$  = 8 Hz, 1H), 7.43 (dd,  $J_1$  =  $J_2$  = 8 Hz, 1 H), (7.15 (s, 1H), 6.89 (dd,  $J_1$  = 16 Hz,  $J_2$  = 12 Hz, 1H), 6.15 (d, J = 16 Hz, 1H), 5.54 (d, J = 8 Hz, 1H), 2.60 (s, 3H)

#### Step B: Synthesis of 4-methyl-quinoline-2-carbaldehyde.

[0884] To a 500 mL rounded bottom flask filled with 40 mL 90% THF/H $_2$ O was added 4-methyl-2-vinyl-quinoline (1.2 g, 7.1 mmol) NMO (1.29 g, 10.65 mmol), and OsO $_4$  (1.3 mL, 0.21 mmol) under N $_2$ . The mixture was stirred at room temperature overnight under N $_2$ . The reaction mixture was quenched with saturated solution of Na $_2$ SO $_3$ , and the organic phase was then extracted EtOAc (100 mL x 4. The organic layer was combined and washed with brine, and concentrated. The crude product, 1-(4-methyl-quinolin-2-yl)-ethane-1,2-diol (1.5 g), was directly used to next Step without further purification.

To 60 mL 90%THF/  $H_2O$ , was added 1.5 g of the crude 1-(4-methyl-quinolin-2-yl)-ethane-1,2-diol and NalO<sub>4</sub> (1.4 g, 8.86 mmol). The mixture was stirred at room temperature under  $N_2$  for 6 hours. The organic phase was extracted with EtOAc (100 mL x4, combined, and dried by anhydrous MgSO<sub>4</sub>. It was concentrated to purify by silica gel column using 0-5% EtOAc /Hexane to yield 4-methyl-quinoline-2-carbaldehyde (600 mg, 3.5 mL, 49.4%). ESI MS m/e: 172.0 M+H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  10.2 (s, 1H), 8.25 (d, J = 8 Hz, 1H), 8.07 (d, J = 8 Hz, 1H), 7.88 (s, 1H), 7.82 (dd, J<sub>1</sub> = J<sub>2</sub> = 8 Hz, 1H), 7.71 (dd, J<sub>1</sub> = J<sub>2</sub> = 8 Hz, 1H), 2.79 (s, 3H).

# Step C: Synthesis of resin bound cis-(4-amino cyclohexyl) carbamic acid fluorenylmethyl ester.

[0885] In a 30 mL manual synthesis vessel, 2-(3,5 dimethoxy-4-formyl) phenoxy ethyl polystyrene resin (0.5 gram; 0.90 mmol/gram) and *cis*-(4-amino cyclohexyl) carbamic acid fluorenylmethyl ester 2 (453mg, 1.35 mmol) were suspended in 4 mL of DMF. To this suspension was added a solution of NaBH(OAc)<sub>3</sub> (299 mg, 1.35 mmol) in 1% acetic acid/DMF solution (4 mL). After shaking the mixture overnight in a rotary shaker, the solution was removed by filtration and the resin washed sequentially with DMF, 10% DIEA/DMF, DMF, DCM and MeOH. The washing sequence was repeated four times. The resulting resin bound intermediate was dried under vacuum for 20 minutes.

### 40 Step D: Synthesis of resin bound-cis -[4-(4-methyl-quinolin-2-methyl-amino)-cyclohexyl]-carbamic acid flourenylmethyl ester.

[0886] To the resin bound intermediate (0.315 mmol) was added 4-methyl-quinoline-2-carbaldehyde (96 mg, 0.564 mmol) in dimethyl acetamide (5 mL) and 1% acetic acid (.050 mL). The resin suspension was mixed in a rotary shaker for 1 hour at room temperature. Sodium cyanoborohydride (195 mg, 3.15 mmol) was added to the resin suspension and the reaction was mixed overnight at room temperature. At the completion of the reaction, the solution was filtered and the resin washed sequentially with DMF, 10%DIEA/DMF, DMF, DCM and MeOH. The washing sequence was repeated four times. The resulting resin bound intermediate 5 was dried under vacuum for 20 minutes

# Step E: Synthesis of N-(cis-4-{[(4-methylquinolin-2-yl)methyl]amino}cyclohexyl)-3,5-bis(trifluoromethyl) benzamide trifluoroacetate.

[0887] The resin bound intermediate (0.171 mmol) was treated with 20% piperidine in DMF (3 mL) for 30 minutes at room temperature. After 30 minutes, the solution was filtered and the resin washed with DMF, DCM and MeOH. The washing sequence was repeated four times.

[0888] The deprotected resin bound intermediate was suspended in DMF (1.0 mL). 3,5 bis-trifluoromethylbenzoyl chloride (47 mg, 0.171 mmol) was added to the resin suspension followed by triethylamine (0.0519 mL, 0.513 mmol). The reaction was mixed for 30 minutes at room temperature. The solution was then filtered and the resin washed

sequentially with DMF, DCM and MeOH. The washing sequence was repeated four times.

[0889] After drying under vacuum for 20 minutes, the resin bound intermediate was treated with 5 mL of TFA solution (TFA/CH<sub>2</sub>Cl<sub>2</sub>/H<sub>2</sub>O 20:20:1 v/v). The reaction was shaken for 2 hours and the TFA solution was collected after filtration. The TFA was removed by rotary evaporation and the compound subjected to purification by preparative HPLC to give N-(cis-4-{[(4-methyl quinolin-2-yl)methyl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide trifluoroacetate (3.8 mg; 8%) as a white solid.

ESI MS m/e 510.2 M+H+; <sup>1</sup>H NMR (400MHz, CD<sub>3</sub>OD)  $\delta$  (ppm): 8.56 (m, 1H), 8.42 (s, 2H), 8.19 (m, 3H), 7.82 (m, 1H), 7.69 (m, 1H), 7.39 (s, 1H), 4.6 (s, 2H), 4.14 (m, 1H), 3.40 (m, 1H), 2.78 (s, 3H), 2.22-1.81 (m, 8H).

### 10 Example 3251

cis-N-[(1S)-1-(4-Chlorophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide trifluoroacetate

15 Step A: Synthesis of cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexanecarboxylic acid.

[0890] A mixture of (2-chloro-5-methyl-pyrimidin-4-yl)-dimethyl-amine (28.9 g, 0.186 mol) and 4-amino-cyclohexane-carboxylic acid (20 g, 0.140 mol) in 100 mL of toluene was stirred at room temperature for 5 minutes to form a slurry under  $N_2$ . To the slurry, was added Pd(OAc)<sub>2</sub> (0.34 g, 1.5 x  $10^{-3}$  mol), 2-(di-t-butylphosphine) biphenyl (0.24, 0.8 mmol) and NaOtBu (33.64 g, 0.35 mol). The mixture was heated and refluxed at 118°C under  $N_2$  for 2 hours. The reaction mixture was concentrated to give a brown solid. The above brown solid was dissolved with 100 mL MeOH and 5 mL  $H_2O$ , neutralized with acetic acid. The precipitate was filtered and washed with cold water (5mL x 2) and toluene (100 mL x 2) to yield *cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexanecarboxylic acid (36.7 g, 0.132 mol, 94%) as a white solid.

25 ESI MS m/e 279 M+H+; ¹H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.46 (s, 1H), 4.20 (s, 1H), 3.3 (s, 6H), 3.2 (s, 1H), 2.48 (m, 1H), 2.27 (s, 3H), 2.15-1.63 (m, 8H).

Step B: Synthesis of *cis-N*-[(1S)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide trifluoroacetate.

[0891] To a solution of (S)-1-(4-chloro-phenyl)-ethylamine (61.5 mg, 0.395 mmol) in 10 mL DCM was added cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexanecarboxylic (100 mg, 0.395 mmol), HATU (150 mg, 0.395 mmol), and 5 drops of Et<sub>3</sub>N. The reaction mixture was stirred at room temperature under N<sub>2</sub> overnight. The solvent was evaporated and the material subjected to prep-HPLC to give cis-N-[(1S)-1-(4-chlorophenyl)ethyl]-4-{ [4-(dimethyl amino)-5-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide trifluoroacetate (20 mg, 0.048 mmol, 13.4%) as a white solid.

ESI MS m/e 416.3 M+H+;  $^{1}$ H NMR (400 MHz, DMSO-d<sub>6</sub>)  $\delta$  8.24-8.12 (d, 1H), 7.55 (s, 1H), 7.32-7.10 (m, 4H), 4.87 (m, 1H), 2.47 (s, 6H), 2.28 (bs, 1H), 2.18 (s, 3H), 1.81-1.39 (m, 8H), 1.31 (d, 3H).

### 40 Example 3252

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cis-N-[(1R)-1-(4-Bromophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino]cyclohexanecarboxamide trifluoroacetate

45 Step A: Synthesis of cis-4-(4-methylquinolin-2-ylamino)cyclohexanecarboxylic acid.

[0892] A mixture of 2-chloro-4-methyl-quinoline (6.67, 0.0375 mol) and 4-amino-cyclohexanecarboxylic acid (4.48 g, 0.0312 mol) was dissolved in 100 mL of toluene and stirred at room temperature for 5 minutes to form a slurry under  $N_2$ . To the slurry, was added Pd(OAc)<sub>2</sub> (0.077 g, 3.43x  $10^{-4}$  mol), 2-(di-t-butylphosphine) biphenyl (0.093, 3.12x $10^{-4}$  mol) and NaOtBu (7.5g, 0.078 mol). The above material was heated and refluxed at 118°C for 2 hours. The reaction mixture was concentrated under reduced pressure to give a brown solid. The above brown solid was dissolved with 100 mL MeOH and 5 mL  $H_2$ O, neutralized with acetic acid. The precipitates were filtered and washed with cold water (5 mL x 2) and toluene (100 mL x 2) to yield *cis*-4-(4-methylquinolin-2-ylamino)cyclohexanecarboxylic acid (7.45 g, 0.026 mol, 84%) as a white solid.

<sup>55</sup> ESI MS m/e 285.1 M+H+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) δ 7.78 (d, 1H), 7.49 (m, 1H), 7.21 (m, 1H), 6.85 (d, 1H), 6.72 (s, 1H), 4.19 (s, 1H), 2,54-2.53 (m, 2H), 2.46 (s, 3H).

# Step B: Synthesis of *cis-N-*[(1*R*)-1-(4-bromophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino] cyclohexanecarboxamide trifluoroacetate.

[0893] To a solution of (*R*)-1-(4-bromo-phenyl)-ethylamine (77.4 mg, 0.39 mmol) in 10 mL DCM was added *cis*-4-(4-methylquinolin-2-ylamino)cyclohexanecarboxylic acid (100 mg, 0.35 mmol), HATU (148 mg, 0.39 mmol), and 5 drops of Et<sub>3</sub>N. The reaction mixture was stirred at room temperature under N<sub>2</sub> overnight. The solvent was evaporated and the material subjected to prep HPLC to give *cis*-N-[(1*R*)-1-(4-bromophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino] cyclohexanecarboxamide trifluoroacetate (24 mg, 0.052 mmol, 14.7%) as white solid.

ESI MS m/e 468.2 M+H+; <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) δ 9.18-9.07 (s, 1H), 7.94-7.84 (t, 1H), 7.74-7.68 (t, 1H), 7.46-7.42 (m, 2H), 7.22-7.17 (m, 2H), 7.00-6.94 (s, 1H), 4.86 (m, 1H), 4.11 (s,1H), 2.58 (s, 3H), 2.40-2.23 (m, 2H), 1.88-1.49 (m, 8H), 1.33-1.19 (d, 3H).

### Example 3253

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trans-2-(4-Chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) cyclopropanecarboxamide trifluoroacetate

### Step A: Synthesis of trans-3-(4-chlorophenyl)-N-methoxy-N-methylacrylamide.

[0894] A solution of 4-chlorobenzalehyde (3 g, 21.34 mmol) and N-methoxy-N-methyl-2-(triphenylphosphoranylidene)acetamide (8.5 g, 23.47 mmol) in CH<sub>2</sub>Cl<sub>2</sub> was stirred at room temperature for 16 h. The solvent was removed in vacuo, and the crude product was purified by column chromatography on silica gel (0-20% EtOAc/Hex) to afford *trans*-3-(4-chlorophenyl)-N-methoxy-N-methylacrylamide (4.78 g, 99%) as colorless crystals.

ESI MS m/e 226.1 M+H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.66 (d, J = 5.6 Hz, 1H), 7.45 (d, J = 8.4 Hz, 2H), 7.33 (d, J = 8.4 Hz, 2H), 6.99 d, J = 5.6 Hz, 1H), 3.75 (s, 3H), 3.29 (s, 3H)

### Step B: Synthesis of N-methoxy-N-methyl-trans-2-(4-chlorophenyl) cyclo-propanecarbxoamide.

[0895] To a solution of trimethylsulfoxonium iodide (9.3 g, 42.4 mmol) in DMSO (40 mL) was added sodium hydride (1.7 g, 42.4 mmol) at room temperature in portions. After 1h, a solution of *trans*-3-(4-chlorophenyl)-N-methoxy-N-methylacrylamide (4.78 g, 21.2 mmol) in DMSO (20 mL) was added via cannula at r.t. The mixture was stirred for another 6 h, and then it was quenched with saturated aqueous NH<sub>4</sub>Cl solution, extracted with CH<sub>2</sub>Cl<sub>2</sub>, washed with brine and dried over anhydrous MgSO<sub>4</sub>. The crude product was purified by column chromatography (0-50 % EtOAc / Hex)to afford N-methoxy-N-methyl -*trans*-2-(4-chlorophenyl)cyclopropanecarboxamide as colorless oil (4.76 g, 88.5 %).

ESI MS m/e 239.9 M+H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.24 (d, J = 8 Hz, 2H), 7.06 (d, J = 8 Hz, 2H), 3.69 (s, 3H), 3.23 (s, 3H), 2.47 (m, 1H), 2.37 (bs, 1H), 1.63 (m, 1H), 1.27 (m, 1H)

#### Step C: Synthesis of trans-2-(4-chloro-phenyl)cyclopropanecarboxylic acid.

[0896] A suspension of afford N-methoxy-N-methyl -trans-2-(4-chlorophenyl)cyclopropanecarboxamide (4.76 g, 18.76 mmol) and potassium tert-butoxide (4.76 g, 18.76 mmol) in the TBME (130 mL) and water (0.68 mL, 37.5 mmol) was stirred at room temperature for 16h. The mixture was acidified by slowly adding concentrated HCI, and the aqueous mixture was extracted with  $CH_2CI_2$  (3x60 mL). The combined organic layers were washed with brine and dried over anhydrous MgSO<sub>4</sub>. The solvent was removed in vacuo and the product was obtained as white solid (3.447 g, 93.5 %) ESI MS m/e 197.0 M+H+; <sup>1</sup>H NMR (400 MHz, CDCI<sub>3</sub>)  $\delta$  11.4 (bs, 1H), 7.28 (d, J= 8.4 Hz, 2H), 7.06 (d, J= 8.4 Hz, 2H), 2.60 (ddd, J<sub>1</sub> = 9.5 Hz, J<sub>2</sub> = 6.6 Hz, J<sub>3</sub> = 4.1 Hz, 1H), 1.89 (ddd, J<sub>1</sub> = 9.5 Hz, J<sub>2</sub> = 5.2 Hz, J<sub>3</sub> = 4.2 Hz, 1 H), 1.69 (dt, J<sub>1</sub> = 9.5 Hz, J<sub>2</sub> = 5.1 Hz, 1H), 1.40 (ddd, J<sub>1</sub> = 8.4 Hz, J<sub>2</sub> = 5.2 Hz, J<sub>3</sub> = 4.3 Hz, 1H)

# 50 Step D: Synthesis of trans-2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)cyclopropanecarboxamide trifluoroacetate.

[0897] To a mixture of *trans*-2-(4-chloro-phenyl)cyclopropanecarboxylic acid (22.1 mg, 0. 112 mmol) and 2-chloro-4-dimethylamino-5-methylpyrimidine (28 mg, 0.112 mmol) in CH<sub>2</sub>Cl<sub>2</sub> (5 mL) was added HATU (42.6 mg, 0.112 mmol) at r.t. After 30 sec Et<sub>3</sub>N (5 drops) was added dropwise. The mixture was stirred overnight. *trans*-2-(4-chlorophenyl) -*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)cyclopropanecarboxamide trifluoroacetate (20 mg, 37%) was obtained from prep-HPLC.

ESI MS m/e: 428.4 M+H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.49 (bs, 1H), 7.23 (d, J = 8 Hz, 2H), 7.02( d, J = 8 Hz, 2H),

6.28 (d, J = 8 Hz, 1H), 4.11 (m, 1H), 3.99 (m, 1H), 3.29 (s, 6H), 2.45 (ddd,  $J_1 = 12$  Hz,  $J_2 = 8$  Hz,  $J_3 = 4$  Hz, 1H), 2.25 (s, 3H), 1.85-1.65 (m, 1H), 1.58 (dt,  $J_1 = 8$  Hz,  $J_2 = 4$  Hz, 1H), 1.18 (dt,  $J_1 = 8$  Hz,  $J_2 = 4$  Hz, 1H)

### Example 3254

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 $N-\{cis-4-[(4,5-Dimethytpyrimidin-2-yl)amino]$ cyclohexyl $\}-3,5-bis(trifluoromethyl)$ benzamide trifluoroacetate

Step A: Synthesis of 2-chloro-4, 5-dimethylpyrimidine.

[0898] A mixture of 2, 4-dichloro-5-methylpyrimidine (0.3 g, 1.84 mmol), AlMe<sub>3</sub> (0.3 mL, 2.0M) and Pd(PPh<sub>3</sub>)<sub>4</sub> (85 mg, 4%mol) in dry THF (5 mL) was heated in a microwave synthesizer at 150°C for 20 min. The solvent was removed in vacuo and the crude product subjected to chromatography (0-40 % EtOAC/Hex) to yield 2-chloro-4, 5-dimethylpyrimidine (0.13 g, 50 %) as yellow solid.

ESI MS m/e: 143.1 M+H+; <sup>1</sup>H NMR (400 MHz, CDCI<sub>3</sub>) δ 8.24 (s, 1H), 2.45 (s, 3H), 2.22 (s, 3H)

Step B: Synthesis of *N-{cis-*4-[(4,5-dimethylpyrimidin-2-yl)amino]cyclohexyl}-3,5-bis(trifluoromethyl) benzamide trifluoroacetate.

[0899] A mixture of 2-chloro-4, 5-dimethylpyrimidine (30 mg, 0.21 mmol), N-(*cis*-4-aminocyclohexyl)-3,5-bis(trifluoromethyl)benzamide (74.6 mg, 0.21 mmol), Pd(OAc)<sub>2</sub> (0.47 mg, 0.01 equiv.), dppf (1.16 mg, 0.01 equiv.) and KOtBu (59 mg, 0.53 mmol) in toluene (3 mL) was heated in a microwave synthesizer at 150°C for 20 min. The solvent was removed in vacuo and the crude product subjected to purification by HPLC to give *N*-{*cis*-4-[(4,5-dimethyl pyrimidin-2-yl)amino]cyclohexyl}-3,5-bis(trifluoromethyl)benzamide trifluoroacetate (25 mg, 21%) as yellow solid.

ESI MS m/e 461.2 M+H+; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.36 (s, 3H), 7.99 (s, 1H), 4.47 (d, 1H), 4.23 (bs, 1H), 2.52 (s, 3H), 2.13 (s, 3H), 1.95-1.65 (m, 8H)

### Example 3255

N-(3,4-Difluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)urea trifluoroacetate

Step A: Synthesis of N-(3,4-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)urea trifluoroacetate.

35 [0900] cis-N²-(4-Amino-cyclohexyl)-5,N³,N³-trimethyl-pyrimidine-2,4-diamine (30 mg, 0.12 mmol) was dissolved in 1 mL of DMSO. 1,2-Difluoro-4-isocyanato-benzene was added to the solution, and the solution was stirred overnight. The crude was purified by HPLC to give N-(3,4-difluoro phenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)urea trifluoroacetate as a white solid. (32.2 mg, 54.0%).

ESI MS m/e 405.3 (M + H+); <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  13.45 (s, 1H), 8.35 (d, J = 8.0 Hz, 1H), 7.67 (s, 1H), 7.52-7.47 (m, 1H), 7.28-7.26 (m, 1H), 7.07-6.99 (m, 2H), 4.00 (m, 1H), 3.96 (m, 1H), 3.32 (s, 6H), 2.27 (s, 3H), 1.78-1.67 (m, 8H).

### Example 3256

2-[(3,4-Difluorophenyl)amino]-N-(cis-4-{ [4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) nicotinamide

Step A: Synthesis of 2-[(3,4-difluorophenyl)amino]-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)nicotinamide.

[0901] 3,4-Difluoro-aniline (20.6 uL, 0.204 mmol) was dissolved in 1.0 mL of DMF. NaH (8.2 mg, 0.204 mmol) was added to the solution and allowed to stir for 10 minutes. 2-Chloro-*N*-[4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino) cyclohexyl]-nicotinamide (40 mg, 0.102 mmol) was added and the mixture was stirred for another 5 minutes. The reaction was heated via Smith Synthesizer at 200 °C for 1 hour. The crude was purified by silica column chromatography. The column was flushed with 200 mL mixture methanol and methylene (1:9) and 100 mL of methanol to give 2-[(3,4-difluoropheny)amino]-*N*-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide as a white solid. (30.0 mg, 61.2%)

ESI-MS m/z 482.5 (M + H<sup>+</sup>); <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  8.32 (dd, J = 4.8, 1.6 Hz, 1H), 7.92-7.86 (m, 1H), 7.71 (dd, J = 7.6, J= 1.6 Hz, 1H), 7.64 (s, 1H), 7.19-7.03 (m, 2H), 6.76-6.73 (m, 1H), 6.34 (d, J = 6.8 Hz, 1H), 4.95 (s, 1H),

4.11-4.03 (m, 2H), 2.96 (s, 6H), 2.15 (s, 3H), 1.90-1.68 (m, 8H).

#### Example 3257

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6 N-(4-Chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-ethylurea trifluoroacetate

Step A: Synthesis of *N*-(4-chlorophenyl)-*N*'-(*cis*-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)-*N*-ethylurea trifluoroacetate.

[0902] cis-N²-(4-Amino-cyclohexyl)-5,N⁴-,N⁴-trimethyl-pyrimidine-2,4-diamine (75 mg, 0.30 mmol) and 1,1 -carbon-yldiimidazole (58 mg, 0.36 mmol) were dissolved in 1 mL of methylene chloride in a Smith Synthesizer vial and allowed to stir at room temperature overnight. To the vial, (4-chloro-phenyl)-ethyl-amine (94 mg, 0.60 mmol) was added. The solution was heated via Smith Synthesizer at 130 °C for 30 minutes. The solvent was evaporated, and 1 mL of methanol was added to the crude to redissolve it. The crude was then purified by HPLC to give N-(4-chlorophenyl)-N-(cis-4-{ [4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-ethylurea trifluoroacetate as a white solid. (25.0 mg, 15%)

ESI MS m/e 431.3 (M + H<sup>+</sup>); <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  14.0 (s, 1H), 8.65 (d, J = 6.4 Hz, 1H), 7.53 (dd, J = 9.2, J = 2.4 Hz, 2H), 7.43 (b, 1H), 7.28 (dd, J = 9.2, J = 2.4 Hz, 2H), 4.48 (bs, 1H), 4.16 (bs, 1H), 3.99 (m, 2H), 3.39 (s, 6H), 2.34 (s, 3H), 1.84-1.60 (m, 8H), 1.21 (t, J = 7.0 Hz, 3H).

### Example 3258

N-(c/s-4-{[5-Methyl-4-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-{[2-(trifluoromethyl)pyrimidin-4-yl] oxy}acetamide trifluoroacetate

Step A: Synthesis of resin bound N-methylamine.

[0903] 2-(3,5 Dimethoxy-4-formyl)phenoxy ethyl polystyrene resin (1.0 gram; 0.90 mmol/gram) and methylamine 2 M in methanol (5.85 mL, 11.7 mmol) in 15 mL of CH<sub>2</sub>Cl<sub>2</sub> was suspended in a fritted synthesis flask. To this suspension was added a solution of NaBH(OAc)<sub>3</sub> (.0117 mol) in CH<sub>2</sub>Cl<sub>2</sub> (15 mL). After shaking the mixture overnight in a rotary shaker, the solution was removed by filtration. The resulting resin bound N-methylamine was washed sequentially with CH<sub>2</sub>Cl<sub>2</sub>, DMF, and MeOH. The washing sequence was repeated four times. The resin bound N-methylamine was dried under vacuum for 20 minutes.

Step B: Synthesis of resin bound-4-(N-methyl-5 methyl-2-chloro)-pyrimidine.

[0904] The resin bound N-methylamine was suspended in DMF (10 mL). To the resin suspension was added 2,4 dichloro-5-methyl-pyrimidine (1.35 mmol) followed by triethylamine (0.273 mL, 2.70 mmol). The reaction mixture was shaken overnight at room temperature. The solution was removed by filtration and the resin washed sequentially with DMF, CH<sub>2</sub>Cl<sub>2</sub> and MeOH. The wash sequence was repeated four times. The resulting resin bound intermediate was dried under vacuum for 20 minutes..

Step C: Synthesis of resin bound cis-N-(4-N-methyl-5 methyl-pyrimidyl-2yl)cyclohexane-1,4-diamine.

[0905] The resin bound intermediate was divided up into three portions and each portion was transferred into a 5 mL Smith synthesizer reaction vessel. The resins (0.272 mmol) were separately suspended in anhydrous dioxane (3 mL). To each suspension was added *cis* 1,4 diamino cyclohexane (0.405 mmol), tris(dibenzylidineacetone)dipalladium (0) (0.027 mol), 2,2 bisdiphenylphosphino-1,1 binapthyl (BINAP) (0.081 mmol) and sodium tert-butoxide (1.35 mmol). The reactions were heated in a microwave synthesizer at 140°C for 20 minutes. At the completion of the reaction, the resin suspension was transferred to 8 mL fritted tubes. The solutions were removed by filtration. The resins were sequentially washed with MeOH, H<sub>2</sub>O, MeOH, CH<sub>2</sub>Cl<sub>2</sub>, and MeOH. The washing sequence was repeated three times. The resulting resin bound intermediate was dried under vacuum for 20 minutes.

Step D: Synthesis of cis-N-[4-(4-N-methyl-5 methyl-pyrimidyl-2yl-amino)-cyclohexyl]-bromoacetamide.

[0906] The resin bound intermediate (0.27 mmol) was suspended in DCM (3 mL). To the resin suspension was added bromoacetyl bromide (0.27 mmol) and DIEA (.094 mL; 0.54 mmol). The reaction was mixed in a rotary shaker for 45

minutes at room temperature. At the completion of the reaction, the solution was removed by filtration. The resin was sequentially washed with DCM, DMF, DCM, and MeOH. The washing sequence was repeated three times. The resulting resin bound intermediate was dried under vacuum for 20 minutes.

5 Step E: Synthesis of N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-{ [2-(trifluoromethyl)pyrimidin-4-yl]oxy}acetamide trifluoroacetate.

[0907] The resin bound intermediate from Step D (0.27 mmol) was transferred into a 5 mL microwave synthesizer vial. The resin was suspended in anhydrous DMF (2 mL). To the resin suspension was added 4 hydroxy-2-trifluoromethyl pyrimidine (0.54 mmol) and potassium carbonate (0.54 mmol) The reaction was heated in a microwave oven at 140°C for 30 minutes. At the completion of the reaction, the resin suspension was transferred to an 8 mL fritted tube. The solution was removed by filtration and the resin washed sequentially with DMF, DCM, MeOH. The wash sequence repeated three times.

[0908] After drying under vacuum for 20 minutes, the resin bound intermediate was treated with 5 mL of TFA solution (TFA /CH<sub>2</sub>Cl<sub>2</sub> /H<sub>2</sub>O 20:20:1 v/v). The reaction was shaken for 2 hours and the TFA solution was collected after filtration. The TFA was removed by rotary evaporation and the compound subjected to purification by preparative HPLC to give N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-{[2-(trifluoromethyl)pyrimidin-4-yl]oxy}acetamide trifluoroacetate (2.1 mg. 5%) as a white solid.

ESI MS m/e 440.3 M+H+; <sup>1</sup>H NMR (400MHz, CD<sub>3</sub>OD)  $\delta$  (ppm): 8.69 (m, 1H), 7.45 (m, 1H), 7.21-7.17 (m, 1H), 4.95 (m, 2H), 4.03 (bs, 1H), 3.82 (bs, 1H), 3.04 (s, 3H), 1.98 (s, 3H), 1.93-1.61 (m, 8H).

#### Example 3259

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2,2-Difluoro-*N*-(*cis*-4-{[4-methyl-6-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)-1,3-benzodloxole-5-carboxamide trifluoroacetate

Step A: Synthesis of resin bound N-methylamine.

[0909] 2-(3,5 Dimethoxy-4-formyl)phenoxy ethyl polystyrene resin (1.0 gram; 0.94mmol/gram) and methylamine (0.0122 mol) in 15 mL of CH<sub>2</sub>Cl<sub>2</sub> was suspended in a fritted synthesis flask. To this suspension was added a solution of NaBH(OAC)<sub>3</sub> (0.0122 mol) in CH<sub>2</sub>Cl<sub>2</sub> (15 mL). After shaking the mixture overnight in a rotary shaker, the solution was removed by filtration. The resulting resin bound N-methylamine was washed sequentially with CH<sub>2</sub>Cl<sub>2</sub>, DMF, and MeOH. The washing sequence was repeated four times. The resin bound N-methylamine was dried under vacuum for 20 minutes.

Step B: Synthesis of resin bound-4-(N-methyl-6 methyl-2-chloro)-pyrimidine.

[0910] The resin bound N-methylamine was suspended in DMF (10 mL). To the resin suspension was added 2,4 dichloro-6-methyl-pyrimidine (1.41 mmol) followed by triethylamine (0.393 mL, 2.82 mmol). The reaction mixture was shaken at 40 °C overnight. The solution was removed by filtration and the resin washed sequentially with DMF, CH<sub>2</sub>Cl<sub>2</sub> and MeOH. The wash sequence was repeated four times. The resulting resin bound intermediate was dried under vacuum for 20 minutes.

Step C: Synthesis of resin bound cis-N-(4-N-methyl-6methyl-pyrimidyl-2yl)cyclohexane-1,4-diamine.

[0911] The resin bound intermediate was divided up into three portions and each portion was transferred into a 5 mL Smith synthesizer reaction vessel. The resins (0.282 mmol) were separately suspended in a 1:1 solution of IPA/ H20 (3 mL). To each suspension was added *cis* 1,4 diamino cyclohexane (0.85 mmol) and DIEA (0.295ml; 1.69 mmol). The reactions were heated in a microwave synthesizer at 180°C for 4.5 hours. The resins were pooled together; and the solution removed by filtration. The resin was sequentially washed with IPA, H<sub>2</sub>O, MeOH, CH<sub>2</sub>Cl<sub>2</sub>, and MeOH. The washing sequence was repeated three times. The resulting resin bound intermediate was dried under vacuum for 20 minutes.

Step D: Synthesis of 2,2-difluoro-*N*-(*cis*-4-{[4-methyl-6-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)-1,3-benzodioxole-5-carboxamide trifluoroacetate.

[0912] The resin bound intermediate was suspended in DMF (8mL). To the resin suspension was added the 2,2 diflouro 1,3 benzodioxole 5-carbonyl chloride (0.846 mmol) and triethylamine (0.256 mL; 1.69 mmol). The reaction

was shaken in a rotary mixer at room temperature for 45 minutes. The solution was removed by filtration and the resin washed sequentially with DMF, CH<sub>2</sub>Cl<sub>2</sub>, MeOH. The wash sequence repeated three times.

[0913] After drying under vacuum for 20 minutes, the resin bound intermediate was treated with 15 mL of TFA solution (TFA/CH<sub>2</sub>Cl<sub>2</sub>/H<sub>2</sub>O 20:20:1 v/v). The reaction was shaken for 2 hours and the TFA solution was collected after filtration. The TFA was removed by rotary evaporation and the compound subjected to purification by preparative HPLC to give

2,2-difluoro-*N*-(*cis*-4-{[4-methyl-6-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)-1,3-benzodioxole-5-carboxamide trifluoroacetate (2.0 mg. 2%) as a white solid.

ESI MS m/e 420.5 M+H+; <sup>1</sup>H NMR (400MHz, CD<sub>3</sub>OD)  $\delta$  (ppm): 8.24 (m, 1H), 7.72-7.68 (m, 2H), 7.31-7.29 (m, 1H), 5.86 (s, 1H), 4.18-3.99 (m, 2H), 2.99 (s, 3H), 2.25 (s, 3H), 1.93-1.80 (m, 8H).

### Examples 3260-3262

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[0914] Compounds 3260 to 3262 were prepared in a similar manner as described in Example 3242 using the appropriate bromoacetophemone and amine intermediate from Step A.

### Examples 3263-3267

[0915] Compounds 3263 to 3267 were prepared in a similar manner as described in Example 3243 using the appropriate acid and amine intermediate from Step A.

### **Examples 3268-3272**

[0916] Compounds 3268 to 3272 were prepared in a similar manner as described in Example 3244 using the appropriate isocyanate and amine intermediate from Step A.

### Examples 3273-3275

[0917] Compounds 3723 to 3275 were prepared in a similar manner as described in Example 3245 using the appropriate amine and carboxylic intermediate from Step A.

# Examples 3276-3280

[0918] Compounds 3276 to 3280 were prepared in a similar manner as described in Example 3246 using the appropriate acid chloride and amine intermediate from Step D.

### Examples 3281-3291

[0919] Compounds 3281 to 3291 were prepared in a similar manner as described in Example 2656 using the appropriate thioderivative and amine intermediate from Step A.

### Examples 3292-3303

[0920] Compounds 3292 to 3303 were prepared in a similar manner as described in Example 3251 using the appropriate amine and carboxylic intermediate from Step B.

### Examples 3304-3307

[0921] Compounds 3304 to 3307 were prepared in a similar manner as described in Example 3252 using the appropriate amine and carboxylic intermediate from Step B.

## Examples 3308

[0922] Compounds 3308 were prepared in a similar manner as described in Example 3251 using the appropriate amine and carboxylic intermediate from Step B.

### Examples 3309-3315

[0923] Compounds 3309 to 3315 were prepared in a similar manner as described in Example 3252 using the appro-

priate amine and carboxylic intermediate from Step B.

### Examples 3316-3320

5 [0924] Compounds 3316 to 3320 were prepared in a similar manner as described in Example 3253 using the appropriate aldehyde and amine intermediate from Step D.

# **Examples 3321-3345**

[0925] Compounds 3321 to 3345 were prepared in a similar manner as described in Example 3255 using the appropriate isocyate and amine intermediate from Step A.

### Examples 3346-3355

[0926] Compounds 3346 to 3355 were prepared in a similar manner as described in Example 3257 using the appropriate aniline and amine intermediate from Step A.

### Examples 3356-3357

[0927] Compounds 3356 to 3357 were prepared in a similar manner as described in Example 2638 using the appropriate hydroxyaryl derivative and bromide intermediate from Step B.

### Examples 3358-3359

[0928] Compounds 3358 to 3359 were prepared in a similar manner as described in Example 3259 using the appropriate acid chloride and amine intermediate from Step D.

### Examples 3360-3365

30 [0929] Compounds 3360 to 3365 were prepared in a similar manner as described in Example 3259 using the appropriate hydroxyaryl derivative and bromide intermediate from Step E.

### Examples 3366-3367

[0930] Compounds 3366 to 3367 were prepared in a similar manner as described in Example 3250 using the appropriate acid chloride derivative and amine intermediate from Step E.

# Examples 3368-3381

[0931] Compounds 3368 to 3381 were prepared in a similar manner as described in Example 3249 using the appropriate thiophenol and nicotinamide intermediate from Step A.

### Example 3382

[0932] Compound 3382 was prepared in a similar manner as described in Example 2497 using 4-trifluoromethoxybenzoyl chloride and the amine intermediate from Step E.

Ex. No.	compound name	MS	class	
3260	1-(4-chlorophenyl)-2-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino]cyclohexyl)amino]ethanone	402.4 (M + H)	2	
3261	1-(3,4-difluorophenyl)-2-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)amino]ethanone	404.4 (M + H)	3	
3262	1-(4-bromophenyl)-2-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino]cyclohexyl)amino]ethanone	446.3 (M + H)	3	

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	Ex. No.	compound name	MS	class
5	3263	N-{1-[3,5-bis(trifluoromethyl)phenyl]-1-methylethyl}-N'-(cis-4-{ [4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-cyclohexyl)urea	547.6 (M + H)	2
	3264	N [1-(4-chlorophenyl)-1-methylethyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)}cyclohexyl)urea	445.3 (M + H)	1
10	3265	N-[1-(4-chlorophenyl)-1-methylethyl]-N'-(cis-4-{[4-(dimethylamino)- .6-methylpyrimidin-2-yl]amino}cyclohexyl)urea	445.2 (M + H)	2
	3266	N-[1-(4-chlorophenyl)cyclopropyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)urea	443.3 (M + H)	1
15	3267	N-[1-(4-chlorophenyl)cyclopropyl]-N'-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)urea	443.4 (M + H)	1
	3268	N-[1-[3,5-bis(trifluoromethyl)phenyl]-1-methylethyl}-N'-(cis-4-{ [4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methylurea	561.4 (M + H)	3
20	3269	N-[1-(4-chlorophenyl)-1-methylethyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methylurea	459.6 (M + H)	1
	3270	N-[1-(4-chlorophenyl)-1-methylethyl]-N'-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methylurea	459.5 (M + H)	2
25	3271	N-[1-(4-chlorophenyl)cyclopropyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methylurea	457.5 (M + H)	2
	3272	N-[1-(4-chlorophenyl)cyclopropyl]-N'-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methylurea	457.2 (M + H)	3
30	3273	cis-N-[1-(4-chlorophenyl)-1-methylethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide	430.3 (M + H)	2
	3274	cis-N-[1-(4-chlorophenyl)-1-methylethyl]-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide	430.4 (M + H)	3
35	3275	cis-N-{1-[3,5-bis(trifluoromethyl)phenyl]-1-methylethyl}-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide	532.3 (M + H)	3
	3276	4-chloro-N-{cis-4-[(4-methoxy-5-methylpyrimidin-2-yl)amino]cyclohexyl} benzamide	375.1 (M + H)	3
40	3277	N-{cis-4-[(4-methoxy-5-methylpyrimidin-2-yl)amino]cyclohexyl}- 4-(trifluoromethoxy)benzamide	425.1 (M + H)	3
	3278	3,4-dichloro-N-{cis-4-[(4-methoxy-5-methylpyrimidin-2-yl)amino]cyclohexyl} benzamide	408.9 (M + H)	2
45	3279	3,5-dichloro-N-{cis-4-[(4-methoxy-5-methylpyrimidin-2-yl)amino]cyclohexyl} benzamide	409.1 (M + H)	3
	3280	N-{cis-4-[(4-methoxy-5-methylpyrimidin-2-yl)amino]cyclohexyl}-3,5-bis (trifluoromethyl)benzamide	477.2 (M + H)	3
50	3281	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)- 2-[(4-fluorophenyl)sulfonyl]nicotinamide	513.4 (M + H)	1
	3282	2-[(4-chlorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)nicotinamide	529.4 (M + H)	1
55	3283	2-[(3-chlorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide	529.4 (M + H)	2
55	3284	2-[(2-chlorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide	529.3 (M + H)	2

	Ex. No.	compound name	MS	class
5	3285	2-[(3-bromophenyl)sulfonyl]-N-(cis-4-[[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)nicotinamide	573.6 (M + H)	2
	3286	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-[(4-methoxyphenyl)sulfonyl]-nicotinamide	525.4 (M + H)	3
10	3287	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{ [3-(trifluoromethyl)phenyl]sulfonyl}-nicotinamide	563.5 (M + H)	2
	3288	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-[(4-methylphenyl)sulfonyl]nicotinamide	509.6 (M + H)	2
15	3289	2-[(4-bromophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-5- methylpyrimidin-2-yl]amino)cyclohexyl)nicotinamide	573.5 (M + H)	2
	3290	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-[(2-methyl-3-furyl)sulfonyl]nicotinamide	499.4 (M + H)	3
20	3291	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{ [4-(trifluoromethyl)phenyl]sulfonyl}-nicotinamide	563.5 (M + H)	2
	3292	cis-N-[(1S)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide	416.3 (M + H)	2
25	3293	cis-N-{(1S)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl)}-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide	518.4 (M + H)	3
	3294	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(2-fluorophenyl)ethyl]cyclohexanecarboxamide	400.3 (M + H)	3
30	3295	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(2-fluorophenyl)ethyl]cyclohexanecarboxamide	400.3 (M + H)	3
	3296	cis-N-[(1S)-1-(4-bromophenyl)ethyl]-4-[[4-(dimethylamino)-5-methylpyrimidin- 2-yl]amino}cyclohexanecarboxamide	460.3 (M + H)	1
35	3297	cis-N-[(1R)-1-(4-bromophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide	460.3 (M + H)	2
	3298	4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-{(1S)- 1-[3-(trifluoromethyl)phenyl]ethyl}cyclohexanecarboxamide	450.2 (M + H)	1
40	3299	4 {[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-{(1R)- 1-[3-(trifluoromethyl)phenyl]ethyl}cyclohexanecarboxamide	450.3 (M + H)	1
	3300	4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-{(1S)- 1-[2-(trifluoromethyl)phenyl]ethyl}cyclohexanecarboxamide	450.4 (M + H)	1
45	3301	4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)-N-[(1R)- 1-(2-(trifluoromethyl)phenyl]ethyl}cyclohexanecarboxamide	450 (M + H)	3
	3302	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-{(1S)-1-[4-(trifluoromethoxy)phenyl]ethyl}-cyclohexanecarboxamide	466.4 (M + H)	1
50	3303	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-{(1R)-1-[4-(trifluoromethoxy)phenyl]ethyl}-cyclohexanecarboxamide	466.4 (M + H)	2
	3304	cis-N-[(1S)-1-(4-bromophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino] cyclohexanecarboxamide	466.4 (M + H)	3
EE	3305	cis-N-[(1R)-1-(4-chlorophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino] cyclohexanecarboxamide	422.3 (M + H)	2
55	3306	cis-N-[(1S)-1-(4-chlorophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino] cyclohexanecarboxamide	422.4 (M + H)	2

	Ex. No. compound name MS		MS	class
5	3307	cis-4-[(4-methylquinolin-2-yl)amino]-N-{(1R)-1-[3-(trifluoromethyl)phenyl] ethyl}cyclohexanecarboxamide	456.3 (M + H)	1
	3308	cis-N-[(1S)-1-(4-bromophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide	460 (M + H)	1
10	3309	cis-N-{(1S)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl}-4-[(4-methylquinolin-2-yl) amino]cyclohexanecarboxamide	524.2 (M + H)	2
	3310	cis-4-[(4-methylquinolin-2-yl)amino]-N-{(1R)-1-[4-(trifluoromethoxy)phenyl] ethyl}cyclohexanecarboxamide	472.4 (M + H)	3
15	3311	cis-N-[(1R)-1-(2-fluorophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino] cyclohexanecarboxamide	406.2 (M + H)	3
	3312	cis-N-[(1S)-1-(2-fluorophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino] cyclohexanecarboxamide	406.3 (M + H)	1
20	3313	cis-4-[(4-methylquinolin-2-yl)amino]-N-{(1R)-1-[2-(trifluoromethyl)phenyl] ethyl}cyclohexanecarboxamide	456.2 (M + H)	2
	3314	cis-4-[(4-methylquinolin-2-yl)amino]-N-{(1S)-1-[2-(trifluoromethyl)phenyl] ethyl}cyclohexanecarboxamide	456.3 (M + H)	1
25	3315	cis-4-[(4-methylquinolin-2-yl)amino]-N-{(1S)-1-[3-(trifluoromethyl)phenyl] ethyl}cyclohexanecarboxamide	456 (M + H)	1
	3316	trans-2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)-cyclopropanecarboxamide	428.4 (M + H)	1
30	3317	trans-2-(3-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylp)yrimidin-2-yl]aminol}cyclohexyl)-cyclopropanecarboxamide	428 (M + H)	1
	3318	trans-2-(3,4-difluorophenyl)-N-(cis-4-{(4-(dimethylamino)-5-methylpyrimidin- 2-yl]amino}cyclohexyl)-cyclopropanecarboxamide	430.2 (M + H)	1
35	3319	trans-2-(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-cyclopropanecarboxamide	462.3 (M + H)	1
	3320	trans-2-[3,5-bis(trifluoromethyl)phenyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-cyclopropanecarboxamide	530.2 (M + H)	1
40	3321	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N'-(2-methoxyphenyl)urea	399.3 (M + H)	2
	3322	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N'-(3-methoxyphenyl)urea	3993 (M + H)	3
45	3323	N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)urea	429.4 (M + H)	1
	3324	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N'-(2-fluorophenyl)urea	387.5 (M+H)	2
50	3325	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N'-(3-fluorophenyl)urea	387.4 (M + H)	2
	3326	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N'-(4-fluorophenyl)urea	387.4 (M + H)	1
66	3327	N-(3,4-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)urea	405.3 (M + H)	2
55	3328	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N'-[3-(trifluoromethyl)phenyl]urea	437.3 (M+H)	

	Ex. No.	compound name	MS	class
5	3329	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N'-[4-(trifluoromethyl)phenyl] urea	437.2 (M + H)	
	3330	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N'-[2-(trifluoromethoxy)phenyl]urea	453.1 (M + H)	1
10	3331	N-(3-chloro-4-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)urea	421.1 (M + H)	2 .
	3332	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N'-[4-fluoro-3-(trifluoromethyl)-phenyl]urea	455.3 (M + H)	
15	3333	N-(4-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)urea	403.2 (M + H)	2
	3334	N-[3,5-bis(trifluoromethyl)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)urea	505.3 (M + H)	2
20	3335	N-(4-bromophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)urea	447.1 (M + H)	1
	3336	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N'-(2-methylphenyl)urea	383.2 (M + H)	2
25	3337	N-(3,4-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)urea	437.3 (M + H)	2
	3338	N-(2,4-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino)}cyclohexyl)urea	437.3 (M + H)	2
30	3339	N-(3,5-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)urea	437.3 (M + H)	2
	3340	N-(3-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)urea	403.4 (M + H)	
35	3341	N-benzyl-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexyl)urea	383.5 (M + H)	2
	3342	N-(2,5-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino)cyclohexyl)urea	437.3 (M + H)	2
40	3343	N-(2'3-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)urea	437.3 (M + H)	3
	3344	N-[2-chloro-6-(trifluoromethyl)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)urea	471.3 (M + H)	3
45	3345	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N'-(2,4,6-trichlorophenyl)urea	471.3 (M + H)	1
	3346	N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) -N-(2-fluorophenyl)-N-methylurea	401.2 (M + H)	3
50	3347	N-(2-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)-N-methylurea	417.1 (M + H)	2
50	3348	N-(2,4-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)-N-methylurea	451.2 (M + H)	1
	3349	N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-ethyl-N-[2-(trifluoromethoxy)-phenyl]urea	481.3 (M + H)	2
55	3350	N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-ethyl-N-phenylurea	397.1 (M + H)	1

	Ex. No.	compound name	MS	class
5	3351	N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-ethyl-N-[4-(trifluoromethoxy)-phenyl]urea	481.1 (M + H)	1
	3352	N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methyl-N-[2-(trifluoromethoxy)-phenyl]urea	467.2 (M + H)	1
10	3353	N-(4-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)-N-ethylurea	431.3 (M + H)	1
	3354	N-[3,5-bis(trifluoromethyl)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-ethylurea	533.1 (M + H)	1
15	3355	N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-ethyl-N-(3-methylphenyl)urea	411.3 (M + H)	1
	3356	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{ [1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]oxy}acetamide	456.4 (M + H)	1
20	3357	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{ [6-(trifluoromethyl)pyrimidin-4-yl]oxy acetamide	454.2 (M + H)	3
	3358	2,2-difluoro-N-(cis-4-{[4-methyl-6-(methylamino)pyrimidin-2-yl]amino} cyclohexyl)-1,3-benzodioxole-5-carboxamide	420.5 (M + H)	
25	3359	4-chloro-N-(cis-4-{[4-methyl-6-(methylamino)pyrimidin-2-yl]amino} cyclohexyl)-3-(trifluoromethyl)benzamide	442.1 (M + H)	
	3360	2-(3,4-dichlorophenoxy)-N-(cis-4-{[4-methyl-6-(methylamino)pyrimidin-2-yl] amino}cyclohexyl)acetamide	438.3 (M + H)	1
30	3361	N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}-cyclohexyl)-2-{ (2-(trifluoromethyl)pyrimidin-4-yl]oxy}-acetamide	440.3 (M + H)	
	3362	N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}-cyclohexyl)-2-{ [1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]oxy} acetamide	442.5 (M + H)	3
35	3363	N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}-cyclohexyl)-2-{ [6-(trifluoromethyl)pyrimidin-4-yl]oxy}acetamide	440.3 (M + H)	3
	3364	N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}-cyclohexyl)-2-{ [1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl]oxy} acetamide	442.4 (M + H)	3
40	3365	N-(cis-4-{(5-methyl-4-(methylamino)pyrimidin-2-yl]amino}-cyclohexyl)-2-{ [3-(trifluoromethyl)-1H-pyrazol-5-yl]oxy}-acetamide	428.2 (M + H)	
	3366	3,4-difluoro-N-(cis-4-{[(4-methylquinolin-2-yl)methyl]amino}cyclohexyl) benzamide	410.3 (M + H)	3
45	3367	3-chloro-N-(cis-4-{[(4-methylquinolin-2-yl)methyl]amino}cyclohexyl) benzamide	408.3 (M + H)	
	3368	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 2-[(4-fluorophenyl)sulfonyl]nicotinamide	513.5 (M + H)	2
50	3369	2-[(2-chlorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide	513.5 (M + H)	2
	3370	2-[(3-chlorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide	529.1 (M + H)	2
E.E.	3371	2-[(4-chlorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide	529.1 (M + H)	3
55	3372	2-[(2-bromophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide	573.3 (M + H)	3

### (continued)

!	Ex. No.	compound name	MS	class
5	3373	2-[(3-bromophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-6-3373 methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide	575.4 (M + H)	2
	3374	2-[(4-bromophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide	573.2 (M + H)	3
10	3375	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 2-[(2-methylphenyl)sulfonyl]nicotinamide	509.5 (M + H)	2
	3376	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-2-[(3-methylphenyl)sulfonyl]nicotinamide	509.5 (M + H)	3
15	3377	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)- 2-[(4-methylphenyl)sulfonyl]nicotinamide	509.5 (M + H)	2
	3378	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-2-[(2-methoxyphenyl)sulfonyl]-nicotinamide	525.3 (M + H)	3
20	3379	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-2-[(3-methoxyphenyl)sulfonyl]-nicotinamide	525.3 (M + H)	3
	3380	N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-2-[(4-methoxyphenyl)sulfonyl]-nicotinamide	525.3 (M + H)	3
25	3381	N-(cis-4-{(4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{ [2-(trifluoromethyl)phenyl]-sulfonyl}nicotinamide	563.4 (M + H)	3
	3382	N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}-4-(trifluoromethoxy) benzamide	444.4 (M + H)	1

### 30 Example 3383

4-Chloro-*N*-[*cis*-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3- fluoro-benzamide hydrochloride

Step A: Synthesis of 4-chloro-N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-fluoro-benzamide hydrochloride.

[0933] To a solution of N²-(cis-4-amino-cyclohexyl)-6,N³-,N⁴-trimethyl-pyrimidine-2,4-diamine obtained in step A of example 3127 (300 mg) in DMF (3 mL) were added 4-chloro-3-fluoro-benzoic acid (252 mg), Et₃N (0.42 mL), HOBt-H₂O (276 mg), and EDC-HCl (277 mg). The reaction mixture was stirred at ambient temperature for 1 day. The reaction mixture was poured into saturated aqueous NaHCO₃ and the aqueous layer was extracted with CHCl₃ (three times). The combined organic layer was dried over MgSO₄, filtered, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (NH-silica gel, 15% to 60% EtOAc in hexane). The solution of the above purified material in EtOAc (5 mL) was added 4 M hydrogen chloride in EtOAc (10 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et₂O (20 mL) and the suspension was stirred at ambient temperature for 2 hr. The precipitate was collected by filtration, washed with Et₂O, and dried at 80 °C under reduced pressure to give 4-chloro-N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-fluoro-benzamide hydrochloride (335 mg) as a white solid.

ESI MS m/e 406, M (free) + H+; H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.64-2.01 (m, 8 H), 2.35 (s, 3 H), 3.14 (s, 3H), 3.26 (s, 3 H), 4.02-4.31 (m, 2 H), 5.74 (s, 1 H), 6.84-6.96 (m, 1 H), 7.40-7.49 (m, 1 H), 7.53-7.60 (m, 1 H), 7.69 (dd, J = 9.7, 1.9 Hz, 1 H), 8.48-8.65 (m, 1 H), 12.93-13.08 (m, 1 H).

### Example 3384

3-Chloro-*N*-[*cis*-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-5-fluoro-benzamide hydrochloride

Step A: Synthesis of 3-chloro-*N*-[*cls*-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-5-fluorobenzamide hydrochloride.

[0934] Using the procedure for the step A of example 3383, the title compound was obtained.

ESI MS m/e 406, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.64-2.05 (m, 8 H), 2.36 (s, 3 H), 3.15 (s, 3 H), 3.26 (s, 3 H), 4.01-4.30 (m, 2 H), 5.75 (s, 1 H), 6.45-6.54 (m, 1 H), 7.17-7.23 (m, 1 H), 7.40-7.47 (m, 1 H), 7.57-7.61 (m, 1 H), 8.60-8.71 (m, 1 H), 13.07-13.19 (m, 1H).

#### Example 3385

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*N*-[*cis*-4-(4-Dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4,5-trifluoro-benzamide hydrochloride

Step A: Synthesis of *N*-[*cis*-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4,5-trifluorobenzamide hydrochloride.

[0935] Using the procedure for the step A of example 3383, the title compound was obtained. ESI MS m/e 408, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.62-2.01 (m, 8 H), 2.36 (s, 3 H), 3.14 (s, 3 H), 3.26 (s, 3 H), 4.00-4.32 (m, 2 H), 5.75 (s, 1 H), 6.70-6.81 (m, 1 H), 7.47-7.59 (m, 2 H), 8.54-8.66 (m, 1 H), 12.92-13.08 (m, 1 H).

Example 3386

3-Chloro-4-fluoro-*N*-[*cis*-4-(5-methyl-4-methylamino-pyrimidin-2-ylamino)-cyclohexyl]-benzamide hydrochloride

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Step A: Synthesis of (2-chloro-5-methyl-pyrimidin-4-yl)-methyl-amine.

[0936] To the solution of 2,4-dichloro-5-methylpyrimidine (5.00 g) in THF (50 mL) were added iPr $_2$ NEt (6.4 mL) and 40% aqueous MeNH $_2$  (4.78 mL). The mixture was stirred at ambient temperature for 12 hr and concentrated under reduced pressure. To the residue was added saturated aqueous NaHCO $_3$  and the aqueous layer was extracted with CHCl $_3$  (three times). The combined organic layer was dried over MgSO $_4$ , filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 9% to 20% EtOAc in hexane) to give (2-chloro-5-methyl-pyrimidin-4-yl)-methyl-amine (3.55 g) as a white solid.

ESI MS m/e 408, M + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  2.01 (d, J = 0.8 Hz, 3 H), 3.07 (d, J = 5.0 Hz, 3 H), 4.89-5.06 (m, 1 H), 7.79 (s, 1 H).

Step B: Synthesis of 3-chloro-4-fluoro-*N*-[cis-4-(5-methyl-4-methylamino-pyrlmidin-2-ylamino)-cyclohexyl]-benzamide hydrochloride.

[0937] Using the procedure for the step B of example 3228, the title compound was obtained. ESI MS m/e 392, M (free) + H<sup>+</sup>;  $^{1}$ H NMR (300 MHz, DMSO-d<sub>6</sub>)  $^{5}$  1.64-1.98 (m, 1 H), 2.94 (d,  $^{2}$ J = 4.5 Hz, 3 H), 3.80-4.08 (m, 2 H), 7.48-7.67 (m, 2 H), 7.87-7.95 (m, 1 H), 8.08-8.51 (m, 4 H), 11.95-12.03 (m, 1 H).

# Example 3387

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4-Chloro-*N*-[*cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-fluoro-benzamide hydrochloride

Step A: Synthesis of 4-chloro-*N*-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-fluorobenzamide hydrochloride.

[0938] To a solution of N<sup>2</sup>-(cis-4-amino-cyclohexyl)-5,N<sup>4</sup>,N<sup>4</sup>-trimethyl-pyrimidine-2,4-diamine obtained in step C of example 3119 (250 mg) in DMF (4 mL) were added 4-chloro-3-fluoro-benzoic acid (209 mg), Et<sub>3</sub>N (0.36 mL), HOBt-

H<sub>2</sub>O (230 mg), and EDC-HCI (230 mg). The reaction mixture was stirred at ambient temperature for 16 hr. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 40% EtOAc in hexane). The solution of the above purified material in EtOAc (10 mL) was added 4 M hydrogen chloride in EtOAc (0.5 mL). The mixture was stirred at ambient temperature for 1 hr and concentrated. The residue was suspended in Et<sub>2</sub>O (10 mL) and the suspension was stirred at ambient temperature for 4 hr. The precipitate was collected by filtration, washed with Et<sub>2</sub>O and dried at 80 °C under reduced pressure to give 4-chloro-*N*-[*cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-fluoro-benzamide hydrochloride (208 mg) as a white solid.

ESI MS m/e 406, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.65-2.00 (m, 8 H), 2.26 (s, 3 H), 3.31 (s, 6 H), 3.98-4.27 (m, 2 H), 6.53-6.72 (m, 1 H), 7.20-7.27 (m, 1 H), 7.41-7.59 (m, 2 H), 7.64-7.73 (m, 1 H), 8.53-8.73 (m, 1 H), 12.76-12.95 (m, 1 H).

### Example 3388

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3-Chloro-*N*-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-5-fluoro-benzamide hydrochloride

Step A: Synthesis of 3-chloro-*N*-[*cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-5-fluorobenzamide hydrochloride.

[0939] Using the procedure for the step A of example 3387, the title compound was obtained. ESI MS m/e 406, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.64-2.01 (m, 8 H), 2.26 (s, 3 H), 3.30 (s, 6 H), 4.02-4.25 (m, 2 H), 7.02-7.28 (m, 3 H), 7.46-7.53 (m, 1 H), 7.63-7.68 (m, 1 H), 8.48-8.60 (m, 1 H), 12.70-12.84 (m, 1 H).

Example 3389

N-[cis-4-(4-Dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4,5-trifluoro-benzamide hydrochloride

Step A: Synthesis of *N*-[*cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4,5-trifluorobenzamide hydrochloride.

[0940] Using the procedure for the step A of example 3387, the title compound was obtained.

ESI MS m/e 408, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.60-2.02 (m, 8 H), 2.26 (s, 3 H), 3.31 (s, 6 H), 4.01-4.26 (m, 2 H), 6.65-6.76 (m, 1 H), 7.21-7.29 (m, 1 H), 7.48-7.60 (m, 2 H), 8.57-8.69 (m, 1 H), 12.73-12.91 (m, 1 H).

Example 3390

N-[cis-4-(4-Dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,5-difluoro-benzamide hydrochloride

Step A: Synthesis of *N*-[*cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,5-difluorobenzamide hydrochloride.

[0941] Using the procedure for the step D of example 3119, the title compound was obtained.
 ESI MS m/e 390, M (free) + H+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.61-2.06 (m, 8 H), 2.26 (s, 3 H), 3.31 (s, 6 H), 4.01-4.29 (m, 2 H), 6.55-6.70 (m, 1 H), 6.84-7.01 (m, 1 H), 7.18-7.43 (m, 3 H), 8.54-8.71 (m, 1 H), 12.77-12.97 (m, 1 H).

### Example 3391

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2-(3,4-Difluoro-phenyl)-*N*-[*cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-acetamide hydrochloride

Step A: Synthesis of 2-(3,4-difluoro-phenyl)-*N*-[*cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-acetamide hydrochloride.

[0942] Using the procedure for the step A of example 3387, the title compound was obtained. ESI MS m/e 404, M (free) + H<sup>+</sup>;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.57-1.94 (m, 8 H), 2.24 (s, 3 H), 3.29 (s, 6 H), 3.47 (s,

2 H), 3.80-3.97 (m, 1 H), 4.05-4.18 (m, 1 H), 6.01-6.15 (m, 1 H), 6.95-7.28 (m, 4 H), 8.46-8.86 (m, 1 H), 12.81-13.01 (m, 1 H).

Example 3392

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- N-[cis-4-(4-Amino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-chloro-4-fluoro-benzamide hydrochloride
- Step A: Synthesis of 2-chloro-5-methyl-pyrimidin-4-ylamine.
- 10 [0943] To the solution of 2,4-dichloro-5-methylpyrimidine (1.00 g) in IPA (2 mL) was added 28% aqueous NH<sub>3</sub> (2 mL). The mixture was heated in a microwave synthesizer at 120°C for 20 min. To the mixture was added saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 50% EtOAc in hexane) to give 2-chloro-5-methyl-pyrimidin-4-ylamine (151 mg) as a white solid.
- 15 ESI MS m/e 143, M+; <sup>1</sup>H NMR (300 MHz, DMSO-d<sub>6</sub>) δ 1.94 (s, 3 H), 7.81 (s, 1 H).
  - Step B: Synthesis of *N*{*cis*-4-(4-amino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-chloro-4-fluoro-benzamide hydrochloride.
- 20 [0944] Using the procedure for the step B of example 3228, the title compound was obtained. ESI MS m/e 378, M (free) + H+;  $^{1}$ H NMR (300 MHz, DMSO-d<sub>6</sub>)  $\delta$  1.63-1.94 (m, 8 H), 1.91 (s, 3 H), 3.79-4.00 (m, 2 H), 7.52 (t, J = 8.9 Hz, 1 H), 7.63-7.70 (m, 1 H), 7.78-7.99 (m, 2 H), 8.07-8.13 (m, 1 H), 8.28-8.48 (m, 1 H), 11.86-11.96 (m, 1 H).
- 25 Example 3393
  - 2-(3,4-Dichloro-phenoxy)-*N*-[*cis*-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-acetamide hydrochloride
- 30 Step A: Synthesis of 2-(3,4-dichloro-phenoxy)-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]acetamide hydrochloride.
- [0945] Using the procedure for the step A of example 3198, the title compound was obtained. ESI MS m/e 438, M (free)+; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.59-2.03 (m, 8 H), 3.17 (s, 3 H), 3.27 (s, 3 H), 3.88-4.08 (m, 1 H), 4.11-4.25 (m, 1 H), 4.43 (s, 2 H), 5.96 (d, *J* = 7.5 Hz, 1 H), 6.66-6.79 (m, 1 H), 6.88 (dd, *J* = 8.9,3.0 Hz, 1 H), 7.10 (d, *J* = 3.0 Hz, 1 H), 7.37 (d, *J* = 8.9 Hz, 1 H), 7.43-7.53 (m, 1 H), 8.69-8.83 (m, 1 H), 13.21 (brs, 1 H).

Example 3394

- 40 N-[cis-4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-(3-methoxy-phenoxy)-acetamide hydrochloride
  - Step A: Synthesis of *N*-[*cis*-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-(3-methoxy-phenoxy)-acetamide hydrochloride.
  - [0946] Using the procedure for the step A of example 3198, the title compound was obtained. ESI MS m/e 400, M (free) + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.63-2.03 (m, 8 H), 3.16 (s, 3 H), 3.27 (s, 3 H), 3.82 (s, 3 H), 3.92-4.08 (m, 1 H), 4.09-4.23 (m, 1 H), 4.45 (s, 2 H), 5.96 (d, J = 7.3 Hz, 1 H), 6.47-6.64 (m, 3 H), 6.75-6.90 (m, 1 H), 7.14-7.25 (m, 1 H), 7.40-7.56 (m, 1 H), 8.62-8.79 (m, 1 H), 13.29 (brs, 1 H).

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### Example 3395

N-[cis-4-(4-Dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-C-(ethyl-phenyl-amino)-acetamide dihydrochloride

Step A: Synthesis of *N*-[*cis*-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-*C*-(ethyl-phenyl-amino)-acetamide dihydrochloride.

[0947] Using the procedure for the step A of example 3198, the title compound was obtained. ESI MS m/e 397, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, DMSO-d6)  $\delta$  1.09 (t, J = 7.0 Hz, 3 H), 1.41-1.87 (m, 8 H), 3.14 (s, 3 H), 3.18 (s, 3 H), 3.43 (q, J = 7.0 Hz, 2 H), 3.60-3.80 (m, 1 H), 3.82-4.01 (m, 3H), 6.36 (d, J = 7.5 Hz, 1 H), 6.57-6.80 (m, 3 H), 7.06-7.28 (m, 2 H), 7.72-8.05 (m, 2 H), 8.20-8.42 (m, 1 H), 12.19 (brs, 1 H).

# Example 3396

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5-Chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide

Step A: Synthesis of 5-bromo-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide.

[0948] To a solution of *N*-(*cis*-4-methyl-quinolin-2-yl)-cyclohexane-1,4-diamine obtained in step A of example 3070 (5.00 g) in DMF (50 mL) were added 5-bromo-nicotinic acid (4.74 g), Et<sub>3</sub>N (6.55 mL), HOBt-H<sub>2</sub>O (4.50 g), and EDC-HCI (4.51 g). The reaction mixture was stirred at ambient temperature for 16 hr. The reaction mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (NH-silica gel, 20% to 40% EtOAc in hexane) to give 5-bromo-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide (9.81 g) as a white solid.

ESI MS m/e 439, M + H+; ¹H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.67-2.84 (m, 8 H), 2.58 (s, 3 H), 4.07-4.24 (m, 2 H), 4.72-4.83 (m, 1 H), 6.11-6.20 (m, 1 H), 6.52 (s, 1 H), 7.20-7.28 (m, 1 H), 7.49-7.81 (m, 3 H), 8.23-8.29 (m, 1 H), 8.79 (d, *J* = 2.3 Hz, 1 H), 8.86 (d, *J* = 1.9 Hz, 1 H).

Step B: Synthesis of 5-amino-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide.

[0949] To the solution of 5-bromo-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide (6.00 g) in EtOH (40 mL) were added copper (2.17 g), cuprous chloride (3.37 g), and 28 % aqueous NH<sub>3</sub> (40.0 mL). The mixture was stirred at 180°C for 4 hr in a sealed tube. The mixture was filtrated through a pad of celite and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (silica gel, 25% to 50% EtOAc in hexane) to give 5-amino-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide (3.92 g) as a white solid. ESI MS m/e 376, M + H+;  $^{1}$ H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.66-2.04 (m, 8 H), 2.58 (s, 3 H), 3.88-4.24 (m, 4 H), 4.75-4.90 (m, 1 H), 6.18-6.31 (m, 1 H), 6.52 (s, 1 H), 7.19-7.29 (m, 1 H), 7.39-7.44 (m, 1 H), 7.48-7.58 (m, 1 H), 7.62-7.70 (m, 1 H), 7.73-7.80 (m, 1 H), 8.19 (d, J = 2.8 Hz, 1 H), 8.29 (d, J = 1.6 Hz, 1 H).

Step C: Synthesis of 5-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide.

[0950] A mixture of conc. HCl (1.33 mL) and NaNO<sub>2</sub> (137.8 mg) was stirred at 70 °C for 10 min and cooled to ambient temperature. To the reaction mixture was added a solution of 5-amino-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide (500 mg) in AcOH (45 mL) and the mixture was stirred at ambient temperature for 30 min. To the reaction mixture was added a solution of CuCl (460.8 mg) in conc. HCl (3.0 mL) and the mixture was stirred at 80 °C for 6 hr. The reaction mixture was alkalized with 1M aqueous NaOH and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by flash chromatography (NH-silica gel, 20% EtOAc in hexane and silica gel, 2% MeOH in CHCl<sub>3</sub>) to give 5-chloro-*N*-[*cis*-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide (52.3 mg) as a yellow solid.
ESI MS m/e 395, M (free) + H+; ¹H NMR (300 MHz, CDCl<sub>3</sub>) δ 1.65-2.03 (m, 8 H), 2.57 (s, 3 H), 4.03-4.29 (m, 2 H), 5.05 (brs, 1 H), 6.33-6.44 (m, 1 H), 6.53 (s, 1 H), 7.19-7.28 (m, 1 H), 7.48-7.56 (m, 1 H), 7.61-7.67 (m, 1 H), 7.73-7.79 (m, 1 H), 8.08-8.13 (m, 1 H), 8.66 (d, *J* = 2.3 Hz, 1 H), 8.83 (d, *J* = 1.9 Hz, 1 H).

#### Example 3397

5-Fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide

5 Step A: Synthesis of 5-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide.

[0951] To a solution of 5-amino-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide obtained in step B of example 3396 (500 mg) in 48% aqueous HBF<sub>4</sub> (3.95 mL) and EtOH (4.00 mL) was added CuF<sub>2</sub> (132.0 mg) at ambient temperature. To the reaction mixture was added a solution of NaNO<sub>2</sub> (183.5 mg) in H<sub>2</sub>O (3.95 mL) and the mixture was stirred at ambient temperature for 1 hr. Then the mixture was stirred at 50°C for 2 hr and 80°C for 2 hr. The reaction mixture was alkalized with 1M aqueous NaOH and the aqueous layer was extracted with EtOAc (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtered, concentrated, and purified by flash chromatography (NH-silica gel, 50% EtOAc in hexane) to give 5-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide (20.9 mg) as a yellow amorphous.

ESI MS m/e 379, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.67-2.05 (m, 8 H), 2.57 (s, 3 H), 4.08-4.25 (m, 2 H), 4.72 (brs, 1 H), 6.17-6.29 (m, 1 H), 6.52 (s, 1 H), 7.19-7.28 (m, 1 H), 7.48-7.57 (m, 1 H), 7.62-7.69 (m, 1 H), 7.73-7.80 (m, 1 H), 7.82-7.91 (m, 1 H), 8.60 (d, J = 2.8 Hz, 1 H), 8.76 (t, J = 1.5 Hz, 1 H).

#### Example 3398

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3-chloro-*N*-[*cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide methanesulfonic acid

Step A: Synthesis of 3-chloro-*N*-[*cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide methanesulfonic acid.

[0952] To a solution of *N*-(cis-4-amino-cyclohexyl)-3-chloro-4-fluoro-benzamide obtained in step A of example 3228 (1.76 g) in BuOH (2.5 mL) was added 2-chloro-4-dimethylamino-5-methylpyrimidine obtained in step A of example 3119 (1.00 g). The mixture was heated in a microwave synthesizer at 200°C for 15 min. The reaction was repeated 3 more times and the reaction mixtures were pooled. The mixture was poured into saturated aqueous NaHCO<sub>3</sub> and the aqueous layer was extracted with CHCl<sub>3</sub> (three times). The combined organic layer was dried over MgSO<sub>4</sub>, filtrated, concentrated under reduced pressure, and purified by medium-pressure liquid chromatography (NH-silica gel, 15 % to 80% EtOAc in nexane) to give a colorless solid. To a solution of the above solid (1.85 g) in EtOH (18 mL) was added MsOH (460 mg). The mixture was stirred at ambient temperature for 30 min and stirred on an ice-bath for 4 hr. The precipitate was collected by filtration, washed with EtOH, and dried at 80°C under reduced pressure to give 3-chloro-*N*-[*cis*-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide methanesulfonic acid (1.41 g) as a white solid.

ESI MS m/e 406, M (free) + H<sup>+</sup>; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>)  $\delta$  1.60-2.03 (m, 8 H), 2.25 (s, 3 H), 2.89 (s, 3 H), 3.30 (s, 6 H), 4.07-4.30 (m, 2 H), 7.13-7.29 (m, 2 H), 7.38-7.49 (m, 1 H), 7.81-7.89 (m, 1 H), 7.96-8.05 (m, 1 H), 8.07 (dd, J = 7.1, 2.3 Hz, 1 H), 12.07-12.23 (m, 1 H).

### **Assay Procedures**

### Example 3399

Example 3333

# ASSAY FOR DETERMINATION OF CONSTITUTIVE ACTIVITY OF GPCRs

### A. Intracellular IP3 Accumulation Assay

[0953] On day 1, cells to be tranfected can be plated onto 24 well plates, usually 1x10 $^5$  cells/well (although his umber can be optimized. On day 2 cells can be transfected by firstly mixing 0.25ug DNA (e.g., pCMV vector or pCMV vector comprising polynucleotide enocoding receptor) in 50 ul serum free DMEM/well and 2 ul lipofectamine in 50  $\mu$ l serum-free DMEM/well. The solutions are gently mixed and incubated for 15-30 min at room temperature. Cells are washed with 0.5 ml PBS and 400  $\mu$ l of serum free media is mixed with the transfection media and added to the cells. The cells are then incubated for 3-4 hrs at 37°C/5%CO<sub>2</sub> and then the transfection media is removed and replaced with 1ml/well of regular growth media. On day 3 the cells are labeled with  $^3$ H-myo-inositol. Briefly, the media is removed and the cells are washed with 0.5 ml PBS. Then 0.5 ml inositol-free/serum free media (GIBCO BRL) is added/well with 0.25  $\mu$ C iof  $^3$ H-myo-inositol/ well and the cells are incubated for 16-18 hrs o/n at 37°C/5%CO<sub>2</sub> On Day 4 the cells are washed

with 0.5 ml PBS and 0.45 ml of assay medium is added containing inositol-free/serum free media  $10\mu M$  pargyline 10 mM lithium chloride or 0.4 ml of assay medium and 50 ul of 10x ketanserin (ket) to final concentration of  $10\mu M$ . The cells are then incubated for 30 min at 37°C. The cells are then washed with 0.5 ml PBS and 200 ul of fresh/ice cold stop solution (1M KOH; 18 mM Na-borate; 3.8 mM EDTA) is added/well. The solution is kept on ice for 5-10 min or until cells were lysed and then neutralized by 200  $\mu$ l of fresh/ice cold neutralization sol. (7.5 % HCL). The lysate is then transferred into 1.5 ml eppendorf tubes and 1 ml of chloroform/methanol (1:2) is added/tube. The solution is vortexed for 15 sec and the upper phase is applied to a Biorad AG1-X8<sup>TM</sup> anion exchange resin (100-200 mesh). Firstly, the resin is washed with water at 1:1.25 W/V and 0.9 ml of upper phase is loaded onto the column. The column is washed with 10 mls of 5 mM myo-inositol and 10 ml of 5 mM Na-borate/60mM Na-formate. The inositol tris phosphates are eluted into scintillation vials containing 10 ml of scintillation cocktail with 2 ml of 0.1 M formic acid/1 M ammonium formate. The columns are regenerated by washing with 10 ml of 0.1 M formic acid/3M ammonium formate and rinsed twice with H<sub>2</sub>O and stored at 4°C in water.

## Example 3400

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## High Throughput Functional Screening: FLIPR™

[0954] Subsequently, a functional based assay was used to confirm the lead hits, referred to as FLIPR™ (the Fluorometric Imaging Plate Reader) and FDSS6000™ (Functional Drug Screening System). This assay utilized a non-endogenous, constitutively active version of the MCH receptor.

[0955] The FLIPR and FDSS assays are able to detect intracellular Ca<sup>2+</sup> concentration in cells, which can be utilized to assess receptor activation and determine whether a candidate compound is an, for example, antagonist, inverse agonist or agonist to a Gq-coupled receptor. The concentration of free Ca<sup>2+</sup> in the cytosol of any cell is extremely low, whereas its concentration in the extracellular fluid and endoplasmic reticulum (ER) is very high. Thus, there is a large gradient tending to drive Ca<sup>2+</sup> into the cytosol across both the plasma membrane and ER. The FLIPR<sup>TM</sup> and FDSS6000<sup>TM</sup> systems (Molecular Devices Corporation, HAMAMATSU Photonics K.K.) are designed to perform functional cell-based assays, such as the measurement of intracellular calcium for high-throughput screening. The measurement of fluorescent is associated with calcium release upon activation of the Gq-coupled receptors. Gi or Go coupled receptors are not as easily monitored through the FLIPR<sup>TM</sup> and FDSS6000<sup>TM</sup> systems because these G proteins do not couple with calcium signal pathways.

[0956] Fluorometric Imaging Plate Reader system was used to allow for rapid, kinetic measurements of intracellular fluorescence in 96 well microplates (or 384 well microplates). Simultaneous measurements of fluorescence in all wells can be made by FLIPR or FDSS6000™ every second with high sensitivity and precision. These systems are ideal for measuring cell-based functional assays such as monitoring the intracellular calcium fluxes that occur within seconds after activation of the Gq coupled receptor.

[0957] Briefly, the cells are seeded into 96 well at  $5.5 \times 10^4$  cells/well with complete culture media (Dulbecco's Modified Eagle Medium with 10 % fetal bovine serum, 2 mM L-glutamine, 1 mM sodium pyruvate and 0.5 mg/ml G418, pH7.4) for the assay next day. On the day of assay, the media is removed and the cells are incubated with 100  $\mu$ l of loading buffer (4  $\mu$ M Fluo4-AM in complete culture media containing 2.5 mM Probenicid, 0.5 mg/ml and 0.2% bovine serum albumin) in 5% CO<sub>2</sub> incubator at 37°C for 1 hr. The loading buffer is removed, and the cells are washed with wash buffer (Hank's Balanced Salt Solution containing 2.5 mM Probenicid, 20 mM HEPES, 0.5 mg/ml and 0.2% bovine serum albumin, pH 7.4)). One hundred fifty  $\mu$ l of wash buffer containing various concentrations of test compound is added to the cells, and the cells are incubated in 5% CO<sub>2</sub> incubator at 37°C for 30 min. Fifty  $\mu$ l of wash buffer containing various concentration of MCH are added to each well, and transient changes in [Ca<sup>2+</sup>]i evoked by MCH are monitored using the FLIPR or FDSS in 96 well plates at Ex. 488 nm and Em. 530 nm for 290 second. When antagonist activity of compound is tested, 50 nM of MCH is used.

[0958] Use of FLIPR™ and FDSS6000™ can be accomplished by following manufacturer's instruction (Molecular Device Corporation and HAMAMATSU Photonics K.K.).

[0959] Representative examples are shown below.

Compound No.	IC <sub>50</sub> (nM)
Example 7	11
Example 15	19
Example 19	21
Example 2524	2.1

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# (continued)

Compound No.	IC <sub>50</sub> (nM)
Example 2526	7.6

[0960] The results were shown on the tables in the Examples section and the table in the next page in accordance with the classification as defined below.

- Class 1 : The value of percent of control at  $10^{-7}$  M was less than 40% or the value of IC<sub>50</sub> was less than 50 nM. Class 2 : The value of percent of control at  $10^{-7}$  M was from 40% to 60% or the value of IC<sub>50</sub> was from 50 nM to 200 nM.
  - Class 3 : The value of percent of control at  $10^{-7}$  M was more than 60% or the value of IC<sub>50</sub> was more than 200 nM.
- [0961] The compounds in Examples 2497 to 2542, 2588 to 2689, and 3241 to 3259 were tested and they showed  $IC_{50}$  activities less than about 50  $\mu$ M.

Ex. No.	class	Ex. No.	clas								
1	2	3058	1	3104	2	3150	3	3196	2	3384	1
2	2	3059	1	3105	2	3151	1	3197	2	3385	1
3	1	3060	2	3106	1	3152	1	3198	1	3386	1
4	1	3061	1	3107	1	3153	1	3199	1	3387	1
5	2	3062	1	3108	1	3154	1	3200	3	3388	1
6	2	3063	1	3109	1	3155	3	3201	1	3389	1
7	1	3064	1	3110	1	3156	3	3202	1	3390	1
8	1	3065	1	3111	1	3157	2	3203	1	3391	1
9	3	3066	1	3112	1	3158	1	3204	2	3392	3
10	2	3067	2	3113	3	3159	1	3205	2	3393	1
11	1	3068	2	3114	1	3160	1	3206	1	3394	1
12	2	3069	2	3115	1	3161	2	3207	1	3395	1
13	3	3070	1	3116	3	3162	2	3208	3	3396	1
14	1	3071	1	3117	1	3163	1	3209	3	3397	1
15	1	3072	1	3118	3	3164	2	3210	3	3398	1
16	1	3073	1	3119	1	3165	2	3211	1		—— <u> </u>
17	2	3074	1	3120	1	3166	1	3212	3		
18	1	3075	1	3121	1	3167	1	3213	3		
19	1	3076	1	3122	1	3168	1	3214	2		
3031	1	3077	1	3123	1	3169	1	3215	2		
3032	1	3078	1	3124	1	3170	1	3216	1		
3033	1	3079	1	3125	1	3171	2	3217	1		
3034	1	3080	1	3126	1	3172	1	3218	3		
3035	1	3081	1	3127	1	3173	3	3219	2		
3036	1	3082	1	3128	1	3174	1	3220	1		
3037	3	3083	1	3129	2	3175	1	3221	1		
3038	1	3084	1	3130	3	3176	2	3222	1		
3039	1	3085	1	3131	3	3177	2	3223	3		
3040	1	3086	1	3132	3	3178	2	3224	2		
3041	1	3087	1	3133	3	3179	1	3225	3		
3042	1	3088	1	3134	3	3180	1	3226	3		
3043	1 .	3089	1	3135	_3	3181	2	3227	3		
3044	2	3090	1 .	3136	3	3182	3	3228	1		
3045	1	3091	1	3137	2	3183	3	3229	11		
3046	1	3092	1	3138	2	3184	2	3230	1		
3047	1	3093	1	3139	2	3185	1	3231	2		
3048	1	3094	1	3140	2	3186	2	3232	2		
3049	1	3095	1	3141	2	3187	3	3233	3		
3050	1	3096	1	3142	3	3188	1	3234	3		
3051	1	3097	1	3143	3	3189	1	3235	1		
3052	1	3098	_1	3144	3	3190	2	3236	3		
3053	1	3099	1	3145	3	3191	2	3237	3		
3054	1	3100	1	3146	1	3192	1	3238	1_	•	
3055	1	3101	1	3147	2	3193	1	3239	1		
3056	1	3102	1	3148	3	3194	1	3240	1		
3057	1	3103	2	3149	2	3195	2	3383	1		

#### Example 3401

### **Receptor Binding Assay**

[0962] In addition to the methods described herein, another means for evaluating a test compound is by determining binding affinities to the MCH receptor. This type of assay generally requires a radiolabelled ligand to the MCH receptor. Absent the use of known ligands for the MCH receptor and radiolabels thereof, compounds of Formula (I) can be labelled with a radioisotope and used in an assay for evaluating the affinity of a test compound to the MCH receptor. [0963] A radiolabelled MCH compound of Formula (I) can be used in a screening assay to identify/evaluate compounds. In general terms, a newly synthesized or identified compound (i.e., test compound) can be evaluated for its ability to reduce binding of the "radiolabelled compound of Formula (I)" to the MCH receptor. Accordingly, the ability to compete with the "radio-labelled compound of Formula (I)" or Radiolabelled MCH Ligand for the binding to the MCH receptor directly correlates to its binding affinity of the test compound to the MCH receptor.

### 45 ASSAY PROTOCOL FOR DETERMINING RECEPTOR BINDING FOR MCH:

### A. MCH RECEPTOR PREPARATION

[0964] 293 cells (human kidney, ATCC), transiently transfected with 10 ug human MCH receptor and 60 ul Lipo-fectamine (per 15-cm dish), are grown in the dish for 24 hours (75% confluency) with a media change and removed with 10 ml/dish of Hepes-EDTA buffer (20mM Hepes +10 mM EDTA, pH 7.4). The cells are then centrifuged in a Beckman Coulter centrifuge for 20 minutes, 17,000 rpm (JA-25.50 rotor). Subsequently, the pellet is resuspended in 20 mM Hepes + 1 mM EDTA, pH 7.4 and homogenized with a 50-ml Dounce homogenizer and again centrifuged. After removing the supernatant, the pellets can be stored at -80°C, until used in binding assay. When used in the assay, membranes are thawed on ice for 20 minutes and then 10 mL of incubation buffer (20 mM Hepes, 1 mM MgCl<sub>2</sub>,100 mM NaCl, pH 7.4) added. The membranes are then vortexed to resuspend the crude membrane pellet and homogenized with a Brinkmann PT-3100 Polytron homogenizer for 15 seconds at setting 6. The concentration of membrane protein is determined using the BRL Bradford protein assay.

### B. BINDING ASSAY

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[0965] For total binding, a total volume of 50μl of appropriately diluted membranes (diluted in assay buffer containing 50mM Tris HCl (pH 7.4), 10mM MgCl<sub>2</sub>, and 1mM EDTA; 5-50ug protein) is added to 96-well polyproylene microtiter plates followed by addition of 100μl of assay buffer and 50ul of Radiolabelled MCH Ligand. For nonspecific binding, 50 ul of assay buffer is added instead of 100ul and an additional 50ul of 10uM cold MCH is added before 50ul of Radiolabelled MCH Ligand is added. Plates are then incubated at room temperature for 60-120 minutes. The binding reaction is terminated by filtering assay plates through a Microplate Devices GF/C Unifilter filtration plate with a Brandell 96-well plate harvestor followed by washing with cold 50 mM Tris HCl, pH 7.4 containing 0.9% NaCl. Then, the bottom of the filtration plate are sealed, 50 μl of Optiphase Supermix is added to each well, the top of the plates are sealed, and plates are counted in a Trilux MicroBeta scintillation counter. For compound competition studies, instead of adding 100 μl of assay buffer, 100 μl of appropriately diluted test compound is added to appropriate wells followed by addition of 50 μl of Radiolabelled MCH Ligand.

### C. CALCULATIONS

[0966] The test compounds are initially assayed at 1 and 0.1  $\mu$ M and then at a range of concentrations chosen such that the middle dose would cause about 50% inhibition of a Radiolabelled MCH Ligand binding (i.e., IC<sub>50</sub>). Specific binding in the absence of test compound (B<sub>O</sub>) is the difference of total binding (B<sub>T</sub>) minus non-specific binding (NSB) and similarly specific binding (in the presence of test compound) (B) is the difference of displacement binding (B<sub>D</sub>) minus non-specific binding (NSB). IC<sub>50</sub> is determined from an inhibition response curve, logit-log plot of % B/B<sub>O</sub> vs concentration of test compound.

[0967]  $K_i$  is calculated by the Cheng and Prustoff transformation:

$$K_i = IC_{50} / (1 + [L]/K_D)$$

wherein [L] is the concentration of a Radiolabelled MCH Ligand used in the assay and  $K_D$  is the dissociation constant of a Radiolabelled MCH Ligand determined independently under the same binding conditions.

[0968] It is intended that each of the patents, applications, printed publications, and other published documents mentioned or referred to in this specification be herein incorporated by reference in their entirety.

[0969] Those skilled in the art will appreciate that numerous changes and modifications may be made to the preferred embodiments of the invention and that such changes and modifications may be made without departing from the spirit of the invention. It is therefore intended that the appended claims cover all such equivalent variations as fall within the true spirit and scope of the invention.

### Claims

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1. A compound of Formula (I):

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$$Q \downarrow Y \downarrow R_1$$

wherein Q is:

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$$(II) \qquad (III) \qquad (IV)$$

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R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-16</sub> alkyl, and

C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

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- halogen,
- hydroxy,
- oxo,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:

  - → heterocyclyl, and
  - heterocyclyl substituted by C<sub>1-5</sub> alkyl,

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- C<sub>1-5</sub> alkylcarbonyloxy,
- · carbocyclyloxy,
- carbocyclic aryloxy,
- · carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of:

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- → halogen,
- hydroxy,
- carboxy,carbamoyl,
- ⇔ nitro,
- cyano,
- amino,
- carbocyclic aryl,

	••	carbocyclic aryl substituted by C <sub>1-5</sub> alkoxy,
	••	C <sub>1-5</sub> alkoxy,
	••	C <sub>1-5</sub> alkoxy substituted by halogen,
	••	C <sub>1-5</sub> alkyl, and
5	••	C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
		••• halogen,
		••• hydroxy,
		••• carboxy,
10		••• OXO,
		••• mono-C <sub>1-5</sub> alkylamino,
		••• di-C <sub>1-5</sub> alkylamino,
		••• mono-C <sub>1-5</sub> alkylamino substituted by carbocyclic aryl,
		••• di-C <sub>1-5</sub> alkylamino substituted by carbocyclic aryl,
15		••• mono-C <sub>1-5</sub> alkylamino substituted by halogenated carbocyclic aryl,
		••• di-C <sub>1-5</sub> alkylamino substituted by halogenated carbocyclic aryl,
		••• carbocyclic arylcarbonylamino, and
٠		••• carbocyclic arylcarbonylamino substituted by halogen,
20	• he	eterocyclyloxy,
		sterocyclyloxy substituted by substituent(s) independently selected from the group consisting of:
	••	halogen,
	••	hydroxy,
25	••	carboxy,
	••	carbamoyl,
	••	nitro,
	••	cyano,
	••	amino,
30	••	carbocyclic aryl,
	••	carbocyclic aryl substituted by C <sub>1-5</sub> alkoxy,
	••	C <sub>1-5</sub> alkoxy,
	••	C <sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
35		••• halogen,
		••• hydroxy, and
		••• carboxy,
	••	C <sub>1-5</sub> alkyl, and
40	••	C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
		••• halogen,
		••• hydroxy, and
		••• carboxy,
45		carboxy,
70	• SI	obstituted heterocyclyl-ethylideneaminooxy,
		<sub>1-5</sub> alkoxycarbonyl,
		<sub>1-5</sub> alkoxycarbonyl substituted by carbocyclic aryl,
		ono-C <sub>1-5</sub> alkylaminocarbonyl,
50		ono-o <sub>1-5</sub> alkylaminocarbonyl, -C <sub>1-5</sub> alkylaminocarbonyl,
30		
		ono-C <sub>1-5</sub> alkylamino,
	• m	ono-C <sub>1-5</sub> alkylamino substituted by substituent(s) independently selected from, the group consisting of:
ee	••	cyano,
55	••	carbocyclic aryl, and
	••	heterocyclyl,
	• di	-C <sub>1-5</sub> alkylamino,

	•	di-C <sub>1-5</sub> alkylamino substituted by substituent(s) independently selected from the group consisting of:
		• cyano,
		• carbocyclic aryl, and
5		◆ heterocyclyl,
	•	mono-carbocyclic arylamino,
	•	mono-carbocyclic arylamino substituted by substituent(s) independently selected from the group consist-
		ing of:
10		
		→ halogen,
		→ hydroxy,
		• carbamoyl,
15		•• nitro,
		• cyano,
		→ amino,
		• carbocyclic aryl,
20		◆ C <sub>1-5</sub> alkoxy,
		•• C <sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
		, , , , , , , , , , , , , , , , , , ,
		••• halogen,
		••• hydroxy,and
25		••• carboxy,
		•
		◆ C <sub>1-5</sub> alkyl, and
		• C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
30		halagan
30		••• halogen,
		••• hydroxy, and
		••• carboxy,
	•	di-carbocyclic arylamino,
35	•	di-carbocyclic arylamino substituted by substituent(s) independently selected from the group consisting of
		•• halogen,
		• hydroxy,
		• carboxy,
40		• carbamoyl,
		•• nitro,
		• cyano,
		→ amino,
		• carbocyclic aryl,
45		<ul> <li>carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy,</li> </ul>
		◆ C <sub>1-5</sub> alkoxy,
		• C <sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
		••• halogen,
50		••• hydroxy, and
		••• carboxy,
		•• C <sub>1-5</sub> alkyl, and
		•• C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
55		21-5 any substituted by substitute into independently selected from the group consisting of:
55		ma halagan
		••• halogen,
		••• hydroxy, and
		••• carboxy,

mono-heterocyclylamino,

	•	mono-heterocyclylamino substituted by substituent(s) independently selected from the group consisting of:
5		→ halogen,
		•• hydroxy,
		• carboxy,
		• carbamoyl,
		•• nitro,
10		• cyano,
		• amino,
		• carbocyclic aryl,
		• carbocyclic aryl substituted by C <sub>1-5</sub> alkoxy,
		•• C <sub>1-5</sub> alkoxy,
15		• C <sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
		••• halogen,
		••• hydroxy, and
20		••• carboxy,
		← C <sub>1.5</sub> alkyl, and
		C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
		••• halogen,
25		••• hydroxy, and
		••• carboxy,
	•	di-heterocyclylamino,
30	•	di-heterocyclylamino substituted by substituent(s) independently selected from the group consisting of:
30		m halagan
		<ul><li>→ halogen,</li><li>→ hydroxy,</li></ul>
		•• carboxy,
		• carbamoyl,
35	•	• nitro,
		• cyano,
		•• amino,
		• carbocyclic aryl,
		• carbocyclic aryl substituted by C <sub>1-5</sub> alkoxy,
40		◆ C <sub>1.5</sub> alkoxy,
		•• C <sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
		••• halogen,
		••• hydroxy, and
45		••• carboxy,
		C <sub>1-5</sub> alkyl, and
		<ul> <li>C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:</li> </ul>
50		••• halogen,
		<ul><li>hydroxy, and</li><li>carboxy,</li></ul>
	•	C <sub>1-5</sub> alkylcarbonylamino,
55	•	C <sub>1-5</sub> alkylcarbonylamino substituted by substituent(s) independently selected from the group consisting of
		carbocyclic arylcarbonylamino, and

- heterocyclyl, C<sub>1-5</sub> alkoxycarbonylamino, carbocyclic arylcarbonylamino, heterocyclyl carbonylamino, carbocyclic arylsulfonylamino, carbocyclic arylsulfonylamino substituted by substituent(s) independently selected from the group consisting of: nitro, C<sub>1-5</sub> alkyl, mono-C<sub>1-5</sub> alkylamino, and di-C<sub>1-5</sub> alkylamino, C<sub>1-5</sub> alkylthio,  $C_{1-5}$  alkylthio substituted by substituent(s) independently selected from the group consisting of: mono-carbocyclic arylaminocarbonyl, mono-carbocyclic arylaminocarbonyl substituted by halogen, di-carbocyclic arylaminocarbonyl, di-carbocyclic arylaminocarbonyl substituted by halogen, mono-carbocyclic arylamino, mono-carbocyclic arylamino substituted by halogen, di-carbocyclic arylamino, di-carbocyclic arylamino substituted by halogen, carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: ••• halogen, and C<sub>1-5</sub> alkoxy, carbocyclic arylthio, carbocyclic arylthio substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by halogen, carbocyclic arylsulfinyl, carbocyclic arylsulfinyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by halogen, carbocyclic arylsulfonyl, carbocyclic arylsulfonyl substituted by substituent(s) independently selected from the group consisting of: halogen,
- 50

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- ◆ C<sub>1-5</sub> alkyl, and
- C<sub>1-5</sub> alkyl substituted by halogen,
- heterocyclylthio,
- heterocyclylthio substituted by substituent(s) independently selected from the group consisting of:
  - nitro, and
  - C<sub>1-5</sub> alkyl,

	•	C <sub>3-6</sub>	s cycloalkyl, s cycloalkyl substituted by C <sub>1-5</sub> alkyl, s cycloalkyl substituted by carbocyclic aryl,
_	•		s cycloalkenyl,
5	•		pocyclyl, pocyclyl substituted by substituent(s) independently selected from the group consisting of:
			halogen,
40			C <sub>1-5</sub> alkyl, C <sub>1-5</sub> alkoxy,
10			C <sub>2-5</sub> alkenyl, and
			C <sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:
15			<ul> <li>carbocyclic aryl, and</li> <li>carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl,</li> </ul>
15			
	•		pocyclic aryl,
	•	Can	pocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
20		••	halogen,
		••	hydroxy, carboxy,
		••	carbamoyl,
		••	cyano,
25		••	nitro,
		••	amino,
			C <sub>1-5</sub> alkylcarbonylamino,
			C <sub>3-6</sub> cycloalkylcarbonylamino,
30			C <sub>1-5</sub> alkyl, C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
			••• halogen,
			••• hydroxy,
35			••• carboxy, ••• carbamoyl,
33			••• 0XO,
			••• carbocyclic aryl,
			••• heterocyclyl,
			••• mono-carbocyclic arylamino,
40			••• di-carbocyclic arylamino,
			mono-carbocyclic arylamino substituted by substituent(s) independently selected from the group consisting of:
			•••• halogen,
45			•••• nitro,
			•••• C <sub>1-5</sub> alkyl,
			•••• C <sub>1-5</sub> alkoxy, and
			•••• C <sub>1-5</sub> alkoxy substituted by halogen,
50			di-carbocyclic arylamino substituted by substituent(s) independently selected from the group consisting of:
			•••• halogen,
			•••• nitro,
55			•••• C <sub>1-5</sub> alkyl,
			•••• C <sub>1-5</sub> alkoxy, and
			•••• C <sub>1-5</sub> alkoxy substituted by halogen,

	••	C <sub>2-5</sub> alkenyi,
	••	C <sub>1-5</sub> alkoxy,
		C <sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
5		••• halogen, and
		••• carbocyclic aryl,
	••	carbocyclic aryloxy,
	••	C <sub>1-5</sub> alkoxycarbonyl,
10	••	C <sub>1-5</sub> alkylcarbonyloxy,
	••	mono-C <sub>1-5</sub> alkylamino,
	••	di-C <sub>1-5</sub> alkylamino,
	••	mono-carbocyclic arylamino,
	••	mono-carbocyclic arylamino, mono-carbocyclic arylamino substituted by halogen,
15	••	di-carbocyclic arylamino,
	••	di-carbocyclic arylamino substituted by halogen,
	••	mono-carbocyclic arylaminocarbonyl,
	••	mono-carbocyclic arylaminocarbonyl substituted by substituent(s) selected from the group consisting
		of:
20		
		••• halogen,
		••• nitro,
		••• C <sub>1-5</sub> alkyl,
		••• C <sub>1.5</sub> alkoxy, and
25		••• C <sub>1-5</sub> alkoxy substituted by halogen,
		. 1.0
	••	di-carbocyclic arylaminocarbonyl,
	••	di-carbocyclic arylaminocarbonyl substituted by substituent(s) selected from the group consisting of:
30		••• halogen,
		••• nitro,
		••• C <sub>1-5</sub> alkyl,
		••• C <sub>1-5</sub> alkoxy, and
		C <sub>1-5</sub> alkoxy substituted by halogen,
35		
	••	mercapto,
	••	C <sub>1-5</sub> alkylthio,
	••	C <sub>1-5</sub> alkylthio substituted by halogen,
	••	C <sub>1-5</sub> alkylsulfonyl,
40		C <sub>3-6</sub> cycloalkyl,
		carbocyclic aryl, and
	••	heterocyclyl,
	• . het	terocyclyl, and
45	• he	terocyclyl substituted by substituent(s) independently selected from the group consisting of:
	••	halogen,
	••	hydroxy,
	••	carboxy,
50	••	carbamoyl,
	•	cyano,
	••	nitro,
	••	amino,
	••	C <sub>1-5</sub> alkyl,
55	••	C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
		••• halogen,
		••• hydroxy,

e carboxy, and

C<sub>1-5</sub> alkoxy,

carbamoyl, C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, C<sub>1-5</sub> alkoxy, 5 ← C<sub>1-5</sub> alkoxy substituted by halogen,
 C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, · carbocyclic aryl, and carbocyclic aryl substituted by halogen, 10 (ii) C<sub>2-8</sub> alkenyl, and C2-8 alkenyl substituted by substituent(s) independently selected from the group consisting of: halogen, 15 oxo, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 20 halogen, hydroxy, nitro, C<sub>1-5</sub> alkyl, 25 ◆ C<sub>1-5</sub> alkoxy, and heterocyclyl, and 30 heterocyclyl substituted by substituent(s) independently selected from the group consisting of: hydroxy, nitro, C<sub>1-5</sub> alkyl, and 35 ◆ C<sub>1-5</sub> alkoxy, (iii) C<sub>2-5</sub> alkynyl, and C2-5 alkynyl substituted by carbocyclic aryl, (iv) C<sub>3-12</sub> cycloalkyl, and  $C_{3-12}$  cycloalkyl substituted by substituent(s) independently selected from the group consisting of: 40 C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 45 hydroxy, oxo, and carbocyclic aryl, mono-C<sub>1-5</sub> alkylamino, 50 mono-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl, carbocyclic arylcarbonylamino, carbocyclic aryl, and 55 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen,

C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by halogen, (v) C<sub>3-6</sub> cycloalkenyl, and C3-6 cycloalkenyl substituted by C1-5 alkyl, (vi) carbocyclyl, and carbocyclyl substituted by substitutent(s) independently selected from the group consisting of: hydroxy, and 10 nitro, (vii) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 15 halogen, hydroxy, cyano, nitro, 20 C<sub>1-10</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, carboxy, 25 carbamoyl, C<sub>1-5</sub> alkoxy, carbocyclic aryloxy, mono-C<sub>1-5</sub> alkylamino-N-oxy, 30 di-C<sub>1-5</sub> alkylamino-N-oxy, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, mono-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl, mono-carbocyclic arylamino, 35 di-carbocyclic arylamino, carbocyclylimino, carbocyclylimino substituted by carbocyclic aryl, mono-carbocyclic arylamino, 40 di-carbocyclic arylamino, mono-carbocyclic arylamino substituted by C<sub>1-5</sub> alkoxy, di-carbocyclic arylamino substituted by C<sub>1-5</sub> alkoxy, mono-carbocyclic arylaminocarbonyl, di-carbocyclic arylaminocarbonyl, 45 mono-carbocyclic arylaminocarbonyl substituted by C<sub>1-5</sub> alkoxy, di-carbocyclic arylaminocarbonyl substituted by C<sub>1-5</sub> alkoxy, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 50 ••• C<sub>1-5</sub> alkyl, and ••• C<sub>1-5</sub> alkyl substituted by halogen, heterocyclyl, and 55 heterocyclyl substituted by C<sub>1-5</sub> alkyl, C<sub>2-5</sub> alkenyl, C2-5 alkenyl substituted by carbocyclic aryl,

	•	<sub>1-9</sub> alkoxy,	
	•	1-9 alkoxy substituted by substituent(s) independently selected from the group consisting of:	
		hudrovu	
5		hydroxy, halogen,	
		carboxy,	
		mono-C <sub>1-5</sub> alkylamino,	
		di-C <sub>1-5</sub> alkylamino,	
		carbocyclic aryl,	
10		halogenated carbocyclic aryl,	
		heterocyclyl,	
		heterocyclyl substituted by substituent(s) independently selected from the group consisting of	of:
		••• halogen,	
15		••• heterocyclyl, and	
		••• heterocyclyl substituted by substituent(s) independently selected from the group consist	ing of
		•••• halogen,	
00		•••• C <sub>1-5</sub> alkyl, and	
20		•••• C <sub>1-5</sub> alkyl substituted by halogen,	
	•	<sub>2-5</sub> alkenyloxy,	
	•	<sub>3-6</sub> cycloalkoxy,	
	•	1-5 alkylcarbonyloxy,	
25	•	arbocyclic aryloxy,	
	•	arbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting	ig of:
		halogen,	
		hydroxy,	
30		carboxy,	
		carbamoyl,	
		cyano, nitro,	
		amino,	
35		C <sub>1-5</sub> alkyl,	
		C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:	
		••• halogen,	
		••• hydroxy,	
40		••• carboxy, and	
		••• carbamoyl,	
		C <sub>1-5</sub> alkoxy, and	
		C <sub>1-5</sub> alkoxy substituted by halogen,	
45			
	•	eterocyclyloxy,	
	•	eterocyclyloxy substituted by substituent(s) independently selected from the group consisting o	f:
		halogen,	
50		hydroxy,	
		carboxy,	
		carbamoyl,	
		cyano,	
55		nitro,	
55		amino,	
		C <sub>1.5</sub> alkyl,	
		C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:	

halogen, hydroxy, carboxy, and carbamoyl, C<sub>1-5</sub> alkoxy, and C<sub>1-5</sub> alkoxy substituted by halogen, (carbocyclic aryl)S(O)2O, 10 carboxy, carbamoyl, C<sub>1-5</sub> alkoxycarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, 15 mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, mono-carbocyclic arylaminocarbonyl, di-carbocyclic arylaminocarbonyl, mono-carbocyclic arylaminocarbonyl substituted by C<sub>1-5</sub> alkyl, 20 di-carbocyclic arylaminocarbonyl substituted by C<sub>1-5</sub> alkyl, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, mono-C<sub>1-5</sub> alkylamino substituted by cyano, 25 di-C<sub>1-5</sub> alkylamino substituted by cyano, mono-carbocyclic arylamino, di-carbocyclic arylamino, C<sub>1-5</sub> alkylcarbonylamino, C<sub>3-6</sub> cycloalkylcarbonylamino, 30 C<sub>2-5</sub> alkynylcarbonylamino, C2-5 alkynylcarbonylamino substituted by carbocyclic aryl, C<sub>1-5</sub> alkoxycarbonylamino, carbocyclic arylsulfonylamino, carbocyclic arylsulfonylamino substituted by C<sub>1-5</sub> alkyl, 35 (carbocyclic aryl)NHC(O)NH, (carbocyclic aryl)NHC(O)NH substituted by C<sub>1-5</sub> alkoxy, (carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy, carbocyclic aryl azo, carbocyclic aryl azo substituted by mono-C<sub>1-5</sub> alkylamino, 40 carbocyclic aryl azo substituted by di-C<sub>1-5</sub> alkylamino, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by halogen, carbocyclic arylthio, carbocyclic arylthio substituted by substituent(s) independently selected from the group consisting of: 45 halogen, nitro, cyano, and C<sub>1-5</sub> alkyl, 50 aminosulfonyl, heterocyclylthio, C<sub>1-5</sub> alkylsulfonyl, mono-C<sub>1-5</sub> alkylaminosulfonyl, di-C<sub>1-5</sub> alkylaminosulfonyl, 55 heterocyclylsulfonyl, C<sub>3-6</sub> cycloalkyl,

C<sub>3-6</sub> cycloalkyl substituted by C<sub>1-5</sub> alkyl,

carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-7</sub> alkyl, and C<sub>1-7</sub> alkyl substituted by halogen, 5 heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, 10 carbocyclic aryl, and halogenated carbocyclic aryl, C<sub>1-5</sub> alkoxycarbonyl substituted by carbocyclic aryl, and 15 (viii) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, 20 hydroxy, carboxy, carbamoyl, cyano, nitro, 25 amino, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: halogen, 30 hydroxy, carboxy, carbamoyl, oxo, C<sub>1-5</sub> aikyicarbonyloxy, 35 carbocyclic arylcarbonylamino, carbocyclic arylcarbonylamino substituted by halogen, C<sub>1-5</sub> alkoxycarbonyl, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl, • C<sub>1.5</sub> alkylthio substituted by halogenated carbocyclic aryl, 40 • carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: ••• halogen, and 45 ••• nitro, heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: 50 ••• halogen, ••• C<sub>1-5</sub> alkyl, and ••• C<sub>1-5</sub> alkyl substituted by halogen, C<sub>1-5</sub> alkoxy, 55 C<sub>1-5</sub> alkoxy substituted by halogen, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,

carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of:

carbocyclic aryloxy,

	balanan
	• halogen,
	• nitro,
	• cyano,
_	• hydroxy,
5	
	◆ C <sub>1-5</sub> alkyl,
	<ul> <li>C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:</li> </ul>
10	
	••• halogen,
	••• hydroxy,
	••• carboxy, and
	••• carbamoyl,
15	
•	→ mono-C <sub>1-5</sub> alkylamino,
	→ di-C <sub>1-5</sub> alkylamino,
	◆ C <sub>1-5</sub> alkylcarbonylamino,
	◆ C <sub>3-6</sub> cycloalkycarbonylamino,
20	C <sub>1-5</sub> alkoxy,
	◆ C <sub>1-5</sub> alkoxy substituted by halogen,
	• C <sub>3-6</sub> cycloalkyl,
	C <sub>2-5</sub> alkenyl,
25	
	◆ C <sub>1-5</sub> alkoxycarbonył,
	mono-C <sub>1-5</sub> alkylaminocarbonyl,
	• di-C <sub>1-5</sub> alkylaminocarbonyl,
	mono-C <sub>3-6</sub> cycloalkylaminocarbonyl,
30	→ di-C <sub>3-6</sub> cycloalkylaminocarbonyl,
	mono-C <sub>1-5</sub> alkylaminocarbonylamino,
	<ul> <li>di-C<sub>1-5</sub> alkylaminocarbonylamino,</li> </ul>
	→ di-C <sub>3-6</sub> cycloalkylaminocarbonylamino,
35	• C <sub>1.5</sub> alkylthio,
	<ul> <li>C<sub>1-5</sub> alkylthio substituted by halogen,</li> </ul>
	• C <sub>1-5</sub> alkylsulfinyl,
	<ul> <li>C<sub>1-5</sub> alkylsulfinyl substituted by halogen,</li> </ul>
	• C <sub>1-5</sub> alkylsulfonyl, and
40	<ul> <li>C<sub>1-5</sub> alkylsulfonyl substituted by halogen,</li> </ul>
	heterocyclyloxy,
	• heterocyclyloxy substituted by substituent(s) independently selected from the group consisting of:
45	halogen,     in the second of the s
	•• nitro,
	• hydroxy,
	• carboxy,
	• carbamoyl,
50	• cyano,
	•• amino,
	•• C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
55	••• halogen,
	••• hydroxy,
	••• carboxy, and
	••• carbamoyl,
	-

- C<sub>1-5</sub> alkoxy, and
- C<sub>1-5</sub> alkoxy substituted by halogen,
- mono-C<sub>1-5</sub> alkylamino,
- di-C<sub>1-5</sub> alkylamino,
- mono-carbocyclic arylamino,
- mono-carbocyclic arylamino substituted by halogen,
- C<sub>1-5</sub> alkylcarbonylamino,
- C<sub>1-5</sub> alkylthio,

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- C<sub>2-5</sub> alkenylthio,
- · carbocyclic arylthio,
- carbocyclic arylthio substituted by halogen,
- carbocyclic arylthio substituted by C<sub>1-5</sub> alkoxycarbonyl,
- heterocyclylthio,
- heterocyclylthio substituted by C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkylsulfinyl,
- C<sub>1-5</sub> alkylsulfonyl,
- carbocyclic arylsulfinyl,
- · carbocyclic arylsulfinyl substituted by halogen,
- carbocyclic arylsulfonyl,
- · carbocyclic arylsulfonyl substituted by substituent(s) independently selected from the group consisting of:
  - → halogen,
  - ← C<sub>1-5</sub> alkoxy,
  - ◆ C<sub>1-5</sub> alkyl, and
  - C<sub>1-5</sub> alkyl substituted by halogen,
- C<sub>1-5</sub> alkoxycarbonyl,
- C<sub>1-5</sub> alkoxycarbonyl substituted by carbocyclic aryl,
- · carbocyelie aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - halogen,
  - nitro,
  - ◆ C<sub>1-5</sub> alkyl,

  - C<sub>1-5</sub> alkoxy, and
  - C<sub>1-5</sub> alkoxy substituted by halogen,
- heterocyclyl, and
  - · heterocyclyl substituted by substituent(s) independently selected from the group consisting of:
    - → halogen,
    - C<sub>1-5</sub> alkyl,
    - C<sub>1-5</sub> alkyl substituted by halogen,
    - C<sub>1-5</sub> alkoxy, and
    - C<sub>1-5</sub> alkoxycarbonyl;

R<sub>2</sub> is selected from the group consisting of:

hydrogen, halogen, hydroxy, carboxy, carbamoyl, amino,  $C_{1-5}$  alkyl,  $C_{1-5}$  alkyl substituted by halogen,  $C_{1-5}$  alkyl substituted by hydroxy,  $C_{1-5}$  alkyl substituted by carboxy,  $C_{1-5}$  alkyl substituted by carbamoyl,  $C_{1-5}$  alkoxy,  $C_{1-5}$  alkoxy substituted by halogen, -NHNH<sub>2</sub>, -NHNHBoc, -N( $R_{2a}$ )( $R_{2b}$ ), morpholino, 4-acetyl-piperazyl, or 4-phenyl-piperazyl,

wherein  $R_{2a}$  is hydrogen or  $C_{1.5}$  alkyl and  $R_{2b}$  is  $C_{1.5}$  alkyl,  $C_{3.6}$  cycloalkyl, or  $C_{1.5}$  alkyl substituted by substituent (s) independently selected from the group consisting of:

- · halogen,
- hydroxy,
- carboxy,
- · carbamoyl,
- C<sub>1-5</sub> alkoxy,
- amino,
- -NHBoc,
- C<sub>3-6</sub> cycloalkyl,
- · carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - → halogen,
  - ◆ C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkoxy, and
  - SO₂NH₂,
    - · heterocyclyl, and

C<sub>3-6</sub> cycloalkyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- · halogen,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkoxy, and
- a group of Formula (V):

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wherein Boc is carbamic acid *tert*-butyl ester and G is  $C_{1.5}$  alkyl or  $C_{1.5}$  alkyl substituted by substituent(s) independently selected from the group consisting of:

- · carbocyclic aryl,
- · halogenated carbocyclic aryl, and
- carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy;

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or  $R_2$  is methylamino or dimethylamino when Q is Formula (II) and Y is a single bond or -CH<sub>2</sub>-; Each T is independently selected from the group consisting of halogen, hydroxy, carboxy, carbamoyl, amino, cyano, nitro,  $C_{1-5}$  alkyl,  $C_{1-5}$  alkyl substituted by halogen,  $C_{1-5}$  alkyl substituted by halogen,  $C_{1-5}$  alkyl substituted by carbamoyl,  $C_{2-5}$  alkenyl,  $C_{2-5}$  alkynyl,  $C_{3-6}$  cycloalkyl,  $C_{1-5}$  alkoxy,  $C_{1-5}$  alkoxy substituted by halogen, carbocyclic aryl, heterocyclyl, and -N( $R_{2a}$ )( $R_{2b}$ ); p is 0, 1, 2, 3, 4 or 5;

L is selected from the group consisting of Formulae (VI) to (XXI):

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wherein  $R_3$  and  $R_4$  are independently hydrogen or  $C_{1-5}$  alkyl; and A and B are independently a single bond, - $CH_2$ -, or - $(CH_2)_2$ ;

and

Y represents:

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- (i) -C(O)NR<sub>5</sub>-, -C(S)NR<sub>5</sub>-, -C(O)O-, -S(O)<sub>2</sub>-, -C(O)-, -C(S)-, a single bond, or -CH<sub>2</sub>- when L is selected from the group consisting of Formulae (VI) to (XIII); or
- (ii) -C(O)NR<sub>5</sub>-, -C(S)NR<sub>5</sub>-, -C(O)O- or -OC(O)- when L is selected from the group consisting of Formulae (XIV) to (XXI);

wherein  $R_5$  is hydrogen or  $C_{1-5}$  alkyl, or when Y is -C(O)NR<sub>5</sub>- then  $R_5$  and  $R_1$  together with the nitrogen they are bonded form a heterocyclyl group;

wherein carbocyclic aryl is phenyl, naphthyl, anthranyl, phenanthryl, or biphenyl;

carbocyclyl is 10,11-dihydro-5-oxo-dibenzo[a,d]cycloheptyl, 1-oxo-indanyl, 7,7-dimethyl-2-oxo-bicyclo[2.2.1] heptyl, 9*H*-fluorenyl, 9-oxo-fluorenyl, acenaphthyl, anthraquinonyl, *C*-fluoren-9-ylidene, indanyl, indenyl,

1,2,3,4-tetrahydro-naphthyl, or bicyclo[2.2.1]heptenyl;

heterocyclyl is 1,2,3,4-tetrahydro-isoquinolyl, 1,2,3-thiadiazolyl, 1,2,3-triazolyl, 1,2-dihydro-3-oxo-pyrazolyl, 1,3,4-thiadiazolyl, 1,3-dioxo-isoindolyl, 1,3-dioxolanyl, 1*H*-indolyl, 1*H*-pyrrolo[2,3-c]pyridyl, 1*H*-pyrrolyl, 1-oxo-3*H*-isobenzofuranyl, 2,2',5',2"-terthiophenyl, 2,2'-bithiophenyl, 2,3-dihydro-1-oxo-isoindolyl, 2,3-dihydro-benzo[1,4]dioxinyl, 2,3-dihydro-benzofuryl, 2,4-dihydro-3-oxo-pyrazolyl, 2*H*-benzopyranyl, 2-oxo-benzopyranyl, 2-oxo-pyrrolidinyl, 3,4-dihydro-2*H*-benzo[1,4]dioxepinyl, 4*H*-benzo[1,3]dioxinyl, 4*H*-benzopyranyl, 4-oxo-1,5,6,7-tetrahydro-indolyl, 4-oxo-3,4-dihydro-phthalazinyl, 4-oxo-benzopyranyl, 9,10,10-trioxo-thioxanthenyl, 9*H*-carbazolyl, 9*H*-xanthenyl, azetidinyl, benzimidazolyl, benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[b]thienyl, benzofuryl, benzothiazolyl, cinnolyl, furyl, imidazo[2,1-b]thiazolyl, imidazolyl, pyrazolyl, pyridyl, pyrimidyl, pyrrolidyl, quinoxalyl, thiazolidyl, thiazolyl, thienyl, thiolanyl, 2,3-dihydro-benzofuryl, tetrahydro-thienyl, or benzofuranyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 2. The compound according to claim 1 wherein R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-8</sub> alkyl, and

C<sub>1-8</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

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- halogen,
- oxo,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
- C<sub>1-5</sub> alkylcarbonyloxy,
- · carbocyclic aryloxy,
- · carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of:
  - → halogen,
  - → nitro.
  - C<sub>1-5</sub> alkyl, and
  - C<sub>1-5</sub> alkoxy,
- heterocyclyloxy,
- heterocyelyloxy substituted by C<sub>1-5</sub> alkyl,
- C<sub>1.5</sub> alkoxycarbonyl,
- mono-C<sub>1-5</sub> alkylaminocarbonyl,
- di-C<sub>1-5</sub> alkylaminocarbonyl,
- mono-C<sub>1-5</sub> alkylamino,
- mono-C<sub>1-5</sub> alkylamino substituted by cyano,
- mono-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl,
- di-C<sub>1-5</sub> alkylamino,
- di-C<sub>1-5</sub> alkylamino substituted by cyano,
- di-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl,
- mono-carbocyclic arylamino,
- mono-carbocyclic arylamino substituted by halogen,
- mono-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl,
- di-carbocyclic arylamino,
- di-carbocyclic arylamino substituted by halogen,
- di-carbocyelic arylamino substituted by C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkoxycarbonylamino,
- · carbocyclic arylcarbonylamino,
- carbocyclic arylsulfonylamino,
- carbocyclic arylsulfonylamino substituted C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkylthio
  - C<sub>1-5</sub> alkylthio substituted by substituent(s) independently selected from the group consisting of:
    - carbocyclic aryl,

carbocyclic aryl substituted by halogen, and

- carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy, carbocyclic arylthio, 5 heterocyclylthio, heterocyclylthio substituted by nitro, heterocyclylthio substituted by C<sub>1-5</sub> alkyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkenyl, 10 carbocyclyl, carbocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, 15 C<sub>1-5</sub> alkoxy, C<sub>2-5</sub> alkenyl, and C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: ••• carbocyclic aryl, and 20 ••• carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 25 halogen, hydroxy, nitro, C<sub>1-5</sub> alkyl,  $C_{1-5}$  alkyl substituted by substituent(s) independently selected from the group consisting of: 30 ••• oxo, ••• carbocyclic aryl, and ••• heterocyclyl, C<sub>2-5</sub> alkenyl, 35 C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, carbocyclic aryloxy, 40 mono-carbocyclic arylaminocarbonyl, mono-carbocyclic arylaminocarbonyl substituted by halogen, di-carbocyclic arylaminocarbonyl, di-carbocyclic arylaminocarbonyl substituted by halogen, carbocyclic aryl, and 45 heterocyclyl, heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: 50 C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, carbocyclic aryl, and 55 carbocyclic aryl substituted by halogen,
  - (ii) C<sub>2-7</sub> alkenyl, and

C<sub>2-7</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:

carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, 5 nitro, and C<sub>1-5</sub> alkoxy, (iii) C2-5 alkynyl, and C<sub>2-5</sub> alkynyl substituted by carbocyclic aryl, 10 (iv) C<sub>3-12</sub> cycloalkyl, and  $C_{3-12}$  cycloalkyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl substituted by oxo, 15 C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, and carbocyclic aryl, (v) carbocyclyl, (vi) carbocyclic aryl, and 20 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, cyano, 25 nitro, carboxy, carbamoyl, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 30 halogen, hydroxy, oxo, carbocyclic aryloxy, 35 carbocyclic aryl, and carbocyclic aryl substituted by C<sub>1-5</sub> alkyl, C<sub>1-7</sub> alkoxy, C<sub>1-7</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: 40 halogen, carbocyclic aryl, and halogenated carbocyclic aryl, C<sub>2-5</sub> alkenyloxy, 45 C<sub>3-6</sub> cycloalkoxy, carbocyclic aryloxy, carbocyclic aryloxy substituted by nitro, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, 50 C<sub>1-5</sub> alkoxycarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, 55 mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino,

mono-C<sub>1-5</sub> alkylamino substituted by cyano,

di-C<sub>1-5</sub> alkylamino substituted by cyano,

C<sub>2-5</sub> alkynylcarbonylamino,

C<sub>2-5</sub> alkynylcarbonylamino substituted by carbocyclic aryl, C<sub>1-5</sub> alkoxycarbonylamino, 5 (carbocyclic aryl)NHC(O)NH, (carbocyclic aryl)NHC(O)NH substituted by C<sub>1-5</sub> alkoxy, (carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy, carbocyclic aryl azo, carbocyclic aryl azo substituted by mono-C<sub>1-5</sub> alkylamino, carbocyclic aryl azo substituted by di-C<sub>1-5</sub> alkylamino, 10 C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by halogen, carbocyclic arylthio, carbocyclic arylthio substituted by nitro, 15 carbocyclic arylthio substituted by cyano, aminosulfonyl, mono-C<sub>1-5</sub> alkylaminosulfonyl, di-C<sub>1-5</sub> alkylaminosulfonyl, heterocyclylsulfonyl, 20 C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkyl substituted by C<sub>1-5</sub> alkyl, carbocyclic aryl, heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: 25 C<sub>1-5</sub> alkyl, carbocyclic aryl, and halogenated carbocyclic aryl, 30 (vii) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, nitro, 35 amino, hydroxy, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: halogen, 40 hydroxy, C<sub>1-5</sub> alkylthio, ◆ C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl, C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl, 45 carbocyclic arvl. carbocyclic aryl substituted by halogen, and heterocyclyl, C<sub>1-5</sub> alkoxy, 50 carbocyclic aryloxy, carbocyclic aryloxy substituted by halogen, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, mono-C<sub>1-5</sub> alkylamino, 55 di-C<sub>1-5</sub> alkylamino, C<sub>1-5</sub> alkylthio, C<sub>2-5</sub> alkenylthio, carbocyclic arylthio,

- carbocyclic arylthio substituted by C<sub>1-5</sub> alkoxycarbonyl,
- C<sub>1-5</sub> alkylsulfonyl,
- · carbocyclic arylsulfonyl,
- carbocyclic arylsulfonyl substituted by C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkoxycarbonyl,
- C<sub>1-5</sub> alkoxycarbonyl substituted by carbocyclic aryl,
- · carbocyclic aryl,
- · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

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- nitro
- ◆ C<sub>1-5</sub> alkyl, and
- heterocyclyl;

wherein carbocyclic aryl is phenyl, naphthyl, or anthranyl;

carbocyclyl is 1,2,3,4-tetrahydronaphthyl, 1-oxo-indanyl, 9-fluorenyl, 9*H*-fluorenyl, 9-oxo-9*H*-fluorenyl, adamantly, bicyclo[2.2.1]heptenyl, bicyclo[2.2.1]heptyl, indanyl, indenyl, or menthyl;

heterocyclyl is 1,2,3-triazolyl, 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-1-oxo-isoindolyl, 2,3-dihydro-benzo[1,4] dioxinyl, 2,3-dihydro-benzofuryl, 2,4-dihydro-3-oxo-pyrazolyl, 2*H*-benzopyranyl, 2-oxo-benzopyranyl, 3,4-dihydro-2*H*-benzo[b][1,4]dioxepinyl, 4,5,6,7-tetrahydro-benzo[b]thienyl, 4*H*-benzo[1,3]dioxinyl, 4-oxo-1,5,6,7-tetrahydro-indolyl, 4-oxo-benzopyranyl, 9*H*-carbazolyl, 9*H*-xanthenyl, azetidinyl, benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[2,1,3]thiadiazolyl, benzo[b]thienyl, benzofuryl, benzothiazolyl, fury], imidazo [2,1-b]thiazolyl, imidazolyl, isoxazolyl, morpholino, morpholinyl, oxazolyl, phenanthro[9,10-d]oxazolyl, pyrazolyl, pyridyl, pyrimidyl, quinoxalyl, tetrahydrofuryl, thiazolyl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

3. The compound according to claim 2 wherein Q is Formula (II);

R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-8</sub> alkyl, and

halogen,

C<sub>1-8</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- - oxo,
  - C<sub>1-5</sub> alkoxy,
  - C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
  - C<sub>1-5</sub> alkylcarbonyloxy,
  - carbocyclic aryloxy,
  - · carbocyclic aryloxy substituted by halogen,
  - carbocyclic aryloxy substituted by nitro,
  - heterocyclyloxy,
  - heterocyclyloxy substituted by C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkoxycarbonyl,
  - mono-C<sub>1-5</sub> alkylaminocarbonyl,
  - di-C<sub>1-5</sub> alkylaminocarbonyl,
  - mono-C<sub>1-5</sub> alkylamino,
  - mono-C<sub>1-5</sub> alkylamino substituted by cyano,
  - mono-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl,
  - di-C<sub>1-5</sub> alkylamino,
  - di-C<sub>1-5</sub> alkylamino substituted by cyano,
  - di-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl,
  - mono-carbocyclic arylamino,
  - · di-carbocyclic arylamino,
  - C<sub>1-5</sub> alkoxycarbonylamino,
  - carbocyclic arylcarbonylamino,

carbocyclic arylsulfonylamino,

carbocyclic arylsulfonylamino substituted C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkylthio,  $C_{1.5}$  alkylthio substituted by substituent(s) independently selected from the group consisting of: 5 carbocyclic aryl, carbocyclic aryl substituted by halogen, and carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy, 10 carbocyclic arylthio. heterocyclylthio, heterocyclylthio substituted by  $C_{1-5}$  alkyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkenyl, 15 carbocyclyl, carbocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, 20 C<sub>1-5</sub> alkoxy, C<sub>2-5</sub> alkenyl, and C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: e carbocyclic aryl, and 25 carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 30 halogen, hydroxy, nitro, C<sub>1-5</sub> alkyl, C<sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 35 OXO, ••• carbocyclic aryl, and · heterocyclyl, C<sub>2-5</sub> alkenyl, 40 C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, carbocyclic aryloxy, 45 mono-carbocyclic arylaminocarbonyl, mono-carbocyclic arylaminocarbonyl substituted by halogen, di-carbocyclic arylaminocarbonyl, di-carbocyclic arylaminocarbonyl substituted by halogen, carbocyclic aryl, and 50 heterocyclyl, heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, 55 C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, ⊷ C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,

- carbocyclic aryl, and carbocyclic aryl substituted by halogen, (ii) C<sub>2-7</sub> alkenyl, and C<sub>2-7</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: 5 carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 10 halogen, nitro, and C<sub>1-5</sub> alkoxy, (iii) C<sub>2-5</sub> alkynyl, and 15 C2-5 alkynyl substituted by carbocyclic aryl, (iv) C<sub>3-6</sub> cycloalkyl, and C<sub>3-6</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, 20 C<sub>1-5</sub> alkyl substituted by oxo, C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, and carbocyclic aryl, (v) carbocyclyl, 25 (vi) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, 30 cyano, nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 35 halogen, oxo. carbocyclic aryloxy, carbocyclic aryl, and carbocyclic aryl substituted by C<sub>1-5</sub> alkyl, 40 C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: halogen, 45 carbocyclic aryl, and halogenated carbocyclic aryl, C<sub>2-5</sub> alkenyloxy, C<sub>3-6</sub> cycloalkoxy, 50 carbocyclic aryloxy,
  - mono-C<sub>1-5</sub> alkylamino,

amino,

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C<sub>1-5</sub> alkoxycarbonyl,

mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl,

carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy,

 $\label{eq:carbocyclic} mono-C_{1-5} \ alkylaminocarbonyl substituted by carbocyclic aryl, \\ \ di-C_{1-5} \ alkylaminocarbonyl substituted by carbocyclic aryl, \\$ 

- EP 1 464 335 A2 di-C<sub>1-5</sub> alkylamino, mono-C<sub>1-5</sub> alkylamino substituted by cyano, di-C<sub>1-5</sub> alkylamino substituted by cyano, C<sub>2-5</sub> alkynylcarbonylamino, 5 C2-5 alkynylcarbonylamino substituted by carbocyclic aryl, (carbocyclic aryl)NHC(O)NH, (carbocyclic aryl)NHC(O)NH substituted by C<sub>1-5</sub> alkoxy, (carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by halogen, 10 carbocyclic arylthio, carbocyclic arylthio substituted by cyano, mono-C<sub>1-5</sub> alkylaminosulfonyl, di-C<sub>1-5</sub> alkylaminosulfonyl, and 15 carbocyclic aryl, (vii) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: 20 halogen, nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 25 halogen. hvdroxv. C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl, •• C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl, 30 carbocyclic aryl, carbocyclic aryl substituted by halogen, and heterocyclyl, C<sub>1-5</sub> alkoxy, 35 carbocyclic aryloxy, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkenylthio, carbocyclic arylthio, 40 carbocyclic arylthio substituted by C<sub>1-5</sub> alkoxycarbonyl, C<sub>1-5</sub> alkylsulfonyl, carbocyclic arylsulfonyl, carbocyclic arylsulfonyl substituted by C<sub>1-5</sub> alkyl, C<sub>1.5</sub> alkoxycarbonyl,
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- carbocyclic aryl,
  carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - → halogen,
  - → nitro,
  - C<sub>1-5</sub> alkyl, and
  - C<sub>1-5</sub> alkyl substituted by halogen,
- heterocyclyl;

R<sub>2</sub> is methylamino or dimethylamino when Y is a single bond or -CH<sub>2</sub>-; wherein carbocyclic aryl is phenyl, naphthyl, or anthranyl;

carbocyclyl is 1,2,3,4-tetrahydronaphthyl, 1-oxo-indanyl, 9-fluorenyl, 9-oxo-9*H*-fluorenyl, bicyclo[2.2.1]heptyl, indenyl, or menthyl;

heterocyclyl is 1,2,3-triazolyl, 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-1-oxo-isoindolyl, 2,3-dihydro-benzo[1,4] dioxinyl, 2,3-dihydro-benzofuryl, 2,4-dihydro-3-oxo-pyrazolyl, 2*H*-benzopyranyl, 2-oxo-benzopyranyl, 3,4-dihydro-2*H*-benzo[b][1,4]dioxepinyl, 4-oxo-benzopyranyl, 9*H*-carbazolyl, 9*H*-xanthenyl, azetidinyl, benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[b]thienyl, benzofuryl, benzothiazolyl, furyl, imidazo[2,1-b]thiazolyl, imidazolyl, isoxazolyl, morpholino, pyrazolyl, pyridyl, pyrimidyl, quinolyl, quinoxalyl, thiazolyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 4. The compound according to claim 3 wherein R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-7</sub> alkyl, and

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C<sub>1-7</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
- · carbocyclic aryloxy,
- carbocyclic aryloxy substituted by halogen,
- mono-C<sub>1-5</sub> alkylamino,
- mono-C<sub>1-5</sub> alkylamino substituted by substituent(s) independently selected from the group consisting of:
  - ⇔ cyano, and
  - carbocyclic aryl,
- di-C<sub>1-5</sub> alkylamino,
- di-C<sub>1.5</sub> alkylamino substituted by substituent(s) independently selected from the group consisting of:
  - e cyano, and
  - carbocyclic aryl,
- mono-carbocyclic arylamino,
  - · di-carbocyclic arylamino,
  - · carbocyclic arylsulfonylamino,
  - carbocyclic arylsulfonylamino substituted by C<sub>1-5</sub> alkyl,
  - · carbocyclic aryl,
  - carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
    - → halogen,
    - ⊷ nitro.
    - C<sub>1-5</sub> alkyl
    - C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
      - ••• oxo, and
      - ••• carbocyclic aryl,
- - heterocyclyl,
  - · heterocyclyl substituted by carbocyclic aryl, and
  - heterocyclyl substituted by halogen,
    - (ii) C<sub>2-7</sub> alkenyl, and

C<sub>2-7</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:

- carbocyclic aryl, and
  - carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy,
  - (iii) C2-5 alkynyl, and

C<sub>2-5</sub> alkynyl substituted by carbocyclic aryl, (iv) C<sub>3-6</sub> cycloalkyl, and C<sub>3-6</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of: 5 C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, (v) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 10 halogen, hydroxy, cyano, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, 15 C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: • halogen, and 20 carbocyclic aryl substituted by halogen, C2-5 alkenyloxy, mono-C<sub>1-5</sub> alkylamino, 25 di-C<sub>1-5</sub> alkylamino, mono-C<sub>1-5</sub> alkylamino substituted by cyano, di-C<sub>1-5</sub> alkylamino substituted by cyano, C<sub>1-5</sub> alkylthio, and C<sub>1-5</sub> alkylthio substituted by halogen, 30 (vi) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, 35 C<sub>1-5</sub> alkyl,  $C_{1-5}$  alkyl substituted by substituent(s) independently selected from the group consisting of: hydroxy, and carbocyclic aryl, 40 C<sub>1-5</sub> alkoxy, carbocyclic arylthio, carbocyclic arylthio substituted by C<sub>1-5</sub> alkoxycarbonyl, C<sub>1-5</sub> alkoxycarbonyl, 45 carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by halogen, 50 L is Formula (VII); Y is a single bond or -CH<sub>2</sub>-; R<sub>2</sub> is methylamino or dimethylamino; 55 wherein carbocyclic aryl is phenyl or naphthyl;

and

heterocyclyl is 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-benzo[1,4]dioxinyl, 4-oxo-benzopyranyl, 9*H*-carbazolyl, azetidinyl, benzo[1,3]dioxolyl, benzo[b]thienyl, furyl, imidazo[2,1-b]thiazolyl, pyrazolyl, pyridyl, or thienyl;

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

5. The compound according to claim 4 wherein p is 0; R<sub>3</sub> and R<sub>4</sub> are hydrogen; A is a single bond or -CH<sub>2</sub>-; and B is a single bond or -CH<sub>2</sub>-; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 6. The compound according to claim 5 wherein R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- mono-C<sub>1-5</sub> alkylamino,
- mono-C<sub>1-5</sub> alkylamino substituted by cyano,
- di-C<sub>1-5</sub> alkylamino,
- di-C<sub>1-5</sub> alkylamino substituted by cyano,
- · mono-carbocyclic arylamino,
- · di-carbocyclic arylamino,
- carbocyclic aryl,
- · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - halogen, and
  - C<sub>1-5</sub> alkoxy,

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- · heterocyclyl, and
- · heterocyclyl substituted by carbocyclic aryl,
- (ii) C<sub>2-5</sub> alkenyl, and

C<sub>2-5</sub> alkenyl substituted by carbocyclic aryl,

(iii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- hydroxy,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by halogen, and
- C<sub>2-5</sub> alkenyloxy,
- 40 (iv) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- · halogen,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by carbocyclic aryl,
- C<sub>1-5</sub> alkoxy, and
- C<sub>1-5</sub> alkoxycarbonyl;

wherein carbocyclic aryl is phenyl or naphthyl; heterocyclyl is 1H-indolyl, azetidinyl, or benzo[1,3]dioxolyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

7. The compound according to claim 1 selected from the group consisting of:

ethyl 4,6-dichloro-3-{[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)amino]methyl}-1H-indole-2-carboxylate;

3-[{2-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-amino]ethyl}(phenyl)amino]propanenitrile; N<sup>4</sup>.N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[2-(2-phenyl-1H-indol-3-yl)ethyl]amino}-cyclohexyl)quinoline-2,4-diamine: N2-[cis-4-({[1-(diphenylmethyl)azetidin-3-yl]methyl}amino)cyclohexyl]-N4,N4-dimethylquinoline-2,4-diamine; N<sup>2</sup>-(cis-4-{[(2,6-dimethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylquinoline-2,4-diamine; N<sup>2</sup>-(cis-4-{[(2-ethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylquinoline-2,4-diamine; 5 N2-[cis-4-({[(4-methoxy-1-naphthyl)methyl]amino}methyl)cyclohexyl]-N4,N4-dimethylquinoline-2.4-diamine: 4-bromo-2-({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]amino}methyl)-6-methoxyphenol; N2-[cis-4-({[(5-bromo-1H-indol-3-yl)methyl]amino}methyl)cyclohexyl]-N4,N4-dimethylquinoline-2,4-diamine; N<sup>2</sup>-(cis-4-{[(5-bromo-2,4-dimethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylguinoline-2,4-diamine; 10 N<sup>2</sup>-(cis-4-{[(3,3-diphenylprop-2-en-1-yl)amino]methyl]cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylquinoline-2,4-diamine; N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{((2,4,6-trimethoxybenzyl)amino)methyl}-cyclohexyl)quinoline-2,4-diamine; N<sup>2</sup>-(cis-4-{[(2,5-diethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylquinoline-2,4-diamine; N<sup>2</sup>-(cis-4-{[(2.4-diethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylquinoline-2,4-diamine; N2-(cis-4-{[(3,5-dibromo-2-methoxybenzyl)amino]methyl}cyclohexyl)-N4,N4-dimethylquinoline-2,4-diamine; 15 N<sup>4</sup>.N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[(2.4.5-triethoxybenzyl)amino]methyl}-cyclohexyl)quinoline-2.4-diamine: N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[(2,4,5-trimethoxybenzyl)amino]methyl}-cyclohexyl)quinoline-2,4-diamine; N<sup>2</sup>-[cis-4-({[2-(allyloxy)benzyl]amino}methyl)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethylquinoline-2,4-diamine; N<sup>2</sup>-[cis-4-({[(7-methoxy-1,3-benzodioxol-5-yl)methyl]amino}methyl)-cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethylquinoline-20 2 4-diamine N2-{cis-4-[2-(4-bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl}-N4,N4-dimethyl-quinoline-2,4-diamine: N<sup>2</sup>-[cis-4-(4-bromo-2-trifluoromethoxy-benzyl)amino-cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethyl-quinoline-2,4-diamine; N<sup>2</sup>-[cis-4-(4-bromo-2-trifluoromethoxy-benzyl]amino-cyclohexyl]-N<sup>4</sup>-methyl-quinoline-2,4-diamine; 25 N<sup>2</sup>-{4-[2-(4-bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl}-N<sup>4</sup>-methyl-quinoline-2,4-diamine; N<sup>4</sup>-methyl-N<sup>2</sup>-{cis-4-[(2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}-quinoline-2,4-diamine; N<sup>2</sup>-{cis-4-[(4-bromo-2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}-N<sup>4</sup>-methyl-quinoline-2,4-diamine; N2-{cis-4-[(4-bromo-2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}-N4-dimethyl-quinoline-2,4-diamine: N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-{cis-4-[(2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}-quinoline-2,4-diamine; 30 cis-N-(3,5-dimethoxybenzyl)-N'-(4-methylquinolin-2-yl)cyclohexane-1,4-diamine; and cis-N-(3,5-dichlorobenzyl)-N'-(4-methylquinolin-2-yl)cyclohexane-1,4-diamine; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 35 8. The compound according to claim 3 wherein R<sub>1</sub> is selected from the group consisting of:

- - (i) C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

40 hydroxy,

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- oxo,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
- C<sub>1-5</sub> alkylcarbonyloxy,
- carbocyclic aryloxy,
- carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of:
  - halogen,
  - nitro,
  - C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkoxy, and
  - C<sub>1-5</sub> alkoxy substituted by halogen,
- heterocyclyloxy,
- heteroeyclyloxy substituted by C<sub>1-5</sub> alkyl,
- mono-C<sub>1-5</sub> alkylaminocarbonyl,
- di-C<sub>1-5</sub> alkylaminocarbonyl,
- mono-C<sub>1-5</sub> alkylamino,

di-C<sub>1-5</sub> alkylamino, mono-carbocyclic arylamino, di-carbocyclic arylamino, mono-carbocyclic arylamino substituted by halogen, 5 di-carbocyclic arylamino substituted by halogen, carbocyclic arylcarbonylamino, C<sub>1-5</sub> alkoxycarbonylamino, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by substituent(s) independently selected from the group consisting of: 10 carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: ••• halogen, and 15 C<sub>1-5</sub> alkoxy, carbocyclic arylthio, heterocyclylthio, heterocyclylthio substituted by C<sub>1-5</sub> alkyl, 20 C3-6 cycloalkyl, C<sub>3-6</sub> cycloalkenyl, carbocyclyl, carbocyclyl substituted by substituent(s) independently selected from the group consisting of: 25 halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, C<sub>2-5</sub> alkenyl, and C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: 30 e carbocyclic aryl, and carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl, carbocyclic aryl, 35 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, nitro, C<sub>1-5</sub> alkyl, 40 C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: ••• oxo, ••• carbocyclic aryl, and 45 ••• heterocyclyl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, 50 carbocyclic aryloxy, mono-carbocyclic arylaminocarbonyl, mono-carbocyclic arylaminocarbonyl substituted by halogen, di-carbocyclic arylaminocarbonyl, di-carbocyclic arylaminocarbonyl substituted by halogen, 55 carbocyclic aryl, and heterocyclyl,

heterocyclyl, and

• heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

	← C <sub>1-5</sub> alkyl,
	• C <sub>1.5</sub> alkyl substituted by carbocyclic aryl,
5	• C <sub>1-5</sub> alkoxy,
	• C <sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
	• carbocyclic aryl, and
	◆ carbocyclic aryl substituted by halogen,
10	(ii) C <sub>2-5</sub> alkenyl, and
	C <sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:
	carbocyclic aryl,
	carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
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	•• nitro,
	(ii) C avalentled and
20	(iii) C <sub>3-6</sub> cycloalkyl, and
20	C <sub>3-6</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of:
	• C <sub>1.5</sub> alkyl, .
	• C <sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
	1-5 amy, cases and 2 years and 1 more market and 1 more and 2 more
25	• oxo, and
	• carbocyclic aryl, and
	• carbocyclic aryl,
30	(iv) carbocyclyl,
	(v) carbocyclic aryl, and
	carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
	• halogen.
35	<ul><li>halogen,</li><li>hydroxy,</li></ul>
	• cyano,
	• nitro,
	• carboxy,
	• carbamoyl,
40	• C <sub>1-5</sub> alkyl,
	• C <sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
	◆ halogen,
	. <b>→</b> hydroxy,
45	<b>⊶</b> 0x0,
	• carbocyclic aryl, and
	<ul> <li>carbocyclic aryl substituted by C<sub>1-5</sub> alkyl,</li> </ul>
50	• C <sub>1-5</sub> alkoxy,
	<ul> <li>C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:</li> </ul>
	halogen, and
	• carbocyclic aryl,
55	- Garbodyono aryr,
	carbocyclic aryloxy,
	carbocyclic aryloxy,     carbocyclic aryloxy substituted by C <sub>1-5</sub> alkoxy,
	• C <sub>1-5</sub> alkoxycarbonyl,
	i u e e e e e e e e e e e e e e e e e e

mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, 5 amino, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, C<sub>2-5</sub> alkynylcarbonylamino, C<sub>2-5</sub> alkynylcarbonylamino substituted by carbocyclic aryl, 10 (carbocyclic aryl)NHC(O)NH, (carbocyclic aryl)NHC(O)NH substituted by C<sub>1-5</sub> alkoxy, (carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by halogen, carbocyclic arylthio, 15 carbocyclic arylthio substituted by cyano, mono-C<sub>1-5</sub> alkylaminosulfonyl, di-C<sub>1-5</sub> alkylaminosulfonyl, and carbocyclic aryl, 20 (vi) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, 25 nitro, hydroxy, amino, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 30 halogen, C<sub>1-5</sub> alkylthio,  $C_{1-5}$  alkylthio substituted by carbocyclic aryl, C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl, 35 carbocyclic aryl, carbocyclic aryl substituted by halogen, and heterocyclyl, C<sub>1-5</sub> alkoxy, 40 carbocyclic aryloxy, carbocyclic aryloxy substituted by halogen, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1.5</sub> alkylamino, 45 C<sub>1-5</sub> alkylthio, C2-5 alkenylthio, carbocyclic arylthio, C<sub>1-5</sub> alkylsulfonyl, 50 carbocyclic arylsulfonyl, carbocyclic arylsulfonyl substituted by C<sub>1-5</sub> alkyl, carbocyclic aryl. carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 55 halogen,

nitro, and C<sub>1-5</sub> alkyl,

heterocyclyl;

L is Formula (VII);

Y is -C(O)-;

wherein carbocyclic aryl is phenyl, naphthyl, or anthranyl; carbocyclyl is 1,2,3,4-tetrahydronaphthyl, 1-oxo-indanyl, 9-oxo-9*H*-fluorenyl, or indenyl;

heterocyclyl is 1,2,3-triazolyl, 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-1-oxo-isoindolyl, 2,3-dihydro-benzo-furyl, 2,4-dihydro-3-oxo-pyrazolyl, 2*H*-benzopyranyl, 2-oxo-benzopyranyl, 9*H*-xanthenyl, benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[b]thienyl, benzofuryl, benzothiazolyl, furyl, imidazolyl, isoxazolyl, morpholino, pyrazolyl, pyridyl, pyrimidyl, quinolyl, quinoxalyl, thiazolyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

9. The compound according to claim 8 wherein R<sub>2</sub> is hydrogen, halogen, methyl, trifluoromethyl, methoxy, carbamoyl, amino, methylamino, or dimethylamino; p is 0; R<sub>3</sub> and R<sub>4</sub> are hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

20 10. The compound according to claim 9 wherein R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

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- carbocyclic aryloxy,
- · carbocyclic aryloxy substituted by halogen,
- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl,
- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy,
- mono-C<sub>1-5</sub> alkylamino,
- di-C<sub>1-5</sub> alkylamino,
- · mono-carbocyclic arylamino,
- di-carbocyclic arylamino.
- · mono-carbocyclic arylamino substituted by halogen,
- · di-carbocyclic arylamino substituted by halogen,
- C<sub>3-6</sub> cycloalkyl,
- · carbocyclic aryl,
- carbocyclic aryl by substituent(s) independently selected from the group consisting of:
- - C<sub>1-5</sub> alkyl, and
  - ← C<sub>1-5</sub> alkoxy,
  - heterocyclyl, and
  - heterocyclyl substituted by substituent(s) independently selected from the group consisting of:
    - ◆ C<sub>1-5</sub> alkyl,
    - ◆ C<sub>1-5</sub> alkoxy, and
    - carbocyclic aryl,

(ii) C<sub>2-5</sub> alkenyl, and

C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:

- · carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - halogen, and
  - nitro,

## (iii) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, 5 hydroxy, cyano, nitro, carbamoyl, C<sub>1-5</sub> alkyl, 10 C<sub>1-5</sub> alkyl substituted by halogen, C<sub>1-5</sub> alkyl substituted by hydroxy, C<sub>1-5</sub> alkoxycarbonyl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: 15 halogen, and carbocyclic aryl, carbocyclic aryloxy, and carbocyelie aryloxy substituted by C<sub>1-5</sub> alkoxy, 20 (iv) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: 25 halogen, nitro, amino, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, 30 C<sub>1-5</sub> alkoxy, carbocyclic aryloxy, carbocyclic aryloxy substituted by halogen, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, mono-C<sub>1-5</sub> alkylamino, 35 di-C<sub>1-5</sub> alkylamino, carbocyclic aryl, carbocyclic aryl substituted by halogen, carbocyclic aryl substituted by nitro, and 40 heterocyclyl; wherein carbocyclic aryl is phenyl; heterocyclyl is 1,2,3-triazolyl, 1H-indolyl, 1H-pyrrolyl, 9H-xanthenyl, benzo[2,1,3]oxadiazolyl, benzo [1,2,5]oxadiazolyl, furyl, isoxazolyl, pyridyl, quinolyl, quinoxalyl, thiazolyl, or thienyl; and 45 halogen is fluoro, chloro, bromo, or iodo; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 11. The compound according to claim 10 wherein $R_1$ is selected from the group consisting of: 50 (i) C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

carbocyclic aryloxy,

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- carbocyclic aryloxy substituted by halogen.
- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl,
- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy,
- mono-C<sub>1-5</sub> alkylamino,

di-C<sub>1-5</sub> alkylamino,

mono-carbocyclic arylamino, di-carbocyclic arylamino, mono-carbocyclic arylamino substituted by halogen, di-carbocyclic arylamino substituted by halogen, 5 carbocyclic aryl, carbocyclic aryl by substituent(s) independently selected from the group consisting of: → halogen, ◆ C<sub>1-5</sub> alkyl, and 10 ⇔ C<sub>1-5</sub> alkoxy, and heterocyclyl, (ii) carbocyclic aryl, and 15 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, nitro, hydroxy, 20 cyano, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, C<sub>1-5</sub> alkoxycarbonyl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen, carbocyclic aryloxy, and carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, (iii) heterocyclyl, and 30 heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, nitro, C<sub>1-5</sub> alkyl, 35 carbocyclic aryloxy, carbocyclic aryloxy substituted by halogen, carbocyclic aryloxy substituted by C<sub>1.5</sub> alkyl, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, carbocyclic aryl, 40 carbocyclic aryl substituted by halogen, carbocyclic aryl substituted by nitro, and heterocyclyl; wherein carbocyclic aryl is phenyl; 45 heterocyclyl is 1H-indolyl, 1H-pyrrolyl, 9H-xanthenyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, furyl, isoxazolyl, pyridyl, thiazolyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 50 12. The compound according to claim 1 selected from the group consisting of: N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-methoxybenzamide: 3-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-benzamide; 55 4-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-benzamide; N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2,1,3-benzoxadiazole-5-carboxamide; 3-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-benzamide; 4-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-benzamide;

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4-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-nitrobenzamide;
              3-cyano-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-benzamide;
              3,5-dichloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)benzamide;
              3,4-dichloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2,2-diphenylacetamide:
5
              N-(cis-4-{(4-(dimethylamino)quinolin-2-yllamino}cyclohexyl)-3,4-difluorobenzamide:
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3,5-difluorobenzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-fluoro-5-(trifluoromethyl)benzamide;
10
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-methyl-3-nitrobenzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-nitrobenzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenoxybutanamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenoxypropanamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
15
              N-(cis-4-{[4-(dimethylamino)guinolin-2-yl]amino}cyclohexyi)-3-(trifluoromethoxy)benzamide;
              4-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)-3-methylbenzamide;
              N-(cis-4-{{4-(dimethylamino)quinolin-2-yllamino}cyclohexyl)-3-iodobenzamide:
              3-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2,4-difluorobenzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2,5-dimethyl-3-furamide;
20
              3-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-fluoro-4-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide;
              (2E)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-(4-nitrophenyl)acrylamide;
25
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide;
              2,5-dichloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)thiophene-3-carboxamide;
              2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)acetamide;
              3-(2-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-5-methylisoxazole-4-car-
              boxamide;
30
              1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)cyclopentanecarboxamide;
              3-(2-chloro-6-fluorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-methylisoxazole-
              4-carboxamide:
              N-(cis-4-([4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)-3-fluorobenzamide:
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-fluoro-3-(trifluoromethyl)benzamide:
35
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-methyl-2-phenyl-2H-1,2,3-triazole-4-carboxa-
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(4-methoxyphenoxy)-5-nitrobenzamide;
              N-(cis-4-{[4-(dimethytamino)quinoiin-2-yl]amino}cyclohexyl)-5-nitro-2-furamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenoxyacetamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)quinoxaline-2-carboxamide;
40
              2-(3-chlorophenoxy)-N-(cis-4-[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)acetamide;
              3-(2,6-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-5-methylisoxazole-
              4-carboxamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenoxynicotinamide;
45
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(4-methylphenoxy)nicotinamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(2-thienyl)-1,3-thiazole-4-carboxamide;
              5-bromo-N-(cis-4-{[4-(dimethylamino)quinotin-2-yl]amino}cyclohexyl)-thiophene-2-carboxamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(2,3,6-trichlorophenyl)acetamide;
              5-(4-chloro-2-nitrophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-furamide;
50
              5-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)-thiophene-2-carboxamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-vl]amino}cvclohexvl)-5-iodo-2-furamide:
              N-(cis-4-[[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)-2-(2-iodophenyl)acetamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(5-methoxy-2-methyl-1H-indol-3-yl)aceta-
55
              (2E)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-(3-nitrophenyl)acrylamide;
              2,2-bis(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]-amino}cyclohexyl)acetamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-nitrothiophene-2-carboxamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-methyl-4-nitrobenzamide;
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N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-methoxy-4-nitrobenzamide;
              5-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-vl]amino}cvclohexvl)-2-furamide:
              4,5-dibromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)thiophene-2-carboxamide;
              4,5-dibromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-2-furamide;
5
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(1H-indol-3-yl)acetamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(1H-indol-3-yl)-4-oxo-4-phenylbutanamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(2-phenyl-1H-indol-3-yl)acetamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(2,4,6-trichlorophenoxy)acetamide;
              3-(benzyloxy)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-4-methoxybenzamide;
10
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenylquinoline-4-carboxamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-(3-nitrophenyl)-2-furamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)-5-nitrothiophene-3-carboxamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-1-methyl-4-nitro-1H-pyrrole-2-carboxamide;
15
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-nitrobenzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-methoxy-4-nitrobenzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-fluoro-4-(trifluoromethyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3,5-dimethyl-4-nitrobenzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-mesityl-2-oxoacetamide;
20
              5-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-hydroxybenzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-methoxybenzamide;
              3-bromo-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]benzamide;
              4-bromo-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]benzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2,1,3-benzoxadiazole-5-carboxamide;
25
              3-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]benzamide;
              4-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl}-methyl]benzamide;
              4-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-3-nitrobenzamide;
              3-cyano-N-{(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]benzamide;
              3,5-dichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)methyl]benzamide;
              3,4-dichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)methyl]benzamide;
30
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2,2-diphenylacetamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3,4-difluorobenzamide:
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3,5-difluorobenzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-4-fluorobenzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-fluoro-5-(trifluoromethyl)benzamide;
35
              N-[(cis-4-[4-(dimethylamino)quinolin-2-yl]amino]cyclohexyl)methyl]-4-methyl-3-nitrobenzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-nitrobenzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2-phenoxybutanamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2-phenoxypropanamide;
40
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-methylbenzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-(trifluoromethoxy)benzamide;
              4-bromo-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yi]amino}cyclohexyl)-methyl]-3-methylbenzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-iodobenzamide:
              3-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-2,4-difluorobenzamide;
45
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2,5-dimethyl-3-furamide;
              3-ch!oro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-4-fluorobenzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-fluoro-4-methylbenzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3,5-dimethoxybenzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3,5-bis(trifluoromethyl)benzamide;
50
              (2E)-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-3-(4-nitrophenyl)acrylamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-4-fluoro-3-methylbenzamide;
              2.5-dichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)methyl]thiophene-3-carboxamide;
              2,6-dichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)methyl]benzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2,4,6-trimethylbenzamide;
55
              2,4,6-trichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)methyl]benzamide;
              (2E)-3-(2-chlorophenyl)-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]acrylamide;
              5-bromo-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)-methyl]thiophene-2-carboxamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2-(2,3,6-trichlorophenyl)acetamide;
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5-(4-chloro-2-nitrophenyl)-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2-furamide;
              5-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]thiophene-2-carboxamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl)-5-iodo-2-furamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2-(2-iodophenyl)acetamide;
              (2E)-N-[(cis-4-{[4-(dimethy!amino)quinolin-2-yl]amino]cyclohexyl)-methyl] -3-(3-nitrophenyl)acrylamide;
5
              2,2-bis(4-chlorophenyl)-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]acetamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-5-nitrothiophene-2-carboxamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-methyl-4-nitrobenzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino]cyclohexyl)methyl]-3-methoxy-4-nitrobenzamide:
10
              N-(cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide;
              3,4-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3,4-difluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              2-phenoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              3-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
15
              N-[cis-4-(4-chloro-quinolin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide;
              3-methyl-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3-methoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3-chloro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              5-nitro-thiophene-3-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
20
              5-nitro-thiophene-3-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
              3-chloro-4-fluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3,5-dimethoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3,4-dichloro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              benzo[2,3,1]oxadiazole-5-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
25
              3-methyl-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide:
              3-methoxy-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              4-cyano-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              1-methyl-4-nitro-1H-pyrrole-2-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
              9H-xanthene-9-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
              5-(4-chloro-phenyl)-furan-2-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
30
              3-nitro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              4-fluoro-3-methyl-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3-bromo-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              2-(2-bromo-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
35
              3-cyano-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-trifluoromethyl-benzamide;
              N-{cis-4-(4-chloro-quinolin-2-ylamino)-cyclohexyl}-3,4-difluoro-benzamide;
              3,4-dichloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3-chloro-4-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
40
              4-fluoro-3-methyl-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              1-methyl-4-nitro-1H-pyrrole-2-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
              9H-xanthene-9-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
              5-bromo-furan-2-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide:
              N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-acetamide:
              N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-acetamide:
45
              2.2-diphenyl-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide:
              5-bromo-furan-2-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
              benzo[2,3,1]oxadiazole-5-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
              3-bromo-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3-cyano-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
50
              N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-3-trifluoromethyl-benzamide;
              N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2,2-diphenyl-acetamide;
              2-(4-fluoro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cydohexyl]-nicotinamide;
              2-(4-fluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
55
              2-(3,4-difluoro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              2-(3,4-difluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide:
              N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-2-p-tolyloxy-nicotinamide:
              N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-p-tolyloxy-nicotinamide;
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2-(4-chloro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
             2-(4-chloro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              2-(4-bromo-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              2-(4-bromo-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
5
              2-(4-methoxy-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              2-(4-methoxy-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              2-(3-chloro-4-fluoro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              2-(3-cloro-4-fluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-nicotinamide;
10
              N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-nicotinamide;
              2-(3-methoxy-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
              2-(3-chloro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
              2-(3-chloro-4-fluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
              2-(3,4-dichloro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
15
              C-(methyl-phenyl-amino)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
              2-(3,4-dichloro-phenylamino)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
              2-(3-methoxy-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
              2-(3-chloro-phenoxy)-N-[cis-4-(quinolin-2-vlamino)-cyclohexyl]-acetamide:
              2-(3-chloro-4-fluoro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
20
              2-(3,4-dichloro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
              C-(methyl-phenyl-amino)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
              2-(3,4-dichloro-phenylamino)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
              3-hydroxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid methyl ester;
25
              N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-3-trifluoromethoxy-benzamide;
              N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-bis-trifluoromethyl-benzamide;
              N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-3-trifluoromethoxy-benzamide;
              N-[cis-4-(4-amino-quinolin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide;
              C-(ethyl-phenyl-amino)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
30
              C-(ethyl-phenyl-amino)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
              3-hydroxy-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              2-amino-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              2,3-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              2,4-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
35
              2,5-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              2,6-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3.5-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide:
              C-[(4-chloro-phenyl)-ethyl-amino]-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
              4-chloro-3-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
40
              4-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide:
              3-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              2-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              4-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid methyl ester;
45
              3,5-difluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              4-chloro-3-fluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              C-[(4-chloro-phenyl)-ethyl-amino]-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
              6-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              6-dimethylamino-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
50
              3-hydroxymethyl-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-isophthalamide;
              3-chloro-5-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3.4,5-trifluoro-N-{cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl}-benzamide;
              pyridine-2-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
55
              4-chloro-pyridine-2-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
              5-bromo-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide:
              N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-6-trifluoromethyl-nicotinamide;
              3,4-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexylmethyl]-benzamide;
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N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexylmethyl]-2-phenoxy-nicotinamide;
              N-[cis-4-(4-dimethy!amino-quinolin-2-ylamino)-cyclohexylmethyl]-3,4-diiluoro-benzamide;
              3,4-difluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexylmethyl]-benzamide;
              2-phenoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexylmethyl]-nicotinamide;
              4-methyl-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}benzamide;
5
              2-(4-chlorophenoxy)-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}acetamide;
              3,4,5-trimethoxy-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}benzamide;
              2-(3,4-difluorophenyl)-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}acetamide;
              2-(2-bromo-4,5-dimethoxyphenyl)-N-(cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}acetamide;
10
              2,6-dimethoxy-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}nicotinamide;
              N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}-4-(trifluoromethoxy)benzamide;
              5-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide; and
              5-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
15
               or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
     13. The compound according to claim 12 selected from the group consisting of:
              3-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-benzamide;
20
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2,1,3-benzoxadiazole-5-carboxamide;
              3-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-benzamide;
              4-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-benzamide;
              4-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-nitrobenzamide;
              3,4-dichloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide;
25
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-nitrobenzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenoxybutanamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenoxypropanamide;
30
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
              4-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2,5-dimethyl-3-furamide;
              3-chloro-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide;
35
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide;
              2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)acetamide;
              3-(2-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-5-methylisoxazole-4-car-
              boxamide:
              3-(2-chloro-6-fluorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-methylisoxazole-
40
              4-carboxamide:
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-fluoro-3-(trifluoromethyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(4-methoxyphenoxy)-5-nitrobenzamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-nitro-2-furamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenoxyacetamide;
45
              2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)acetamide;
              3-(2,6-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-5-methylisoxazole-
              4-carboxamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-phenoxynicotinamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(4-methylphenoxy)nicotinamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(2-thienyl)-1,3-thiazole-4-carboxamide;
50
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(2,3,6-trichlorophenyl)acetamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-iodo-2-furamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-nitrothiophene-2-carboxamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-methyl-4-nitrobenzamide;
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N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-methoxy-4-nitrobenzamide; 5-bromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-furamide; 4,5-dibromo-N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)-2-furamide; N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-2-(1H-indol-3-yl)acetamide;

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N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-(3-nitrophenyl)-, 2-furamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-5-nitrothiophene-3-carboxamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-1-methyl-4-nitro-1H-pyrrole-2-carboxamide;
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-4-nitrobenzamide;
5
              N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-3-fluoro-4-(trifluoromethyl)benzamide;
              3-bromo-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]benzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yllamino)cyclohexyl)methyl]-2,1,3-benzoxadiazole-5-carboxanmide;
              3-chloro-N-[(cis-{[4-(dimethylamino)quinolin-2-vl]amino]cyclohexyl)-methyl] benzamide;
              4-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]benzamide;
10
              4-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-3-nitrobenzamide;
              3,4-dichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)methyl]benzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3,4-difluorobenzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl] amino]cyclohexyl)methyl]-4-fluorobenzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-nitrobenzamide;
15
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2-phenoxybutanamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2-phenoxypropanamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-methylbenzamide;
              4-bromo-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-methyl]-3-methylbenzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-v[lamino)cyclohexyl)methyl]-2.5-dimethyl-3-furamide:
20
              3-chloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-vl]amino)cyclohexyl)-methyll-4-fluorobenzamide:
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3,5-dimethoxybenzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-4-fluoro-3-methylbenzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2,4,6-trimethylbenzamide;
              2,4,6-trichloro-N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)methyl]benzamide;
25
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-2-(2,3,6-trichlorophenyl)acetamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-5-iodo-2-furamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-5-nitrothiophene-2-carboxamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-methyl-4-nitrobenzamide;
              N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-3-methoxy-4-nitrobenzamide;
30
              N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide;
              3,4-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3,4-difluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              2-phenoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              3-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
35
              3-methyl-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3-methoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3-chloro-N-[cis-4-(quinolin-2-vlamino)-cyclohexyl]-benzamide:
              5-nitro-thiophene-3-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide:
              5-nitro-thiophene-3-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
40
              3-chloro-4-fluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide:
              3,5-dimethoxy-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3,4-dichloro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              benzo[2,3,1]oxadiazole-5-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
              3-methyl-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
45
              3-methoxy-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              4-cyano-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              1-methyl-4 nitro-1H-pyrrole-2-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
              9H-xanthene-9-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
              3-nitro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
50
              4-fluoro-3-methyl-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3-bromo-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              2-(2-bromo-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              3-cyano-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide:
              N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-trifluoromethyl-benzamide;
55
              N-[cis-4-(4-chloro-quinolin-2-ylamino)-cyclohexyl]-3,4-difluoro-benzamide;
              3,4-dichloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3-chloro-4-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              4-fluoro-3-methyl-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
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1-methyl-4-nitro-1H-pyrrole-2-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
              9H-xanthene-9-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
              5-bromo-furan-2-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
              N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-acetamide;
5
              N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-acetamide;
              2,2-diphenyl-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
              5-bromo-furan-2-carboxylic acid [cis-4-(quinolin-2-ylamino)-cyclohexyl]-amide;
              benzo[2,3,1]oxadiazole-5-carboxylic acid [cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-amide;
              3-bromo-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
10
              3-cyano-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-3-trifluoromethyl-benzamide;
              N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2,2-diphenyl-acetamide;
              2-(4-fluoro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              2-(4-fluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
15
              2-(3,4-difluoro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              2-(3,4-difluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-2 p-tolyloxy-nicotinamide;
              N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2 p-tolyloxy-nicotinamide;
              2-(4-chloro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide:
20
              2-(4-chloro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyll-nicotinamide:
              2-(4 bromo-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              2-(4-bromo-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              2-(4-methoxy-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              2-(4-methoxy-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
25
              2-(3-chloro-4-fluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-nicotinamide;
              N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-2-m-tolyloxy-nicotinamide;
              2-(3-methoxy-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
              2-(3-chloro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
30
              2-(3-chloro-4-fluoro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
              2-(3,4-dichloro-phenoxy)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
              C-(methyl-phenyl-amino)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
              2-(3-methoxy-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
              2-(3-chloro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
35
              2-(3-chloro-4-fluoro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
              2-(3,4-dichloro-phenoxy)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide;
              C-(methyl-phenyl-amino)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl}-acetamide:
              N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-3-trifluoromethoxy-benzamide:
              N-[cis-4-(4-amino-quinolin-2-vlamino)-cyclohexyl]-3.4-difluoro-benzamide:
40
              C-(ethyl-phenyl-amino)-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide:
              C-(ethyl-phenyl-amino)-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyll-acetamide:
              3-hydroxy-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              2,4-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3,5-difluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
45
              C-[(4-chloro-phenyl)-ethyl-amino]-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-acetamide;
              4-chloro-3-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              4-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              4-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
50
              N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-isophthalamic acid methyl ester;
              3,5-difluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide;
              4-chloro-3-fluoro-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-benzamide:
              C-[(4-chloro-phenyl)-ethyl-amino]-N-[cis-4-(quinolin-2-ylamino)-cyclohexyl]-acetamide:
              6-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
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              3-chloro-5-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              3,4,5-trifluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-benzamide;
              5-bromo-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide;
              4-methyl-N-[cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl]benzamide;
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2-(4-chlorophenoxy)-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}-acetamide; 3,4,5-trimethoxy-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}benzamide; 2-(3,4-difluorophenyl)-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}-acetamide; 2-(2-bromo-4,5-dimethoxyphenyl)-N-{cis-4-[(4-methylquinolin-2-yl)amino]-cyclohexyl}acetamide; 2,6-dimethoxy-N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}nicotinamide; 5 N-{cis-4-[(4-methylquinolin-2-yl)amino]cyclohexyl}-4-(trifluoromethoxy)-benzamide; 5-chloro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide; and 5-fluoro-N-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-nicotinamide; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 10 14. The compound according to claim 3 wherein R<sub>1</sub> is selected from the group consisting of: C<sub>1-16</sub> alkyl, and 15 C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl. carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 20 halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, C<sub>1-5</sub> alkoxy, and C<sub>1-5</sub> alkoxy substituted by halogen, 25 L is Formula (XV); Y is  $-C(0)NR_{5}$ -; wherein carbocyclic aryl is phenyl; and halogen is fluoro, chloro, or bromo; 30 or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 15. The compound according to claim 14 wherein R<sub>1</sub> is selected from the group consisting of: 35 C<sub>1-16</sub> alkyl, and C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 40 halogen, C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by halogen, 45 wherein carbocyclic aryl is phenyl; and halogen is fluoro, chloro, or bromo; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 16. The compound according to claim 14 or 15 wherein R<sub>2</sub> is methyl; p is 0; R<sub>3</sub> and R<sub>4</sub> are both hydrogen; A and B 50 are both single bonds; and R<sub>5</sub> is hydrogen; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 17. The compound according to claim 1 selected from the group consisting of: 55 cis-N-[(1R)-1-(4-bromophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino]cyclohexanecarboxamide; cis-N-{(1S)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl}-4-[(4-methylquinolin-2-yl)amino]cyclohexanecarboxam-

ide;

cis-N-[(1R)-1-(2-fluorophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino]cyclohexanecarboxamide; cis-N-[(1S)-1-(2-fluorophenyl)ethyl)-4-[(4-methylquinolin-2-yl)amino]cyclohexanecarboxamide; cis-4-[(4-methylquinolin-2-yl)amino]-N-{(1S)-1-[2-(trifluoromethyl)phenyl]ethyl}cyclohexanecarboxamide; cis-4-[(4-methylquinolin-2-yl)amino]-N-{(1S)-1-[3-(trifluoromethyl)phenyl]ethyl}cyclohexanecarboxamide; cis-N-[(1R)-1-(4-chlorophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino]cyclohexanecarboxamide; and cis-N-[(1S)-1-(4-chlorophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino]cyclohexanecarboxamide; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

18. The compound according to claim 1 selected from the group consisting of:

cis-N-[(1R)-1-(4-bromophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino]cyclohexanecarboxamide; cis-N-[(1S)-1-(2-fluorophenyl)ethyl]-4-[(4-methylquinolin-2-yl)amino]cyclohexanecarboxamide; cis-4-[(4-methylquinolin-2-yl)amino]-N-{(1S)-1-[2-(trifluoromethyl)phenyl]ethyl}cyclohexanecarboxamide; and

cis-4-[(4-methylquinolin-2-yl)amino]-N-{(1S)-1-[3-(trifluoromethyl)phenyl]ethyl]cyclohexanecarboxamide; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 19. The compound according to claim 3 wherein R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-5</sub> alkyl, and

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C<sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- C<sub>1-5</sub> alkoxycarbonyl,
- C<sub>1-5</sub> alkylthio,
- · carbocyclic aryl,
- · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - halogen,
  - C<sub>1-5</sub> alkyl, and
  - ◆ C<sub>2-5</sub> alkenyl,
- (ii) C<sub>3-6</sub> cycloalkyl, and

C3-6 cycloalkyl substituted by carbocyclic aryl,

(iii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- cyano,
- nitro,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen,
- C<sub>1-5</sub> alkoxycarbonyl,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
- C<sub>3-6</sub> cycloalkoxy,
- · carbocyclic aryloxy,
- C<sub>1-5</sub> alkylthio, and
- · carbocyclic aryl,
- (iv) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen, and
- carbocyclic aryl;

L is Formula (VII); Y is -C(O)NR<sub>5</sub>-;

wherein carbocyclic aryl is phenyl or naphthyl;

heterocyclyl is 2,3-dihydro-benzo[1,4]dioxinyl, 3,4-dihydro-2*H*-benzo[b][1,4]dioxepinyl, benzo[1,3]dioxolyl, furyl, or isoxazolyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 20. The compound according to claim 19 wherein R<sub>2</sub> is hydrogen, methyl, methylamino, or dimethylamino; p is 0; R<sub>3</sub> and R<sub>4</sub> are hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-; R<sub>5</sub> is hydrogen; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
- 21. The compound according to claim 20 wherein R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-5</sub> alkyl, and

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C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- C<sub>1-5</sub> alkoxycarbonyl,
- · carbocyclic aryl, and
- · carbocyclic aryl substituted by halogen,
- (ii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- nitro,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen, and
- C<sub>1-5</sub> alkoxy,
- (iii) heterocyclyl,

heterocyclyl substituted by C<sub>1-5</sub> alkyl, and

heterocyclyl substituted by carbocyclic aryl; wherein carbocyclic aryl is phenyl or naphthyl;

heterocyclyl is isoxazolyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

22. The compound according to claim I selected from the group consisting of:

40 N-(2-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)urea;

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-(2-ethyl-6-methylphenyl)urea;

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-mesitylurea;

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-(2,4,6-trichlorophenyl)urea;

 $N-(cis-4-\{[4-(dimethylamino)quinolin-2-yl]amino\}cyclohexyl)-N'-(2,4,6-tribromophenyl)urea;\\$ 

45 N-(2,4-dibromo-6-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)urea;

N-(2,6-diethylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)urea;

N-(2-chlorobenzyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)urea;

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-(2-ethyl-6-isopropylphenyl)urea;

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-(2-isopropyl-6-methylphenyl)urea;

N-(2-tert-butyl-6-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)urea;

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-(diphenylmethyl)urea;

N-(4-bromo-2,6-dimethylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)urea;

N-(cis-4-[[4-(dimethylamino)quinolin-2-yl]amino)cyclohexyl)-N'-(3-methyl-5-phenylisoxazol-4-yl)urea;

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-1-naphthylurea;

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-[1-(1-naphthyl)ethyl]urea;

methyl N-{{(cis-4-{{4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-amino}carbonyl}phenylalaninate;

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-(3,4,5-trimethoxyphenyl)urea;

N-(5-chloro-2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)urea;

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N-(4-bromo-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)urea;
               N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-N'-(2-ethyl-6-methylphenyl)urea;
               N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-N'-mesitylurea;
               N-[(cis-4-{{4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-N'-(2,4,6-trichlorophenyl)urea;
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               N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-N'-(2,4,6-tribromophenyl)urea;
               N-(2,4-dibromo-6-fluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]urea;
               N-(2.6-diethylphenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)methyl]urea;
               N-[2-chloro-6-(trifluoromethyl)phenyl]-N'-[(cis-4-{[4-(dimethylamino)-quinolin-2-yl]amino}cyclohexyl)methyl]
               urea:
               N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino]cyclohexyl)methyl]-N'-(2-ethyl-6-isopropylphenyl)urea;
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               N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-N'-(2-isopropyl-6-methylphenyl)urea;
               N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-N'-(2-methyl-3-nitrophenyl)urea;
               N-(2-tert-butyl-6-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino}quinolin-2-yl]amino cyclohexyl)methyl]urea;
               N-(2-tert-butylphenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]-amino}cyclohexyl)methyl]urea;
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               N-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]-N'-(diphenylmethyl)urea;
               N-(4-bromo-2,6-dimethylphenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)methyl]urea;
               N-(2,3-dichlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]-amino}cyclohexyl)methyl]urea;
               N-(2,6-diisopropylphenyl)-N'-[(cis-4-{[4-(dimethylamino)quinolin-2-yl]-amino}cyclohexyl)methyl]urea;
               1-(2,3-dichloro-phenyl)-3-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexyl]-urea; and
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               1-(2,3-dichloro-phenyl)-3-[cis-4-(4-methyl-quinolin-2-ylamino)-cyclohexylmethyl]-urea;
                 or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
      23. The compound according to claim 3 wherein R<sub>1</sub> is selected from the group consisting of:
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               (i) C<sub>1-5</sub> alkyl, and
                     C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
                   carbocyclic aryl,
                   carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
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                        halogen, and
                        C<sub>1-5</sub> alkoxy,
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               (ii) carbocyclyl,
               (iii) carbocyclic aryl, and
                     carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
                   halogen.
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                   cyano,
                   nitro,
                   C<sub>1-5</sub> alkyl,
                    C<sub>1-5</sub> alkyl substituted by halogen,
                    C<sub>1-5</sub> alkoxy carbonyl,
                   C<sub>1-5</sub> alkoxy,
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                    C<sub>1-5</sub> alkoxy substituted by halogen,
                    mono-C<sub>1-5</sub> alkylamino,
                    di-C<sub>1-5</sub> alkylamino, and
                    carbocyclic aryl,
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               (iv) heterocyclyl, and
                     heterocyclyl substituted by substituent(s) independently selected from the group consisting of:
                    C<sub>1-5</sub> alkyi,
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                    C<sub>1-5</sub> alkoxy carbonyl, and
                   carbocyclic aryl;
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L is Formula (VII);

Y is -C(S)NR<sub>5</sub>-; wherein carbocyclic aryl is phenyl or naphthyl; carbocyclyl is bicyclo[2.2.1]heptyl; heterocyclyl is 2,3-dihydro-benzo[1,4]dioxinyl, benzo[1,3]dioxolyl, isoxazolyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 24. The compound according to claim 23 wherein R<sub>2</sub> is methylamino or dimethylamino; p is 0; R<sub>3</sub> and R<sub>4</sub> are hydrogen;
   A is a single bond; B is a single bond or -CH<sub>2</sub>-; R<sub>5</sub> is hydrogen;
   or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
  - 25. The compound according to claim 24 wherein R<sub>1</sub> is selected from the group consisting of:
    - (i) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
      - · halogen,

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- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen,
- C<sub>1-5</sub> alkoxy,
- mono-C<sub>1-5</sub> alkylamino, and
- di-C<sub>1-5</sub> alkylamino,
- (ii) heterocyclyl, and

heterocyclyl substituted by C<sub>1-5</sub> alkyl, and heterocyclyl substituted by C<sub>1-5</sub> alkoxy carbonyl; wherein carbocyclic aryl is phenyl or naphthyl; heterocyclyl is thienyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

26. The compound according to claim 1 selected from the group consisting of:

N-(2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]-amino}cyclohexyl)thiourea;
N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-(3,4,5-trimethoxyphenyl)thiourea;

N-[4-(dimethylamino)-1-naphthyl]-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)thiourea;

 $N-(cis-4-\{[4-(dimethylamino)quinolin-2-yl]amino\}cyclohexyl)-N'-(2,4,6-tribromophenyl)thiourea;\\$ 

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-(2,4,6-trichlorophenyl)thiourea;

N-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-N'-mesitylthiourea;

N-(2,6-diethylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}-cyclohexyl)thiourea;

N-(4-bromo-2,6-dimethylphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)thiourea;

 $N-(4-bromo-2-methylphenyl)-N'-(cis-4-\{[4-(dimethylamino)quinolin-2-yl]amino\}cyclohexyl) thiourea;\\$ 

 $N-[4-bromo-2-(trifluoromethyl)phenyl]-N'-(cis-4-\{[4-(dimethylamino)-quinolin-2-yl]amino\}cyclohexyl) thiourea;\\$ 

N-(5-chloro-2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)thiourea;

N-(2,4-dibromo-6-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)thiourea;

N-(2,4-dichloro-6-methylphenyl)-N'-(cis-4-{[4-(dimethyl amino)quinolin-2-yl]amino}cyclohexyl)thiourea; and methyl 3-({[(cis-4-{[4-(dimethylamino)quinolin-2-yl]amino}cyclohexyl)-amino)carbonothioyl}amino)-4-methyl-

thiophene-2-carboxylate;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 27. The compound according to claim 3 wherein  ${\sf R}_1$  is selected from the group consisting of:
  - (i) C<sub>1-8</sub> alkyl, and

C<sub>1-8</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

halogen, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, carbocyclyl, 5 carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, nitro, and 10 C<sub>1-5</sub> alkoxy, (ii) C<sub>2-5</sub> alkenyl, (iii) carbocyclyl, (iv) carbocyclic aryl, and 15 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, and 20 C<sub>1.5</sub> alkoxy; L is Formula (VII); Y is -C(O)O-; wherein carbocyclic aryl is phenyl or naphthyl; 25 carbocyclyl is 9H-fluorenyl or menthyl; and halogen is fluoro, chloro, bromo, or iodo; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 28. The compound according to claim 27 wherein  $R_2$  is methylamino or dimethylamino; p is 0;  $R_3$  and  $R_4$  are hydrogen; 30 A is a single bond; B is a single bond or -CH<sub>2</sub>-; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 29. The compound according to claim 2 wherein Q is Formula (III); 35 R<sub>1</sub> is selected from the group consisting of: (i) C<sub>1-8</sub> alkyl, and C<sub>1-8</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 40 halogen, oxo, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, C<sub>1-5</sub> alkylcarbonyloxy, 45 carbocyclic aryloxy, carbocyclic aryloxy substituted by halogen, carbocyclic aryloxy substituted by nitro, heterocyclyloxy, heterocyclyloxy substituted by C<sub>1-5</sub> alkyl, 50 C<sub>1-5</sub> alkoxycarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1.5</sub> alkylaminocarbonyl, mono-C<sub>1-5</sub> alkylamino, mono-C<sub>1-5</sub> alkylamino substituted by cyano, 55 mono-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino substituted by cyano, di-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl,

mono-carbocyclic arylamino,

mono-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl, di-carbocyclic arylamino, di-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl, 5 carbocyclic arylsulfonylamino, carbocyclic arylsulfonylamino substituted C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkylthio,  $C_{1.5}$  alkylthio substituted by substituent(s) independently selected from the group consisting of: 10 carbocyclic aryl, carbocyclic aryl substituted by halogen, and carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy, carbocyclic arylthio, 15 heterocyclylthio, heterocyclylthio substituted by C<sub>1-5</sub> alkyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkenyl, carbocyclyl, 20 carbocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, 25 C<sub>1-5</sub> alkenyl, and C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: ••• carbocyclic aryl, and ••• carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl, 30 carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, 35 hydroxy, nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 40 ••• oxo, ••• carbocyclic aryl, and ••• heterocyclyl, C<sub>2-5</sub> alkenyl, 45 C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen, • C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, · carbocyclic aryloxy, mono-carbocyclic arylaminocarbonyl, • mono-carbocyclic arylaminocarbonyl substituted by halogen, 50 di-carbocyclic arylaminocarbonyl, di-carbocyclic arylaminocarbonyl substituted by halogen, carbocyclic aryl, and • heterocyclyl, 55 heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, carbocyclic aryl, and carbocyclic aryl substituted by halogen, 5 (ii) C2-7 alkenyl, and C<sub>2-7</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: 10 carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, nitro, and C<sub>1-5</sub> alkoxy, 15 (iii) C<sub>2-5</sub> alkynyl, (iv) C<sub>3-12</sub> cycloalkyl, and C<sub>3-12</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of: 20 C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by oxo, C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, and carbocyclic aryl, 25 (v) carbocyclyl, (vi) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 30 halogen, hydroxy, cyano, nitro, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 35 halogen, oxo, carbocyclic aryloxy, 40 carbocyclic aryl, and carbocyclic aryl substituted by C<sub>1-5</sub> alkyl, C<sub>1-7</sub> alkoxy, C<sub>1-7</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: 45 halogen, carbocyelic aryl, and halogenated carbocyclic aryl, 50 C<sub>2-5</sub> alkenyloxy, C<sub>3-6</sub> cycloalkoxy, carbocyclic aryloxy, carbocyclic aryloxy substituted by nitro, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, 55 carboxy, C<sub>1-5</sub> alkoxycarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl,

di-C<sub>1-5</sub> alkylaminocarbonyl,

mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl,

di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, amino, mono-C<sub>1-5</sub> alkylamino, 5 di-C<sub>1-5</sub> alkylamino, mono-C<sub>1-5</sub> alkylamino substituted by cyano, di-C<sub>1-5</sub> alkylamino substituted by cyano, C2-5 alkynylcarbonylamino, C<sub>2-5</sub> alkynylcarbonylamino substituted by carbocyclic aryl, 10 C<sub>1-5</sub> alkoxycarbonylamino, (carbocyclic aryl)NHC(O)NH, (carbocyclic aryl)NHC(O)NH substituted by C<sub>1-5</sub> alkoxy, (carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy, arbocyclic aryl azo, 15 carbocyclic aryl azo substituted by mono-C<sub>1-5</sub> alkylamino, carbocyclic aryl azo substituted by di-C<sub>1-5</sub> alkylamino, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by halogen, carbocyclic arylthio, 20 carbocyclic arylthio substituted by nitro, carbocyclic arylthio substituted by cyano, aminosulfonyl, mono-C<sub>1-5</sub> alkylaminosulfonyl, di-C<sub>1-5</sub> alkylaminosulfonyl, 25 heterocyclylsulfonyl, C3-6 cycloalkyl, C<sub>3-6</sub> cycloalkyl substituted by C<sub>1-5</sub> alkyl, carbocyclic aryl, heterocyclyl, and 30 heterocyclyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, carbocyclic aryl, and halogenated carbocyclic aryl, 35 (vii) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, 40 nitro, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: halogen, 45 hydroxy, C1-5 alkylthio, C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl, C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl, carbocyclic aryl, 50 carbocyclic aryl substituted by halogen, and heterocyclyl, C<sub>1-5</sub> alkoxy, carbocyclic aryloxy, 55 carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkylthio, C2-5 alkenylthio,

carbocyclic arylthio,

- Carbocyclic arylthio substituted by C<sub>1-5</sub> alkoxycarbonyl,
- C<sub>1-5</sub> alkylsulfonyl,
- · carbocyclic arylsulfonyl,
- carbocyclic arylsulfonyl substituted by C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkoxycarbonyl,
- C<sub>1-5</sub> alkoxycarbonyl substituted by carbocyclic aryl,
- · carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - halogen,
  - → nitro,
  - ◆ C<sub>1-5</sub> alkyl, and
- heterocyclyl;

wherein carbocyclic aryl is phenyl, naphthyl, or anthranyl;

carbocyclyl is 1,2,3,4-tetrahydronaphthyl, 1-oxo-indanyl, 9-fluorenyl, 9*H*-fluorenyl, 9-oxo-9*H*-fluorenyl, adamantly, bicyclo[2.2.1]heptenyl, bicyclo[2.2.1]heptyl, indanyl, indenyl, or menthyl;

heterocyclyl is 1,2,3-triazolyl, 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-1-oxo-isoindolyl, 2,3-dihydro-benzo [1,4]dioxinyl, 2,4-dihydro-3-oxo-pyrazolyl, 2*H*-benzopyranyl, 2-oxo-benzopyranyl, 3,4-dihydro-2*H*-benzo[b] [1,4]dioxepinyl, 4,5,6,7-tetrahydro-benzo[b]thienyl, 4*H*-benzo[1,3]dioxinyl, 4-oxo-1,5,6,7-tetrahydro-indolyl, 4-oxo-benzopyranyl, 9*H*-carbazolyl, 9*H*-xanthenyl, azetidinyl, benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[2,1,3]thiadiazolyl, benzo[b]thienyl, benzofuryl, benzothiazolyl, furyl, imidazo [2,1-b]thiazolyl, isoxazolyl, morpholino, morpholinyl, oxazolyl, phenanthro[9,10-d]oxazolyl, piperidyl, pyrazolyl, pyridyl, pyrimidyl, quinoxalyl, tetrahydrofuryl, thiazoiyl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

30. The compound according to claim 29 wherein R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-7</sub> alkyl, and

C<sub>1-7</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

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- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
- · carbocyclic aryloxy,
- mono-C<sub>1-5</sub> alkylamino,
- mono-C<sub>1-5</sub> alkylamino substituted by substituent(s) independently selected from the group consisting of:
  - cyano, and
  - carbocyclic aryl,
- di-C<sub>1-5</sub> alkylamino,
  - di-C<sub>1-5</sub> alkylamino substituted by substituent(s) independently selected from the group consisting of:
    - cyano, and
    - · carbocyclic aryl,

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- mono-carbocyclic arylamino,
- · di-carbocyclic arylamino,
- mono-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl,
- di-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl,
- · carbocyclic arylsulfonylamino,
- carbocyclic arylsulfonylamino substituted by C<sub>1-5</sub> alkyl,
- · carbocyclic aryl,
- · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

halogen, nitro, C<sub>1-5</sub> alkyl,  $C_{1-5}$  alkyl substituted by substituent(s) independently selected from the group consisting of: 5 ••• oxo, and ••• carbocyclic aryl, C<sub>1-5</sub> alkoxy, 10 heterocyclyl, and heterocyclyl substituted by carbocyclic aryl, (ii) C<sub>2-7</sub> alkenyl, and 15 C2-7 alkenyl substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl, and carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy, 20 (iii) C3-6 cycloalkyl, and C<sub>3-6</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, 25 (iv) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, 30 hydroxy, cyano, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, C<sub>1-5</sub> alkoxy, 35 C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: halogen, and carbocyclic aryl, carbocyclic aryl substituted by halogen, 40 C<sub>2-5</sub> alkenyloxy, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, mono-C<sub>1-5</sub> alkylamino substituted by cyano, 45 di-C<sub>1-5</sub> alkylamino substituted by cyano, C<sub>1-5</sub> alkylthio, and C<sub>1-5</sub> alkylthio substituted by halogen, (v) heterocyclyl, and 50 heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 55 hydroxy,and

carbocyclic aryl.

- C<sub>1-5</sub> alkoxy, carbocyclic arylthio, carbocyclic arylthio substituted by C<sub>1-5</sub> alkoxycarbonyl, C<sub>1-5</sub> alkoxycarbonyl, carbocyclic aryl, 5 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, and 10 C<sub>1-5</sub> alkyl substituted by halogen; L is Formula (VII); Y is a single bond or -CH2-; wherein carbocyclic aryl is phenyl or naphthyl; heterocyclyl is 1H-indolyl, 1H-pyrrolyl, 2,3-dihydro-benzo[1,4]dioxinyl, 4-oxo-benzopyranyl, 9H-carba-15 zolyl, azetidinyl, benzo[1,3]dioxolyl, benzo[b]thienyl, furyl, imidazo[2,1-b]thiazolyl, pyrazolyl, pyridyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 20 31. The compound according to claim 30 wherein R<sub>2</sub> is methylamino or dimethylamino; p is 0; R<sub>3</sub> and R<sub>4</sub> are hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 25 32. The compound according to claim 31 wherein R<sub>1</sub> is selected from the group consisting of: (i) C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 30 mono-C<sub>1-5</sub> alkylamino, mono-C<sub>1-5</sub> alkylamino substituted by cyano, di-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino substituted by cyano, 35 mono-carbocyclic arylamino, di-carbocyclic arylamino, mono-carbocyclic arylamino substituted by  $C_{1-5}$  alkyl, di-carbocyclic arylamino substituted by  $C_{1-5}$  alkyl, carbocyclic arylsulfonylamino, 40 carbocyclic arylsulfonylamino substituted by C<sub>1-5</sub> alkyl, carbocyclic aryl, and carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy, (ii) C2-5 alkenyl, and 45 C2-5 alkenyl substituted by carbocyclic aryl, (iii) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, 50 hydroxy, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen,
  - (iv) heterocyclyl, and

di-C<sub>1-5</sub> alkylamino,

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mono-C<sub>1-5</sub> alkylamino, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxycarbonyl, 5 carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, 10 C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by halogen; wherein carbocyclic aryl is phenyl or naphthyl; heterocyclyl is 1H-indolyl, 4-oxo-benzopyranyl, azetidinyl, benzo[1,3]dioxolyl, or pyrazolyl; and 15 halogen is fluoro, chloro, bromo, or iodo; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 33. The compound according to claim 32 wherein R<sub>1</sub> is selected from the group consisting of: 20 (i) C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: mono-C<sub>1-5</sub> alkylamino, 25 mono-C<sub>1-5</sub> alkylamino substituted by cyano, di-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino substituted by cyano, mono-carbocyclic arylamino, di-carbocyclic arylamino, carbocyclic arylsulfonylamino, 30 carbocyclic arylsulfonylamino substituted by  $C_{1-5}$  alkyl, and carbocyclic aryl, (ii) C<sub>2-5</sub> alkenyl, and 35 C2-5 alkenyl substituted by carbocyclic aryl, (iii) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, 40 hydroxy, C<sub>1-5</sub> alkoxy, and C<sub>1-5</sub> alkoxy substituted by halogen, (iv) heterocyclyl, and 45 heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, 50 C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxycarbonyl, carbocyclic aryl, and carbocyclic aryl substituted by halogen;

wherein carbocyclic aryl is phenyl;

halogen is fluoro, chloro, bromo, or iodo;

heterocyclyl is 1H-indolyl, azetidinyl, or pyrazolyl; and

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or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

**34.** The compound according to claim 1 selected from the group consisting of:

5	N <sup>2</sup> -{cis-4-[(2,6-dimethoxybenzyl)amino]cyclohexyl}-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
	N <sup>2</sup> -{cis-4-[(2-ethoxybenzyl)amino]cyclohexyl}-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
	N <sup>2</sup> -{cis-4-[(1H-indol-3-ylmethyl)amino]cyclohexyl}-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-di-amine;
10	N <sup>2</sup> -{cis-4-[(2,5-dimethoxybenzyl)amino]cyclohexyl}-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
	N <sup>2</sup> -(cis-4-{[(4-methoxy-1-naphthyl)methyl]amino}cyclohexyl)-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
45	N <sup>2</sup> -(cis-4-{[(5-methoxy-1H-indol-3-yl)methyl]amino}cyclohexyl)-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydroquinazo-
15	line-2,4-diamine; 4-bromo-2-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino]methyl}-
	6-methoxyphenol; N <sup>2</sup> -(cis-4-{[(5-bromo-1H-indol-3-yl)methyl]amino}cyclohexyl)-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydroquinazoline-
20	2,4-diamine;
20	4-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)amino]methyl}-2,6-dimethoxyphenol;
	N <sup>2</sup> -{cis-4[(3-ethoxy-4-methoxybenzyl)amino]cyclohexyl}-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydroquinazoline- 2,4-diamine;
	$N^4, N^4-dimethyl-N^2-\{cis-4-[(\{3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl\}methyl)amino]cyclohexyl\} \\$
25	5,6,7,8-tetrahydroquinazoline-2,4-diamine;
	N <sup>4</sup> ,N <sup>4</sup> -dimethyl-N <sup>2</sup> -{cis-4-[(3,4,5-trimethoxybenzyl)amino]cyclohexyl}-5,6,7,8-tetrahydroquinazoline-2,4-di- amine;
	N <sup>4</sup> ,N <sup>4</sup> -dimethyl-N <sup>2</sup> -{cis-4-[(pentamethylbenzyl)amino]cyclohexyl}-5,6,7,8-tetrahydroquinazoline-2,4-diamine;
30	N <sup>2</sup> -{cis-4-[(3,5-dimethoxybenzyl)amino]cyclohexyl}-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-di- amine;
00	4-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)amino]methyl}-2-iodo-
	6-methoxyphenol;
	4-{[(cis-4-{[4-(dimethyiamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)amino]methyl}- 2,6-dimethylphenol;
35	3-{[(cis-4-{[4-(dimethylamino}-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)amino]methyl}-6,8-dime-
	thyl-4H-chromen-4-one;
	ethyl 4,6-dichloro-3-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino] methyl}-1H-indole-2-carboxylate;
40	N <sup>2</sup> -[cis-4-({[3-(4-fluorophenyl)-1H-pyrazol-4-yl]methyl}amino)cyclohexyl]-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydro- quinazoline-2,4-diamine;
40	N <sup>4</sup> ,N <sup>4</sup> -dimethyl-N <sup>2</sup> -[4-(pentamethylphenylmethyl-amino)-cyclohexyl]-5,6,7,8-tetrahydro-quinazoline-2,4-di-
	amine;
	3-[{2-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino]ethyl}(3-methyl-phenyl)amino]propanenitrile;
45	3-[{2-[(cis-4-{[4-(dimethylamino)-5,6,7, anino]propanenitrile; amino]propanenitrile; 8-tetrahydroquinazolin-2-yl]amino]cyclohexyl)amino]ethyl}(phenyl)
	N-{(1S)-1-benzyl-2-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino]
	ethyl)-4-methylbenzenesulfonamide;
50	N <sup>2</sup> -(cis-4-{[2-(3,5-dimethoxyphenyl)ethyl]amino}cyclohexyl)-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydroquinazoline-
50	2,4-diamine;
	N <sup>2</sup> -[cis-4-({[1-(diphenylmethyl)azetidin-3-yl]methyl}amino)cyclohexyl]-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydro- quinazoline-2,4-diamine;
	N <sup>2</sup> -(cis-4-{[(2,6-dimethoxybenzyl)amino]methyl} cyclohexyl)-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydroquinazoline-
55	2,4-diamine;  N <sup>2</sup> -(cis-4-{[(2-ethoxybenzyl)amino]methyl}cyclohexyl)-N <sup>4</sup> N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-di-
	amine;
	N <sup>2</sup> -(cis-4-{[(1H-indol-3-ylmethyl)amino]methyl}cyclohexyl)-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydroquinazoline-
	2.4. diamino:

2,4-diamine;

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	(2,5-dimethoxybenzyl)amino]methyl}cyclohexyl)-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydroquinazolin
	[(4-methoxy-1-naphthyl)methyl]amino}methyl)cyclohexyl]-N4,N4-dimethyl-5,6,7,8-tetrahydro-
N <sup>2</sup> -[cis-4-({	2,4-diamine; [(5-methoxy-1H-indol-3-yl)methyl]amino}methyl)cyclohexyl]-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydro
4-bromo-2-	e-2,4-diamine; ({{(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]amino
N2-[cis-4-({	nethoxyphenol; [(5-bromo-1H-indol-3-yl)methyl]amino}methyl)cyclohexyl]-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydro-
N <sup>2</sup> -(cis-4-{[	e-2,4-diamine; (3-ethoxy-4-methoxybenzyl)amino]methyl}cyclohexyl)-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydroquina
	thyl-N <sup>2</sup> -(cis-4-{[({3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl}methyl)amino]methyl}cyclohex
N <sup>4</sup> ,N <sup>4</sup> -dime	ahydroquinazoline-2,4-diamine; hthyl-N <sup>2</sup> -(cis-4-{[(3,4,5-trimethoxybenzyl)amino]-methyl}cyclohexyl)-5,6,7,8-tetrahydroquinazo
	(3,5-dimethoxybenzyl)amino]methyl]cyclohexyl)-N4,N4-dimethyl-5,6,7,8-tetrahydroquinazolin
	[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]amino}methyl)
4-({[(cis-4-{	ethoxyphenol; [4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]amino}methyl)
	({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]amino
	[4-(diethylamino)benzyl]amino]methyl)cyclohexyl]-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydroquinazol
	(3,3-diphenylprop-2-en-1-yl)amino]methyl}cyclohexyl)-N4,N4-dimethyl-5,6,7,8-tetrahydroquin
	[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]amino}methyl)
	{[4-(dimethylamino)-1-naphthyl]methyl}amino)methyl]-cyclohexyl}-N <sup>4</sup> N <sup>4</sup> -dimethyl-5,6,7,8-tetr
	oline-2,4-diamine; http://orethyl-N <sup>2</sup> -(cis-4-{[(2,4,6-trimethoxybenzyl)amino]methyl}-cyclohexyl)-5,6,7,8-tetrahydroquinazo prino:
	chloro-6-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)med
	$(2,5-diethoxybenzyl) a mino] methyl cyclohexyl)-N^4,N^4-dimethyl-5,6,7,8-tetra hydroquina zoline-diethoxybenzyl) a minoj methyl cyclohexyl) a minoj methyl cyclohexyl cy$
•	(2,4-diethoxybenzyl)amino]methyl}cyclohexyl)-N4 N4-dimethyl-5,6,7,8-tetrahydroquinazoline-
N2-(cis-4-{[	c; (3,5-dibromo-2-methoxybenzyl)amino]methyl}cyclohexyl)-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydro- e-2,4-diamine;
	ethyl-N2 -(cis-4-{[(2,4,5-triethoxybenzyl)amino]methyl}-cyclohexyl)-5,6,7,8-tetrahydroquinazo
	ethyl-N <sup>2</sup> -(cis-4-{[2,4,5-trimethoxybenzyl)amino]methyl}-cyclohexyl)-5,6,7,8-tetrahydroquinazol
N <sup>2</sup> -[cis-4-({ rahydroqui	-, [(7-methoxy-1,3-benzodioxol-5-yl)methyl]amino}methyl)-cyclohexyl]-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-t nazoline-2,4-diamine; 4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino ethyl]amino}methyl)-2-methylphenol;
N <sup>2</sup> -(cis-4-{[ quinazoline	(4-methoxy-2,5-dimethylbenzyl)amino]methyl}cyclohexyl)-N <sup>4</sup> ,N <sup>4</sup> -dimethyl-5,6,7,8-tetrahydro- -2,4-diamine; 4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cycloheno}methyl)-2-fluoro-6-methoxyphenol;
N <sup>4</sup> ,N <sup>4</sup> -dime	ethyl-N <sup>2</sup> -[cis-4-({[(1-phenyl-5-propyl-1H-pyrazol-4-yl)methyl]amino}methyl)cyclohexyl]-5,6,7,8- nazoline-2,4-diamine;
	[{[1-(4-chlorophenyl)-5-propyl-1H-pyrazol-4-yl]methyl}-amino)methyl]cyclohexyl}-N <sup>4</sup> ,N <sup>4</sup> -dimetl

5,6,7,8-tetrahydroquinazoline-2,4-diamine;

dro-quinazoline-2,4-diamine;

 $N^2$ -{cis-4-[2-(4-bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl}- $N^4$ -methyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;

 $N^2$ -{cis-4-[(4-bromo-2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}- $N^4$ -methyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;

N<sup>2</sup>-{cis-4-[(4-bromo-2-trifluoromethoxy-benzyl)amino-methyl}-cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;

N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-{cis-4-[(2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}-5,6,7,8-tetrahydro-quinazo-line-2,4-diamine; and

N<sup>4</sup>-methyl-N<sup>2</sup>-{cis-4-{(2-trifluoromethoxy-benzyl)amino-methyl}-cyclohexyl}-5,6,7,8-tetrahydro-quinazoline-2,4-diamine;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

## 35. The compound according to claim 34 selected from the group consisting of:

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N<sup>2</sup>-(cis-4-{[(5-methoxy-1H-indol-3-yl)methyl]amino}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;

ethyl 4,6-dichloro-3-{[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino] methyl}-1H-indole-2-carboxylate;

 $N^2-[cis-4-(\{[3-(4-fluorophenyl)-1H-pyrazol-4-yl]methyl\}amino) cyclohexyl]-N^4, N^4-dimethyl-5, 6, 7, 8-tetrahydroquinazoline-2, 4-diamine;$ 

3-[{2-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino]ethyl}(phenyl) amino]propanenitrile;

N-{(1S)-1-benzyl-2-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)amino] ethyl}-4-methylbenzenesulfonamide;

N<sup>2</sup>-[cis-4-({[1-(diphenylmethyl)azetidin-3-yl]methyl}amino)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;

 $N^2$ -(cis-4-{[(2,6-dimethoxybenzyl)amino)methyl}cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;

N<sup>2</sup>-[cis-4-({[(5-methoxy-1H-indol-3-yl)methyl}amino}methyl)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine;

 $N^2$ -[cis-4-({[(5-bromo-1H-indol-3-yl)methyl}amino}methyl)cyclohexyl]- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;

N<sup>2</sup>-(cis-4-{[(3-ethoxy-4-methoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazo-line-2,4-diamine;

4-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]amino}methyl)-2-iodo-6-methoxyphenol;

N<sup>2</sup>-(cis-4-{[(3,3-diphenylprop-2-en-1-yl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazo-line-2,4-diamine;

N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[(2,4,6-trimethoxybenzyl)amino]methyl}-cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine;

N<sup>2</sup>-(cis-4-{[(2,5-diethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;

N<sup>2</sup>-(cis-4-{[(2,4-diethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;

 $N^2$ -(cis-4-{[(3,5-dibromo-2-methoxybenzyl)amino]methyl}cyclohexyl)- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;

N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[(2,4,5-triethoxybenzyl)amino}methyl}-cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine;

 $N^4,N^4$ -dimethyl- $N^2$ -(cis-4-{[(2,4,5-trimethoxybenzyl)amino]methyl}-cyclohexyl)-5,6,7,8-tetrahydroquinazoline-2,4-diamine;

 $N^4,N^4-dimethyl-N^2-[cis-4-(\{[(1-phenyl-5-propyl-1H-pyrazol-4-yl)methyl]amino\}methyl)cyclohexyl]-5,6,7,8-tet-rahydroquinazoline-2,4-diamine;\\$ 

 $N^2$ -{cis-4-[({[1-(4-chlorophenyl)-5-propyl-1H-pyrazol-4-yl]methyl}-amino)methyl]cyclohexyl}- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahydroquinazoline-2,4-diamine;

 $N^2$ -{cis-4-[2-(4-bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl}- $N^4$ , $N^4$ -dimethyl-5,6,7,8-tetrahy-dro-quinazoline-2,4-diamine;

N2-{cis-4-[(4-bromo-2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}-N4-methyl-5,6,7,8-tetrahydro-

quinazoline-2,4-diamine;

• C<sub>1-5</sub> alkyl,

N2-{cis-4-[(4-bromo-2-trofluoromethoxy-benzyl)amino-methyl]-cyclohexyl)-N4-dimethyl-5,6,7,8-tetrahydro-quinazoline-2,4-diamine; and N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-{cis-4-[(2-trifluoromethoxy-benzyl)amino-methyl]-cyclohexyl}-5,6,7,8-tetrahydro-quinazoline-2,4-diamine; 5 or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 36. The compound according to claim 29 wherein  ${\sf R}_1$  is selected from the group consisting of: 10 (i) C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: oxo. 15 C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, C<sub>1-5</sub> alkylcarbonyloxy, carbocyclic aryloxy, carbocyclic aryloxy substituted by halogen, 20 carbocyclic aryloxy substituted by nitro. heterocyclyloxy, heterocyclyloxy substituted by C<sub>1-5</sub> alkyl, mono-C<sub>1-5</sub> alkylaminocarbonyl di-C<sub>1-5</sub> alkylaminocarbonyl, 25 carbocyclic arylcarbonylamino, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl, and 30 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: ••• halogen, and •• C<sub>1-5</sub> alkoxy, 35 carbocyclic arylthio, heterocyclylthio, heterocyclylthio substituted by C<sub>1-5</sub> alkyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkenyl, 40 carbocyclyl, carbocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, 45 C<sub>1-5</sub> alkoxy, C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: ••• carbocyclic aryl, and 50 ••• carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 55 halogen, hydroxy, nitro,

	•	<ul> <li>C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:</li> </ul>
		••• oxo,
		••• carbocyclic aryl, and
5		••• heterocyclyl,
	•	• C <sub>1-5</sub> alkoxy,
	•	
	•	<ul> <li>C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,</li> </ul>
10	•	carbocyclic aryloxy,
	•	one canceryane any animicounities, in
	•	,,
	•	,,,,-,-
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15	•	
	•	• heterocyclyl,
	• h	neterocyclyl, and
		neterocyclyl substituted by substituent(s) independently selected from the group consisting of:
20		otoros) of the state of the sta
	•	• C <sub>1-5</sub> alkyl,
	•	
	•	
	•	
25	•	carbocyclic aryl substituted by halogen,
	(ii) O	allowed and
	(II) C <sub>2</sub>	2-5 alkenyl, and
		C <sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:
30	• 0	earbocyclic aryl,
	• 0	earbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
	_	- belance and
	•	naiogon, and
35	·	• nitro,
05	(iii) C	3.6 cycloalkyl, and
	()	3-6 cycloalkyl, and C <sub>3-6</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of:
		- 3.5 eyeleamy, easternation by casting in the point of the control in the group continuing of
	• (	C <sub>1-5</sub> alkyl,
40		C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
	•	-11-7-11-1
	•	• carbocyclic aryl,
45	• 0	earbocyclic aryl,
	(iv) c	arbocyclyl,
	(v) ca	arbocyclic aryl, and
		carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
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		nalogen,
		nydroxy,
		ryano,
		nitro,
55		C <sub>1-5</sub> alkyl,
	• (	C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
	•	• halogen,

oxo, carbocyclic aryloxy, carbocyclic aryl, and carbocyclic aryl substituted by C<sub>1-5</sub> alkyl, 5 C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: halogen, and 10 carbocyclic aryl, carbocyclic aryloxy, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, 15 mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, mono-C<sub>1-5</sub> alkylamino, 20 di-C<sub>1-5</sub> alkylamino, C<sub>1-5</sub> alkynylcarbonylamino, C2-5 alkynylcarbonylamino substituted by carbocyclic aryl, (carbocyclic aryl)NHC(O)NH, (carbocyclic aryl)NHC(O)NH substituted by C<sub>1-5</sub> alkoxy, 25 (carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by halogen, carbocyclic arylthio, carbocyclic arylthio substituted by cyano, 30 mono-C<sub>1-5</sub> alkylaminosulfonyl, di-C<sub>1-5</sub> alkylaminosulfonyl, carbocyclic aryl, heterocyclyl, heterocyclyl substituted by substituent(s) independently selected from the group consisting of: 35 ← C<sub>1-5</sub> alkyl, carbocyclic aryl, and halogenated carbocyclic aryl, 40 (vi) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, nitro, 45 C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl, 50 C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl, carbocyclic aryl, carbocyclic aryl substituted by halogen, and heterocyclyl, 55 C<sub>1-5</sub> alkoxy,

carbocyclic aryloxy,

carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl,

C<sub>1-5</sub> alkylthio, C<sub>2-5</sub> alkenylthio,

carbocyclic arylthio, C<sub>1-5</sub> alkylsulfonyl, 5 carbocyclic arylsulfonyl, carbocyclic arylsulfonyl substituted by C<sub>1-5</sub> alkyl, carbocyclic aryl. carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 10 halogen, nitro, and C<sub>1-5</sub> alkyl, L is Formula (VII); heterocyclyl; 15 Y is -C(O)-; wherein carbocyclic aryl is phenyl, naphthyl, or anthranyl; carbocyclyl is 1,2,3,4-tetrahydronaphthyl, 1-oxo-indanyl, 9-oxo-9H-fluorenyl, or indenyl; heterocyclyl is 1,2,3-triazolyl, 1H-indolyl, 1H-pyrrolyl, 2,3-dihydro-1-oxo-isoindolyl, 2,4-dihydro-3-oxo-pyrazolyl, 2H-benzopyranyl, 2-oxo-benzopyranyl, 4-oxo-1,5,6,7-tetrahydro-indolyl, 9H-xanthenyl, 20 benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[b]thienyl, benzofuryl, benzothiazolyl, furyl, isoxazolyl, morpholino, pyrazolyl, pyridyl, pyrimidyl, quinolyl, quinoxalyl, thiazolyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo; 25 or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 37. The compound according to claim 36 wherein  $R_2$  is methylamino or dimethylamino; p is 0;  $R_3$  and  $R_4$  are hydrogen; A is a single bond; B is a single bond or -CH2-; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 30 38. The compound according to claim 37 wherein R<sub>1</sub> is selected from the group consisting of: (i) C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 35 C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, C<sub>1-5</sub> alkylcarbonyloxy, carbocyclic aryloxy, 40 carbocyclic aryloxy substituted by halogen, mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, carbocyclic arylcarbonylamino, 45 C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl, and carbocyclic aryl substituted by halogen, 50 heterocyclylthio, heterocyclylthio substituted by C<sub>1-5</sub> alkyl, C<sub>3-6</sub> cycloalkyl, 55 carbocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl,

C<sub>1-5</sub> alkenyl, and C<sub>1-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: e carbocyclic aryl, and 5 carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 10 halogen, hydroxy, nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 15 ••• oxo, and ••• heterocyclyl, C<sub>1-5</sub> alkoxy, 20 carbocyclic aryloxy, carbocyclic aryl, and heterocyclyl, heterocyclyl, and 25 heterocyclyl substituted by substituent(s) independently selected from the group consisting of: ◆ C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, and • carbocyclic aryl, (ii) C<sub>2-5</sub> alkenyl, and C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: 30 carbocyclic aryl, and carbocyclic aryl substituted by nitro, (iii) C<sub>3-6</sub> cycloalkyl, and 35 C<sub>3-6</sub> cycloalkyl substituted by carbocyclic aryl, (iv) carbocyclyl, (v) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 40 halogen, hydroxy, cyano, nitro, 45 C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: → halogen, oxo, and 50 carbocyclic aryl, C<sub>1-5</sub> alkoxy,  $C_{1.5}$  alkoxy substituted by substituent(s) independently selected from the group consisting of: halogen, and carbocyclic aryl,

carbocyclic aryloxy,

- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, C<sub>2-5</sub> alkynylcarbonylamino, C<sub>2-5</sub> alkynylcarbonylamino substituted by carbocyclic aryl, (carbocyclic aryl)NHC(O)NH, (carbocyclic aryl)NHC(O)NH substituted by  $C_{1-5}$  alkoxy, and (carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy, (vi) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl, C<sub>1.5</sub> alkylthio substituted by halogenated carbocyclic aryl, carbocyclic aryl, and heterocyclyl, carbocyclic aryloxy, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkylthio, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, nitro, and C<sub>1-5</sub> alkyl, heterocyclyl; wherein carbocyclic aryl is phenyl; carbocyclyl is 1-oxo-indanyl or indenyl; heterocyclyl is 1,2,3-triazolyl, 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-1-oxo-isoindolyl, 2-oxo-benzopyranyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, furyl, isoxazolyl, morpholino, pyrazolyl, pyridyl, pyrimidyl, quinolyl, quinoxalyl, thiazolyl, or thienyl; halogen is fluoro, chloro, bromo, or iodo; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 39. The compound according to claim 38 wherein R<sub>1</sub> is selected from the group consisting of:
- 50
  - (i) C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

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- C<sub>1-5</sub> alkylcarbonyloxy,
- carbocyclic aryloxy,
- carbocyclic aryloxy substituted by halogen,

- mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, carbocyclic arylcarbonylamino, carbocyclyl, carbocyclyl substituted by substituent(s) independently selected from the group consisting of: 5 C<sub>1-5</sub> alkyl, C<sub>2-5</sub> alkenyl, and 10 C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: e carbocyclic aryl, and errocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl, carbocyclic aryl, 15 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, 20 nitro, C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkoxy, heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: 25 • C<sub>1-5</sub> alkyl, ◆ C<sub>1-5</sub> alkoxy, and carbocyclic aryl, (ii) carbocyclic aryl, and 30 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, 35 cyano, nitro, C<sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 40 halogen, and oxo, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, 45 carbocyclic aryloxy, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, 50 di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl,

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- (carbocyclic aryl)NHC(O)NH,

C<sub>2-5</sub> alkynylcarbonylamino,

(carbocyelic aryl)NHC(O)NH substituted by  $C_{1-5}$  alkoxy, and

C<sub>2-5</sub> alkynylcarbonylamino substituted by carbocyclic aryl,

(carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy,

### (iii) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- · halogen,
- · nitro,

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- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen,
- C<sub>1-5</sub> alkyl substituted by heterocyclyl,
- carbocyclic aryloxy,
- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkylthio,
- · carbocyclic aryl,
- · carbocyclic aryl substituted by halogen, and
- · carbocyclic aryl substituted by nitro;

wherein carbocyclic aryl is phenyl;

carbocyclyl is indenyl;

heterocyclyl is 1H-indolyl, 1H-pyrrolyl, 2-oxo-benzopyranyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, furyl, isoxazolyl, morpholino, pyridyl, quinoxalyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

40. The compound according to claim 1 selected from the group consisting of:

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-methoxybenzamide; 3-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide; 4-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2,1,3-benzoxadiazole-5-carboxamide:

3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide; 4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide; 4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-3-nitrobenzamide

2-(4-chloroghenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)acetamide;

3-cyano-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide; 3,5-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide; 3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2,2-diphenylacetamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3,4-difluorobenzamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3,5-difluorobenzamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-fluorobenzamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-fluoro-5-(trifluoromethyl)benzamide;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)hexanamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-methyl-3-nitrobenzamide:

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-nitrobenzamide; (2R)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-phenylcyclopropanecarboxamide;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-phenoxybutanamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-phenoxypropanamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-methylbenzamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-methylbenzamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-(trifluoromethoxy)benzamide;

4-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-3-methylbenzamide:

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-iodobenzamide; 2-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-4-fluorobenza-

	mide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(3-methoxyphenyl) acetamide;
5	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(4-fluorophenyl)aceta-
5	mide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(4-methoxyphenyl) acetamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-methyl-2-(trifluorome-thyl)-3-furamide;
10	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2,5-dimethyl-3-furamide; 3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-4-fluorobenza-mide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-fluoro-4-methylbenza-mide;
15	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3,5-dimethoxybenzamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3,5-bis(trifluoromethyl) benzamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-fluoro-3-methylbenza-mide;
20	2,5-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiophene-3-carboxamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(propylthio)nicotina-mide;
25	1-benzyl-3-tert-butyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-1H-pyrazole-5-carboxamide;
	5-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)nicotinamide; 2-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)amino]-2-oxo-1-phenyle-thyl acetate;
30	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)benzamide; 2-(benzyloxy)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)acetamide; 2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)acetamide;
	3-(2-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-5-methylisoxazole-4-carboxamide;
35	1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5;6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)cyclopentanecarboxamide;
	3-(2-chloro-6-fluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cy-clohexyl)-5-methylisoxazole-4-carboxamide;
40	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-1,3-dimethyl-1H-pyrazole-5-carboxamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-fluorobenzamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-nuoro-3-(trifluoromethyl)benzamide;
45	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-methyl-2-phenyl-2H-1,2,3-triazole-4-carboxamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(4-methoxyphenoxy)-5-nitrobenzamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-nitro-2-furamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-phenoxyacetamide;
50	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)quinoxaline-2-carboxamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-(trifluoromethyl)benzamide;
55	2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)acetamide;
	3-(2,6-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-5-methylisoxazole-4-carboxamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-phenoxynicotinamide;

	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(4-methylphenoxy)nicotinamide:
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(2-thienyl)-1,3-thiazole-4-carboxamide;
5	5-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiophene-2-car-
	boxamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(2,3,6-trichlorophenyl)
	acetamide; 2-(2-chloro-4-fluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl
10	acetamide;
	5-(4-chloro-2-nitrophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-furamide;
	5-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiophene-2-car-
45	boxamide;
15	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2,3-diphenylpropana- mide;
	N-(cis-4-{[4-(dimethylamino}-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-(2-hydroxyphenyl)propanamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-iodo-2-furamide;
20	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(2-iodophenyl)aceta-
	mide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(5-methoxy-2-methyl-1H-indol-3-yl)acetamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-oxoindane-1-carboxam-
25	ide;
	2-benzyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide;
	2,2-bis(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)
	acetamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-(4-methyl-2-nitrophe-
30	nyl)-2-furamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-nitrothiophene-2-car-
	boxamide; .
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-methyl-4-nitrobenza-
35	mide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-methoxy-4-nitrobenza-
	mide;
	3-acetyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide;
	5-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-furamide;
40	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-[(4-methylpyrimidin-2-yl)thio]acetamide;
40	5-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-fura-
	mide;
	2-(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)
	acetamide;
45	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(4-hydroxy-3,5-dimethoxyphenyl)acetamide;
	4,5-dibrorno-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiophene-
	2-carboxamide;
	N <sup>2</sup> N <sup>6</sup> -dibenzoyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)lysinamide
50	3-(dimethylamino)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benza-
	mide; 4,5-dibromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-furamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-(4-fluorophenyl)-4-ox-
	obutanamide;
55	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(2-fluorobiphenyl-4-yl)
	propanamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-[4-(1-oxo-1,3-dihydro-2H-isoindol-2-yl)phenyl]propanamide;

	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(1H-indol-3-yl)acetamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(7-methoxy-2-oxo-2H-chromen-4-yl)acetamide;
5	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(1H-indol-3-yl)-4-oxo-4-phenylbutanamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3,5-dimethyl-2-[({[4-(trif-luoromethoxy)phenyl]amino}carbonyl)amino]-benzamide;
10	3,5-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclobexyl)-2-[(3-phenyl-prop-2-ynoyl)amino]benzamide;
	4-(4-tert-butylphenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-(7-ethyl-1H-indol-3-yl)-4-oxobutanamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(1-methyl-1H-indol-3-yl)-4-(4-methylphenyl)-4-oxobutanamide;
15	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-methyl-1-(3-morpholin-4-ylpropyl)-5-phenyl-1H-pyrrole-3-carboxamide;
•	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-(4-nitrophenyl)butanamide;
20	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(3-phenoxyphenyl) acetamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(4-phenoxyphenyl) acetamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(2-phenyl-1H-indol-3-yl) acetamide;
25	N <sup>2</sup> -benzoyl-N <sup>5</sup> -(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-N <sup>1</sup> ,N <sup>1</sup> -dipropylglutamamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-phenoxybenzamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(ethylthio)-2,2-dipheny-
30	lacetamide; N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N,N-bis[(1S)-1-phenyle-thyl]phthalamide;
	(2S)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl}-2-(2-fluorobiphenyl-4-yl)propanamide;
35	2-[(4-chlorobenzyl)thio]-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino]cyclohexyl)-4-(4-methylphenyl)-4-oxobutanamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-{(1E)-5-fluoro-2-methyl-1-[4-(methylsulfinyl)benzylidene]-1H-inden-3-yl}acetamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-[4-(2-thienylcarbonyl) phenyl]propanamide;
40	3-(benzyloxy)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-4-methoxy-benzamide;
	N-(cis-4-{(4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-methyl-1,5-diphenyl-1H-pyrrole-3-carboxamide;
45	1-{2-[(2-chloro-6-fluorobenzyl)thio]ethyl}-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-methyl-5-phenyl-1H-pyrrole-3-carboxamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-phenoxybenzamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-phenylquinoline-4-carboxamide;
50	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-(3-nitrophenyl)-2-furamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-nitrothiophene-3-car-boxamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-1-methyl-4-nitro-1H-pyr-role-2-carboxamide;
55	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-methoxy-4-nitrobenza-mide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-methoxy-2-phenylacetamide;

 $5-chloro-N-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\} cyclohexyl)-2-hydroxybenza-$ 

	mide;
	3-bromo-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]benza- mide;
5	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-2-(ethylthio)nicoti- namide;
	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-2-(4-methoxyphenyl)acetamide;
10	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-5-methyl-2-(trif-
10	luoromethyl)-3-furamide; (2E)-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]-3-(4-nitrophe-
	nyl)acrylamide; N-[(cis-4-{[4-(dimethylamino)-5,6,7, 8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-4-fluoro-3-meth-
15	ylbenzamide; N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-2-(propylthio)
	nicotinamide; 2,6-dichloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]benza-
	mide; N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-2,4,6-trimethyl-
20 .	benzamide; 2-chloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]-6-fluor-
	obenzamide; 2,4,6-trichloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]ben-
25	zamide; N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-2-(2,3,6-trichlo-
	rophenyl)acetamide; (2E)-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]-3-(3-nitrophe-
	nyl)acrylamide; and
30	N-[cis-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexylmethyl]-3,4-difluoro-benzamide;
	or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
<b>4</b>	1. The compound according to claim 40 selected from the group consisting of:
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-methoxybenzamide;
	3-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino]cyclohexyl)benzamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2,1,3-benzoxadiazole-5-carboxamide;
40	3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide; 4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide;
	4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-3-nitrobenza-mide;
	2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)aceta-
45	mide; 3-cyano-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide;
	3-5-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetranydroquinazolin-2-yl]amino}cyclohexyl)benzamide;
	3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2,2-diphenylacetamide;
50	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3,4-difluorobenzamide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3,5-difluorobenzamide;
	N-(cis4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-fluorobenzamide;
	N-(cis-4-{4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-fluoro-5-(trifluoromethyl)
55	benzamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-methyl-3-nitrobenza-
	mide;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-nitrobenzamide;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-phenoxypropanamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-methylbenzamide;

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N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-iodobenzamide;
                            N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(4-fluorophenyl)aceta-
5
                            N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-vllamino}-cvclohexyl)-2,5-dimethyl-3-furamide;
                            3-chloro-N-(cis-4-{{4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyctohexyl)-4-fluorobenza-
                            mide;
                            N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3,5-dimethoxybenzamide;
10
                            N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3,5-bis(trifluoromethyl)
                            benzamide:
                            N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-fluoro-3-methylbenza-
                            mide;
                            2,5-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiophene-
15
                            5-bromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-vl]amino]cyclohexyl)nicotinamide:
                            2-[(cis-4-{[4-(dimethylamino)-5,6,7, 8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)amino]-2-oxo-1-phenyle-
                            thyl acetate:
                            3-(2-chloro-6-fluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cy-
20
                            clohexyl)-5-methylisoxazole-4-carboxamide:
                            N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-fluorobenzamide;
                            N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-fluoro-3-(trifluorome-
                            thyl)benzamide:
                            N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazoiin-2-yl]amino}-cyclohexyl)-2-(4-methoxyphenoxy)-
25
                            5-nitrobenzamide;
                            N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-nitro-2-furamide;
                            N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-phenoxyacetamide;
                            N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)quinoxaline-2-carboxam-
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                            N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-(trifluoromethyl)benza-
                            2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)aceta-
                             mide;
                             3-(2,6-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-
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                            5-methylisoxazole-4-carboxamide:
                            N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(4-methylphenoxy)nico-
                            tinamide:
                            2-(2-chloro-4-fluorophenyl)-N-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\}cyclohexyl)-(2-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\}cyclohexyl)-(2-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\}cyclohexyl)-(2-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\}cyclohexyl)-(2-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)-(2-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)-(2-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)-(2-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)-(2-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)-(2-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)-(2-(dimethylamino)-1,6,6,7,8-tetrahydroquinazolin-2-yl]amino)-(2-(dimethylamino)-1,6,6,7,8-tetrahydroquinazolin-2-yl]amino)-(2-(dimethylamino)-1,6,6,7,8-tetrahydroquinazolin-2-yl]amino)-(2-(dimethylamino)-1,6,6,7,8-tetrahydroquinazolin-2-yl]amino)-(2-(dimethylamino)-1,6,6,7,8-tetrahydroquinazolin-2-yl]amino)-(2-(dimethylamino)-1,6,6,7,8-tetrahydroquinazolin-2-yl]amino-(2-(dimethylamino)-1,6,6,7,8-tetrahydroquinazolin-2-yl]amino-(2-(dimethylamino)-1,6,6,7,8-tetrahydroquinazolin-2-yl]amino-(2-(dimethylamino)-1,6,6,7,8-tetrahydroquinazolin-2-yl]amino-(2-(dimethylamino)-1,6,6,7,8-tetrahydroquinazolin-2-yl]amino-(2-(dimethylamino)-1,6,6,7,8-tetrahydroquinazolin-2-yl]amino-(2-(dimethylamino)-1,6,6,7,8-tetrahydroquinazolin-2-yl]amino-(2-(dimethylamino)-1,6,7,8-tetrahydroquinazolin-2-yl]amino-(2-(dimethylamino)-1,6,7,8-tetrahydroquinazolin-2-yl]amino-(2-(dimethylamino)-1,6,7,8-tetrahydroquinazolin-2-yl]amino-(2-(dimethylamino)-1,6,7,8-tetrahydroquinazolin-2-yl]amino-(2-(dimethylamino)-1,6,7,8-tetrahydroquinazolin-2-yl]amino-(2-(dimethylamino)-1,6,7,8-tetrahydroquinazolin-2-yl]amino-(2-(dimethylamino)-1,6,7,8-tetrahydroquinazolin-2-yl]amino-(2-(dimethylamino)-1,6,7,8-tetrahydroquinazolin-2-yl]amino-(2-(dimethylamino)-1,6,7,8-tetrahydroquinazolin-2-yl]amino-(2-(dimethylamino)-1,6,7,8-tetrahydroquinazolin-2-yl]amino-(2-(dimethylamino)-1,6,7,8-tetrahyd
                             acetamide:
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                             5-(4-chloro-2-nitrophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)}cy-
                            clohexyl)-2-furamide;
                            5-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiophene-2-car-
                            boxamide:
                            N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-iodo-2-furamide;
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                            2,2-bis(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroguinazolin-2-yl]amino}cyclohexyl)
                             acetamide:
                             N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-nitrothiophene-2-car-
                             N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-methyl-4-nitrobenza-
50
                             mide:
                             N-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetra hydroquinazolin-2-yl]amino\}-cyclohexyl)-3-methoxy-4-nitrobenza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-benza-
                             3-acetyl-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)benzamide;
                             5-bromo-N-(cis-4-{{4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-furamide;
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                             5-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-fura-
                             2-(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)
                             acetamide:
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N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(4-hydroxy-3,5-dimethoxyphenyl)acetamide;

4,5-dibromo-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-furamide; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(1H-indol-3-yl)acetamide:

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(7-methoxy-2-oxo-2H-chromen-4-yl)acetamide;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3,5-dimethyl-2-[({[4-(trif-luoromethoxy)phenyl]amino}carbonyl)amino]-benzamide;

3,5-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-[(3-phenyl-prop-2-ynoyl)amino]benzamide;

4-(4-tect-butylphenyl)-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-(7-ethyl-1H-indol-3-yl)-4-oxobutanamide;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-methyl-1-(3-morpholin-4-ylpropyl)-5-phenyl-1H-pyrrole-3-carboxamide;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-4-(4-nitrophenyl)butanamide:

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-(2-phenyl-1H-indol-3-yl) acetamide;

N<sup>2</sup>-benzoyl-N<sup>5</sup>-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-N<sup>1</sup>,N<sup>1</sup>-dipropylglutamamide;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-3-phenoxybenzamide; N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N,N-bis[(1S)-1-phenyle-thyl]phthalamide;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-{(1E)-5-fluoro-2-methyl-1-[4-(methylsulfinyl)benzylidene]-1H-inden-3-yl}acetamide;

3-(benzyloxy)-N-(cis-4-{{4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl}amino}cyclohexyl)-4-methoxybenzamide;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-2-phenoxybenzamide;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-5-nitrothiophene-3-car-boxamide;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-1-methyl-4-nitro-1H-pyr-role-2-carboxamide;

5-chloro-N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-2-hydroxybenzamide;

N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-2-(ethylthio)nicotinamide:

 $N-[(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\}-cyclohexyl) methyl]-2-(4-methoxyphenyl) acetamide;\\$ 

N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-5-methyl-2-(trif-luoromethyl)-3-furamide;

N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-2-(propylthio) nicotinamide; and

2,4,6-trichloro-N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]ben-zamide;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

42. The compound according to claim 29 wherein R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

oxo.

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- C<sub>1-5</sub> alkoxy carbonyl,
- carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

halogen, C<sub>1-5</sub> alkyl, C<sub>2-5</sub> alkenyl, and C<sub>I-5</sub> alkoxy, 5 C<sub>1-5</sub> alkylthio, and heterocyclyl, (ii) C<sub>3-6</sub> cycloalkyl, and 10 C<sub>3-6</sub> cycloalkyl substituted by carbocyclic aryl, (iii) carbocyclyl, (iv) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 15 halogen, cyano, nitro, C<sub>1-5</sub> alkyl, C<sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 20 halogen, oxo, and carbocyclic aryl, C<sub>1-5</sub> alkoxy carbonyl, 25 C<sub>1-7</sub> alkoxy, C<sub>1-7</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: halogen, and 30 carbocyclic aryl, C<sub>3-6</sub> cycloalkoxy, carbocyclic aryloxy, mono-C<sub>1-5</sub> alkylamino, 35 di-C<sub>1-5</sub> alkylamino, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by halogen, and carbocyclic aryl, 40 (v) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, 45 C<sub>1-5</sub> alkyl substituted by halogen, C<sub>1-5</sub> alkoxy carbonyl C<sub>1-5</sub> alkoxy carbonyl substituted by carbocyclic aryl, and carbocyclic aryl; L is Formula (VII); 50 Y is  $-C(0)NR_{5}$ ; wherein carbocyclic aryl is phenyl or naphthyl; carbocyclyl is indanyl, adamantly, or 9H-fluorenyl; heterocyclyl is 2,3-dihydro-benzo[1,4]dioxinyl, 3,4-dihydro-2H-benzo[b][1,4]dioxepinyl, 4H-benzo(1,3] 55 dioxinyl, benzo[1,3]dioxolyl, furyl, isoxazolyl, piperidyl, pyridyl, or thienyl; halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 43. The compound according to claim 42 wherein R<sub>2</sub> is methylamino or dimethylamino; p is 0; R<sub>3</sub> and R<sub>4</sub> are hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-: R<sub>5</sub> is hydrogen; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
- 5 44. The compound according to claim 43 wherein R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

C<sub>1-5</sub> alkoxy carbonyl,

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- · carbocyclic aryl, and
- · carbocyclic aryl substituted by halogen,
- (ii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- · halogen,
- nitro,
- C<sub>1-5</sub> alkyl,
- C<sub>1.5</sub> alkyl substituted by halogen,
- C<sub>1-5</sub> alkoxy, and
- C<sub>1-5</sub> alkoxy substituted by halogen,
- (iii) heterocyclyl, and

heterocyclyl substituted by  $C_{1-5}$  alkyl, and

heterocyclyl substituted by carbocyclic aryl;

wherein carbocyclic aryl is phenyl or naphthyl;

heterocyclyl is isoxazolyl:

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

45. The compound according to claim 1 selected from the group consisting of:

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2-ethyl-6-methylphe-nyl)urea;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(4-fluorophenyl)urea;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-mesitylurea;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2,4,6-trichlorophenyl) urea;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2,4,6-tribromophenyl) urea;

N-(2,4-dibromo-6-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea:

45 N-(2,6-diethylphenyl)-N'-(cis-4-{(4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea; N-(2-chlorobenzyl)-N'-(cis-4-{{4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea; N-(cis-4-{{4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2-ethyl-6-isopropyl-phenyl)urea;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2-ethylphenyl)urea; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2-isopropyl-6-methylphenyl)urea;

 $N-(2-tert-butyl-6-methylphenyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\} cyclohexyl)urea;\\$ 

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(diphenylmethyl)urea; N-(4-bromo-2,6-dimethylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)urea:

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(3-methyl-5-phenyl-isoxazol-4-yl)urea;

N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-1-naphthylurea;

	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-[1-(1-naphthyl)ethyl]
	urea;
	N-(2,4-dibromophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)
5	urea;
	N-(2,4-dichlorobenzyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)
	urea;
	N-(2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)cyclohexyl)
40	urea;
10	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2-ethoxyphenyl)urea;
	N-(cis-4-[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2-fluorobenzyl)urea; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(3,4,5-trimethoxyphe-
	nyl)urea;
	N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)
15	urea;
	N-(4-chloro-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cy-
	clohexyl)urea;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(4-fluorobenzyl)urea;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(4-methoxy-2-methyl-
20	phenyl)urea;
	N-(5-chloro-2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cy
	clohexyl)urea;
	N-[1-(4-bromophenyl)ethyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cy-
25	clohexyl)urea; N-(4-bromo-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cy-
	clohexyl)urea;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(5-methyl-3-phenyl-
	isoxazol-4-yl)urea;
	N-(2,3-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)
30	urea;
	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(4-methylphenyl)urea
	N-(2,6-diisopropylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl
	urea;
05	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2,4,5-trichlorophenyl)
35	urea; N-(2,5-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)
	urea;
	N-(4-bromo-2-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cy-
	clohexyl)urea;
40	N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)-N'-[2-(trifluoromethoxy)
	phenyl]urea;
	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-N'-(2,6-dimethyl-
	phenyl)urea;
	N-(2,4-diftuorophenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)
45	methyl]urea;
	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-N'-(2-ethyl-
	6-methylphenyl)urea; ethyl N-({[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl}-amino}cyclohexyl)methyl]amino}carl
	onyl)leucinate;
50	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-N'-(4-fluorophe-
	nyl)urea;
	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-N'-mesitylurea;
	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-N'-(2,4,6-trichlo-
	rophenyl)urea;
55	N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-N'-(2,4,6-tri-
	bromophenyl)urea;
	N-(2,6-diethylphenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)me
	thyl]urea;

N-[2-chloro-6-(trifluoromethyl)phenyl]-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)methyl]urea; N-(2-chloro-6-methylphenyl)-N'-[(cis-4-([4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino]cyclohexyl)methyl]urea; N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-N'-(2-ethyl-6-iso-5 propylphenyl)urea; N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-N'-(2-isopropyl-6-methylphenyl)urea; N-(2-tert-butyl-6-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea; 10 N-(2-tert-butylphenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea; N-(3-chloro-2-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea; 15 N-(4-bromo-2,6-dimethylphenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)methyl]urea; N-(2,6-diisopropylphenyl)-N'-[(cis-4-([4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino)cyclohexyl) methyl]urea; N-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)methyl]-N'-(2,3-dimethyl-20 6-nitrophenyl)urea: N-(2,6-dibromo-4-fluorophenyl)-N'-[(cis-4-)[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino]cyclohexyl)methyl]urea; N-(2,6-dichlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino]cyclohexyl) methyl]urea; and 25 1-(2,3-dichloro-phenyl)-3-[cis-4-(4-dimethylamino-5,6,7,8-tetrahydro-quinazolin-2-ylamino)-cyclohexylmethyl]-urea; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 30 46. The compound according to claim 29 wherein R<sub>1</sub> is selected from the group consisting of: (i) C<sub>1-8</sub> alkyl, and C<sub>1-8</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 35 mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkenyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 40 halogen, C<sub>1-5</sub> alkyl, and ← C<sub>1-5</sub> alkoxy, 45 heterocyclyl, (ii) C2-5 alkynyl, (iii) C2-5 alkenyl, 50 (iv) C<sub>3-12</sub> cycloalkyl, (v) carbocyclyi, (vi) carbocyclic aryl, and

> halogen, cyano.

- nitro,

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C<sub>1-10</sub> alkyl,

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

	•	C <sub>1-10</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
		◆ halogen, and
		◆ 0XO,
5		,
	•	carboxy,
	•	C <sub>1-5</sub> alkoxy carbonyl,
	•	C <sub>1-5</sub> alkoxy,
		C <sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
10		C1.5 almost cassificated by cassificating in the group constaining of
		◆ halogen, and
		• carbocyclic aryl,
		outbooyono uryi;
	•	carbocyclic aryloxy,
15	•	carbocyclic aryloxy, substituted by nitro,
	•	mono-C <sub>1-5</sub> alkylamino,
	•	di-C <sub>1-5</sub> alkylamino,
	•	
		C <sub>1-5</sub> alkoxy carbonylamino,
20	•	carbocyclic aryl azo,
20	•	carbocyclic aryl azo substituted by substituent(s) independently selected from the group consisting of:
		→ mono-C <sub>1-5</sub> alkylamino, and
		→ di-C <sub>1-5</sub> alkylamino,
25	•	C <sub>1-5</sub> alkylthio,
		C <sub>1-5</sub> alkylthio substituted by halogen,
	•	carbocyclic arylthio,
	•	carbocyclic arylthio, carbocyclic arylthio substituted by nitro,
	•	amino sulfonyl,
30	•	
30		heterocyclyl sulfonyl,
	•	C <sub>3-6</sub> cycloalkyl,
	•	C <sub>3-6</sub> cycloalkyl substituted by C <sub>1-5</sub> alkyl,
	•	carbocyclic aryl, and
	•	heterocyclyl,
35		
	(VII	heterocyclyl, and
		heterocyclyl substituted by substituent(s) independently selected from the group consisting of:
	•	C <sub>1-5</sub> alkyl,
40	•	C <sub>1-5</sub> alkoxy carbonyl,
	•	carbocyclic aryloxy,
	•	carbocyclic aryl, and
	•	heterocyclyl;
45		L is Formula (VII);
		Y is -C(S)NR <sub>5</sub> -;
		wherein carbocyclic aryl is phenyl or naphthyl;
		carbocyclyl is indanyl, bicyclo[2.2.1]heptyl, bicyclo[2.2.1]heptenyl, or adamantly;
		heterocyclyl is 2,3-dihydro-benzo[1,4]dioxinyl, 4,5,6,7-tetrahydro-benzo[b]thieny], benzo[1,3]dioxoly
50	h	
50		nzo[2,1,3]thiadiazolyl, furyl, isoxazolyl, morpholinyl, oxazolyl, phenanthro[9,10-d]oxazolyl, piperidyl, pyra
	ZOI	yl, pyridyl, tetrahydrofuryl, or thienyl; and
		halogen is fluoro, chloro, bromo, or iodo;
		ra pharmanautically acceptable soft hydrote as achiete the
55	0	r a pharmaceutically acceptable salt, hydrate, or solvate thereof.
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**47.** The compound according to claim 46 wherein R<sub>2</sub> is methylamino or dimethylamino; p is 0; R<sub>3</sub> and R<sub>4</sub> are hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-: R<sub>5</sub> is hydrogen; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 48. The compound according to claim 47 wherein R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by carbocyclic aryl,

(ii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- · halogen,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by halogen.
- mono-C<sub>1-5</sub> alkylamino, and
- di-C<sub>1-5</sub> alkylamino;

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wherein carbocyclic aryl is phenyl or naphthyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

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- 49. The compound according to claim 1 selected from the group consisting of:
  - N-(2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl) thiourea;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(3,4,5-trimethoxyphenyl)thiourea;
  - N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl) thiourea;
  - N-[4-(dimethylamino)-1-naphthyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2-methoxy-5-methylphenyl)thiourea;
  - N-(4-bromo-2-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroqninazolin-2-yl]amino}cyclohexyl)thiourea;
  - N-(cis-4-{[4-(dimethylaniino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(4-iodophenyl)thiourea; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2,4,6-tribromophenyl) thiourea:
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2,4,6-trichlorophenyl) thiourea;
- N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-mesitylthiourea; N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2,4-dimethylphenyl)
  - $N-(2,6-diethylphenyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\} cyclohexyl) thiourea:$
- N-(4-bromo-2,6-dimethylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cy-clohexyl)thiourea:
  - N-(4-bromo-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea;
  - N-[4-bromo-2-(trifluoromethyl)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino} cyclohexyl)thiourea;
  - $N-(4-chloro-2-methylphenyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\}cy-clohexyl]thiourea; \\N-[4-chloro-2-(trifluoromethyl)phenyl]-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\}-1-(dimethylamino)-1-(dimethylam$
  - cyclohexyl)thiourea;
    N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(4-fluoro-2-methylphe-
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(4-fluoro-2-methylphenyl)thiourea;
  - N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(4-methoxy-2-methylphenyl)thiourea;

N-(5-chloro-2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea; N-(2,4-dibromo-6-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea; 5 N-(2,4-dichloro-6-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}cyclohexyl)thiourea;  $N-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetra hydroquinazolin-2-yl]amino\}-cyclohexyl)-N'-(2-ethoxyphenyl) thiou-like the control of the contro$ rea; N-[4-bromo-2-(trifluoromethoxy)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroguinazolin-2-yl]ami-10 no}cyclohexyl)thiourea;  $N-(4-chloro-2,5-dimethoxyphenyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino\}cy-10-(dimethylamino)$ clohexyl)thiourea; and N-(cis-4-{[4-(dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino}-cyclohexyl)-N'-(2,2-diphenylethyl) thiourea; 15 or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 50. The compound according to claim 29 wherein R<sub>1</sub> is selected from the group consisting of: 20 (i) C<sub>1-8</sub> alkyl, and C<sub>1-8</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkoxy, 25 C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, carbocyclyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 30 halogen, nitro, and C<sub>1-5</sub> alkoxy, (ii) C<sub>2-5</sub> alkenyl, 35 (iii) carbocyclyl, (iv) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, 40 C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, and C<sub>1-5</sub> alkoxy; L is Formula (VII); 45 Y is -C(O)O-; wherein carbocyclic aryl is phenyl or naphthyl; carbocydyl is 9H-fluorenyl or menthyl; and halogen is fluoro, chloro, bromo, or iodo; 50 or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 51. The compound according to claim 50 wherein R₂ is methylamino or dimethylamino; p is 0; R₃ and R₄ are hydrogen; A is a single bond; B is a single bond or -CH2-;

52. The compound according to claim 2 wherein Q is Formula (IV); p is 0; R<sub>1</sub> is selected from the group consisting of:

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

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(i) C<sub>1-8</sub> alkyl, and

halogen,

## C<sub>1,8</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: halogen, 5 oxo, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, C<sub>1-5</sub> alkylcarbonyloxy, carbocyclic aryloxy, 10 carbocyclic aryloxy substituted by halogen, carbocyclic aryloxy substituted by nitro, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, heterocyclyloxy, heterocyclyloxy substituted by C<sub>1-5</sub> alkyl, 15 C<sub>1-5</sub> alkoxycarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, mono-C<sub>1-5</sub> alkylamino, mono-C<sub>1-5</sub> alkylamino substituted by cyano, mono-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino substituted by cyano, di-C<sub>1-5</sub> alkylamino substituted by carbocyclic aryl, mono-carbocyclic arylamino, 25 mono-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl, di-carbocyclic arylamino, di-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxycarbonylamino, carbocyclic arylcarbonylamino, 30 C<sub>1-5</sub> alkylthio, C<sub>1.5</sub> alkylthio substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl, carbocyclic aryl substituted by halogen, and 35 carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy, carbocyclic arylthio, heterocyclylthio. heterocyelylthio substituted by nitro. 40 heterocyclylthio substituted by C<sub>1-5</sub> alkyl, C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkenyl, carbocyclyl, carbocyclyl substituted by substituent(s) independently selected from the group consisting of: 45 halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, C<sub>2-5</sub> alkenyl, and 50 C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: e carbocyclic aryl, and ••• carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl, 55 carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

hydroxy, nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 5 oxo, carbocyclic aryl, and heterocyclyl, C<sub>2-5</sub> alkenyl, 10 C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen, C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl, carbocyclic aryloxy, 15 carbocyclic aryl, and heterocyclyl, heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: 20 C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, C<sub>1-5</sub> alkoxy, ← C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
 25 carbocyclic aryl substituted by halogen, (ii) C2-7 alkenyl, and C<sub>2-7</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: 30 carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, 35 nitro, and C<sub>1-5</sub> alkoxy, (iii) C<sub>2-5</sub> alkynyl, and C2-5 alkynyl substituted by carbocyclic aryl, (iv) C<sub>3-6</sub> cycloalkyl, and 40 C<sub>3-6</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by oxo, 45 C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, and carbocyclic aryl, (v) carbocyclyl, (vi) carbocyclic aryl, and 50 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, hydroxy, cyano, 55 nitro. C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

halogen,

← C<sub>1-5</sub> alkylthio,

• C<sub>1.5</sub> alkylthio substituted by carbocyclic aryl,

oxo, carbocyclic aryloxy, carbocyclic aryl, and 5 carbocyclic aryl substituted by C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: 10 halogen, carbocyclic aryl, and halogenated carbocyclic aryl, C2-5 alkenyloxy, 15 C<sub>3-6</sub> cycloalkoxy, carbocyclic aryloxy, Carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, C<sub>1.5</sub> alkoxycarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl, 20 di-C<sub>1-5</sub> alkylaminocarbonyl, mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, mono-C<sub>1-5</sub> alkylamino substituted by cyano, di-C<sub>1-5</sub> alkylamino substituted by cyano, C<sub>2-5</sub> alkynylcarbonylamino, C<sub>2-5</sub> alkynylcarbonylamino substituted by carbocyclic aryl, 30 (carbocyclic aryl)NHC(O)NH, (carbocyclic aryl)NHC(O)NH substituted by C<sub>1-5</sub> alkoxy, (carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by halogen, carbocyclic arylthio, 35 carbocyclic arylthio substituted by cyano, mono-C<sub>1-5</sub> alkylaminosulfonyl, di-C<sub>1-5</sub> alkylaminosulfonyl, carbocyclic aryl, 40 heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, carbocyclic aryl, and halogenated carbocyclic aryl, 45 (vii) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: 50 halogen, nitro, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 55 halogen, hydroxy.

- C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl,
- carbocyclic aryl,
- · carbocyclic aryl substituted by halogen, and
- heterocyclyl,

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- C<sub>1-5</sub> alkoxy,
- · carbocyclic aryloxy,
- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkylthio,
- C<sub>2-5</sub> alkenylthio,
- · carbocyclic arylthio,
- carbocyclic arylthio substituted by C<sub>1-5</sub> alkoxycarbonyl,
- C<sub>1-5</sub> alkylsulfonyl,
- carbocyclic arylsulfonyl,
- carbocyclic arylsulfonyl substituted by C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkoxycarbonyl,
- carbocyclic aryl,
- · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

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- halogen,
- → nitro,
- ◆ C<sub>1-5</sub> alkyl, and

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heterocyclyl;

wherein carbocyclic aryl is phenyl, naphthyl, or anthranyl;

carbocyclyl is 1,2,3,4-tetrahydronaphthyl, 1-oxo-indanyl, 9-fluorenyl, 9-oxo-9*H*-fluorenyl, bicyclo[2.2.1] heptyl, indenyl, or menthyl;

heterocyclyl is 1,2,3-triazolyl, 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-1-oxo-isoindolyl, 2,3-dihydro-benzo [1,4]dioxinyl, 2,3-dihydro-benzofuryl, 2,4-dihydro-3-oxo-pyrazolyl, 2*H*-benzopyranyl, 2-oxo-benzopyranyl, 3,4-dihydro-2*H*-benzo[b][1,4]dioxepinyl, 4-oxo-1,5,6,7-tetrahydro-indolyl, 4-oxo-benzopyranyl, 9*H*-carbazolyl, 9*H*-xanthenyl, benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[b]thienyl, benzofuryl, benzothiazolyl, furyl, imidazo[2,1-b]thiazolyl, imidazolyl, isoxazolyl, morpholino, pyrazolyl, pyridyl, pyrimidyl, quinoxalyl, thiazolyl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

53. The compound according to claim 52 wherein R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-7</sub> alkyl, and

C<sub>1-7</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

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- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
- carbocyclic aryloxy,
- · carbocyclic aryloxy substituted by halogen,
- mono-C<sub>1-5</sub> alkylamino,
- mono-C<sub>1-5</sub> alkylamino substituted by substituent(s) independently selected from the group consisting of:
  - ⇔ cyano, and
  - carbocyclic aryl,
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- di-C<sub>1-5</sub> alkylamino,
- di-C<sub>1-5</sub> alkylamino substituted by substituent(s) independently selected from the group consisting of:
  - e cyano, and

- · carbocyclic aryl,
- mono-carbocyclic arylamino,
- di-carbocyclic arylamino,
- mono-carbocyclic arylamino substituted by C<sub>1-5</sub> alkyl,
- di-carbocy<sub>d</sub>ic arylamino substituted by C<sub>1-5</sub> alkyl,
- · carbocyclic aryl,
- · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
- 10 halogen,

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- ◆ C<sub>1-5</sub> alkyl, and
- ← C<sub>1-5</sub> alkoxy,
- (ii) C2-7 alkenyl, and

C<sub>2-7</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:

- · carbocyclic aryl, and
- carbocyclic aryl substituted by C<sub>1-5</sub> alkoxy,
- (iii) C<sub>2-5</sub> alkynyl, and

C<sub>2-5</sub> alkynyl substituted by carbocyclic aryl,

(iv) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
  - hydroxy,
  - · cyano,
  - C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkyl substituted by halogen,
  - C<sub>1-5</sub> alkoxy,
  - C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
    - → halogen,
    - carbocyclic aryl, and
  - carbocyclic aryl substituted by halogen,
  - C<sub>2-5</sub> alkenyloxy,
  - mono-C<sub>1-5</sub> alkylamino,
  - di-C<sub>1-5</sub> alkylamino,
  - mono-C<sub>1-5</sub> alkylamino substituted by cyano,
  - di-C<sub>1-5</sub> alkylamino substituted by cyano,
  - C<sub>1-5</sub> alkylthio, and
  - C<sub>1-5</sub> alkylthio substituted by halogen,
- 45 (v) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- halogen
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by hydroxy,
- C<sub>1-5</sub> alkoxy,
- · carbocyclic arylthio,
- carbocyclic arylthio substituted by C<sub>1-5</sub> alkoxycarbonyl,
- C<sub>1-5</sub> alkoxycarbonyl,
- · carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - halogen,

- C<sub>1-5</sub> alkyl, and
- C<sub>1-5</sub> alkyl substituted by halogen;

L is Formula (VII);

Y is a single bond or -CH<sub>2</sub>-;

wherein carbocyclic aryl is phenyl or naphthyl;

heterocyclyl is 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-benzo[1,4]dioxinyl, 4-oxo-benzopyranyl, 9*H*-carbazolyl, benzo[1,3]dioxolyl, benzo[b]thienyl, furyl, imidazo[2,1-b]thiazolyl, pyrazolyl, pyridyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo;

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or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 54. The compound according to claim 53 wherein R<sub>2</sub> is methylamino, or dimethylamino; p is 0; R<sub>3</sub> and R<sub>4</sub> are hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-;
- or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
- 55. The compound according to claim 54 wherein R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>2-5</sub> alkenyl, and

C2-5 alkenyl substituted by carbocyclic aryl,

(ii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- hydroxy,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
- 30
- halogen,
- carbocyclic aryl, and
- · carbocyclic aryl substituted by halogen,
- C<sub>2-5</sub> alkenyloxy,
- mono-C<sub>1-5</sub> alkylamino,
- di-C<sub>1-5</sub> alkylamino,
- mono-C<sub>1-5</sub> alkylamino substituted by cyano, and
- di-C<sub>1-5</sub> alkylamino substituted by cyano,
- (iii) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxycarbonyl,
- · carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
- - ← C<sub>1-5</sub> alkyl, and

wherein carbocyclic aryl is phenyl or naphthyl; heterocyclyl is 1*H*-indolyl, 9*H*-carbazolyl, benzo[1,3]dioxolyl, pyrazolyl, or pyridyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 56. The compound according to claim 55 wherein R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>2-5</sub> alkenyl, and

C2-5 alkenyl substituted by carbocyclic aryl,

(ii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

halogen,

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- hydroxy,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by halogen,
- C<sub>2-5</sub> alkenyloxy,
- (iii) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxycarbonyl,
- carbocyclic aryl,
- carbocyclic aryl substituted by C<sub>1-5</sub> alkyl, and
- carbocyclic aryl substituted by halogenated C<sub>1-5</sub> alkyl;

wherein carbocyclic aryl is phenyl or naphthyl; heterocyclyl is 1*H*-indolyl, 9*H*-carbazolyl, benzo[1,3]dioxolyl, or pyrazolyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

57. The compound according to claim 1 selected from the group consisting of:

N<sup>2</sup>-(cis-4-{[(5-bromo-1H-indol-3-yl)methyl]amino}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine; N<sup>2</sup>-[cis-4-({[5-(4-fluorophenyl)pyridin-3-yl]methyl}amino)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine; ethyl 4,6-dichloro-3-{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)amino]methyl}-1H-indole-2-carboxylate;

N<sup>2</sup>-(cis-4-{[(2,6-dimethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;

N<sup>2</sup>-(cis-4-{[(2-ethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;

N<sup>2</sup>-[cis-4-({[(2-methoxy-1-naphthyl)methyl]amino}methyl)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine; 4-bromo-2-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]amino}methyl)-6-methoxy-

45 phenol;

 $N^2-[cis-4-\{[(5-bromo-1H-indol-3-yl)methyl]amino\}methyl)cyclohexyl]-N^4,N^4-dimethylpyrimidine-2,4-diamine; \\ N^2-(cis-4-\{[(2,4-dimethoxybenzyl)amino]methyl\}cyclohexyl)-N^4,N^4-dimethylpyrimidine-2,4-diamine; \\ N^4,N^4-dimethyl-N^2-(cis-4-\{[(2,3,4-trimethoxybenzyl)amino]methyl)-cyclohexyl)pyrimidine-2,4-diamine; \\ N^2-(cis-4-\{[(3-ethoxy-4-methoxybenzyl)amino]methyl\}cyclohexyl)-N^4,N^4-dimethylpyrimidine-2,4-diamine; \\ N^4,N^4-dimethyl-N^2-(cis-4-\{[(3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl)amino]methyl}cyclohexyl)$ 

N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[({3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl}methyl)amino]methyl}cyclohexyl) pyrimidine-2,4-diamine;

 $N^4,N^4-dimethyl-N^2-(cis-4-\{[(3,4,5-trimethoxybenzyl)amino]methyl\}-cyclohexyl)pyrimidine-2,4-diamine;\\ 4-(\{[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino\}cyclohexyl)-methyl]amino\}methyl)-2-iodo-6-methoxyphenol;\\$ 

4-{{[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-amino}methyl)-2,6-dimethylphenol; N²-(cis-4-{[(5-bromo-2,4-dimethoxybenzyl)amino]methyl}cyclohexyl)-N⁴,N⁴-dimethylpyrimidine-2,4-diamine; N²-(cis-4-{[(5-bromo-2-methoxybenzyl)amino]methyl}cyclohexyl)-N⁴,N⁴-dimethylpyrimidine-2,4-diamine; N²-[cis-4-({[4-(diethylamino)benzyl]amino}methyl)cyclohexyl]-N⁴,N⁴-dimethylpyrimidine-2,4-diamine;

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N<sup>2</sup>-[cis-4-({[(9-ethyl-9H-carbazol-3-yl)methyl]amino}methyl)cyclohexyl]-N<sup>4</sup>.N<sup>4</sup>-dimethylpyrimidine-2.4-di-
                              amine:
                              N2-(cis-4-{[(4-isopropoxybenzyl)amino]methyl}cyclohexyl)-N4,N4-dimethylpyrimidine-2,4-diamine;
                              N<sup>2</sup>-(cis-4-{[(3,3-diphenylprop-2-en-1-yl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
                              4-({[cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-amino}methyl)-2-ethoxyphenol;
                              N2-{cis-4-[({[4-(dimethylamino)-1-naphthyl]methyl}amino)methyl]-cyclohexyl}-N4,N4-dimethylpyrimidine-
                              2,4-diamine:
                              N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[(2,4,6-trimethoxybenzyl)amino]methyl}-cyclohexyl)pyrimidine-2,4-diamine;
                              N<sup>2</sup>-(cis-4-{[(5-bromo-2-ethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
                              N<sup>2</sup>-(cis-4-{[(2,4-dimethoxy-3-methylbenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
10
                              N<sup>2</sup>-(cis-4-{[(2,5-diethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
                              N<sup>2</sup>-(cis-4-{[(2,4-diethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
                              N<sup>2</sup>-(cis-4-{[(3,5-dibromo-2-methoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
                              N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[(2,4,5-triethoxybenzyl)amino]methyl}-cyclohexyl)pyrimidine-2.4-diamine:
                              N<sup>4</sup>.N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[(2,4,5-trimethoxybenzyl)amino]methyl}-cyclohexyl)pyrimidine-2,4-diamine;
15
                              N<sup>2</sup>-[cis-4-({[2-(allyloxy)benzyl]amino}methyl)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
                              N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-[cis-4-({[(1-methyl-1H-indol-3-yl)methyl]amino}-methyl)cyclohexyl]pyrimidine-2,4-di-
                              amine:
                              N^2-[cis-4-(\{[(7-methoxy-1,3-benzodioxol-5-yl])methyl]amino]methyl)-cyclohexyl]-N^4, N^4-dimethylpyrimidine-leading aminological statement of the statement o
20
                              2.4-diamine:
                              N<sup>2</sup>-(cis-4-{[(3-bromo-4,5-dimethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
                              N<sup>2</sup>-(cis-4-{[(4-methoxy-3-methylbenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
                              N^2-(cis-4-\{[(2-bromo-4,5-dimethoxybenzyl)amino]methyl\}cyclohexyl)-N^4,N^4-dimethylpyrimidine-2,4-diamine;\\
                              N^2-(cis-4-\{[(3,4-dimethoxybenzyl)amino]methyl\}cyclohexyl)-N^4,N^4-dimethylpyrimidine-2,4-diamine;
                              N<sup>2</sup>-(cis-4-{[(4-methoxy-2,5-dimethylbenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
25
                              3-[[4-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]amino}methyl)phenyl](methyl)ami-
                              no)propanenitrile;
                              N<sup>2</sup>-{cis-4-[((4-[(4-bromobenzyl)oxy]benzyl]amino)methyl]cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
                              N<sup>2</sup>-(cis-4-{[(3,5-dibromo-2-ethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
30
                              N<sup>2</sup>-[4-(4-bromo-2-trifluoromethoxy-benzyl)amino-cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethyl-pyrimidine-2,4-diamine;
                              N<sup>2</sup>-{cis-4-[2-(4-bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl}-N<sup>4</sup>.N<sup>4</sup>-dimethyl-pyrimidine-
                              2.4-diamine: and
                              N2-{cis-4-[(4-bromo-2-triftuoromethoxy-benzyl)amino-methyl]-cyclohexyl}-N4,N4-dimethyl-pyrimidine-2,4-di-
35
                                 or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
             58. The compound according to claim 57 selected from the group consisting of:
40
                              ethyl \quad 4,6-dichloro-3-\{[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino\}-cyclohexyl)amino]methyl\}-1 \\ H-indole-dichloro-3-\{[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino\}-cyclohexyl)amino]methyl\}-1 \\ H-indole-dichloro-3-\{[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino\}-cyclohexyl)amino]methyl]-1 \\ H-indole-dichloro-3-\{[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino]-cyclohexyl)amino]methyl]-1 \\ H-indole-dichloro-3-\{[(cis-4-(dimethylamino)pyrimidin-2-yl]amino]-cyclohexyl)amino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamino]methyllamin
                              2-carboxylate;
                              N<sup>2</sup>-[cis-4-({[(4-methoxy-1-naphthyl)methyl)amino}methyl)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
                              N<sup>2</sup>-[cis-4-({[(2-methoxy-1-naphthyl)methyl]amino}methyl)cyclohexyl]-N<sup>4</sup>.N<sup>4</sup>-dimethylpyrimidine-2,4-diamine:
                              4-bromo-2-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]amino}methyl)-6-methoxy-
45
                              phenol;
                              N<sup>2</sup>-[cis-4-({[(5-bromo-1H-indol-3-yl)methyl]amino}methyl)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
                              N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[(2,3,4-trimethoxybenzyl)amino]methyl]-cyclohexyl)pyrimidine-2,4-diamine;
                              N<sup>2</sup>-(cis-4-{[(3-ethoxy-4-methoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
                              N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[({3-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl)}methyl)amino]methyl}cyclohexyl)
50
                              pyrimidine-2,4-diamine;
                              4-({[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-amino}methyl)-2-iodo-6-methoxyphe-
                              4-({{(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl}-amino}methyl)-2,6-dimethylphenol;
                              N<sup>2</sup>-(cis-4-{[(5-bromo-2,4-dimethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
55
                              N<sup>2</sup>-(cis-4-{[(5-bromo-2-methoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
                              N2-[cis-4-({((9-ethyl-9H-carbazol-3-yl)methyl]amino}methyl)cyclohexyl]-N4,N4dimethylpyrimidine-2,4-di-
                              amine;
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N<sup>2</sup>-(cis-4-{[(3,3-diphenylprop-2-en-1-yl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>dimethylpyrimidine-2,4-diamine;

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N<sup>4</sup>,N<sup>4</sup>-dimethyl-N<sup>2</sup>-(cis-4-{[(2,4,6-trimethoxybenzyl)amino]methyl}cyclohexyl)pyrimidine-2,4-diamine;
                           N<sup>2</sup>-(cis-4-{[(5-bromo-2-ethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>dimethylpyrimidine-2,4-diamine:
                           N^2-(cis-4-{[(2,4-dimethoxy-3-methylbenzyl)amino]methyl}cyclohexyl)-N^4,N^4dimethylpyrimidine-2,4-diamine;
                           N<sup>2</sup>-(cis-4-{[(2,5-diethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>dimethylpyrimidine-2,4-diamine;
5
                           N<sup>2</sup>-(cis-4-{[(3,5-dibromo-2-methoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>-dimethylpyrimidine-2,4-diamine;
                           N^4.N^4-dimethyl-N^2-(cis-4-{[(2,4,5-triethoxybenzyl)amino]methyl}cyclohexyl)pyrimidine-2,4-diamine;
                           N<sup>2</sup>-[cis-4-({[2-(allyloxy)benzyl]amino}methyl)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>dimethylpyrimidine-2,4-diamine;
                           N2-[cis-4-({[(7-methoxy-1,3-benzodioxol-5-yl)methyl]amino}methyl)-cyclohexyl]-N4,N4-dimethylpyrimidine-
                           2.4-diamine:
                           N<sup>2</sup>-(cis-4-{[(3-bromo-4,5-dimethoxybenzyl)amino]methyl}cyclohexyl)-N<sup>4</sup>,N<sup>4</sup>dimethylpyrimidine-2,4-diamine:
10
                           N<sup>2</sup>-{cis-4-[2-(4-bromo-2-trifluoromethoxy-phenyl)-ethylamino]-cyclohexyl}-N<sup>4</sup>.N<sup>4</sup>-dimethyl-pyrimidine-2.4-di-
                           amine: and
                           N^2-\{cis-4-[(4-bromo-2-trifluoromethoxy-benzyl]amino-methyl]-cyclohexyl\}-N^4, N^4-dimethyl-pyrimidine-2, 4-dimethyl-pyrimidine-2, 4-dimethyl-pyr
                            amine:
15
                              or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
           59. The compound according to claim 52 wherein \mathbf{R}_1 is selected from the group consisting of:
20
                           (i) C<sub>1-5</sub> alkyl, and
                                       C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
                                    oxo.
                                   C<sub>1-5</sub> alkoxy,
25
                                   C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
                                   C<sub>1-5</sub> alkylcarbonyloxy,
                                    carbocyclic aryloxy,
                                    carbocyclic aryloxy substituted by halogen,
                                    carbocyclic aryloxy substituted by nitro.
                                    carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy,
30
                                    heterocyclyloxy,
                                    heterocyclyloxy substituted by C<sub>1-5</sub> alkyl,
                                    mono-C<sub>1-5</sub> alkylaminocarbonyl,
                                    di-C<sub>1-5</sub> alkylaminocarbonyl,
35
                                    mano-C<sub>1-5</sub> alkylamino,
                                    di-C<sub>1-5</sub> alkylamino,
                                    Mono-carbocyclic arylamino,
                                    di-carbocyclic arylamino,
                                    mono-carbocyclic arylamino substituted by halogen,
40
                                    di-carbocyclic arylamino substituted by halogen,
                                    carbocyclic arylcarbonylamino,
                                    C<sub>1-5</sub> alkoxycarbonylamino,
                                    C<sub>1-5</sub> alkylthio,
                                    C<sub>1-5</sub> alkylthio substituted by substituent(s) independently selected from the group consisting of:
45
                                           carbocyclic aryl, and
                                           carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
                                            ••• halogen, and
                                            ••• C<sub>1-5</sub> alkoxy,
50
                                    carbocyclic arylthio,
                                    heterocyclylthio,
                                    heterocyclylthio substituted by C<sub>1-5</sub> alkyl,
55
                                    heterocyclylthio substituted by nitro,
                                    C<sub>3-6</sub> cycloalkyl,
                                    C<sub>3-6</sub> cycloalkenyl,
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carbocyclyl,

	•	carbocyclyl substituted by substituent(s) independently selected from the group consisting of:
		• halogen,
		• C <sub>1.5</sub> alkyl,
5		◆ C <sub>1-5</sub> alkoxy,
		• C <sub>2.5</sub> alkenyl, and
		• C <sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:
40		••• carbocyclic aryl, and
10		
	•	carbocyclic aryl,
	•	carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
15		→ halogen,
		◆ hydroxy,
		•• nitro,
		C <sub>1-5</sub> alkyl,
20		• C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
		••• OXO,
		••• carbocyclic aryl, and
		••• heterocyclyl,
25		•• C <sub>1-5</sub> alkoxy,
		◆ C <sub>1.5</sub> alkoxy substituted by halogen,
		◆ C <sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
		• carbocyclic aryloxy,
		• carbocyclic aryl, and
30		◆ heterocyclyl,
	•	heterocyclyl, and
	•	heterocyclyl substituted by substituent(s) independently selected from the group consisting of:
35		• C <sub>1-5</sub> alkyl,
		•• C <sub>1-5</sub> alkyl substituted by carbocyclic aryl,
		•• C <sub>1-5</sub> alkoxy,
		◆ C <sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
40		• carbocyclic aryl substituted by halogen,
	(ii)	C <sub>2-5</sub> alkenyl, and
		$C_{2-5}$ alkenyl substituted by substituent(s) independently selected from the group consisting of:
45	•	carbocyclic aryl,
	•	carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
		• halogen, and
		•• nitro,
50		
	(iii)	$C_{3-6}$ cycloalkyl, and $C_{3-6}$ cycloalkyl substituted by substituent(s) independently selected from the group consisting of:
	•	C <sub>1-5</sub> alkyl,
55	•	C <sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
		•• oxo, and
		• carbocyclic aryl, and

	carbocyclic aryl,
	(iv) carbocyclyl,
	(v) carbocyclic aryl, and
5	carbocyclic aryl substituted by substituent(s) independently selected from the group consisting o
	• halogen,
	• hydroxy,
	• cyano,
10	• nitro,
	C <sub>1-5</sub> alkyl,
	<ul> <li>C<sub>1:5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:</li> </ul>
	•• halogen,
15	•• oxo,
	<ul><li>◆ carbocyclic aryloxy,</li></ul>
	•• carbocyclic aryl, and
	<ul> <li>carbocyclic aryl substituted by C<sub>1-5</sub> alkyl,</li> </ul>
20	• C <sub>1-5</sub> alkoxy,
	<ul> <li>C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:</li> </ul>
	• halogen, and
	• carbocyclic aryl,
25	
	carbocyclic aryloxy,
	<ul> <li>carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy,</li> </ul>
	<ul> <li>mono-C<sub>1-5</sub> alkylaminocarbonyl,</li> </ul>
	di-C <sub>1-5</sub> alkylaminocarbonyl,
30	<ul> <li>mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl,</li> </ul>
	<ul> <li>di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl,</li> </ul>
	• amino,
	• mono-C <sub>1-5</sub> alkylamino,
	• di-C <sub>1-5</sub> alkylamino,
35	C <sub>2-5</sub> alkynylcarbonylamino,
	<ul> <li>C<sub>2-5</sub> alkynylcarbonylamino substituted by carbocyclic aryl,</li> </ul>
	(carbocyclic aryl)NHC(O)NH,
	<ul> <li>(carbocyclic aryl)NHC(O)NH substituted by C<sub>1-5</sub> alkoxy,</li> </ul>
	<ul> <li>(carbocyclic aryl)NHC(O)NH substituted by haloganated C<sub>1-5</sub> alkoxy,</li> </ul>
40	C <sub>1-5</sub> alkylthio,
	<ul> <li>C<sub>1-5</sub> alkylthio substituted by halogen,</li> </ul>
	carbocyclic arylthio,
	carbocyclic arylthio substituted by cyano,
	<ul> <li>mono-C<sub>1-5</sub> alkylaminosulfonyl,</li> </ul>
45	<ul> <li>di-C<sub>1-5</sub> alkylaminosulfonyl, and</li> </ul>
	carbocyclic aryl,
	carbocyclic aryl substituted by halogen,
	heterocyclyl, and
	<ul> <li>heterocyclyl substituted by substituent(s) independently selected from the group consisting of:</li> </ul>
50	
	← C <sub>1-5</sub> alkyl,
	<ul><li>◆ carbocyclic aryl, and</li></ul>
	•• halogenated carbocyclic aryl,
55	(vi) heterocyclyl, and
	heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

halogen,

- nitro,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:
- •haloge
  - C<sub>1-5</sub> alkylthio,
  - •C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl,
  - C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl,
  - · carbocyclic aryl,
  - · carbocyclic aryl substituted by halogen, and
  - heterocyclyl,
  - C<sub>1-5</sub> alkoxy,
  - carbocyclic aryloxy,
  - carbocyclic aryloxy substituted by halogen,
    - carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl,
    - C<sub>1-5</sub> alkylthio,
    - C<sub>2-5</sub> alkenylthio,
    - · carbocyclic arylthio,
    - C<sub>1-5</sub> alkylsulfonyl,
    - · carbocyclic arylsulfonyl,
    - carbocyclic arylsulfonyl substituted by C<sub>1-5</sub> alkyl,
    - carbocyclic aryl,
    - · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

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- → halogen,
- nitro, and
- C<sub>1-5</sub> alkyl,
- heterocyclyl;

L is Formula (VII);

Y is -C(0)-;

wherein carbocyclic aryl is phenyl, naphthyl, or anthranyl;

carbocyclyl is 1,2,3,4-tetrahydronaphthyl, 1-oxo-indanyl, 9-oxo-9Hfluorenyl, or indenyl;

heterocyclyl is 1,2,3-triazolyl, 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-1oxo-isoindolyl, 2,3-dihydro-benzofuryl, 2,4-dihydro-3-oxo-pyrazolyl, 2*H*-benzopyranyl, 2-oxo-benzopyranyl, 4-oxo-1,5,6,7-tetrahydro-indolyl, 9*H*-xanthenyl, benzo[1,3]dioxolyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[b]thienyl, benzofuryl, benzothiazolyl, furyl, imidazolyl, isoxazolyl, morpholino, pyrazolyl, pyridyl, pyrimidyl, quinolyl, quinoxalyl, thiazolyl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

60. The compound according to claim 59 wherein R<sub>2</sub> is hydrogen, trifluoromethyl, methoxy, methylamino, dimethylamino, ethylamino, ethylamino, or hydroxylethylmethylamino; p is 0; R<sub>3</sub> and R<sub>4</sub> are hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 50 61. The compound according to claim 60 wherein R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- 55 oxo.
  - · carbocyclic aryloxy,
  - · carbocyclic aryloxy substituted by halogen,
  - carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy,

mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, 5 mono-carbocyclic arylamino, di-carbocyclic arylamino, mono-carbocyclic arylamino substituted by halogen, di-carbocyclic arylamino substituted by halogen, carbocyclic arylcarbonylamino, 10 C<sub>1-5</sub> alkylthio, C<sub>3-6</sub> cycloalkyl, carbocyclyl, carbocyclyl substituted by substituent(s) independently selected from the group consisting of: 15 C<sub>1-5</sub> alkyl, C<sub>2-5</sub> alkenyl, and C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of: ••• carbocyclic aryl, and 20 carbocyclic aryl substituted by C<sub>1-5</sub> alkylsulfinyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: 25 halogen, hydroxy, nitro, C<sub>1-5</sub> alkyl, 30  $C_{1-5}$  alkyl substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl, and ••• heterocyclyl, 35 C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by halogen, heterocyclyl, and 40 heterocyclyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, carbocyclic aryl, and carbocyclic aryl substituted by halogen, 45 (ii) C2-5 alkenyl, and  $C_{2-5}$  alkenyl substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl, 50 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, and nitro, 55 (iii) carbocyclyl. (iv) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

halogen,

nitro, and C<sub>1-5</sub> alkyl,

hydroxy, nitro, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 5 halogen, oxo, and Carbocyclic aryl, 10 C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of: halogen, and 15 carbocyclic aryl, carbocyclic aryloxy, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy, mono-C<sub>1-5</sub> alkylaminocarbonyl, di-C<sub>1-5</sub> alkylaminocarbonyl, 20 mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl, mono-C<sub>1-5</sub> alkylamino, di-C<sub>1-5</sub> alkylamino, 25 C2-5 alkynylcarbonylamino, C<sub>2-5</sub> alkynylcarbonylamino substituted by carbocyclic aryl, mono-C<sub>1-5</sub> alkylaminosulfonyl, and di-C<sub>1-5</sub> alkylaminosulfonyl, (v) heterocyclyl, and 30 heterocyclyl substituted by substituent(s) independently selected from the group consisting of: halogen, nitro, C<sub>1-5</sub> alkyl, 35 C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylthio substituted by carbocyclic aryl, 40 C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl, carbocyclic aryl, carbocyclic aryl substituted by halogen, and heterocyclyl, 45 carbocyclic aryloxy, carbocyclic aryloxy substituted by halogen, carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl, C1.5 alkylthio, C<sub>1-5</sub> alkylsulfonyl, carbocyclic arylsulfonyl, 50 carbocyclic arylsulfonyl substituted by C<sub>1-5</sub> alkyl, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen,

· heterocyclyl;

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wherein carbocyclic aryl is phenyl or naphthyl; carbocyclyl is 1-oxo-indanyl, 9-oxo-9*H*-fluorenyl, or indenyl;

heterocyclyl is 1,2,3-triazolyl, 1*H*-indolyl, 1*H*-pyrrolyl; 2,3-dihydro-benzofuryl, 2*H*-benzopyranyl, 9*H*-xanthenyl, benzo[2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[b]thienyl, furyl, isoxazolyl, morpholino, pyrazolyl, pyridyl, quinolyl, quinoxalyl, thiazolyl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 62. The compound according to claim 61 wherein R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- oxo.
- · carbocyclic aryloxy,
- · carbocyclic aryloxy substituted by halogen,
- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy,
- mono-C<sub>1-5</sub> alkylamino,
- di-C<sub>1-5</sub> alkylamino,
- · mono-carbocyclic arylamino,
- · di-carbocyclic arylamino,
- · mono-carbocyclic arylamino substituted by halogen,
- · di-carbocyclic arylamino substituted by halogen,
- C<sub>1-5</sub> alkylthio,
- · carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - → halogen,
  - ◆ hydroxy,
  - ← C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkoxy, and
  - C<sub>1-5</sub> alkoxy substituted by halogen,
- · heterocyclyl, and
- heterocyclyl substituted by substituent(s) independently selected from the group consisting of:
- - carbocyclic aryl, and
  - · carbocyclic aryl substituted by halogen,
  - (ii) C<sub>2-5</sub> alkenyl, and

C<sub>2-5</sub> alkenyl substituted by substituent(s) independently selected from the group consisting of:

- · carbocyclic aryl,
- · carbocyclic aryl substituted by nitro,
- 50 (iii) carbocyclyl,
  - (iv) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- · halogen,
- hydroxy,
- nitro,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen,

- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by halogen,
- C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
- · carbocyclic aryloxy,
- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkoxy,
- mono-C<sub>1-5</sub> alkylaminocarbonyl,
- di-C<sub>1-5</sub> alkylaminocarbonyl,
- mono-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl,
- di-C<sub>1-5</sub> alkylaminocarbonyl substituted by carbocyclic aryl,
- mono-C<sub>1-5</sub> alkylaminosulfonyl, and
- di-C<sub>1-5</sub> alkylaminosulfonyl,

### (v) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

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- halogen,
- nitro.
- C<sub>1-5</sub> alkyl,
- C<sub>1.5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

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- ◆ C<sub>1-5</sub> alkylthio,
- ◆ C<sub>1-5</sub> alkylthio substituted by halogenated carbocyclic aryl,

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- Carbocyclic aryloxy,
- carbocyclic aryloxy substituted by halogen,
- carbocyclic aryloxy substituted by C<sub>1-5</sub> alkyl,
- · carbocyclic aryl,
- · carbocyclic aryl substituted by halogen,
- · carbocyclic aryl substituted by nitro, and
- · heterocyclyl;

wherein carbocyclic aryl is phenyl or naphthyl;

carbocyclyl is 1-oxo-indanyl;

heterocyclyl is 1,2,3-triazolyl, 1*H*-indolyl, 1*H*-pyrrolyl, 2,3-dihydro-benzofuryl, 9*H*-xanthenyl, benzo [2,1,3]oxadiazolyl, benzo[1,2,5]oxadiazolyl, benzo[b]thienyl, furyl, isoxazolyl, pyridyl, quinoxalyl, thiazolyl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

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or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

63. The compound according to claim 1 selected from the group consisting of:

N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-methoxybenzamide;

3-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-benzamide;

N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2,1,3-benzoxadiazole-5-carboxamide;

3-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-benzamide;

4-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-benzamide;

4-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-nitrobenzamide;

3,5-dichloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)benzamide;

3,4-dichloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)benzamide;

N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2,2-diphenylacetamide;

N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide; N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3,5-difluorobenzamide;

N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-5-(trifluoromethyl)benzamide;

N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-methyl-3-nitrobenzamide;

N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-nitrobenzamide;

 $N-(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino\}cyclohexyl)-2-phenoxybutanamide;\\$ 

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N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethoxy)benzamide;
              4-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-iodobenzamide;
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              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2,5-dimethyl-3-furamide;
              3-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide;
              2,5-dichloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)thiophene-3-carboxamide;
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              1-benzyl-3-tert-butyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-1H-pyrazole-5-carboxa-
              mide;
              N-{cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(1-naphthyl)acetamide;
              2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)acetamide;
15
              1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)cyclopentanecarboxamide;
              3-(2-chloro-6-fluorophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-methylisoxazole-
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-(trifluoromethyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-methyl-2-phenyl-2H-1,2,3-triazole-4-carbox-
20
              amide:
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(4-methoxyphenoxy)-5-nitrobenzamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-phenoxyacetamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-quinoxaline-2-carboxamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethyl)benzamide;
25
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(pentafluorophenoxy)acetamide;
              2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)acetamide;
              3-(2,6-dichlorophenyl)-N-(cis-4-{(4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-methylisoxazole-
              4-carboxamide:
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-phenoxynicotinamide;
30
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(4-methylphenoxy)nicotinamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino)}cyclohexyl)-4-[(dipropylamino)sulfonyl]benzamide;
              2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-methylpropanamide;
              2-(2,3-dihydro-1-benzofuran-5-yl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-1,3-thiazole-
              4-carboxamide:
35
              3-tert-butyl-1-(2,4-dichlorobenzyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-1H-pyra-
              zole-5-carboxamide;
              6-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2H-chromene-3-carboxamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(2-thienyl)-1,3-thiazole-4-carboxamide;
              5-(4-chloro-2-nitrophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
40
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-vl]amino}cyclohexyl)-5-iodo-2-furamide:
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-(4-methyl-2-nitrophenyl)-2-furamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-nitrothiophene-2-carboxamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-methyl-4-nitrobenzamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-methoxy-4-nitrobenzamide;
              1-benzyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-1H-indole-3-carboxamide;
45
              3-acetyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-benzamide;
              5-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
              5-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-2-furamide;
              4,5-dibromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)thiophene-2-carboxamide;
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              2-(3,5-di-tert-butyl-4-hydroxyphenyl)-N-(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]amino}cyclohexyl)aceta-
              mide;
              N<sup>2</sup>,N<sup>6</sup>-dibenzoyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)lysinamide;
              3-(dimethylamino)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)benzamide;
              4,5-dibromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-2-furamide;
              N-(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino\}cyclohexyl)-2-(1H-indol-3-yl)acetamide;\\
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              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(5-methyl-2-phenyl-1,3-thiazol-4-yl)aceta-
              mide:
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N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(1H-indol-3-yl)-4-oxo-4-phenylbutanamide;

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4-(4-bromophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(1H-indol-3-yl)-4-oxobu-
              tanamide:
              3,5-dichloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-2-[(3-phenylprop-2-ynoyl)amino]
              benzamide;
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              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(1-methyl-1H-indol-3-yl)-4-(4-methylphenyl)-
              4-oxobutanamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-methyl-1-(3-morpholin-4-ylpropyl)-5-phenyl-
              1H-pyrrole-3-carboxamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-(4-nitrophenyl)butanamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(2-phenyl-1Hindol-3-yl)acetamide;
10
              N^2-benzoyl-N^5-(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino\}-cyclohexyl)-N^1, N^1-dipropylglutamamide\ ;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-phenoxybenzamide;
              3-benzoyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(ethylthio)-2,2-diphenylacetamide:
              N-(cis-4-[[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl]-N'-[(1R)-1-(1-naphthyl)ethyl]phthalamide;
15
              (2S)-2-(3-benzoylphenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)propanamide;
              N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino)cyclohexyl)-N,N-bis[(1S)-1-phenylethyl]phthalamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-{(1E)-5-fluoro-2-methyl-1-[4-(methylsulfinyl)
              benzylidene]-1H-inden-3-yl}acetamide:
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-[4-(2-thienylcarbonyl)phenyl]propanamide;
20
              3-(benzyloxy)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-4-methoxybenzamide:
              N-(cis-4-{[4-(dimethylamino)pyhmidin-2-yl]amino}cyclohexyl)-2-methyl-1,5-diphenyl-1H-pyrrole-3-carboxam-
              ide;
              1-{2-[(2-chloro-6-fluorobenzyl)thio]ethyl}-N-(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]amino}cyclohexyl)-
25
              2-methyl-5-phenyl-1H-pyrrole-3-carboxamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-phenoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-phenylquinoline-4-carboxamide;
              2-[4-(4-chlorophenyl)-2-phenyl-1,3-thiazol-5-yl]-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cy-
              clohexyl)acetamide;
30
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl}-1-{(4-methylphenyl)sulfonyl}-1H-pyrrole-3-car-
              boxamide:
              N-(cis-4-{(4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-(3-nitrophenyl)-2-furamide;
              3-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl)-4-(isopropylsulfonyl)-5-(methylthio)
              thiophene-2-carboxamide;
35
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-iodo-4-(isopropylsulfonyl)-5-(methylthio)thi-
              ophene-2-carboxamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-nitrothiophene-3-carboxamide:
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-1-methyl-4-nitro-1H-pyrrole-2-carboxamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-vl]amino}cyclohexyl)-4-nitrobenzamide:
40
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethyl-4-nitrobenzamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-mesityl-2-oxoacetamide;
              3,5-di-tert-butyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-4-hydroxybenzamide;
              4-chloro-N-[(cis-4-[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl)-methyl]benzamide;
              (2E)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]-3-phenylacrylamide;
              4-chloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]-3-nitrobenzamide;
45
              2-(4-chlorophenyl)-N-[(cis-4-(4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]acetamide;
              3,5-dichloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]benzamide;
              3,4-dichloro-N-{(cis-4-[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]benzamide;
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2,2-diphenylacetamide;
50
              2,4-dichloro-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]-5-fluorobenzamide;
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-phenoxybutanamide;
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-phenylbutanamide;
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-(3-methoxyphenyl)acetamide:
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-(4-methoxyphenyl)acetamide:
              N-[(cis-4-{ [4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-3,5-bis(trifluoromethyl)benzamide:
55
              (2E)-N-[(cis-4-[4-(dimethylamino)pyrimidin-2-yl]amino)cyclohexyl)-methyl]-3-(4-nitrophenyl)acrylamide;
              2-(2-bromophenyl)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]acetamide:
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N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-(propylthio)nicotinamide;

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N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-(1-naphthyl)acetamide;
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-9-oxo-9H-fluorene-4-carboxamide;
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2,4,6-trimethylbenzamide;
              2,4,6-trichloro-N-[(cis-4-{(4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)methyl]benzamide;
5
              (2E)-3-(2-chlorophenyl)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]acrylamide;
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-(2,3,6-trichlorophenyl)acetamide;
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino]cyclohexyl)methyl]-2,3-diphenylpropanamide:
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-5-iodo-2-furamide;
              (2E)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]-3-(3-nitrophenyl)acrylamide;
10
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-3-oxoindane-1-carboxamide;
              2-benzyl-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]benzamide;
              2,2-bis(4-chlorophenyl)-N-[(cis-4-\{[4-(dimethylamino)pyrimidin-2-yl]amino\}cyclohexyl)methyl] acetamide;\\
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-3-methyl-4-nitrobenzamide;
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-3-methoxy-4-nitrobenzamide:
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-[2-(trifluoromethoxy)phenyl]aceta-
15
              mide:
              N-[(cis-4-{(4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-9H-xanthene-9-carboxamide:
              2-(1-benzothien-3-yl)-N-{(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]acetamide:
              N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-(4-fluoro-phenoxy)-nicotinamide:
20
              N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-C-(ethyl-phenyl-amino)-acetamide:
              C-[cis-(4-chloro-phenyl)-ethyl-amino]-N-(4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-acetamide;
              2-(3,4-difluoro-phenyl)-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-acetamide;
              4-chloro-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3-fluoro-benzamide;
              5-bromo-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-nicotinamide;
              3-chloro-4-fluoro-N-[cis-4-(4-methylamino-pyrimidin-2-ylamino)-cyclohexyl]-benzamide;
25
              N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide;
              3-chloro-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-5-fluoro-benzamide;
              N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,4,5-trifluoro-benzamide;
              N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexylmethyl]-3,4-difluoro-benzamide;
              2-(3,4-dichloro-phenoxy)-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-acetamide;
30
              N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-(3-methoxy-phenoxy)-acetamide; and
              N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-C-(ethyl-phenyl-amino)-acetamide;
               or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
35
      64. The compound according to claim 63 selected from the group consisting of:
              3-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-benzamide:
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2,1,3-benzoxadiazole-5-carboxamide;
40
              3-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-benzamide;
              4-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-nitrobenzamide;
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3,5-dichloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)benzamide:
              3,4-dichloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-vl]amino}-cyclohexyl)benzamide:
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide:
45
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-nitrobenzamide:
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethoxy)benzamide;
              4-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-iodobenzamide;
              3-chloro-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
50
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl] amino}cyclohexyl)-3,5-dimethoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide;
              2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)acetamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-(trifluoromethyl)benzamide;
55
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-methyl-2-phenyl-2H-1,2,3-triazole-4-carbox-
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl}-2-(4-methoxyphenoxy)-5-nitrobenzamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-quinoxaline-2-carboxamide;
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2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)acetamide;
              3-(2,6-dichloroghenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-methylisoxazole-
              4-carboxamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(4-methylphenoxy)nicotinamide;
5
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-4-{(dipropylamino)sulfonyl]benzamide;
              2-(2,3-dihydro-1-benzofuran-5-yl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-1,3-thiazole-
              4-carboxamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(2-thienyl)-1,3-thiazole-4-carboxamide;
              5-(4-chloro-2-nitrophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
10
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-3-methoxy-4-nitrobenzamide;
              5-bromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
              5-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-2-furamide;
              2-(3,5-di-tert-butyl-4-hydroxyphenyl)-N-(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]amino}cyclohexyl)aceta-
              mide;
15
              4,5-dibromo-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-2-furamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(1H-indol-3-yl)-4-oxo-4-phenylbutanamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(1-methyl-1H-indol-3-yl)-4-(4-methylphenyl)-
              4-oxobutanamide:
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(2-phenyl-1H-indol-3-yl)acetamide;
20
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-(ethylthio)-2,2-diphenylacetamide;
              N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N,N-bis [(1S)-1-phenylethyl]phthalamide;
              3-(benzyloxy)-N-(cis-4-{{4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-4-methoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-2-methyl-1,5-diphenyl-1H-pyrrole-3-carboxam-
              ide:
25
              1-{2-[(2-chloro-6-fluorobenzyl)thio]ethyl}-N-(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]amino}cyclohexyl)-
              2-methyl-5-phenyl-1H-pyrrole-3-carboxamide;
              2-[4-(4-chlorophenyl)-2-phenyl-1,3-thiazol-5-yl]-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cy-
              clohexyl)acetamide;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-5-nitrothiophene-3-carboxamide;
30
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-1-methyl-4-nitro-1H-pyrrole-2-carboxamide;
              3,5-di-tert-butyl-N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)-4-hydroxybenzamide;
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-vl]amino}cyclohexyl)methyl]-2,2-diphenylacetamide:
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-phenylbutanamide:
              (2E)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]-3-(4-nitrophenyl)acrylamide;
35
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-(1-naphthyl)acetamide;
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-(2,3,6-trichlorophenyl)acetamide;
              (2E)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-methyl]-3-(3-nitrophenyl)acrylamide;
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-3-oxoindane-1-carboxamide;
              2.2-bis(4-chlorophenyl)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]acetamide;
40
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-3-methyl-4-nitrobenzamide;
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-3-methoxy-4-nitrobenzamide;
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-2-[2-(trifluoromethoxy)phenyl]aceta-
              mide;
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-9H-xanthene-9-carboxamide;
              2-(1-benzothien-3-yl)-N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]acetamide;
45
              N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-(4-fluoro-phenoxy)-nicotinamide;
              N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-C-(ethyl-phenyl-amino)-acetamide;
              C-[cis-(4-chloro-phenyl)-ethyl-amino]-N-[4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-acetamide;
              4-chloro-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3-fluoro-benzamide;
50
              N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-3,4,5-trifluoro-benzamide:
              2-(3,4-dichloro-phenoxy)-N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-acetamide;
              N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-2-(3-methoxy-phenoxy)-acetamide; and
              N-[cis-4-(4-dimethylamino-pyrimidin-2-ylamino)-cyclohexyl]-C-(ethyl-phenyl-amino)-acetamide;
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or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

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65. The compound according to claim 52 wherein R<sub>1</sub> is selected from the group consisting of:

(i) C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkoxy carbonyl, 5 C<sub>1-5</sub> alkylthio, carbocyclic aryl, carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, and 10 C<sub>2-5</sub> alkenyl, (ii) C<sub>3-6</sub> cycloalkyl, C<sub>3-6</sub> cycloalkyl substituted by carbocyclic aryl, (iii) carbocyclic aryl, and 15 carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, cyano, 20 nitro. C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, C<sub>1-5</sub> alkoxy carbonyl, C<sub>1-5</sub> alkoxy, 25 C<sub>3-6</sub> cycloalkoxy, carbocyclic aryloxy, C<sub>1-5</sub> alkylthio, and carbocyclic aryl, 30 (iv) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, and carbocyclic aryl; 35 L is Formula (VII): Y is -C(O)NR5-; wherein carbocyclic aryl is phenyl or naphthyl; heterocyclyl is 2,3-dihydro-benzo[1,4]dioxinyl, 3,4-dihydro-2H-benzo[b][1,4]dioxeginyl, benzo[1,3]diox-40 olyl, furyl, or isoxazolyl; and halogen is fluoro, chloro, bromo, or iodo; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 45 66. The compound according to claim 65 wherein  $R_2$  is methylamino or dimethylamino; p is 0;  $R_3$  and  $R_4$  are hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-: R<sub>5</sub> is hydrogen; or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 50 67. The compound according to claim 66 wherein R<sub>1</sub> is selected from the group consisting of: (i) C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by carbocyclic aryl, (ii) carbocyclic aryl, and

halogen,

nitro,

55

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

C<sub>1-5</sub> alkyl,

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- C<sub>1-5</sub> alkyl substituted by halogen,
- C<sub>1-5</sub> alkoxy, and
- C<sub>3-6</sub> cycloalkoxy,

(iii) heterocyclyl, and

heterocyclyl substituted by C<sub>1-5</sub> alkyl, and

heterocyclyl substituted by carbocyclic aryl;

wherein carbocyclic aryl is phenyl or naphthyl;

heterocyclyl is isoxazolyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

68. The compound according to claim 1 selected from the group consisting of:

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              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-mesitylurea;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-(2,4,6-trichlorophenyl)urea;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-(2,4,6-tribromophenyl)urea;
              N-(2,4-dibromo-6-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)urea;
20
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-(diphenylmethyl)urea;
              N-(4-bromo-2,6-dimethylphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)urea;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-[1-(1-naphthyl)ethyl]urea;
              N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-(3,4,5-trimethoxyphenyl)urea;
              N-(4-chloro-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)urea;
25
              N-(5-chloro-2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]amino}cyclohexyl)urea;
              N-(4-bromo-2-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)urea;
              N-(2,6-dibromo-4-isopropylphenyl)-N'-(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]amino}cyclohexyl)urea;
              N-[3-(cyclopentyloxy)-4-methoxyphenyl]-N'-(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]amino}cyclohexyl)urea;
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(2,6-dimethylphenyl)urea;
              N-(2,4-difluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]urea;
30
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(2-ethyl-6-methylphenyl)urea;
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(4-fluorophenyl)urea;
              N-f(cis-4-{f4-(dimethylamino)pyrimidin-2-vl]amino)cyclohexyl)methyl]-N'-mesitylurea:
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(2,4,6-trichlorophenyl)urea;
35
              N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(2,4,6-tribromophenyl)urea;
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N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(2,4,6-tribromophenyl)urea;
N-(2,4-dibromo-6-fluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]urea;
N-(2,6-diethylphenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]urea;
N-[2-chloro-6-(trifluoromethyl)phenyl]-N'-[(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]amino}cyclohexyl)methyl]
urea;

N-(2-chloro-6-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]urea; N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(2-ethyl-6-isopropylphenyl)urea; N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(2-isopropyl-6-methylphenyl)urea; N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(2-methyl-3-nitrophenyl)urea; N-(2-tert-butyl-6-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(2-tert-butyl-6-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]urea;

N-(2-tert-butyl-6-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]urea;
N-(2-tert-butylphenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]urea;
N-(3-chloro-2-methylphenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]urea;
N-(4-bromo-2,6-difluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]urea;
N-[4-chloro-2-(triftuoromethyl)phenyl]-N'-[(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]amino}cyclohexyl)methyl]

N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(diphenylmethyl)urea; N-(4-bromo-2,6-dimethylphenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]urea; N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(3-methyl-5-phenylisoxazol-4-yl) urea:

N-(3,5-dichlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]-amino}cyclohexyl)methyl]urea; N-(2,3-dichlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]-amino}cyclohexyl)methyl]urea; N-(2,6-diisopropylphenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]-amino}cyclohexyl)methyl]urea; N-[(cis-4-[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(2,3-dimethyl-6-nitrophenyl)urea;

N-(2,6-dibromo-4-fluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]urea; N-(2,6-dichlorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]-amino}cyclohexyl)methyl]urea; N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(2-methoxy-5-methylphenyl)urea; N-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]-N'-(2-methyl-6-nitrophenyl)urea; N-(3,4-difluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]-amino}cyclohexyl)methyl]urea; N-(3,5-difluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]-amino}cyclohexyl)methyl]urea; and N-(3-chloro-4-fluorophenyl)-N'-[(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)methyl]urea;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

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- 69. The compound according to claim 52 wherein R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

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- carbocyclic aryl,
- · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - → halogen, and
  - ◆ C<sub>1-5</sub> alkoxy,
- (ii) carbocyclyl,
- (iii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

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- halogen,
- · cyano,
- nitro,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen,
- C<sub>1-5</sub> alkoxy carbonyl,
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by halogen,
- mono-C<sub>1-5</sub> alkylamino,
- di-C<sub>1-5</sub> alkylamino, and
- · carbocyclic aryl,

(iv) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

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- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkoxy carbonyl, and
- · carbocyclic aryl;

L is Formula (VII);

Y is  $-C(S)NR_{5}$ -;

wherein carbocyclic aryl is phenyl or naphthyl;

carbocyclyl is bicyclo[2.2.1]heptyl;

heterocyclyl is 2,3-dihydro-benzo[1,4]dioxinyl, benzo[1,3]dioxolyl, isoxazolyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 70. The compound according to claim 69 wherein R<sub>2</sub> is methylamino or dimethylamino; p is 0; R<sub>3</sub> and R<sub>4</sub> are hydrogen; A is a single bond; B is a single bond or -CH<sub>2</sub>-; R<sub>5</sub> is hydrogen; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
- 71. The compound according to claim 70 wherein  $R_1$  is selected from the group consisting of:

carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- cyano,

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- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkoxy,
- mono-C<sub>1-5</sub> alkylamino, and
- di-C<sub>1-5</sub> alkylamino;

wherein carbocyclic aryl is phenyl or naphthyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

72. The compound according to claim 1 selected from the group consisting of:

N-(4-cyanophenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}-cyclohexyl)thiourea;

N-(2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]-amino}cyclohexyl)thiourea;

N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-(3,4,5-trimethoxyphenyl)thiourea;

N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]-amino}cyclohexyl)thiourea;

N-[4-(dimethylamino)-1-naphthyl]-N'-(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]amino)cyclohexyl)thiourea;

N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-(2,4,6-tribromophenyl)thiourea;

N-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)-N'-mesitylthiourea;

N-(4-bromo-2,6-dimethylphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)thiourea;

N-(5-chloro-2,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-pyrimidin-2-yl]amino}cyclohexyl)thiourea;

N-(2,4-dibromo-6-fluorophenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)thiourea; and N-(2,4-dichloro-6-methylphenyl)-N'-(cis-4-{[4-(dimethylamino)pyrimidin-2-yl]amino}cyclohexyl)thiourea;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 73. The compound according to claim 52 wherein R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-8</sub> alkyl, and

C<sub>1-8</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- halogen.
- C<sub>1-5</sub> alkoxy,
- C<sub>1-5</sub> alkoxy substituted by carbocyclic aryl,
- carbocyclyl,
  - · carbocyclic aryl,
  - · Carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
    - ◆ halogen,
    - nitro, and
    - ◆ C<sub>1-5</sub> alkoxy,
  - (ii) C<sub>2-5</sub> alkenyl,
  - (iii) carbocyclyl,
  - (iv) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen, and
- C<sub>1-5</sub> alkoxy;

L is Formula (VII);

Y is -C(O)O-; wherein carbocyclic aryl is phenyl or naphthyl; carbocyclyl is 9H-fluorenyl or menthyl; and halogen is fluoro, chloro, bromo, or iodo; 5 or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 74. The compound according to claim 73 wherein  $R_2$  is methylamino or dimethylamino; p is 0;  $R_3$  and  $R_4$  are hydrogen; A is a single bond; B is a single bond or -CH2-: 10 or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 75. The compound according to claim 2 wherein Q is Formula (IV); p is 1 or 2; R<sub>1</sub> is selected from the group consisting of: 15 (i) C<sub>1-16</sub> alkyl, and C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: hydroxy, 20 carbocyclic aryloxy, carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, and 25 ← C<sub>1-5</sub> alkoxy, heterocyclyloxy, heterocyclyloxy substituted by substituent(s) independently selected from the group consisting of: 30 halogen, C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by halogen, mono-carbocyclic arylamino, 35 mono-carbocyclic arylamino substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkoxy, and 40 ← C<sub>1-5</sub> alkyl, carbocyclic arylsulfinyl, carbocyclic arylsulfinyl substituted by substituent(s) independently selected from the group consisting of: 45 halogen, C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by halogen, 50 carbocyclic arylsulfonyl, carbocyclic arylsulfonyl substituted by substituent(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkyl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

C<sub>1-5</sub> alkyl substituted by halogen,

carbocyclic aryl.

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halogen,

	nitro,
	<ul> <li>C<sub>1-5</sub> alkylcarbonylamino,</li> </ul>
	<ul> <li>C<sub>3-6</sub> cycloalkylcarbonylamino,</li> </ul>
5	← C <sub>1-5</sub> alkyl,
	<ul> <li>C<sub>1-5</sub> alkyl substituted by halogen,</li> </ul>
	◆ C <sub>1-5</sub> alkoxy, and
10	• heterocyclyl,
	(ii) C <sub>3-12</sub> cycloalkyl, and
	C <sub>3-12</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of:
15	carbocyclic aryl, and
	carbocyclic aryl substituted by substitutent(s) independently selected from the group consisting of:
	•• C <sub>1-5</sub> alkoxy,
20	C <sub>1.5</sub> alkyl, and
	◆ C <sub>1-5</sub> alkyl substituted by halogen,
	(iii) carbocyclic aryl, and
	carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
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	• halogen,
	• cyano,
	• nitro,
30	• C <sub>1-10</sub> alkyl,
30	<ul> <li>C<sub>1-10</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:</li> </ul>
	· → halogen, and
	◆ hydroxy,
35	• C <sub>1.9</sub> alkoxy,
	• C <sub>1-9</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
	halogen, and
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	• carboxy,
	C <sub>1-5</sub> alkoxycarbonyl,
	• di-C <sub>1-5</sub> alkylamino,
	• C <sub>1-5</sub> alkylcarbonylamino,
45	C <sub>3-6</sub> cycloalkylcarbonylamino,
	• C <sub>1-5</sub> alkylthio,
	• C <sub>1-5</sub> alkylsulfinyl,
	C <sub>1-5</sub> alkylsulfonyl,
50	carbocyclic aryl,
30	(iv) heterocyclyl, and
	heterocyclyl substituted by substituent(s) independently selected from the group consisting of:
	• halogen,
55	• hydroxy,
	• amino,
	C <sub>1-5</sub> alkyl,
	C <sub>1-5</sub> alkyl substituted by halogen,

- C<sub>1-5</sub> alkoxy,
- · carbocyclic aryloxy,
- · carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of:
- → halogen
  - ← C<sub>1-5</sub> alkyl,
  - ◆ C<sub>1-5</sub> alkyl substituted by halogen, and
  - C<sub>1-5</sub> alkoxy,
- heterocyclyloxy,

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- · heterocyclyloxy substituted by halogen,
- · heterocyclyl sulfonyl,
- heterocyclyl sulfonyl substituted by C<sub>1-5</sub> alkyl,
- mono-carbocyclic arylamino,
- mono-carbocyclic arylamino substituted by halogen,
  - C<sub>1-5</sub> alkylthio,
  - C<sub>1-5</sub> alkylsulfinyl,
  - carbocyclic arylsulfinyl,
  - carbocyclic arylsulfinyl substituted by halogen.
  - carbocyclic arylsulfonyl,
  - carbocyclic arylsulfonyl substituted by substituents(s) independently selected from the group consisting of:
    - → halogen,
    - ◆ C<sub>1-5</sub> alkoxy,
    - C<sub>1-5</sub> alkyl, and

R<sub>2</sub> is selected from the group consisting of:

amino,  $C_{1-5}$  alkyl,  $C_{1-5}$  alkoxy,  $-N(R_{2a})(R_{2b})$ , wherein  $R_{2a}$  is hydrogen or  $C_{1-5}$  alkyl and  $R_{2b}$  is  $C_{1-5}$  alkyl or  $C_{3-6}$  cycloalkyl;

wherein carbocyclic aryl is phenyl or naphthyl;

heterocyclyl is 3,4-dihydro-1*H*-isoquinolinyl, benzo[1,3]dioxolyl, furyl, isoxazolyl, oxazolyl, pyrazolyl, pyrazinyl, pyridyl, pyrimidyl, or thienyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 76. The compound according to claim 75 wherein R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-6</sub> alkyl, and

C<sub>1.6</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- oxo
- carbocyclic aryl,
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - → halogen,
  - ↔ C<sub>1-5</sub> alkyl,

  - C<sub>1-5</sub> alkoxy, and
- (ii) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- · carbocyclic arylsulfinyl, and
- carbocyclic arylsulfinyl substituted by halogen,

L is Formula (VII); Y is a single bond or - $CH_2$ -;  $R_2$  is a single bond or - $CH_2$ -;  $R_2$  is - $N(R_{2a})(R_{2b})$ , wherein  $R_{2a}$  is  $C_{1-5}$  alkyl and  $R_{2b}$  is  $C_{1-5}$  alkyl; carbocyclic aryl is phenyl; heterocyclyl is pyrazinyl; and halogen is fluoro, chloro, or bromo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 77. The compound according to claim 76 wherein R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-16</sub> alkyl, and

C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- carbocyclic aryl,
  - · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
    - halogen, and
    - ← C<sub>1-5</sub> alkoxy,

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(ii) heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- carbocyclic arylsulfinyl, and
- · carbocyclic arylsulfinyl substituted by halogen,

 $\rm R_2$  is  $\rm -N(R_{2a})(R_{2b}),$  wherein  $\rm R_{2a}$  is  $\rm C_{1-5}$  alkyl and  $\rm R_{2b}$  is  $\rm C_{1-5}$  alkyl; carbocyclic aryl is phenyl; heterocyclyl is pyrazinyl; and halogen is fluoro or bromo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

78. The compound according to claim 77 wherein R, is selected from the group consisting of:

heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- carbocyclic arylsulfinyl, and
- · carbocyclic arylsulfinyl substituted by halogen,

 $\rm R_2$  is -N(R<sub>2a</sub>)(R<sub>2b</sub>), wherein R<sub>2a</sub> is C<sub>1-5</sub> alkyl and R<sub>2b</sub> is C<sub>1-5</sub> alkyl; carbocyclic aryl is phenyl; heterocyclyl is pyrazinyl; and halogen is fluoro;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 79. The compound according to any one of claims 76 to 78 wherein p is 1 and T is C<sub>1-5</sub> alkyl; R<sub>3</sub> and R<sub>4</sub> are both hydrogen; A and B are both single bonds: or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
  - 80. The compound according to claim 1 selected from the group consisting of:

N<sup>2</sup>-{cis-4-[(3,5-dimethoxybenzyl)amino]cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>,5-trimethylpyrimidine-2,4-diamine; N<sup>2</sup>-{cis-4-[(3-bromobenzyl)amino]cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>,5,6-tetramethylpyrimidine-2,4-diamine; N<sup>2</sup>-{cis-4-[(3,4-difluorobenzyl)amino]cyclohexyl}-N<sup>4</sup>,N<sup>4</sup>,5,6-tetramethylpyrimidine-2,4-diamine; and N<sup>2</sup>-[cis-4-({6-[(3,4-difluorophenyl)sulfinyl]pyrazin-2-yl}amino)cyclohexyl]-N<sup>4</sup>,N<sup>4</sup>,5-trimethylpyrimidine-2,4-di-

amine;

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or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

5 81. The compound according to claim 1 is:

 $N^2$ -{cis-4-({6-[(3,4-difluorophenyl)sulfinyl]pyrazin-2-yl}amino)cyclohexyl}- $N^4$ , $N^4$ ,5-trimethylpyrimidine-2,4-diamine;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 82. The compound according to claim 75 wherein R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-16</sub> alkyl, and

C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- hydroxy,
- carbocyclic aryloxy,
- carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of:
  - halogen
  - ↔ C<sub>1-5</sub> alkyl,
  - C<sub>1-5</sub> alkyl substituted by halogen, and
  - ← C<sub>1-5</sub> alkoxy,

heterocyclyloxy,

- heterocyclyloxy substituted by substituent(s) independently selected from the group consisting of:
  - → halogen,
  - C<sub>1-5</sub> alkyl, and
- mono-carbocyclic arylamino,
- mono-carbocyclic arylamino substituted by substituent(s) independently selected from the group consisting of:
  - halogen,
  - C<sub>1-5</sub> alkoxy, and
  - ← C<sub>1-5</sub> alkyl,
- · carbocyclic arylsulfinyl,
- · carbocyclic arylsulfinyl substituted by substituent(s) independently selected from the group consisting of:
  - → halogen,
  - ◆ C<sub>1-5</sub> alkyl, and
- carbocyclic arylsulfonyl,
- · carbocyclic arylsulfonyl substituted by substituent(s) independently selected from the group consisting of:
  - ◆ halogen,
  - C<sub>1-5</sub> alkyl, and
  - C<sub>1-5</sub> alkyl substituted by halogen,
- carbocyclic aryl,
  - · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
    - ◆ halogen,

C<sub>1-5</sub> alkyl,

C<sub>1.5</sub> alkyl substituted by halogen, and

C<sub>1-5</sub> alkoxy, 5 (ii) C<sub>3-12</sub> cycloalkyl, and C<sub>3-12</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of: carbocyclic aryl, and carbocyclic aryl substituted by substitutent(s) independently selected from the group consisting of: 10 C<sub>1-5</sub> alkoxy, → halogen, C<sub>1-5</sub> alkyl, and C<sub>1-5</sub> alkyl substituted by halogen, 15 (iii) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of: halogen, 20 cyano, nitro, C<sub>1-10</sub> alkyl, C<sub>1-10</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 25 • halogen, and hydroxy, C<sub>1-9</sub> alkoxy, C<sub>1-9</sub> alkoxy substituted by halogen, 30 carboxy, C<sub>1-5</sub> alkoxycarbonyl, di-C<sub>1-5</sub> alkylamino, C<sub>1-5</sub> alkylcarbonylamino, C<sub>3-6</sub> cycloalkylcarbonylamino, 35 C<sub>1-5</sub> alkylsulfonyl, and carbocyclic aryl, (iv) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of: 40 halogen, hydroxy, amino, C<sub>1-5</sub> alkyl, 45 C<sub>1-5</sub> alkyl substituted by halogen, C<sub>1-5</sub> alkoxy, carbocyclic aryloxy, carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of: 50 halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, and ◆ C<sub>1-5</sub> alkoxy, 55 heterocyclyloxy, heteroeyclyloxy substituted by halogen, heterocyclyl sulfonyl,

heterocyclyl sulfonyl substituted by C<sub>1-5</sub> alkyl,

mono-carbocyclic arylamino, mono-carbocyclic arylamino substituted by halogen. C<sub>1-5</sub> alkylthio, C<sub>1-5</sub> alkylsulfinyl, carbocyclic arylsulfonyl, 5 carbocyclic arylsulfonyl substituted by substituents(s) independently selected from the group consisting of: halogen, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkyl, and 10 C<sub>1-5</sub> alkyl substituted by halogen, L is Formula (VII); Y is -C(O)-; 15 R<sub>2</sub> is selected from the group consisting of: amino,  $C_{1-5}$  alkyl,  $C_{1-5}$  alkoxy,  $-N(R_{2a})(R_{2b})$ , wherein  $R_{2a}$  is hydrogen or  $C_{1-5}$  alkyl and  $R_{2b}$  is  $C_{1-5}$  alkyl or C<sub>3-6</sub> cycloalkyl; 20 wherein carbocyclic aryl is phenyl; heterocyclyl is benzo[1,3]dioxolyl, furyl, isoxazolyl, oxazolyl, pyrazolyl, pyrazinyl, pyridyl, pyrimidyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo; 25 or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 83. The compound according to claim 82 wherein R<sub>1</sub> is selected from the group consisting of: (i) C<sub>1-6</sub> alkyl, and C<sub>1-6</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: 30 hydroxy, carbocyclic aryloxy, carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of: 35 halogen. C<sub>1-5</sub> alkyl, ◆ C<sub>1-5</sub> alkoxy, 40 heterocyclyloxy, heterocyclyloxy substituted by halogen, mono-carbocyclic arylamino, mono-carbocyclic arylamino substituted by substituent(s) independently selected from the group consist-45 ing of: halogen, C<sub>1-5</sub> alkoxy, and • C<sub>1-5</sub> alkyl, 50 carbocyclic arylsulfinyl, carbocyclic arylsulfinyl substituted by substituent(s) independently selected from the group consisting of:

carbocyclic arylsulfonyl,

C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by halogen,

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	• c	arbocyclic arylsulfonyl substituted by substituent(s) independently selected from the group consisting of:
	••	C <sub>1-5</sub> alkyl, and
	••	
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		arbocyclic aryl,
	• C	arbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
	••	
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	••	C <sub>1-5</sub> alkyl substituted by halogen,
	(ii) C <sub>3</sub>	-12 cycloalkyl, and
		C <sub>3-12</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of:
15	• c:	arbocyclic aryl, and
		arbocyclic aryl, and arylesituted by substitutent(s) independently selected from the group consisting of:
	Ŭ.	and body one dry is out that the group consisting of
	••	- 1-3
20	••	naiogon,
		C <sub>1-5</sub> alkyl, and
	••	C <sub>1-5</sub> alkyl substituted by halogen,
		arbocyclic aryl, and
25	carbo	cyclic aryl substituted by substituent(s) independently selected from the group consisting of:
	• h	alogen,
	• C	yano,
		itro,
30		c <sub>1-10</sub> alkyl,
	• 0	1-10 alkyl substituted by substituent(s) independently selected from the group consisting of:
	•	halogen, and
	••	hydroxy,
35	_	
		t <sub>1-9</sub> alkoxy,
		: <sub>1-9</sub> alkoxy substituted by halogen, arboxy,
		<sub>1-5</sub> alkoxycarbonyl, and
40		1-5 alkylsulfonyl,
		eterocyclyl, and
		heterocyclyl substituted by substituent(s) independently selected from the group consisting of:
45	• h	alogen,
		c <sub>1-5</sub> alkyl,
		2 <sub>1-5</sub> alkyl substituted by halogen,
		c <sub>1-5</sub> alkoxy,
50		arbocyclic aryloxy,
50	• c	arbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of:
	•	,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,
	•	- 1.0
		C <sub>1.5</sub> alkyl substituted by halogen, and
55	•	C <sub>1-5</sub> alkoxy,
	• h	eterocyelyloxy,
	• h	eterocyelyloxy substituted by halogen.

heterocyclyl sulfonyl, heterocyclyl sulfonyl substituted by C<sub>1-5</sub> alkyl, mono-carbocyclic arylamino, mono-carbocyclic arylamino substituted by halogen, C<sub>1-5</sub> alkylthio, 5 carbocyclic arylsulfonyl, carbocyclic arylsulfonyl substituted by substituents(s) independently selected from the group consisting of: C<sub>1-5</sub> alkyl, and 10 C<sub>1-5</sub> alkyl substituted by halogen, R<sub>2</sub> is selected from the group consisting of: 15  $C_{1\text{--}5} \, \text{alkoxy, -N} (R_{2a}) (R_{2b}), \, \text{wherein} \, R_{2a} \, \text{is hydrogen or} \, C_{1\text{--}5} \, \text{alkyl} \, \text{and} \, R_{2b} \, \text{is} \, C_{1\text{--}5} \, \text{alkyl};$ wherein carbocyclic aryl is phenyl; heterocyclyl is benzo[1,3]dioxolyl, furyl, isoxazolyl, oxazolyl, pyrazolyl, pyridyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo; 20 or a pharmaceutically acceptable salt, hydrate, or solvate thereof. 84. The compound according to claim 83 wherein R, is selected from the group consisting of: (i) C<sub>1-16</sub> alkyl, and 25 C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of: hydroxy, carbocyclic aryloxy, carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of: 30 halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkyl substituted by halogen, and ◆ C<sub>1-5</sub> alkoxy, 35 heterocyclyloxy, heterocyclyloxy substituted by substituent(s) independently selected from the group consisting of: halogen, 40 C<sub>1-5</sub> alkyl, and mono-carbocyclic arylamino, mono-carbocyclic arylamino substituted by substituent(s) independently selected from the group consist-45 ing of: halogen, C<sub>1-5</sub> alkoxy, and C<sub>1-5</sub> alkyl, 50 carbocyclic arylsulfinyl, carbocyclic arylsulfinyl substituted by substituent(s) independently selected from the group consisting of: 55 C<sub>1-5</sub> alkyl, and

C<sub>1-5</sub> alkyl substituted by halogen,

carbocyclic aryl,

	<ul> <li>carbocyclic aryl substituted by substituent(s) independently selected from the group consisting</li> </ul>	of:
	• halogen,	
	◆ C <sub>1-5</sub> alkyl, and	
5		
	(ii) C <sub>3-12</sub> cycloalkyl, and	
	C <sub>3-12</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting	j of:
10	carbocyclic aryl, and	
	<ul> <li>carbocyclic aryl substituted by substitutent(s) independently selected from the group consisting</li> </ul>	of:
	•• halogen,	
15	• C <sub>1-5</sub> alkyl, and	
	← C <sub>1-5</sub> alkyl substituted by halogen,	
	(iii) carbocyclic aryl, and	_
20	carbocyclic aryl substituted by substituent(s) independently selected from the group consisting	j of:
	• halogen,	
	• cyano,	
	• nitro,	
0.5	• C <sub>1-10</sub> alkyl,	
25	C <sub>1-10</sub> alkyl substituted by halogen,	
	<ul> <li>C<sub>1-9</sub> alkoxy, and</li> <li>C<sub>1-9</sub> alkoxy substituted by halogen,</li> </ul>	
	O1-9 dinoxy substituted by Halogett,	
30	<ul><li>(iv) heterocyclyl, and heterocyclyl substituted by substituent(s) independently selected from the group consisting of:</li></ul>	
	• halogen,	
	<ul> <li>C<sub>1-5</sub> alkyl,</li> <li>C<sub>1-5</sub> alkyl substituted by halogen,</li> </ul>	
35	• C <sub>1-5</sub> alkoxy,	
	carbocyclic aryloxy,	
	<ul> <li>carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisti</li> </ul>	ng of:
	halogen,	
40	◆ C <sub>1-5</sub> alkyl,	
	<ul> <li>C<sub>1-5</sub> alkyl substituted by halogen, and</li> </ul>	
	◆ C <sub>1-5</sub> alkoxy,	
	C <sub>1-5</sub> alkylthio,	
45	carbocyclic arylsulfonyl,	
	carbocyclic arylsulfonyl substituted by halogen,	
	R <sub>2</sub> is selected from the group consisting of:	
50	-N(R <sub>2a</sub> )(R <sub>2b</sub> ), wherein R <sub>2a</sub> is hydrogen or C <sub>1-5</sub> alkyl and R <sub>2b</sub> is C <sub>1-5</sub> alkyl;	
	wherein carbocyclic aryl is phenyl;	
	heterocyclyl is benzo[1,3]dioxolyl, furyl, pyrazolyl, pyridyl, or thienyl; and halogen is fluoro, chloro, bromo, or iodo;	
55	or a pharmaceutically acceptable salt, hydrate, or solvate thereof.	
	or a pharmaceutically acceptable sait, flyurate, or solvate thereof.	

85. The compound according to any one of claims 82 to 84 wherein p is 1 and T is  $C_{1-5}$  alkyl;  $R_3$  and  $R_4$  are both hydrogen; A is a single bond and B is a single bond or -CH<sub>2</sub>-;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

86. The compound according to claim 1 selected from the group consisting of:

```
N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3,5-bis(trifluoromethyl)ben-
5
              zamide;
             N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3,5-bis(trifluoromethyl)ben-
              zamide;
              N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3,4-difluorobenzamide;
10
              3,5-dichloro-N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino)cyclohexyl)methyl]benzamide;
              N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3,4-difluorobenzamide;
              N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3,5-dimethoxybenzamide;
              N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)methyl]-3-fluoro-4-methylbenzamide;
              N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3-(trifluoromethyl)benza-
15
              N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3-(trifluoromethoxy)benza-
              mide:
              4-bromo-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3-methylbenza-
20
              N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl]methyl]-3-fluoro-4-(trifluoromethyl)
              benzamide:
              3,5-dichloro-N-[(cis-4-3{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)methyl]benzamide;
              3,4-dichloro-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)methyl]benzamide;
              4-chloro-N-[(cis-4-{(4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)methyl]benzamide;
25
              4-chloro-N-[(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl)methyl]benzamide;
              N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-3,5-dimethoxybenzamide;
              4-bromo-N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]benzamide;
              4-bromo-N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-3-methylbenza-
              3,5-dichloro-N-(cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]benzamide;
30
              3,4-dichloro-N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]benzamide;
              N-[cis-4-({[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-3,5-bis(trifluoromethyl)ben-
              zamide:
              N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-3,4-difluorobenzamide;
35
              4-bromo-N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]benzamide;
              4-bromo-N-[cis-4-({[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}methyl)cyclohexyl]-3-methylbenza-
              mide:
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(2-fluorophenoxy)nicotinamide;
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,4,5-trimethoxybenzamide;
              N-(4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-nitrobenzamide;
40
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-2,2-diphenylacetamide:
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-methylbenzamide;
              4-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              3-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino)cyclohexyl)benzamide:
45
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3.4-difluorobenzamide:
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
50
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide;
              3-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-methylphenoxy)nicotinamide;
55
              2-(4-bromophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
              2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(4-fluorophenoxy)nicotinamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-fluorophenoxy)nicotinamide;
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2-(2-bromophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
                N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-methoxyphenoxy)nicotinamide;
                N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(4-methoxyphenoxy)nicotinamide;
                N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(4-iodophenoxy)nicotinamide;
5
                2-(3,4-dichlorophenoxy)-N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino]cyclohexyl)acetamide;
                2-(2,3-dichlorophenoxy)-N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)acetamide;
                2-[(3,4-difluorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)nicoti-
                namide;
                N-(cis-4-([4-(dimethylamino)-5-ethylpyrimidin-2-yl]amino)cyclohexyl)-3,4-difluorobenzamide;
10
                N-[cis-4-{{4-[ethyl(methyl)amino]-5-methylpyrimidin-2-yl}amino)cyclohexyl]-3,4-difluorobenzamide;
                N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide;
                N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(2-methoxyphenoxy)nicotinamide;
                2-(2-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
                2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cydohexyl)nicotinamide;
15
                2-(3-bromophenoxy)-N-(cis-4-{[4-(dimethylamino)-5 -methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
                N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-[3-(trifluoromethyl)phenoxy]nicoti-
                namide:
                N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-fluorophenoxy)acetamide;
                N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-methoxyphenoxy)acetamide;
20
                N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-[3-(trifluoromethyl)phenoxy]aceta-
                2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)acetamide;
                2-[(5-chloropyridin-3-yl)oxy]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)aceta-
                N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide;
25
                2-(3,4-difluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxya-
                N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxy-2-(4-methoxyphenyl)
                acetamide;
30
                2-(2,3-difluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxya-
                cetamide:
                N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]\} cyclohexyl)-2-hydroxy-2-\{3-(trifluoromethyl\}phe-1-yl]amino]\} cyclohexyl)-2-hydroxy-2-[3-(trifluoromethyl)-1-yl]amino]\} cyclohexyl)-2-hydroxy-2-[3-(trifluoromethyl)-1-yl]amino]\} cyclohexyl)-2-hydroxy-2-[3-(trifluoromethyl)-1-yl]amino]\} cyclohexyl)-2-hydroxy-2-[3-(trifluoromethyl)-1-yl]amino]\} cyclohexyl)-2-hydroxy-2-[3-(trifluoromethyl)-1-yl]amino]\} cyclohexyl)-2-hydroxy-2-[3-(trifluoromethyl)-1-yl]amino]\} cyclohexyl)-2-hydroxy-2-[3-(trifluoromethyl)-1-yl]amino]\} cyclohexyl)-2-hydroxy-2-[3-(trifluoromethyl)-1-yl]amino]\} cyclohexyl)-2-hydroxy-2-[3-(trifluoromethyl)-1-yl]amino]\} cyclohexyl)-2-hydroxy-2-[3-(trifluoromethyl)-1-yl]amino]
                nyl]acetamide;
                N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{[2-(trifluoromethyl)phenyl]sulfinyl}
35
                acetamide:
                2-[(2-chlorophenyl)sulfinyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)aceta-
                2-[(3-bromophenyl)sulfinyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)aceta-
40
                2-[(3,4-difluorophenyl)sulfinyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)aceta-
                mide;
                N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethyl)benzamide;
                N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluorobenzamide:
                3-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)benzamide:
                N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy)benzamide;
45
                N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
                3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
                N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide;
                N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide;
50
                N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2,4-difluorobenzamide;
                N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\} cyclohexyl)-2, 5-difluor obenzamide;\\
                N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl}-2,3,4-trifluorobenzamide;
                4-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
                3-cyano-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
55
                4-cyano-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
                2-[(3,4-dichtorophenyl)sulfinyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)aceta-
                mide:
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N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-2-{[3-(trifluoromethyl)phenyl]sulfinyl}

```
acetamide;
              N-(cis-4-{{4-(dimethylamino)-5-methylpyrimidin-2-vl]amino}cyclohexyl)-2-{{{3-(trifluoromethyl)phenyl]sulfo-
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(isopropylthio)nicotinamide;
5
              2-(tert-butylthio)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(propylthio)nicotinamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-(methylsulfonyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluorobenzamide;
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethyl)benzamide;
              3-cyano-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
10
              4-cyano-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethyl)benzamide;
              3-cyano-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino)cyclohexyl)benzamide;
15
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-vllamino)cyclohexyl)-3-methylbenzamide;
              3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              3-bromo-N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benza-
              mide:
20
              3,4-dichloro-N-(cis-4-[[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino)cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy)benzamide;
              4-cyano-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-4-methylbenzamide;
25
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
              4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-2-methoxybenzamide;
              4-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethyl)benzamide;
30
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-methoxybenzamide;
              5-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino)cyclohexyl)-2-furamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-5-methylisoxazole-3-carboxam-
              2-(3,5-difluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxy-
35
              acetamide:
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-2-methyl-1,3-oxazole-4-carboxa-
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-2,6-dimethoxynicotinamide;
              4-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethyl)benzamide;
40
              4-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-4-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-ethylbenzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethoxy)benzamide;
45
              5-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-5-methylthiophene-2-carboxam-
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-6-(trifluoromethyl)nicotinamide;
50
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3,5-diethoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-vl]amino)cyclohexvl)-3-ethoxybenzamide:
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-isopropoxybenzamide;
              3,5-dichloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)benzamide;
              4-bromo-N-(cis-4-{{4-(dimethylamino)-5-methylpyrimidin-2-yl}amino}cyclohexyl)-3-methylbenzamide;
55
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-ethoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide;
              N-(cis-4-{{4-(dimethylamino)-5-methylpyrimidin-2-yl}amino}cyclohexyl)-3-fluoro-4-methylbenzamide;
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N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-ethylbenzamide;

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N-(eis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide;
                                  N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-4-(trifluoromethyl)benza-
                                  N-(cis-4-{[4-(dimethylamino)-6-methyl)pyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-5-(trifluoromethyl)benza-
5
                                 mide;
                                  3-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
                                  N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide;
                                  N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-4-methylbenzamide;
                                  3.5-dichloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
10
                                  N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethoxy)benzamide;
                                  N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-difluorobenzamide;
                                  4-bromo-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
                                  N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-ethylbenzamide;
                                  N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]anino}cyclohexyl)-4-(trifluoromethyl)benzamide;
15
                                  4-bromo-N-(cis-4-{{4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
                                  N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino)cyclohexyl)-4-ethylbenzamide;
                                  N-(cis-4-{[4-(dimethytamino)-6-methylpyrimidin-2-yl]amino)cyclohexyl)-3.5-diethoxybenzamide:
                                  N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino)cyclohexyl)-3-ethoxybenzamide:
                                  N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-isopropoxybenzamide:
20
                                  5-bromo-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide:
                                  5-bromo-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-v]]amino}cyclohexyl)-2-furamide:
                                  5-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino)cyclohexyl)-2-furamide:
                                  N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\} cyclohexyl)-3-fluoro-5-(trifluoromethyl) benza-like the substitution of the substitut
                                  mide:
25
                                   N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2,2-difluoro-1,3-benzodioxole-5-car-
                                   boxamide:
                                   N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-ethoxybenzamide;
                                   N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-isopropoxybenzamide;
                                   5-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
                                   N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-diethoxybenzamide;
30
                                   4-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethyl)benza-
                                   5-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
                                   3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
35
                                   3-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy)benza-
                                   N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-methoxy-3-(trifluoromethyl)benza-
                                   N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-methoxy-3-(trifluoromethyl)benza-
40
                                   2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-methylpropana-
                                   1-(4-chlorophenyl)-N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)cyclopropanecar-bernylly (cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)cyclopropanecar-bernylly (cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)cyclopropanecar-bernylly (cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)cyclopropanecar-bernylly (cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)cyclopropanecar-bernylly (cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)cyclopropanecar-bernylly (cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)cyclopropanecar-bernylly (cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexylly (cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexylly (cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexylly (cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexylly (cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexylly (cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexylly (cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexylly (cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexylly (cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino(cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino(cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino(cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino(cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino(cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino(cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino(cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino(cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino(cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino(cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino(cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino(cis-4-(dimethylamino)-5-methylpyrimidin-2-yl]amino(cis-4-(dimethylamino)-5-methylpyrimidin-2-yllamino(cis-4-(dimethylamino)-5-methylpyrimidin-2-yllamino(cis-4-(dimethylamino)-5-methylpyrimidin-2-yllamino(cis-4-(dimethylamino)-5-methylpyrimidin-2-yllamino(cis-4-(dimethyl
                                   boxamide;
 45
                                   1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)cyclobutanecar-
                                   boxamide:
                                   1-(2,4-dichloroghenyl)-N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)cyclopropane-1-(2,4-dichloroghenyl)-N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)cyclopropane-1-(2,4-dichloroghenyl)-N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)cyclopropane-1-(2,4-dichloroghenyl)-N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)cyclopropane-1-(2,4-dichloroghenyl)-N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)cyclopropane-1-(2,4-dichloroghenyl)-N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)cyclopropane-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-(2,4-dimethylamino)-1-
                                   carboxamide;
                                   2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-2-methylpropana-
50
                                   1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino)cyclohexyl)cyclopropanecar-
                                   boxamide:
                                   1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)cyclobutanecar-
                                   boxamide:
                                   1-(2,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)cyclopropane-
 55
                                   carboxamide:
                                   2-[3,5-bis(trifluoromethyl)phenyl]-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)
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acetamide:

N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]benzamide;
2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)acetamide; N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-1-(4-methylphenyl)cyclopropanecar-
boxamide;
2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)propanamide; 2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxyaceta-
mide; N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-1-(4-methoxyphenyl)cyclopropane- carboxamide;
N <sup>2</sup> -(3-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N <sup>2</sup> -methylglycinamide;
N <sup>2</sup> -(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N <sup>2</sup> -methylglycinamide;
N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N <sup>2</sup> -methyl-N <sup>2</sup> -(3-methylphenyl)glycinamide;
N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N <sup>2</sup> -(3-fluorophenyl)-N <sup>2</sup> -methylglycinamide;
N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N <sup>2</sup> -(4-fluorophenyl)-N <sup>2</sup> -methylglycinamide;
N <sup>2</sup> -(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N <sup>2</sup> -methylglycinamide;
N <sup>2</sup> -(3,4-difluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N <sup>2</sup> -methylg-lycinamide;
$N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\} cyclohexyl)-N^2-(3-methoxyphenyl)-N^2-methylgly-cinamde;\\$
N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N <sup>2</sup> -(4-methoxyphenyl)-N <sup>2</sup> -methylgly-cinamide;
2-[(3,4-difluorophenyl)amino]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
2-(3,4-dichlorophenoxy)-N-(cis-4-{[4-methyl-6-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)acetamide; trans-2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)cyclopropanecarboxamide;
trans-2-(3-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)cyclopropanecarboxamide;
trans-2-(3,4-difluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)cyclopropanecarboxamide;
trans-2-(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)cyclopropanecarboxamide;
trans-2-[3,5-bis(trifluoromethyl)phenyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl)amino}cy-clohexyl)cyclopropanecarboxamide;
2-[(4-chlorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
2-[(3-chlorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
2-[(4-bromophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{[4-(trifluoromethyl)phenyl]sulfonyl} nicotinamide;
N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]oxy}acetamide;
2-[(2-chlorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
2-[(3-chlorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
3,4-dichloro-N-{cis-4-[(4-methoxy-5-methylpyrimidin-2-yl)amino]cyclohexyl} benzamide;
N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide; N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide;

3-chloro-N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide; 4-chloro-N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-fluoro-benzamide; 3-chloro-N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-5-fluoro-benzamide; N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4,5-trifluoro-benzamide; 3-chloro-4-fluoro-N-[cis-4-(5-methyl-4-methylamino-pyrimidin-2-ylamino)-cyclohexyl]-benzamide; 4-chloro-N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-fluoro-benzamide; 3-chloro-N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-5-fluoro-benzamide; N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,5-difluoro-benzamide; N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-acetamide;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

87. The compound according to claim 1 selected from the group consisting of:

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              N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3,5-bis(trifluoromethyl)ben-
              N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3,5-dimethoxybenzamide;
              N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]-3-(trifluoromethyl)benza-
20
              4-bromo-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]aminol}cyclohexyl)methyl]-3-methylbenza-
              mide;
              3,5-dichloro-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]benzamide;
              3,4-dichloro-N-[(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)methyl]benzamide;
25
              3,5-dichloro-N-[cis-4-([4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)methyl)cyclohexyl]benzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(2-fluorophenoxy)nicotinamide:
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,4,5-trimethoxybenzamide;
              N-(4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-nitrobenzamide;
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-2,2-diphenylacetamide;
              4-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
30
              3-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide;
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
35
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide;
40
              3-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-methylphenoxy)nicotinamide;
              2-(4-bromophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
              2-(4-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-2-(4-fluorophenoxy)nicotinamide:
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-2-(3-fluorophenoxy)nicotinamide:
45
              2-(2-bromophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
              N-(cis-4-{{4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-methoxyphenoxy)nicotinamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-2-(4-methoxyphenoxy)nicotinamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(4-iodophenoxy)nicotinamide;
              2-(3,4-dichlorophenoxy)-N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)acetamide;
50
              2-(2,3-dichlorophenoxy)-N-(cis-4-{[5-methyl-4-(methylamino)pyrimidin-2-yl]amino}cyclohexyl)acetamide;
              2-[(3,4-difluorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicoti-
              namide:
              N-[cis-4-({4-[ethyl(methyl)amino]-5-methylpyrimidin-2-yl]amino)cyclohexyl]-3,4-difluorobenzamide;
55
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide;
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N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(2-methoxyphenoxy)nicotinamide; 2-(2-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide; 2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;

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2-(3-bromophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
             N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-[3-(trifluoromethyl)phenoxy]nicoti-
              namide:
             N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-fluorophenoxy)acetamide;
5
             N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(3-methoxyphenoxy)acetamide;
             N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-2-[3-(trifluoromethyl)phenoxylaceta-
              2-(3-chlorophenoxy)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)acetamide;
              2-[(5-chloropyridin-3-yl)oxy]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)aceta-
10
              mide:
             N-(cis-4-{[4-(dimethylamino)-5,6-dimethylprimidin-2-yl]amino}cyclohexyl)-3,4-difluorobenzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxy-2-(4-methoxyphenyl)
              acetamide;
              2-(2,3-difluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxya-
15
              cetamide:
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxy-2-[3-(trifluoromethyl)phe-
              nyllacetamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{[2-{trifluoromethyl)phenyl]sulfinyl}
              acetamide:
20
              2-[(2-chlorophenyl)sulfinyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)aceta-
              mide:
              2-[(3-bromophenyl)sulfinyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)aceta-
              mide:
              N-(cis-4{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethyl)benzamide;
25
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluorobenzamide;
              3-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy)benzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
              3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
30
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-2,4-difluorobenzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2,5-difluorobenzamide:
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2,3,4-trifluorobenzamide:
35
              4-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)benzamide:
              3-cyano-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)benzamide:
              4-cyano-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide:
              N-(cis-4-3{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-(isopropylthio)nicotinamide;
              N-(cis-4-{[4-(dimethylamino)-5-methytpyrimidin-2-yl]amino}cyclohexyl)-2-(propylthio)nicotinamide;
40
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethyl)benzamide;
              3-cyano-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino)cyclohexyl)benzamide:
              4-cyano-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethyl)benzamide;
              3-cyano-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
45
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
              3-chloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              3-bromo-N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3,5-dimethoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benza-
50
              3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              4-cyano-N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yllamino}cyclohexyl)benzamide:
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-4-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide;
              4-chloro-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
55
              4-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethyl)benzamide;
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N-(cis-4-{{4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-methoxybenzamide;

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5-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-2,6-dimethoxynicotinamide;
              4-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yllamino}cyclohexyl)benzamide:
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino }cyclohexyl)-4-(trifluoromethyl)benzamide;
              4-bromo-N-(cis-4-{(4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
5
              N-(cis-4-{[4-(dimethy!amino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-4-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzanude;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethoxy)benzamide;
              5-bromo-N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino)cyclohexyl)nicotinamide;
10
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-5-methylthiophene-2-carboxam-
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3,5-diethoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-ethoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)-3-isopropoxybenzamide;
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              3,5-dichloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              4-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-3-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluoro-3-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-4-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-ethylbenzamide;
20
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-bis(trifluoromethyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-4-(trifluoromethyl)benza-
              mide:
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexyl)-3-fluoro-5-(trifluoromethyl)benza-
              mide:
25
              3-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-fluorobenzamide:
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-vl]amino}cvclohexyl)-4-fluoro-3-methylbenzamide:
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-4-methylbenzamide;
              3,5-dichloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethoxy)benzamide;
30
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino)cyclohexyl)-3,5-difluorobenzamide;
              4-bromo-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-methylbenzamide;
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-ethylbenzamide;
              4-bromo-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)benzamide;
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-ethylbenzamide;
35
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-diethoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-ethoxybenzamide;
              N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-3-isopropoxybenzamide;
              5-bromo-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
              5-bromo-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
40
              5-chloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-fluoro-5-(trifluoromethyl)benza-
              mide:
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cylohexyl)-2,2-difluoro-1,3-benzodioxole-5-car-
              boxamide;
45
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-ethoxybenzamide:
              5-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-furamide;
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3,5-diethoxybenzamide;
              4-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-3-(trifluoromethyl)benza-
              mide;
              5-bromo-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotinamide;
50
              3,4-dichloro-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yi]amino}cyclohexyl)benzamide;
              3-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-(trifluoromethoxy)benza-
              mide:
              N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-4-methoxy-3-(trifluoromethyl)benza-
55
              N-(cis-4-{{4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-4-methoxy-3-(trifluoromethyl)benza-
              mide:
              2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-methylpropana-
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	2. 1. 104 000 AZ
	mide
	1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)cyclopropanecar boxamide;
_	1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)cyclobutanecar-
5	boxamide; 1-(2,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)cyclopropanecarboxamide;
	2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)-2-methylpropan mide
10	1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)cyclopropanecar boxamide;
	1-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)cyclobutanecar-boxamide;
15	1-(2,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl)cyclopropanocarboxamide;
	2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)acetamide; N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-1-(4-methylphenyl)cyclopropaneca boxamide;
20	2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)propanamide 2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-hydroxyaceta mide;
	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-1-(4-methoxyphenyl)cyclopropane-carboxamide;
25	N <sup>2</sup> -(3-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N <sup>2</sup> -methylglyc namide;
	$N^2$ -(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)- $N^2$ -methylpyrimidin-2-yl]amino}cyclohexyl)- $N^2$ -methylpyrimidin-2-yl]amino}cyclohexyl)- $N^2$ -methylpyrimidin-2-yl]amino}cyclohexyl
	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N <sup>2</sup> -methyl-N <sup>2</sup> -(3-methylphenyl)glycnamide;
30	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N <sup>2</sup> -(3-fluorophenyl)-N <sup>2</sup> -methylglyci namide;
	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N <sup>2</sup> -(4-fluorophenyl)-N <sup>2</sup> -methylglyci namide;
35	N <sup>2</sup> -(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N <sup>2</sup> -methylglyc namide;
	N <sup>2</sup> -(3,4-difluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N <sup>2</sup> -methylglycinamide;
	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N <sup>2</sup> -(3-methoxyphenyl)-N <sup>2</sup> -methylgl cinamide;
40	2-(3,4-dichlorophenoxy)-N-(cis-4-{[4-methyl-6-(methylamino)pyrimidin-2-yl]amino]cyclohexyl)acetamide; trans-2-(4-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)cyclopropanecarboxamide;
	trans-2-(3-chlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)cyclopro- panecarboxamide;
45	trans-2-(3,4-difluorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)cyclopr panecarboxamide;
	trans-2-(3,4-dichlorophenyl)-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)cyclopi panecarboxamide;
50	trans-2-[3,5-bis(trifluoromethyl)phenyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cy-clohexyl)cyclopropanecarboxamide;
	2-[(4-chlorophenyl)sulfonyl]-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)nicotina mide:
	N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-2-{[1-methyl-3-(trifluoromethyl)-1H-

N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide; 3-chloro-N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-4-fluoro-benzamide; 4-chloro-N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-fluoro-benzamide;

N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-2-phenoxy-nicotinamide;

pyrazol-5-yl]oxy}acetamide;

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3-chloro-N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-5-fluoro-benzamide; N-[cis-4-(4-dimethylamino-6-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4,5-trifluoro-benzamide; 3-chloro-4-fluoro-N-[cis-4-(5-methyl-4-methylamino-pyrimidin-2-ylamino)-cyclohexyl]-benzamide; 4-chloro-N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3-fluoro-benzamide; 3-chloro-N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-5-fluoro-benzamide; N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,4,5-trifluoro-benzamide; N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-3,5-difluoro-benzamide; and 2-(3,4-difluoro-phenyl)-N-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexyl]-acetamide;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 88. The compound according to claim 75 wherein  $R_{\rm 1}$  is selected from the group consisting of:
  - (i) C<sub>1-16</sub> alkyl, and

C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- · carbocyclic aryl,
- · carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

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- nitro.
- C<sub>3-6</sub> cycloalkylcarbonylamino,
- ◆ C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkoxy, and
- (ii) C<sub>3-12</sub> cycloalkyl, and

C<sub>3-12</sub> cycloalkyl substituted by carbocyclic aryl,

(iii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen,
- C<sub>1-10</sub> alkyl,
- C<sub>1-10</sub> alkyl substituted by halogen,
- C<sub>1-9</sub> alkoxy, and
- C<sub>1-5</sub> alkylthio,
- 40 (iv) heterocyclyl,

L is Formula (XV);

Y is  $-C(O)NR_{5}$ -;

R<sub>2</sub> is selected from the group consisting of:

-N(R<sub>2a</sub>)(R<sub>2b</sub>), wherein R<sub>2a</sub> is hydrogen or C<sub>1-5</sub> alkyl and R<sub>2b</sub> is C<sub>1-5</sub> alkyl; wherein carbocyclic aryl is phenyl or naphthyl; heterocyclyl is 3,4-dihydro-1*H*-isoquinolinyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 89. The compound according to claim 88 wherein  $R_1$  is selected from the group consisting of:
  - (i) C<sub>1-6</sub> alkyl, and

C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

carbocyclic aryl,

- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - halogen,
  - → nitro,

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- ← C<sub>1-5</sub> alkyl,
- C<sub>1-5</sub> alkyl substituted by halogen,
- C<sub>1-5</sub> alkoxy, and
- C<sub>1.5</sub> alkoxy substituted by halogen,
- (ii) C<sub>3-12</sub> cycloalkyl, and

C<sub>3-12</sub> cycloalkyl substituted by carbocyclic aryl,

(iii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- haloger
  - C<sub>1-10</sub> alkyl,
  - C<sub>1-10</sub> alkyl substituted by halogen, and
  - C<sub>1-9</sub> alkoxy;
- 20 R<sub>2</sub> is selected from the group consisting of:
  - N(R<sub>2a</sub>)(R<sub>2b</sub>), wherein R<sub>2a</sub> is hydrogen or C<sub>1-5</sub> alkyl and R<sub>2b</sub> is C<sub>1-5</sub> alkyl; wherein carbocyclic aryl is phenyl or naphthyl; heterocyclyl is 3,4-dihydro-1H-isoquinolinyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 90. The compound according to any one of claims 75, 88, and 89 wherein p is 1 and T is C<sub>1-5</sub> alkyl; R<sub>3</sub> and R<sub>4</sub> are both hydrogen; and A and B are both single bonds; R<sub>5</sub> is hydrogen: or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
  - 91. The compound according to claim 1 selected from the group consisting of:
- cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl] amino}-N-(3-iodobenzyl)cyclohexanecarboxamide;
  cis-N-(2,4-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide;
  cis-N-(2,5-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide;
  cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide;
  cis-N-(3,5-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide;
  cis-N-(3,5-dimethoxybenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide;
  cis-N-(3-chlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide;
  cis-4-[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide;
  - cis-N-[3,5-bis(trifluoromethyl)benzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecar-boxamide;
  - cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(3-methoxybenzyl)cyclohexanecarboxamide; cis-N-(4-chlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide; cis-N-(3,4-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide; cis-N-(2,5-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide; cis-N-(2,3-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide; cis-N-(4-bromo-2-fluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxam-
  - cis-N-(2,4-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide; cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(3-methylbenzyl)cyclohexanecarboxamide; cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[2-(trifluoromethoxy)benzyl]cyclohexanecarboxa-
  - $\label{lem:cis-4-} cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-phenylethyl]cyclohexanecarboxamide; \\ cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)ethyl]cyclohexanecarboxamide; \\ cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)ethylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)ethylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)ethylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)ethylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)ethylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)ethylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)ethylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)ethylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)ethylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)ethylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)ethylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)ethylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)ethylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)ethylpyrim$

	boxamide;
	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(4-fluorophenyl)ethyl]cyclohexanecar-boxamide;
5	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-fluorophenyl)ethyl]cyclohexanecarbox
5	amide; cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(3-methoxyphenyl)ethyl]cyclohexanecar boxamide;
	cis-4-{[4-(dimethylamimo)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(3-methoxyphenyl)ethyl]cyclohexaneca boxamide;
10	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methoxyphenyl)ethyl]cyclohexanecar boxamide;
	cis-N-[(1R)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecar-boxamide;
15	cis-N-[1-(4-bromophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecarboxam-ide;
	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(4-nitrophenyl)ethyl]cyclohexanecarbox-amide;
	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-nitrophenyl)ethyl]cyclohexanecarboxamide;
20	cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-(3-fluorophenyl)cyclohexanecarboxamide; cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-(3-methoxyghenyl)cyclohexanecarboxamide; cis-N-(3-chlorophenyl)-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide; cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S,2R)-2-phenylcyclopropyl]cyclohexanecar-
25	boxamide; cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[4-(trifluoromethyl)phenyl]cyclohexanecarboxam
	ide; cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(3-methoxyphenyl)ethyl]cyclohexanecar boxamide;
30	cis-N-[(1S)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecar-boxamide;
35	cis-N-benzyl-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cycloltexanecarboxamide; cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(4-fluorobenzyl)cyclohexanecarboxamide; cis-N-(3,4-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide; cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methoxyphenyl)ethyl]cyclohexanecar boxamide;
	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(3-methoxyphenyl)ethyl]cyclobexanecar boxamide;
	cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(4-fluorophenyl)ethyl]cyclohexanecarboxamide;
40	cis-N-[(1R)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecar-boxamide; cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(1-naphthyl)ethyl]cyclohexanecarboxam
	ide; cis-N-[(1R)-1-(4-bromophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecar-
45	boxamide; cis-N-[(1S)-1-(4-bromophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecar-
	boxamide; cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-{(1S)-1-[4-(trifluoromethoxy)phenyl]ethyl}cy-
50	clohexanecarboxamide; cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(2-fluorophenyl)ethyl]cyclohexanecar- boxamide;
	cis-N-{(1S)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl}-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cy- clohexanecarboxamide;
55	4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-{(1S)-1-[3-(trifluoromethyl)phenyl]ethyl}cyclohexane carboxamide;
	4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-{(1S)-1-[2-(trifluoromethyl)phenyl]ethyl}cyclohexane carboxamide;

 $cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}-N-\{(1\,R)-1-[4-(trifluoromethoxy)phenyl]ethyl\}cy-like (1\,R)-1-[4-(trifluoromethoxy)phenyl]ethyl]cy-like (1\,R)-1-[4-(trifluoromethoxy)phenyl]ethyl]cy-like (1\,R)-1-[4-(trifluoromethoxy)phenyl]ethyl]cy-like (1\,R)-1-[4-(trifluoromethoxy)phenyl]ethyl]cy-like (1\,R)-1-[4-(trifluoromethoxy)phenyl]ethyl]cy-like (1\,R)-1-[4-(trifluoromethoxy)phenyl]ethyl]cy-like (1\,R)-1-[4-(trifluoromethoxy)phenyl]ethyl]cy-like (1\,R)-1-[4-(trifluoromethoxy)phenyl]ethyl]cy-like (1\,R)-1-[4-(trifluoromethoxy)phenyl]ethyl]ethyl]ethyllike (1\,R)-1-[4-(trifluoromethoxy)phenyl]ethyllike (1\,R)-1-[4-(trifluoromethoxy)phenyl]ethyllike (1\,R)-1-[4-(trifluoromethoxy)phenyl]ethyllike (1\,R)-1-[4-(trifluoromethoxy)phenyl]ethyllike (1\,R)-1-[4-(trifluoromethoxy)phenyl]ethyllike (1\,R)-1-[4-(trifluoromethoxy)phenyl]ethyllike (1\,R)-1-[4-(trifluoromethoxy)phenyl]ethyllike (1\,R)-1-[4-(trifluoromethoxy)phenyl]ethyllike (1\,R)-1-[4-(trifluoromethoxy)phenyllike (1\,R)-[4-(trifluoromethoxy)phenyllike (1\,R)-[4-(trifluo$ 

clohexanecarboxamide;

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cis-N-[(1S)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecar-boxamide:

cis-N-[(1R)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecar-boxamide;

cis-N-[1-(4-chlorophenyl)-1-methylethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexane-carboxamide; and

cis-N-{1-[3,5-bis(trifluoromethyl)phenyl]-1-methylethyl}-4-}[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino} cyclohexanecarboxamide;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

# 92. The compound according to claim 1 selected from the group consisting of:

cis-4-[[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino]-N-(3-iodobenzyl)cyclohexanecarboxamide; cis-N-(2,4-dichlorobenzyl)-4-[[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexanecarboxamide; cis-N-(2,5-dichlorobenzyl)-4-[[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexanecarboxamide; cis-4-[[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexanecarboxamide; cis-N-(3,5-dichlorobenzyl)-4-[[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexanecarboxamide; cis-N-(3,5-dimethoxybenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexanecarboxamide; cis-N-(3-chlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexanecarboxamide; cis-N-[3,5-bis(trifluoromethyl)benzyl]-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino]cyclohexanecarboxamide;

cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(3-methoxybenzyl)cyclohexanecarboxamide; cis-N-(4-chlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide; cis-N-(3,4-dichlorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide; cis-N-(2,5-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide; cis-N-(2,3-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide; cis-N-(4-bromo-2-fluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide;

cis-N-(2,4-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide; cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-(3-methylbenzyl)cyclohexanecarboxamide; cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[2-(trifluoromethoxy)benzyl]cyclohexanecarboxamide;

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methylphenyl)ethyl]cyclohexanecar-boxamide;

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(4-fluorophenyl)ethyl]cyclohexanecar-boxamide;

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(3-methoxyphenyl)ethyl]cyclohexanecar-boxamide:

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(3-methoxyphenyl)ethyl]cyclohexanecar-boxamide;

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methoxyphenyl)ethyl]cyclohexanecar-boxamide;

cis-N-[(1R)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecar-boxamide;

cis-N-[1-(4-bromophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide;

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(4-nitrophenyl)ethyl]cyclohexanecarboxamide;

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-(3-methoxyphenyl)cyclohexanecarboxamide; cis-N-(3-chlorophenyl)-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide; cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S,2R)-2-phenylcyclopropyl]cyclohexanecarboxamide:

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[4-(trifluoromethyl)phenyl]cyclohexanecarboxamide:

cis-N-[(1S)-1-(4-chlorophenyl)ethyl]-4-[[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecar-boxamide;

cis-N-(3,4-difluorobenzyl)-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecarboxamide; cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(4-methoxyphenyl)ethyl]cyclohexanecarboxamide;

cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(3-methoxyphenyl)ethyl]cyclohexanecar-boxamide;

cis-N-[(1R)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexanecar-boxamide:

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1S)-1-(1-naphthyl)ethyl]cyclohexanecarboxamide;

cis-N-[(1S)-1-(4-bromophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecar-boxamide:

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-{(1S)-1-[4-(trifluoromethoxy)phenyl]ethyl}cyclohexanecarboxamide;

cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-[(1R)-1-(2-fluorophenyl)ethyl]cyclohexanecar-boxamide:

cis-N-{(1S)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl} -4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cy-clohexanecarboxamide;

4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-{(1S)-1-[3-(trifluoromethyl)phenyl]ethyl}cyclohexane-carboxamide;

4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}-N-{(1S)-1-[2-(trifluoromethyl)phenyl]ethyl}cyclohexane-carboxamide; and

cis-N-[(1R)-1-(4-chlorophenyl)ethyl]-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexanecar-boxamide;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 93. The compound according to claim 75 wherein R<sub>1</sub> is selected from the group consisting of:
  - (i) C<sub>1-16</sub> alkyl, and

C<sub>1-16</sub> alkyl substituted by substituent(s) independently selected from the group consisting of:

- carbocyclic aryl.
- carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
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  ◆ halogen,

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- C<sub>1-5</sub> alkyl, and
- (ii) C<sub>3-12</sub> cycloalkyl, and

C<sub>3-12</sub> cycloalkyl substituted by substituent(s) independently selected from the group consisting of:

- · carbocyclic aryl, and
- · carbocyclic aryl substituted by halogen,
- (iii) carbocyclic aryl, and

carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:

- halogen
- C<sub>1-10</sub> alkyl,
- C<sub>1-10</sub> alkyl substituted by halogen,
- C<sub>1-9</sub> alkoxy,
- C<sub>1.9</sub> alkoxy substituted by substituent(s) independently selected from the group consisting of:
  - halogen, and

L is Formula (VII); Y is -C(O)NR<sub>5</sub>-;

 $R_2$  is -N( $R_{2a}$ )( $R_{2b}$ ) wherein  $R_{2a}$  is hydrogen or  $C_{1-5}$  alkyl and  $R_{2b}$  is  $C_{1-5}$  alkyl; wherein carbocyclic aryl is phenyl; and halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

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- 94. The compound according to claim 75 or 93 wherein p is 1 or 2 and each T is independently C<sub>1-5</sub> alkyl; R<sub>3</sub> is hydrogen; R<sub>4</sub> is hydrogen or C<sub>1-5</sub> alkyl; A and B are both single bonds; R<sub>5</sub> is hydrogen; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
- 95. The compound according to claim 1 selected from the group consisting of:

N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino}cyclohexyl)urea; N-(3-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methylurea; N-(3,4-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methylurea; rea:

N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methyl-N-(3-methylphenyl)urea; N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methyl-N-(4-methylphenyl)urea; N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-(3-fluorophenyl)-N-methylurea; N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-(4-fluorophenyl)-N'-methylurea; N-(4-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methylurea; N-(4-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-(4-chlorophenyl)-N-(4-chlorophenyl)-N-(4-chlorophenyl)-N-(4-chlorophenyl)-N-(4-chlorophenyl)-N-(4-chlorophenyl)-N-(4-chlorophenyl)-N-(4-chlorophenyl)-N-(4-chlorophenyl)-N-(4-chlorophenyl)-N-(4-chlorophenyl)-N-(4-chlorophenyl)-N-(4-chlorophenyl)-N-(4-chlorophenyl)-N-(4-chlorophenyl)-N-(4-c

 $N-(3,4-\text{diffuor ophenyl})-N'-(\text{cis-}4-\{[4-(\text{dimethylamino})-5-\text{methylpyrimidin-}2-yl]amino}\} cyclohexyl)-N-\text{methylurea}; \\ N'-(\text{cis-}4-\{[4-(\text{dimethylamino})-5-\text{methylpyrimidin-}2-yl]amino}\} cyclohexyl)-N-(3-\text{methoxyphenyl})-N-\text{methylurea}; \\ N'-(\text{dimethylamino})-1-\text{methylurea}; \\ N'-(\text{dimethylamino})-1-\text{methylamino}; \\ N'-(\text{dimeth$ 

N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-(4-methoxyphenyl)-N-methylurea; N-{1-[3,5-bis(trifluoromethyl)phenyl]-1-methylethyl}-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)urea;

N-[1-(4-chlorophenyl)-1-methylethyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) urea;

N-[1-(4-chlorophenyl)-1-methylethyl]-N'-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl) urea;

N-[1-(4-chlorophenyl)-1-methylethyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methylurea;

 $N-[1-(4-chlorophenyl)-1-methylethyl]-N'-(cis-4-\{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino\}cyclohexyl)-N-methylurea;$ 

N-[1-(4-chlorophenyl)cyclopropyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methylurea;

N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N'-(2-methoxyphenyl)urea;

N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N'-(3-methoxyphenyl)urea;

N-(3,4-dimethoxyphenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)urea;

N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N'-(4-fluorophenyl)urea;

N-(3,4-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)urea;

N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N'-[2-(trifluoromethoxy)phenyl]urea;

N-(4-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)urea;

N-[3,5-bis(trifluoromethyl)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) urea:

 $N-(4-bromophenyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)urea;\\ N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-N'-(2-methylphenyl)urea;\\ N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-N'-(2-methylphenyl)urea;\\ N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-N'-(2-methylphenyl)urea;\\ N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino\}cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino\}cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino\}cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino\}cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino\}cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino\}cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino\}cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino\}cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino\}cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino\}cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(2-methylpyrimidin-2-yl)-N'-(2-methylpyrimidin-2-yl)-N'-(2-methylpyrimidin-2-yl)-N'-(2-methylpyrimidin-2-yl)-N'-(2-methylpyrimidin-2-yl)-N'-(2-methylpyrimidin-2-yl)-N'-(2-methylpyrimidin-2-yl)-N'-(2-methylpyrimidin-2-yl)-N'-(2-methylpyrimidin-2-yl)-N'-(2-methylpyrimidin-2-yl)-N'-(2-$ 

N-benzyl-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)urea;

N-[2-chloro-6-(trifluoromethyl)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cy-clohexyl)urea;

 $N-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-N'-(2,4,6-trichlorophenyl)urea;\\ N-(2,4-dichlorophenyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-N-methylurea;\\ N-(2,4-dichlorophenyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-N-methylurea;\\ N-(2,4-dichlorophenyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N-methylurea;\\ N-(2,4-dichlorophenyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N-methylurea;\\ N-(2,4-dichlorophenyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N-methylurea;\\ N-(2,4-dichlorophenyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N-methylurea;\\ N-(2,4-dichlorophenyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N-methylurea;\\ N-(2,4-dichlorophenyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N-methylurea;\\ N-(2,4-dichlorophenyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N-methylurea;\\ N-(2,4-dichlorophenyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyllamino]cyclohe$ 

N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methyl-N-[2-(trifluoromethoxy) phenyl]urea;

 $N-(4-chlorophenyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-N-ethylurea;\\ N-[3,5-bis(trifluoromethyl)phenyl]-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-N-ethylpyrimidin-2-yl]amino\}cyclohexyl)-N-ethylpyrimidin-2-yl]amino\}cyclohexyl)-N-ethylpyrimidin-2-yl]amino]-1-methylpyrimidin-2-yl]-1-methylpyrimidin-2-yll]-1-methylpyrimidin-2-yll]-1-methylpyrimidin-2-yll]-1-methylpyrimidin-2-yll]-1-methylpyrimidin-2-yll]-1-methylpyrimidin-2-yll]-1-methyll$ 

ethylurea;

rea:

rea:

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N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N-(2-fluorophenyl)-N-methylurea; N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino]cyclohexyl)-N-ethyl-N-[2-(trifluoromethoxy)phenyl]urea;

N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-ethyl-N-phenylurea;

N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl] amino}cyclohexyl)-N-ethyl-N-(3-methylphenyl)urea; and

1-(2,3-dichloro-phenyl)-3-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl]-urea;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

96. The compound according to claim 1 selected from the group consisting of:

 $N-(3,4-dimethoxyphenyl)-N'-(cis-4-\{[4-(dimethylamino)-5,6-dimethylpyrimidin-2-yl]amino\}cyclohexyl)urea;\\ N-(3-chlorophenyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-N-methylurea;\\ N-(3,4-dichlorophenyl)-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-N-methylurea;\\ N-(3,4-dichlorophenyl)-N-methylurea;\\ N-(3,4-dichlorophenyl)-N-methylurea;\\ N-(3,4-dichlorophenyl)-N-methylurea;\\ N-(3,4-dichlorophenyl)-N-methylurea;\\ N-(3,4-dichlorophenyl)-N-methylurea;\\ N-(3,4-dichlorophenyl)-N-methylurea;\\ N-(3,4-dichlorophenyl)-N-methylurea;\\ N-(3,4-dichlorophenyl)-N-methylurea;\\ N-(3$ 

rea;
N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methyl-N-(3-methylphenyl)urea;
N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methyl-N-(4-methylphenyl)urea;
N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-(3-fluorophenyl)-N-methylurea;
N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-(4-fluorophenyl)-N-methylurea;
N-(4-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methylurea;
N-(3,4-difluorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methylu-

N'-(cis4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-(3-methoxyphenyl)-N-methylurea; N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-(4-methoxyphenyl)-N-methylurea; N-[1-(4-chlorophenyl)-1-methylethyl] -N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl) urea:

N-[1-(4-chlorophenyl)-1-methylethyl]-N'-(cis-4-{[4-(dimethylamino)-6-methylpyrimidin-2-yl]amino}cyclohexyl) urea;

 $N-[1-(4-chlorophenyl)-1-methylethyl]-N'-(cis-4-\{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino\}cyclohexyl)-N-methylurea;$ 

N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)-N'-(4-fluorophenyl)urea;

N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N'-[2-(trifluoromethoxy)phenyl]urea;

N-(4-bromophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)urea; N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N'-(2-methylphenyl)urea; N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N'-(2,4,6-trichlorophenyl)urea; N-(2,4-dichlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methylu-

N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-methyl-N-[2-(trifluoromethoxy) phenyl]urea;

N-(4-chlorophenyl)-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-ethylurea; N-[3,5-bis(trifluoromethyl)phenyl]-N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-ethylurea;

N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-ethyl-N-phenylurea; N'-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino}cyclohexyl)-N-ethyl-N-(3-methylphenyl)urea; and 1-(2,3-dichloro-phenyl)-3-[cis-4-(4-dimethylamino-5-methyl-pyrimidin-2-ylamino)-cyclohexylmethyl]-urea;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

97. The compound according to claim 75 wherein R<sub>1</sub> is selected from the group consisting of:

heterocyclyl, and

heterocyclyl substituted by substituent(s) independently selected from the group consisting of:

- carbocyclic aryloxy,
- carbocyclic aryloxy substituted by substituent(s) independently selected from the group consisting of:

- halogen, and
- C<sub>1-5</sub> alkoxy,

L is Formula (X) or (XI);

Y is -C(O)-;

 $\rm R_2$  is -N(R<sub>2a</sub>)(R<sub>2b</sub>) wherein R<sub>2a</sub> is C<sub>1-5</sub> alkyl and R<sub>2b</sub> is C<sub>1-5</sub> alkyl;

wherein carbocyclic aryl is phenyl;

heterocyclyl is pyridyl; and

halogen is fluoro, chloro, bromo, or iodo;

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or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

98. The compound according to claim 75 or 97 wherein p is 1 and T is C<sub>1-5</sub> alkyl; R<sub>3</sub> and R<sub>4</sub> are both hydrogen; A is a single bond and B is -CH<sub>2</sub>-;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 99. The compound according to claim 75 wherein R<sub>1</sub> is selected from the group consisting of:
  - (i) carbocyclic aryl, and carbocyclic aryl substituted by substituent(s) independently selected from the group consisting of:
  - halogen,
  - C<sub>1-10</sub> alkyl, and
  - C<sub>1-10</sub> alkyl substituted by halogen,

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(ii) heterocyclyl,

L is Formula (VII); and

Y is -S(O)2-;

 $R_2$  is  $-N(R_{2a})(R_{2b})$  wherein  $R_{2a}$  is  $C_{1-5}$  alkyl and  $R_{2b}$  is  $C_{1-5}$  alkyl;

wherein carbocyclic aryl is phenyl or naphthyl;

heterocyclyl is furyl; and

halogen is fluoro, chloro, bromo, or iodo;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

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- 100. The compound according to any one of claims 75 or 99 wherein p is 1 and T is C<sub>1.5</sub> alkyl; R<sub>3</sub> and R<sub>4</sub> are both hydrogen, and A and B are both single bonds; or a pharmaceutically acceptable salt, hydrate, or solvate thereof.
- 101. The compound according to claim 1 is:

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4-chloro-N-(cis-4-{[4-(dimethylamino)-5-methylpyrimidin-2-yl]amino)cyclohexyl)benzenesulfonamide;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

45 102. The compound according to claim 1 wherein R<sub>1</sub> is selected from hydrogen, -CO<sub>2</sub>tBu, or -CO<sub>2</sub>Bn (Bn is a benzyl group);

R<sub>2</sub> is selected from the group consisting of:

hydrogen, halogen, hydroxy, carboxy, carbamoyl, amino,  $C_{1-5}$  alkyl,  $C_{1-5}$  alkyl substituted by halogen,  $C_{1-5}$  alkyl substituted by carbamoyl,  $C_{1-5}$  alkoxy,  $C_{1-5}$  alkoxy substituted by halogen, -N( $R_{2a}$ )( $R_{2b}$ );

wherein  $R_{2a}$  is hydrogen or  $C_{1-5}$  alkyl and  $R_{2b}$  is  $C_{1-5}$  alkyl,  $C_{3-6}$  cycloalkyl, or  $C_{1-5}$  alkyl substituted by substituent (s) independently selected from the group consisting of:

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- · halogen,
- hydroxy,
- · carboxy,

- carbamovl.
- C<sub>1-5</sub> alkoxy,
- amino, and

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C<sub>3-6</sub> cycloalkyl;

or R<sub>2</sub> is methylamino or dimethylamino when Q is Formula (II);

Each T is independently selected from the group consisting of halogen, hydroxy, carboxy, carbamoyl, amino, cyano, nitro,  $C_{1-5}$  alkyl,  $C_{1-5}$  alkyl substituted by halogen,  $C_{1-5}$  alkyl substituted by hydroxy,  $C_{1-5}$  alkyl substituted by carboxy,  $C_{1-5}$  alkyl substituted by carbamoyl,  $C_{2-5}$  alkenyl,  $C_{2-5}$  alkynyl,  $C_{3-6}$  cycloalkyl,  $C_{1-5}$  alkoxy,  $C_{1-5}$  alkoxy substituted by halogen, carbocyclic aryl, heterocyclyl, and  $-N(R_{2a})(R_{2b})$ ;

p is 0, 1, 2, 3, 4 or 5;

L is selected from the group consisting of Formula (VII), (X), (XI), (XV), (XVIII), or (XIX): wherein  $R_3$  and  $R_4$  are independently hydrogen or  $C_{1.5}$  alkyl; and A and

B are independently a single bond or -CH2-; and

Y is a single bond;

or a pharmaceutically acceptable salt, hydrate, or solvate thereof.

- 103.A pharmaceutical composition comprising a therapeutically effective amount of a compound according to any one of claims 1 to 102 in combination with a pharmaceutically acceptable carrier.
- 104.A method for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders and dyskinesias including Parkinson's disease, epilepsy, and addiction comprising administering to an individual suffering from said condition a therapeutically effective amount of a compound according to any one of claims 1 to 102 or a pharmaceutical composition according to claim 103.
- 105.A method for the prophylaxis or treatment of an eating disorder, obesity or an obesity related disorder comprising administering to an individual suffering from said condition a therapeutically effective amount of a compound according to any one of claims 1 to 102 or a pharmaceutical composition according to claim 103.
- 106.A method for the prophylaxis or treatment of anxiety, depression, schizophrenia, addiction, or epilepsy comprising administering to an individual suffering from said condition a therapeutically effective amount of a compound according to any one of claims 1 to 102 or a pharmaceutical composition according to claim 103.
- 107.A compound according to any one of claims 1 to 102 or a pharmaceutical composition according to claim 103 for use in a method of treatment of the human or animal body by therapy.
- 40 108.A compound according to any one of claims 1 to 102 or a pharmaceutical composition according to claim 103 for use in a method of prophylaxis or treatment of an eating disorder, obesity or an obesity related disorder of the human or animal body by therapy.
  - 109.A compound according to any one of claims 1 to 102 or a pharmaceutical composition according to claim 103 for use in a method of prophylaxis or treatment of anxiety, depression, schizophrenia, addiction, or epilepsy of the human or animal body by therapy.
  - 110.A compound according to any one of claims 1 to 102 for the manufacture of a medicament for use in the prophylaxis or treatment of an eating disorder, obesity or obesity related disorders.
  - 111.A compound according to any one of claims 1 to 102 for the manufacture of a medicament for use in the prophylaxis or treatment of anxiety, depression, schizophrenia, addiction, or epilepsy.
  - 112.A method of producing a pharmaceutical composition comprising admixing a compound according to any one of claims 1 to 102 and a pharmaceutically acceptable carrier.